```
     drug potency
     drug selectivity
     drug synthesis
     mouse
     *non insulin dependent diabetes mellitus
     nonhuman
     *structure activity relation
CT   Drug Descriptors:
     alogliptin: AN, drug analysis
     alogliptin: PD, pharmacology
     *azolopyrimidine: AN, drug analysis
     *azolopyrimidine: PD, pharmacology
     *dipeptidyl peptidase IV inhibitor: AN, drug analysis
     *dipeptidyl peptidase IV inhibitor: PD, pharmacology
     linagliptin: AN, drug analysis
     linagliptin: PD, pharmacology
     saxagliptin: AN, drug analysis
     saxagliptin: PD, pharmacology
     sitagliptin: AN, drug analysis
     sitagliptin: PD, pharmacology
     unclassified drug
     vildagliptin: AN, drug analysis
     vildagliptin: PD, pharmacology
ST   Azolopyrimidines; DPP4; GLP-1; SAR
RN   (alogliptin) 850649-61-5; (linagliptin) 668270-12-0; (saxagliptin)
     361442-04-8, 945667-22-1; (sitagliptin) 486460-32-6, 654671-78-0;
     (vildagliptin) 274901-16-5


=> file stnguide
FILE 'STNGUIDE' ENTERED AT 09:19:55 ON 01 MAY 2012
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LAST RELOADED: Apr 27, 2012 (20120427/UP).
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=> d his ful

     (FILE 'HOME' ENTERED AT 08:07:49 ON 01 MAY 2012)
CHARGED TO COST=TC1600

     FILE 'ZCAPLUS' ENTERED AT 08:08:08 ON 01 MAY 2012
CHARGED TO COST=TC1600
                E US2001-788173/APPS

     FILE 'HCAPLUS' ENTERED AT 08:08:26 ON 01 MAY 2012
CHARGED TO COST=TC1600
L1             1 SEA SPE=ON  ABB=ON  PLU=ON  US2001-788173/APPS
                D SCAN
                SEL L1 1- RN

     FILE 'REGISTRY' ENTERED AT 08:08:42 ON 01 MAY 2012
CHARGED TO COST=TC1600
L2           242 SEA SPE=ON  ABB=ON  PLU=ON  (1000689-56-4/BI OR 102502-64-7/BI
                OR 102507-13-1/BI OR 104757-47-3/BI OR 105-53-3/BI OR 1068-90-2
                /BI OR 108-94-1/BI OR 1098535-00-2/BI OR 1098535-01-3/BI OR
                1098535-02-4/BI OR 1098535-03-5/BI OR 1098535-04-6/BI OR
                1098535-05-7/BI OR 1098535-06-8/BI OR 1098535-07-9/BI OR
                1098535-08-0/BI OR 1098535-09-1/BI OR 1098535-10-4/BI OR
                1098535-11-5/BI OR 1098535-12-6/BI OR 1098535-13-7/BI OR
                1098535-14-8/BI OR 1098535-15-9/BI OR 1098535-16-0/BI OR
                1098535-17-1/BI OR 1098535-18-2/BI OR 1098535-19-3/BI OR
                1098535-20-6/BI OR 1098535-21-7/BI OR 1098535-22-8/BI OR
                1098535-23-9/BI OR 1098535-24-0/BI OR 1191-95-3/BI OR 120-92-3/
                BI OR 13031-04-4/BI OR 13139-16-7/BI OR 13433-00-6/BI OR
                13837-45-1/BI OR 14328-51-9/BI OR 143979-40-2/BI OR 144643-84-5
                /BI OR 144978-12-1/BI OR 15023-45-7/BI OR 1576-87-0/BI OR
                173724-30-6/BI OR 173724-34-0/BI OR 178172-26-4/BI OR 179015-57
                -7/BI OR 179015-58-8/BI OR 18928-91-1/BI OR 1903-22-6/BI OR
                191655-45-5/BI OR 19550-72-2/BI OR 197142-36-2/BI OR 200424-69-
                7/BI OR 20859-02-3/BI OR 2094-74-8/BI OR 2130-96-3/BI OR
                214193-10-9/BI OR 214193-11-0/BI OR 214193-13-2/BI OR 21573-69-
                3/BI OR 2356-16-3/BI OR 2534-90-9/BI OR 3005-66-1/BI OR
                35264-06-3/BI OR 361440-58-6/BI OR 361440-61-1/BI OR 361440-62-
                2/BI OR 361440-63-3/BI OR 361440-64-4/BI OR 361440-65-5/BI OR
                361440-66-6/BI OR 361440-67-7/BI OR 361440-69-9/BI OR 361440-70
                -2/BI OR 361440-71-3/BI OR 361440-73-5/BI OR 361440-77-9/BI OR
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                -7/BI OR 361440-95-1/BI OR 361440-97-3/BI OR 361440-99-5/BI OR
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                -6/BI OR 361441-06-7/BI OR 361441-07-8/BI OR 361441-08-9/BI OR
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                -5/BI OR 361441-13-6/BI OR 361441-14-7/BI OR 361441-15-8/BI
L3           150 SEA SPE=ON  ABB=ON  PLU=ON  L2 AND C3-NC4/ES

     FILE 'LREGISTRY' ENTERED AT 08:10:11 ON 01 MAY 2012
CHARGED TO COST=TC1600
L4              STR
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CHARGED TO COST=TC1600
L5           50 SEA SSS SAM L4

     FILE 'LREGISTRY' ENTERED AT 08:15:38 ON 01 MAY 2012
CHARGED TO COST=TC1600
L6              STR L4

     FILE 'REGISTRY' ENTERED AT 08:16:15 ON 01 MAY 2012
CHARGED TO COST=TC1600
L7           50 SEA SSS SAM L6

     FILE 'STNGUIDE' ENTERED AT 08:16:57 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'LREGISTRY' ENTERED AT 08:17:39 ON 01 MAY 2012
CHARGED TO COST=TC1600
L8              STR L6

     FILE 'REGISTRY' ENTERED AT 08:17:51 ON 01 MAY 2012
CHARGED TO COST=TC1600
L9           50 SEA SSS SAM L8

     FILE 'STNGUIDE' ENTERED AT 08:18:53 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'REGISTRY' ENTERED AT 08:19:58 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'LREGISTRY' ENTERED AT 08:20:31 ON 01 MAY 2012
CHARGED TO COST=TC1600
L10             STR L8

     FILE 'REGISTRY' ENTERED AT 08:21:03 ON 01 MAY 2012
CHARGED TO COST=TC1600
L11          50 SEA SSS SAM L10

     FILE 'STNGUIDE' ENTERED AT 08:21:56 ON 01 MAY 2012
CHARGED TO COST=TC1600
                D QUE STAT

     FILE 'LREGISTRY' ENTERED AT 08:28:12 ON 01 MAY 2012
CHARGED TO COST=TC1600
L12             STR L10

     FILE 'REGISTRY' ENTERED AT 08:29:43 ON 01 MAY 2012
CHARGED TO COST=TC1600
L13          50 SEA SSS SAM L12

     FILE 'STNGUIDE' ENTERED AT 08:30:11 ON 01 MAY 2012
CHARGED TO COST=TC1600
                D QUE STAT

     FILE 'REGISTRY' ENTERED AT 08:32:40 ON 01 MAY 2012
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CHARGED TO COST=TC1600
L14         8057 SEA SSS FUL L12
                 SAVE TEMP L14 POL658PSET1/A
L15           15 SEA SPE=ON  ABB=ON  PLU=ON  L3 NOT L14
                 D SCAN

     FILE 'STNGUIDE' ENTERED AT 08:33:40 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'REGISTRY' ENTERED AT 08:35:08 ON 01 MAY 2012
CHARGED TO COST=TC1600
L16          135 SEA SPE=ON  ABB=ON  PLU=ON  L2 AND L14

     FILE 'STNGUIDE' ENTERED AT 08:35:23 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'LREGISTRY' ENTERED AT 08:38:02 ON 01 MAY 2012
CHARGED TO COST=TC1600
L17              STR

     FILE 'REGISTRY' ENTERED AT 08:41:44 ON 01 MAY 2012
CHARGED TO COST=TC1600
L18            0 SEA SUB=L14 SSS SAM L17
                 D QUE STAT
L19            4 SEA SUB=L14 SSS FUL L17
                 SAVE TEMP L19 POL658NSET1/A
                 D SCAN

     FILE 'LREGISTRY' ENTERED AT 08:44:15 ON 01 MAY 2012
CHARGED TO COST=TC1600
L20              STR L12

     FILE 'REGISTRY' ENTERED AT 08:46:21 ON 01 MAY 2012
CHARGED TO COST=TC1600
L21           50 SEA SUB=L14 SSS SAM L20
                 D QUE STAT
L22         8057 SEA SUB=L14 SSS FUL L20
                 SAVE TEMP L22 POL658RSET1/A
L23         8053 SEA SPE=ON  ABB=ON  PLU=ON  L22 NOT L19
                 SAVE TEMP L23 POL658CROSS/A
                 D SCAN L19

     FILE 'STNGUIDE' ENTERED AT 08:48:55 ON 01 MAY 2012
CHARGED TO COST=TC1600
                 D SAVED

     FILE 'ZCAPLUS' ENTERED AT 08:49:36 ON 01 MAY 2012
CHARGED TO COST=TC1600
L24              QUE SPE=ON  ABB=ON  PLU=ON  ROBL, J?/AU,AUTH,IN
L25              QUE SPE=ON  ABB=ON  PLU=ON  SULSKY, R?/AU,AUTH,IN
L26              QUE SPE=ON  ABB=ON  PLU=ON  SULSKY, D?/AU,AUTH,IN
L27              QUE SPE=ON  ABB=ON  PLU=ON  AUGERI, D?/AU,AUTH,IN
L28              QUE SPE=ON  ABB=ON  PLU=ON  MAGNIN, D?/AU,AUTH,IN
L29              QUE SPE=ON  ABB=ON  PLU=ON  HAMANN, L?/AU,AUTH,IN
```

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L30             QUE SPE=ON  ABB=ON  PLU=ON  BETEBENNER, D?/AU,AUTH,IN

     FILE 'HCAPLUS' ENTERED AT 08:50:44 ON 01 MAY 2012
CHARGED TO COST=TC1600
L31           1 SEA SPE=ON  ABB=ON  PLU=ON  L1 AND (L24 OR L25 OR L26 OR L27
                OR L28 OR L29 OR L30)
                D BIB

     FILE 'ZCAPLUS' ENTERED AT 08:51:00 ON 01 MAY 2012
CHARGED TO COST=TC1600
L32             QUE SPE=ON  ABB=ON  PLU=ON  AY<2001 OR PY<2001 OR PRY<2001 OR
                MY<2001 OR REVIEW/DT

     FILE 'HCAPLUS' ENTERED AT 08:51:58 ON 01 MAY 2012
CHARGED TO COST=TC1600
L33         725 SEA SPE=ON  ABB=ON  PLU=ON  L23
L34          26 SEA SPE=ON  ABB=ON  PLU=ON  L33 AND (L24 OR L25 OR L26 OR L27
                OR L28 OR L29 OR L30)
L35           0 SEA SPE=ON  ABB=ON  PLU=ON  L1 NOT L34
L36          26 SEA SPE=ON  ABB=ON  PLU=ON  (L34 OR L35)
L37         699 SEA SPE=ON  ABB=ON  PLU=ON  L33 NOT L36
L38         142 SEA SPE=ON  ABB=ON  PLU=ON  L37 AND L32

     FILE 'STNGUIDE' ENTERED AT 08:53:26 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'LREGISTRY' ENTERED AT 08:55:08 ON 01 MAY 2012
CHARGED TO COST=TC1600
L39             STR L12

     FILE 'REGISTRY' ENTERED AT 08:55:41 ON 01 MAY 2012
CHARGED TO COST=TC1600
L40          50 SEA SUB=L14 SSS SAM L39

     FILE 'STNGUIDE' ENTERED AT 08:56:21 ON 01 MAY 2012
CHARGED TO COST=TC1600
                D QUE STAT

     FILE 'REGISTRY' ENTERED AT 08:59:05 ON 01 MAY 2012
CHARGED TO COST=TC1600
L41        6632 SEA SUB=L14 SSS FUL L39
                SAVE TEMP L41 POL658NSET2/A
L42        1421 SEA SPE=ON  ABB=ON  PLU=ON  L23 NOT L41
                SAVE TEMP L42 POL658CROSS2/A

     FILE 'STNGUIDE' ENTERED AT 09:00:19 ON 01 MAY 2012
CHARGED TO COST=TC1600
                D SAVED

     FILE 'HCAPLUS' ENTERED AT 09:00:54 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'REGISTRY' ENTERED AT 09:01:03 ON 01 MAY 2012
CHARGED TO COST=TC1600
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L43          27 SEA SPE=ON  ABB=ON  PLU=ON  L16 NOT L42

     FILE 'HCAPLUS' ENTERED AT 09:02:03 ON 01 MAY 2012
CHARGED TO COST=TC1600
L44         427 SEA SPE=ON  ABB=ON  PLU=ON  L42
L45          15 SEA SPE=ON  ABB=ON  PLU=ON  L44 AND (L24 OR L25 OR L26 OR L27
                OR L28 OR L29 OR L30)
L46           0 SEA SPE=ON  ABB=ON  PLU=ON  L1 NOT L45
L47          15 SEA SPE=ON  ABB=ON  PLU=ON  (L45 OR L46)
L48         412 SEA SPE=ON  ABB=ON  PLU=ON  L44 NOT L47
L49          87 SEA SPE=ON  ABB=ON  PLU=ON  L48 AND L32

     FILE 'REGISTRY' ENTERED AT 09:03:44 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'HCAPLUS' ENTERED AT 09:03:55 ON 01 MAY 2012
CHARGED TO COST=TC1600
L50             TRA PLU=ON  L49 1- RN HIT :      74 TERMS

     FILE 'REGISTRY' ENTERED AT 09:04:00 ON 01 MAY 2012
CHARGED TO COST=TC1600
L51          74 SEA SPE=ON  ABB=ON  PLU=ON  L50
L52          74 SEA SPE=ON  ABB=ON  PLU=ON  L51 NOT L2
                E SAXAGLIPTIN/CN
L53           1 SEA SPE=ON  ABB=ON  PLU=ON  SAXAGLIPTIN/CN
                D SCAN

     FILE 'STNGUIDE' ENTERED AT 09:08:27 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'REGISTRY' ENTERED AT 09:08:58 ON 01 MAY 2012
CHARGED TO COST=TC1600
L54         961 SEA SPE=ON  ABB=ON  PLU=ON  L42 AND (MEDLINE OR BIOSIS OR
                EMBASE OR CABA OR BIOTECHNO OR DRUGU OR VETU OR TOXCENTER OR
                NAPRALERT)/LC

     FILE 'MEDLINE, BIOSIS, EMBASE, CABA, BIOTECHNO, DRUGU, VETU, TOXCENTER,
     NAPRALERT' ENTERED AT 09:09:45 ON 01 MAY 2012
CHARGED TO COST=TC1600
L55         859 SEA SPE=ON  ABB=ON  PLU=ON  L54
L56          10 SEA SPE=ON  ABB=ON  PLU=ON  L55 AND (L24 OR L25 OR L26 OR L27
                OR L28 OR L29 OR L30)

     FILE 'STNGUIDE' ENTERED AT 09:10:15 ON 01 MAY 2012
CHARGED TO COST=TC1600
                D QUE STAT L14
                D QUE STAT L19
                D QUE STAT L22
                D QUE STAT L23
                D QUE STAT L41
                D QUE STAT L42
                D QUE NOS L49

     FILE 'HCAPLUS' ENTERED AT 09:12:53 ON 01 MAY 2012
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CHARGED TO COST=TC1600
                SAVE TEMP L49 POL658MAINB/A

     FILE 'STNGUIDE' ENTERED AT 09:13:17 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'EMBASE, TOXCENTER' ENTERED AT 09:14:16 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'STNGUIDE' ENTERED AT 09:14:23 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'HCAPLUS' ENTERED AT 09:14:48 ON 01 MAY 2012
CHARGED TO COST=TC1600
                D L49 IBIB ED ABS HITSTR 1-30

     FILE 'STNGUIDE' ENTERED AT 09:14:51 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'HCAPLUS' ENTERED AT 09:15:22 ON 01 MAY 2012
CHARGED TO COST=TC1600
                D L49 IBIB ED ABS HITSTR 31-60

     FILE 'STNGUIDE' ENTERED AT 09:15:25 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'HCAPLUS' ENTERED AT 09:15:39 ON 01 MAY 2012
CHARGED TO COST=TC1600
                D L49 IBIB ED ABS HITSTR 61-87

     FILE 'STNGUIDE' ENTERED AT 09:15:51 ON 01 MAY 2012
CHARGED TO COST=TC1600
                D QUE NOS L47
                D QUE NOS L56

     FILE 'HCAPLUS, EMBASE, TOXCENTER' ENTERED AT 09:18:09 ON 01 MAY 2012
CHARGED TO COST=TC1600
L57          16 DUP REM L47 L56 (9 DUPLICATES REMOVED)
                     ANSWERS '1-15' FROM FILE HCAPLUS
                     ANSWER '16' FROM FILE EMBASE
                SAVE TEMP L57 POL658INV/A

     FILE 'STNGUIDE' ENTERED AT 09:18:22 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'HCAPLUS, EMBASE' ENTERED AT 09:18:58 ON 01 MAY 2012
CHARGED TO COST=TC1600
                D IBIB ED ABS HITSTR 1-15

     FILE 'STNGUIDE' ENTERED AT 09:19:17 ON 01 MAY 2012
CHARGED TO COST=TC1600

     FILE 'HCAPLUS, EMBASE' ENTERED AT 09:19:32 ON 01 MAY 2012
CHARGED TO COST=TC1600
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D IBIB ED ABS IND 16

FILE 'STNGUIDE' ENTERED AT 09:19:33 ON 01 MAY 2012
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FILE HOME

FILE ZCAPLUS

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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2011

ZCAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2011.

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FILE LAST UPDATED: 30 Apr 2012 (20120430/ED)
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STRUCTURE FILE UPDATES: 30 APR 2012 HIGHEST RN 1371687-07-8
DICTIONARY FILE UPDATES: 30 APR 2012 HIGHEST RN 1371687-07-8

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http://www.cas.org/support/stngen/stndoc/properties.html

FILE LREGISTRY
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FILE STNGUIDE
FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Apr 27, 2012 (20120427/UP).

FILE MEDLINE
FILE LAST UPDATED: 28 Apr 2012 (20120428/UP). FILE COVERS 1946 TO DATE.

MEDLINE(R) is a registered trademark of the U.S. National Library of Medicine (NLM).

MEDLINE and LMEDLINE have been updated with the 2012 Medical Subject Headings (MeSH) vocabulary and tree numbers from the U.S. National Libra of Medicine (NLM). Additional information is available at:

http://www.nlm.nih.gov/pubs/techbull/nd11/nd11_medline_data_changes_2012.

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See HELP RANGE before carrying out any RANGE search.

FILE BIOSIS
FILE COVERS 1926 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 26 April 2012 (20120426/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926
through 1968. These records have been re-indexed to match current
BIOSIS indexing.

FILE EMBASE
FILE COVERAGE: EMBASE-originated material 1947 to 30 Apr 2012 (20120430/E
Unique MEDLINE content 1948 to present

EMBASE is now updated daily. SDI frequency remains weekly (default)
and biweekly.

This file contains CAS Registry Numbers for easy and accurate
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For further assistance, please contact your local helpdesk.

FILE CABA
FILE LAST UPDATED: 25 APR 2012 <20120425/UP>
FILE COVERS 1973 TO DATE

<<< SIMULTANEOUS LEFT AND RIGHT TRUNCATION IS AVAILABLE IN
THE BASIC INDEX (/BI), ABSTRACT (/AB), AND TITLE (/TI) FIELDS >>>

FILE BIOTECHNO
FILE LAST UPDATED: 7 JAN 2004 <20040107/UP>
FILE COVERS 1980 TO 2003.
THIS FILE IS A STATIC FILE WITH NO UPDATES

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION AVAILABLE IN
/CT AND BASIC INDEX <<<

FILE DRUGU

FILE LAST UPDATED: 30 APR 2012 <20120430/UP>
>>> DERWENT DRUG FILE (SUBSCRIBER) <<<

>>> FILE COVERS 1983 TO DATE <<<

>>> SIMULTANEOUS LEFT AND RIGHT TRUNCATION HAS BEEN ADDED TO
THE BASIC INDEX (/BI) FIELD <<<

FILE VETU
FILE LAST UPDATED: 2 JAN 2002 <20020102/UP>
FILE COVERS 1983-2001

FILE TOXCENTER

FILE COVERS 1907 TO 1 May 2012 (20120501/ED)

MEDLINE was last reloaded on January 29, 2011.

See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE NAPRALERT

On March 30, the NAPRALERT database was updated with additional content indexed between 2006 and 2011.

FILE COVERS 1650 TO 2011

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Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 171 of 373

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                  AUPATFULL, including the new numeric search feature.
 NEWS  3  AUG 01  CA Sections Added to ACS Publications Web Editions
                  Platform
 NEWS  4  AUG 16  INPADOC: Coverage of German Patent Data resumed,
                  enhanced legal status
 NEWS  5  AUG 18  Upgrade now to STN Express, Version 8.5
 NEWS  6  SEP 01  CAS Journal Coverage Now Includes Ahead-of-Print
                  Articles for More Than 100 Journal Titles
 NEWS  7  SEP 01  Older Versions of STN Express to be Discontinued
                  Beginning in March 2012
 NEWS  8  SEP 09  USAN Database Updates Offer Superior Currency on STN(R)
 NEWS  9  SEP 26  STN Adds Canadian Patent Full-text Database - CANPATFULL
 NEWS 10  SEP 26  GEOREF and ENCOMPLIT databases were reloaded on
                  September 24, 2011.
 NEWS 11  SEP 26  Updates to the IFIPAT/IFIUDB/IFICDB databases have resumed.
 NEWS 12  SEP 26  ECLA Thesaurus in CA/CAplus Improves Patent Searching on STN
 NEWS 13  SEP 26  Access AUPATFULL and CANPATFULL databases with STN Viewer
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 NEWS 15  DEC  1  CA/CAplus Now Includes Examiner Citations for Japanese Patents
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                  Patent Databases on STN
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                  Enhanced on STN
 NEWS 22  JAN 26  IFICLS Updates Resume on STN
 NEWS 23  JAN 31  MEDLINE Reload - Updated MeSH Vocabulary and Two New
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 NEWS 24  FEB  1  INPADOC Databases Enhanced with Japanese Patent
                  Classifications, Current U.S. Classification and Japanese
                  Legal Status.
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 NEWS 26  FEB 13  PCTFULL Documents with Non-Latin Filing Language Enhanced
                  with English Machine Translations
 NEWS 27  FEB 28  REACH List of Registered Substances Now in CHEMLIST on STN
 NEWS 28  MAR 12  RTECS Database on STN Enhanced with Aquatic and In Vitro
                  Exposure Toxicity Data
 NEWS 29  MAR 12  MARPAT Database Enhanced with Additional Markush Backfile
                  Content for STN
 NEWS 30  MAR 19  The 1MOBILITY and 2MOBILITY databases were reloaded on
                  March 18, 2012
 NEWS 31  MAR 19  STN Adds Chinese Patent Full Text Database - CNFULL
 NEWS 32  MAR 19  Get the Content You Need Sooner with ePub Ahead of Print
                  Records Available in MEDLINE on STN!
 NEWS 33  MAR 30  NAPRALERT Updated with More Natural Products Information
 NEWS 34  APR  9  CAS Expands Global Patent Coverage - The Eurasian Patent
                  Organization Becomes 63rd Authority on CA/CAplus
 NEWS 35  APR 16  DWPI Database (WPINDEX, WPIDS, WPIX) Enhanced with
                  Numerical Property Search Feature
 NEWS 36  APR 23  RSS Delivery for STN Alerts (SDIs) is Now Available on STN

 NEWS EXPRESS 18 AUGUST 2011 CURRENT WINDOWS VERSION IS V8.5,
              AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2011.

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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

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=> fil reg

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DICTIONARY FILE UPDATES:  29 APR 2012  HIGHEST RN 1371145-50-4
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experimental property data in the original document. For information
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http://www.cas.org/support/stngen/stndoc/properties.html

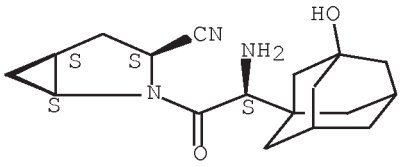
*** YOU HAVE NEW MAIL ***

=> s saxagliptin/cn
L1   1 SAXAGLIPTIN/CN

=> d l1

L1   ANSWER 1 OF 1 REGISTRY COPYRIGHT 2012 ACS on STN
RN   361442-04-8 REGISTRY
ED   Entered STN: 11 Oct 2001
CN   2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
     2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-,
     (1S,3S,5S)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
     2-[(2S)-amino(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)-
     (9CI)
OTHER NAMES:
CN   BMS 477118
CN   BMS 477118-11
CN   Onglyza
CN   Saxagliptin
FS   STEREOSEARCH
DR   1339955-48-4
MF   C18 H25 N3 O2
CI   COM
SR   CA
LC   STN Files: ADISINSIGHT, ANABSTR, CA, CAPLUS, CASREACT, CBNB, CHEMCATS,
       CHEMLIST, DDFU, DRUGU, EMBASE, IMSPATENTS, IMSRESEARCH, IPA, MRCK*,
       PATDPASPC, PS, RTECS*, TOXCENTER, USAN, USPAT2, USPATFULL
         (*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

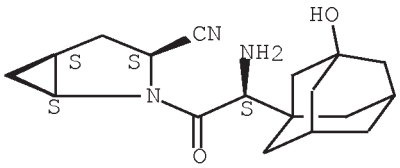
313 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
330 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s saxagliptin
L2 5 SAXAGLIPTIN

=> d l2 1-
YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y

L2 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2012 ACS on STN
RN 945667-22-1 REGISTRY
ED Entered STN: 28 Aug 2007
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, hydrate
(1:1), (1S,3S,5S)- (CA INDEX NAME)
OTHER NAMES:
CN Saxagliptin hydrate
FS STEREOSEARCH
MF C18 H25 N3 O2 . H2 O
SR CAS Client Services
LC STN Files: ADISINSIGHT, CA, CAPLUS, CASREACT, CHEMCATS, EMBASE,
IMSPATENTS, IMSRESEARCH, IPA, MRCK*, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
CRN (361442-04-8)

Absolute stereochemistry.

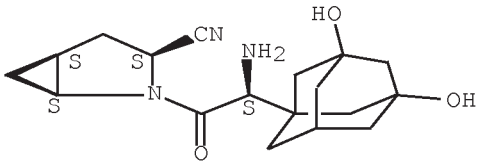


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2012 ACS on STN
RN 841302-24-7 REGISTRY
ED Entered STN: 03 Mar 2005
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
2-[(2S)-2-amino-2-(3,5-dihydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-,
(1S,3S,5S)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
2-[(2S)-amino(3,5-dihydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-,
(1S,3S,5S)- (9CI)
OTHER NAMES:
CN 5-Hydroxy saxagliptin
CN BMS 510849
CN M2 saxagliptin hydroxylated metabolite
FS STEREOSEARCH
MF C18 H25 N3 O3
CI COM
SR CA
LC STN Files: CA, CAPLUS, CASREACT, CHEMCATS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.



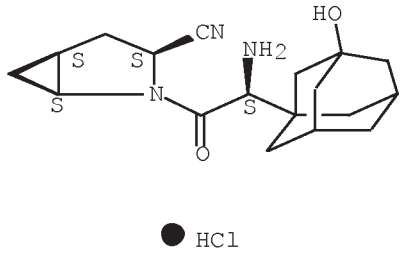
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 REFERENCES IN FILE CA (1907 TO DATE)
7 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2012 ACS on STN
RN 709031-78-7 REGISTRY
ED Entered STN: 13 Jul 2004
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-,
hydrochloride (1:1), (1S,3S,5S)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
2-[(2S)-amino(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-,
monohydrochloride, (1S,3S,5S)- (9CI)
OTHER NAMES:
CN Saxagliptin hydrochloride
FS STEREOSEARCH
MF C18 H25 N3 O2 . Cl H
SR CA

LC STN Files: CA, CAPLUS, CASREACT, CHEMCATS, PATDPASPC, TOXCENTER, USPAT2, USPATFULL
CRN (361442-04-8)

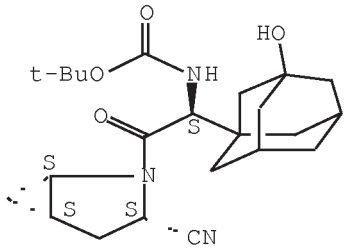
Absolute stereochemistry.



12 REFERENCES IN FILE CA (1907 TO DATE)
12 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2012 ACS on STN
RN 709031-43-6 REGISTRY
ED Entered STN: 13 Jul 2004
CN Carbamic acid, N-[(1S)-2-[(1S,3S,5S)-3-cyano-2-azabicyclo[3.1.0]hex-2-yl]-1-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Carbamic acid, [(1S)-2-[(1S,3S,5S)-3-cyano-2-azabicyclo[3.1.0]hex-2-yl]-1-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI)
OTHER NAMES:
CN Boc-saxagliptin
FS STEREOSEARCH
MF C23 H33 N3 O4
CI COM
SR CA
LC STN Files: CA, CAPLUS, CASREACT, CHEMCATS, CHEMLIST, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.

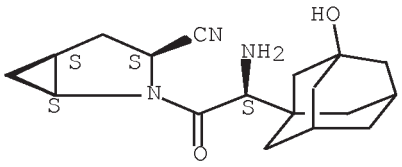


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

14 REFERENCES IN FILE CA (1907 TO DATE)
14 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2012 ACS on STN
RN 361442-04-8 REGISTRY
ED Entered STN: 11 Oct 2001
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-,
(1S,3S,5S)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
2-[(2S)-amino(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)-
(9CI)
OTHER NAMES:
CN BMS 477118
CN BMS 477118-11
CN Onglyza
CN Saxagliptin
FS STEREOSEARCH
DR 1339955-48-4
MF C18 H25 N3 O2
CI COM
SR CA
LC STN Files: ADISINSIGHT, ANABSTR, CA, CAPLUS, CASREACT, CBNB, CHEMCATS,
CHEMLIST, DDFU, DRUGU, EMBASE, IMSPATENTS, IMSRESEARCH, IPA, MRCK*,
PATDPASPC, PS, RTECS*, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

313 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
330 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> display set notice

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SET PARAMETER CURRENT  PERMANENT LOGIN  DEFAULT
------------- -------  --------- ------ -------
NOTICE (USD)
  DISPLAY     'OFF'              'OFF'  '100'
  SEARCH      '1000'             '1000' '1000'


=>  FILE REG


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DICTIONARY FILE UPDATES:  29 APR 2012  HIGHEST RN 1371145-50-4

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=> STR 361442-04-8

:END

L3   STRUCTURE CREATED

=> S L3 FAM SAM

SAMPLE SEARCH INITIATED 15:46:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       12 TO ITERATE

100.0% PROCESSED       12 ITERATIONS                              2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           33 TO      447
PROJECTED ANSWERS:               2 TO      124
```

L4 2 SEA FAM SAM L3

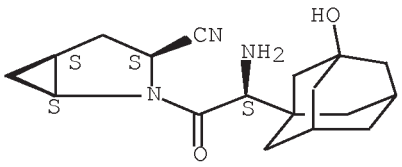
=>

=> D SCAN

L4 2 ANSWERS REGISTRY COPYRIGHT 2012 ACS on STN
IN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-,
(1S,3S,5S)-, (2Z)-2-butenedioate (1:1)
MF C18 H25 N3 O2 . C4 H4 O4

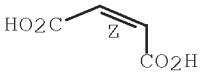
CM 1

Absolute stereochemistry.



CM 2

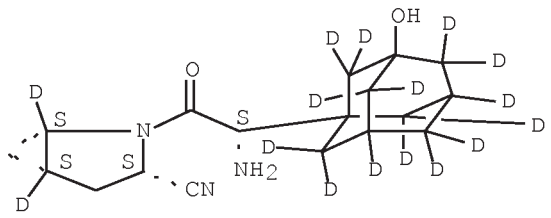
Double bond geometry as shown.



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L4 2 ANSWERS REGISTRY COPYRIGHT 2012 ACS on STN
IN 2-Azabicyclo[3.1.0]hexane-1,5-d2-3-carbonitrile,
2-[(2S)-2-amino-2-(7-hydroxytricyclo[3.3.1.13,7]dec-1-yl-
2,2,3,4,4,5,6,6,8,8,9,9,10,10-d14)acetyl]-, (1S,3S,5S)-
MF C18 H9 D16 N3 O2

Absolute stereochemistry.



ALL ANSWERS HAVE BEEN SCANNED

=> fil REGISTRY

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predicted properties as well as tags indicating availability of
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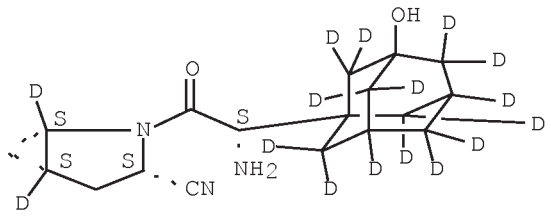
*** YOU HAVE NEW MAIL ***

=> d l4 2

L4   ANSWER 2 OF 2 REGISTRY COPYRIGHT 2012 ACS on STN
RN   1227074-04-5 REGISTRY
ED   Entered STN: 07 Jun 2010
CN   2-Azabicyclo[3.1.0]hexane-1,5-d2-3-carbonitrile,
     2-[(2S)-2-amino-2-(7-hydroxytricyclo[3.3.1.13,7]dec-1-yl-
     2,2,3,4,4,5,6,6,8,8,9,9,10,10-d14)acetyl]-, (1S,3S,5S)- (CA INDEX NAME)
FS   STEREOSEARCH
MF   C18 H9 D16 N3 O2

SR   CA
LC   STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d hist

(FILE 'HOME' ENTERED AT 15:43:05 ON 30 APR 2012)

FILE 'REGISTRY' ENTERED AT 15:43:22 ON 30 APR 2012
L1   1 S SAXAGLIPTIN/CN
L2   5 S SAXAGLIPTIN

FILE 'REGISTRY' ENTERED AT 15:46:17 ON 30 APR 2012
L3   STR 361442-04-8
L4   2 S L3 FAM SAM

FILE 'REGISTRY' ENTERED AT 15:46:33 ON 30 APR 2012

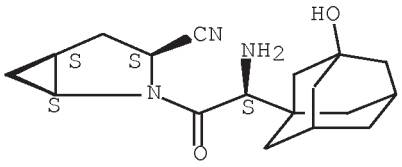
=> s 361442-04-8/crn
L5   48 361442-04-8/CRN

=> d scan

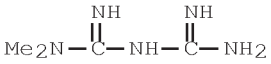
L5   48 ANSWERS   REGISTRY COPYRIGHT 2012 ACS on STN
IN   Imidodicarbonimidic diamide, N,N-dimethyl-, mixt. with
     (1S,3S,5S)-2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-
     yl)acetyl]-2-azabicyclo[3.1.0]hexane-3-carbonitrile
MF   C18 H25 N3 O2 . C4 H11 N5
CI   MXS

     CM   1

Absolute stereochemistry.



CM 2

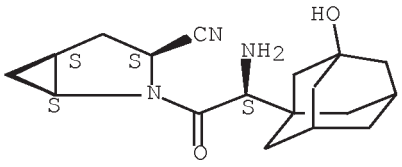


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

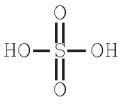
L5 48 ANSWERS REGISTRY COPYRIGHT 2012 ACS on STN
IN Sulfuric acid diammonium salt, compd. with (1S,3S,5S)-2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-2-azabicyclo[3.1.0]hexane-3-carbonitrile (1:1)
MF C18 H25 N3 O2 . 2 H3 N . H2 O4 S

CM 1

Absolute stereochemistry.



CM 2



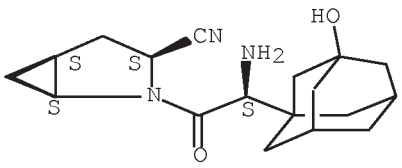
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L5 48 ANSWERS REGISTRY COPYRIGHT 2012 ACS on STN

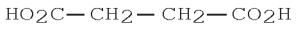
IN Butanedioic acid, compd. with (1S,3S,5S)-2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-2-azabicyclo[3.1.0]hexane-3-carbonitrile (1:1)
MF C18 H25 N3 O2 . C4 H6 O4

CM 1

Absolute stereochemistry.



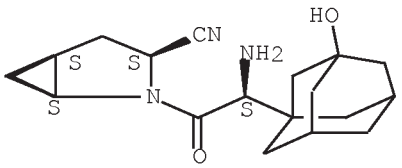
CM 2

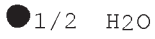


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L5 48 ANSWERS REGISTRY COPYRIGHT 2012 ACS on STN
IN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile, 2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, hydrate (2:1), (1S,3S,5S)-
MF C18 H25 N3 O2 . 1/2 H2 O

Absolute stereochemistry.





HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> d hist

(FILE 'HOME' ENTERED AT 15:43:05 ON 30 APR 2012)

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     FILE 'REGISTRY' ENTERED AT 15:43:22 ON 30 APR 2012
L1             1 S SAXAGLIPTIN/CN
L2             5 S SAXAGLIPTIN

     FILE 'REGISTRY' ENTERED AT 15:46:17 ON 30 APR 2012
L3               STR 361442-04-8
L4             2 S L3 FAM SAM

     FILE 'REGISTRY' ENTERED AT 15:46:33 ON 30 APR 2012
L5            48 S 361442-04-8/CRN

=> fil caplus uspatful

FILE 'CAPLUS' ENTERED AT 15:50:20 ON 30 APR 2012
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2012 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 15:50:20 ON 30 APR 2012
CA INDEXING COPYRIGHT (C) 2012 AMERICAN CHEMICAL SOCIETY (ACS)

=> s l1
L6          480 L1

=> s l1<chem>

   SmartSELECT INITIATED
New TRANSFER and ANALYZE Commands Now Available
See HELP TRANSFER and HELP ANALYZE for Details



FILE 'REGISTRY' ENTERED AT 15:50:53 ON 30 APR 2012
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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*** YOU HAVE NEW MAIL ***

SET SMARTSELECT ON
SET COMMAND COMPLETED

SEL L1 1- CHEM
L7           SEL L1 1- CHEM :       6 TERMS

SET SMARTSELECT OFF
SET COMMAND COMPLETED



FILE 'CAPLUS' ENTERED AT 15:50:53 ON 30 APR 2012
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2012 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE 'USPATFULL' ENTERED AT 15:50:53 ON 30 APR 2012
CA INDEXING COPYRIGHT (C) 2012 AMERICAN CHEMICAL SOCIETY (ACS)

S L7
L8        1242 L7

=> dup remove l6
PROCESSING COMPLETED FOR L6
L9         454 DUP REMOVE L6 (26 DUPLICATES REMOVED)

=> dup remove l8
PROCESSING COMPLETED FOR L8
L10       1218 DUP REMOVE L8 (24 DUPLICATES REMOVED)

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L11       1228 L9 OR L10

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L17          0 L11 AND AY<2002

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L19       1071 L11 AND AY>2000

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L20          1 L11 AND PRD<20020312

=> D IBIB ABS L20

L20  ANSWER 1 OF 1  USPATFULL on STN
ACCESSION NUMBER:       2009:320331  USPATFULL Full-text
TITLE:                  Amide Compounds
INVENTOR(S):            Kitamura, Shuji, Osaka, JAPAN
                        Aicher, Thomas Daniel, Superior, CO, UNITED STATES
                        Gonzales, Steve, Media, PA, UNITED STATES
                        Le Huerou, Yvan, Boulder, CO, UNITED STATES
                        Pratt, Scott Alan, Longmont, CO, UNITED STATES
                        Turner, Tim, Longmont, CO, UNITED STATES
                        Nakada, Yoshihisa, Osaka, JAPAN
```

PATENT ASSIGNEE(S): TAKEDA PHARMACEUTICAL COMPANY LIMITED, OSAKA, JAPAN
(non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 20090286791	A1	20091119	
APPLICATION INFO.:	US 2007-309493	A1	20070720	(12)
	WO 2007-US16425		20070720	
			20090414	PCT 371 date

	NUMBER	DATE	
PRIORITY INFORMATION:	EP 2001-127442	20011127	<--
	US 2006-832115P	20060721	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: WENDEROTH, LIND & PONACK, L.L.P., 1030 15th Street, N.W.,, Suite 400 East, Washington, DC, 20005-1503, US
NUMBER OF CLAIMS: 29
EXEMPLARY CLAIM: 1
LINE COUNT: 7740
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides compounds represented by the formula (Ia):

##STR1##

the formula (Ib):

##STR2##

the formula (Ic):

##STR3##

and the formula (Id):

##STR4##

wherein each symbol is as defined in the specification.

According to the present invention, these compounds have a DGAT inhibitory activity and are useful for the prophylaxis, treatment or improvement of diseases or pathologies caused by high expression or high activation of DGAT.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

```
=> s l11 and ROBL/IN
L21          0 L11 AND ROBL/IN

=> s l11 and (ROBL JEFFREY A/IN)
L22          3 L11 AND (ROBL JEFFREY A/IN)

=> D TI L22 1-
YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):Y

L22  ANSWER 1 OF 3  USPATFULL on STN
TI     HYDROXY SUBSTITUTED THIENO PYRIMIDINONES AS MELANIN CONCENTRATING
       HORMONE RECEPTOR-1 ANTAGONISTS

L22  ANSWER 2 OF 3  USPATFULL on STN
TI     HMG-CoA reductase inhibitors

L22  ANSWER 3 OF 3  USPATFULL on STN
TI     HMG-CoA reductase inhibitors and method


=> D IBIB L22 1-
YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):Y

L22  ANSWER 1 OF 3  USPATFULL on STN
ACCESSION NUMBER:       2009:333876  USPATFULL Full-text
TITLE:                  HYDROXY SUBSTITUTED THIENO PYRIMIDINONES AS MELANIN
                        CONCENTRATING HORMONE RECEPTOR-1 ANTAGONISTS
INVENTOR(S):            Washburn, William N., Titusville, NJ, UNITED STATES
                        Ahmad, Saleem, Wall, NJ, UNITED STATES
                        Devasthale, Pratik, Plainsboro, NJ, UNITED STATES
                        Robl, Jeffrey A., Newtown, PA, UNITED STATES
                        Goswami, Animesh, Plainsboro, NJ, UNITED STATES
                        Guo, Zhiwei, Franklin Park, NJ, UNITED STATES
                        Patel, Ramesh N., Bridgewater, NJ, UNITED STATES
PATENT ASSIGNEE(S):     Bristol-Myers Squibb Company (U.S. corporation)

                             NUMBER       KIND    DATE
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PATENT INFORMATION:     US 20090298794     A1   20091203
                        US 7989433         B2   20110802
APPLICATION INFO.:      US 2009-473346     A1   20090528  (12)

                               NUMBER             DATE
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PRIORITY INFORMATION:   US 2008-56949P          20080529 (61)
DOCUMENT TYPE:          Utility
FILE SEGMENT:           APPLICATION
LEGAL REPRESENTATIVE:   LOUIS J. WILLE, BRISTOL-MYERS SQUIBB COMPANY, PATENT
                        DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000, US
NUMBER OF CLAIMS:       23
EXEMPLARY CLAIM:        1
LINE COUNT:             2167
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L22  ANSWER 2 OF 3  USPATFULL on STN
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ACCESSION NUMBER: 2007:285027 USPATFULL Full-text
TITLE: HMG-CoA reductase inhibitors
INVENTOR(S): Stein, Philip D., Pennington, NJ, UNITED STATES
Seitz, Steven P., Swarthmore, PA, UNITED STATES
Carini, David J., Wallingford, CT, UNITED STATES
Shi, Yan, Flourtown, PA, UNITED STATES
Robl, Jeffrey A., Newtown, PA, UNITED STATES
Markwalder, Jay A., New London, PA, UNITED STATES
He, Chunhong, Boothwyn, PA, UNITED STATES
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20070249583	A1	20071025
	US 7659281	B2	20100209
APPLICATION INFO.:	US 2007-789335	A1	20070424 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2006-794733P	20060425 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: LOUIS J. WILLE, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000, US
NUMBER OF CLAIMS: 24
EXEMPLARY CLAIM: 1
LINE COUNT: 8226
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L22 ANSWER 3 OF 3 USPATFULL on STN
ACCESSION NUMBER: 2005:99578 USPATFULL Full-text
TITLE: HMG-CoA reductase inhibitors and method
INVENTOR(S): Ahmad, Saleem, Wall, NJ, UNITED STATES
Robl, Jeffrey A., Newtown, PA, UNITED STATES
Ngu, Khehyong, Pennington, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20050085497	A1	20050421
	US 7371759	B2	20080513
APPLICATION INFO.:	US 2004-946055	A1	20040921 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-505893P	20030925 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000, US
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
LINE COUNT: 2114
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 189 of 373

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L23          5 L11 AND PRD<20030101

=> D IBIB L23 1-
YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):Y

L23  ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER:         2004:515478  CAPLUS Full-text
DOCUMENT NUMBER:          141:54618
TITLE:                    Preparation of cyclopropyl-fused pyrrolidine-based
                          inhibitors of dipeptidyl peptidase IV
INVENTOR(S):              Vu, Truc Chi; Brzozowski, David B.; Fox, Rita;
                          Godfrey, Jollie Duaine, Jr.; Hanson, Ronald L.;
                          Kolotuchin, Sergei V.; Mazzullo, John A., Jr.; Patel,
                          Ramesh N.; Wang, Jianji; Wong, Kwok; Yu, Jurong; Zhu,
                          Jason; Magnin, David R.; Augeri, David J.; Hamann,
                          Lawrence G.
PATENT ASSIGNEE(S):       Bristol-Myers Squibb Company, USA
SOURCE:                   PCT Int. Appl., 101 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2004052850        A2    20040624    WO 2003-US38558        20031204 <--
     WO 2004052850        A3    20060302
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 20050090539       A1    20050428    US 2003-716012         20031118 <--
     US 7420079           B2    20080902
     CA 2508619           A1    20040624    CA 2003-2508619        20031204 <--
     AU 2003297647        A1    20040630    AU 2003-297647         20031204 <--
     EP 1581487           A2    20051005    EP 2003-812799         20031204 <--
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     BR 2003017139        A     20051129    BR 2003-17139          20031204 <--
     CN 1791401           A     20060621    CN 2003-80109631       20031204 <--
     JP 2006516121        T     20060622    JP 2004-559282         20031204 <--
     JP 4886193           B2    20120229
     CN 102070451         A     20110525    CN 2010-10260709       20031204 <--
     IN 2005DN02279       A     20090123    IN 2005-DN2279         20050530 <--
     IN 244388            A1    20101210
     MX 2005005970        A     20050818    MX 2005-5970           20050603 <--
     IN 2008DN00420       A     20080215    IN 2008-DN420          20080115 <--
     US 20090018311       A1    20090115    US 2008-181216         20080728 <--
     US 7705033           B2    20100427
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Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 190 of 373

US 20100274025	A1	20101028	US 2010-712958		20100225 <--
JP 2011006440	A	20110113	JP 2010-181557		20100816 <--
JP 2011006441	A	20110113	JP 2010-181559		20100816 <--
PRIORITY APPLN. INFO.:			US 2002-431814P	P	20021209 <--
			US 2003-716012	A3	20031118
			CN 2003-80109631	A3	20031204
			JP 2004-559282	A3	20031204
			WO 2003-US38558	W	20031204
			IN 2005-DN2279	A3	20050530
			US 2008-181216	A3	20080728

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 141:54618; MARPAT 141:54618
OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (35 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2010:307761 USPATFULL Full-text
TITLE: METHODS AND COMPOUNDS FOR PRODUCING DIPEPTIDYL PEPTIDASE IV INHIBITORS AND INTERMEDIATES THEREOF
INVENTOR(S): Vu, Truc Chi, Watchung, NJ, UNITED STATES
Brzozowski, David B., Pattersonville, NY, UNITED STATES
Fox, Rita, Princeton, NJ, UNITED STATES
Godfrey, JR., Jollie Duaine, Ewing, NJ, UNITED STATES
Hanson, Ronald L., Morris Plains, NJ, UNITED STATES
Kolotuchin, Sergei V., Roselle Park, NJ, UNITED STATES
Mazzullo, John A., Florence, SC, UNITED STATES
Patel, Ramesh N., Bridgewater, NJ, UNITED STATES
Wang, Jianji, Dayton, NJ, UNITED STATES
Wong, Kwok, Lawrenceville, NJ, UNITED STATES
Yu, Jurong, Dayton, NJ, UNITED STATES
Zhu, Jason J., East Brunswick, NJ, UNITED STATES
Magnin, David R., Sumter, SC, UNITED STATES
Augeri, David J., Princeton, NJ, UNITED STATES
Hamann, Lawrence G., North Grafton, MA, UNITED STATES
PATENT ASSIGNEE(S): BRISTOL-MYERS SQUIBB COMPANY, Princeton, NJ, UNITED STATES (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20100274025	A1	20101028
APPLICATION INFO.:	US 2010-712958	A1	20100225 (12)

RELATED APPLN. INFO.: Division of Ser. No. US 2008-181216, filed on 28 Jul 2008, Pat. No. US 7705033 Division of Ser. No. US 2003-716012, filed on 18 Nov 2003, Pat. No. US 7420079

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2002-431814P	20021209 (60)	<--

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: McDonnell Boehnen Hulbert & Berghoff LLP, Bristol-Myers Squibb, 300 South Wacker Drive, Chicago, IL, 60606, US
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1

LINE COUNT: 2619
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L23 ANSWER 3 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2009:320331 USPATFULL Full-text
TITLE: Amide Compounds
INVENTOR(S): Kitamura, Shuji, Osaka, JAPAN
Aicher, Thomas Daniel, Superior, CO, UNITED STATES
Gonzales, Steve, Media, PA, UNITED STATES
Le Huerou, Yvan, Boulder, CO, UNITED STATES
Pratt, Scott Alan, Longmont, CO, UNITED STATES
Turner, Tim, Longmont, CO, UNITED STATES
Nakada, Yoshihisa, Osaka, JAPAN
PATENT ASSIGNEE(S): TAKEDA PHARMACEUTICAL COMPANY LIMITED, OSAKA, JAPAN
(non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 20090286791	A1	20091119	
APPLICATION INFO.:	US 2007-309493	A1	20070720	(12)
	WO 2007-US16425		20070720	
			20090414	PCT 371 date

	NUMBER	DATE	
PRIORITY INFORMATION:	EP 2001-127442	20011127	<--
	US 2006-832115P	20060721 (60)	

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: WENDEROTH, LIND & PONACK, L.L.P., 1030 15th Street, N.W.,, Suite 400 East, Washington, DC, 20005-1503, US
NUMBER OF CLAIMS: 29
EXEMPLARY CLAIM: 1
LINE COUNT: 7740
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L23 ANSWER 4 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2009:19680 USPATFULL Full-text
TITLE: METHODS AND COMPOUNDS FOR PRODUCING DIPEPTIDYL PEPTIDASE IV INHIBITORS AND INTERMEDIATES THEREOF
INVENTOR(S): Vu, Truc Chi, Watchung, NJ, UNITED STATES
Brzozowski, David B., Pattersonville, NY, UNITED STATES
Fox, Rita, Princeton, NJ, UNITED STATES
Godfrey, JR., Jollie Duaine, Ewing, NJ, UNITED STATES
Hanson, Ronald L., Morris Plains, NJ, UNITED STATES
Kolotuchin, Sergei V., Roselle Park, NJ, UNITED STATES
Mazzullo, John A., Florence, SC, UNITED STATES
Patel, Ramesh N., Bridgewater, NJ, UNITED STATES
Wang, Jianji, Dayton, NJ, UNITED STATES
Wong, Kwok, Lawrenceville, NJ, UNITED STATES
Yu, Jurong, Dayton, NJ, UNITED STATES
Zhu, Jason J., East Brunswick, NJ, UNITED STATES
Magnin, David R., Sumter, SC, UNITED STATES
Augeri, David J., Princeton, NJ, UNITED STATES
Hamann, Lawrence G., North Grafton, MA, UNITED STATES
PATENT ASSIGNEE(S): BRISTOL-MYERS SQUIBB COMPANY, Princeton, NJ, UNITED

STATES (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20090018311	A1	20090115
	US 7705033	B2	20100427
APPLICATION INFO.:	US 2008-181216	A1	20080728 (12)

RELATED APPLN. INFO.: Division of Ser. No. US 2003-716012, filed on 18 Nov 2003, Pat. No. US 7420079

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-431814P	20021209 (60) <--

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: McDonnell Boehnen Hulbert & Berghoff LLP, Bristol-Myers Squibb, 300 South Wacker Drive, Chicago, IL, 60606, US
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
LINE COUNT: 2646
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L23 ANSWER 5 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2005:105601 USPATFULL Full-text
TITLE: Methods and compounds for producing dipeptidyl peptidase IV inhibitors and intermediates thereof
INVENTOR(S): Vu, Truc Chi, Watchung, NJ, UNITED STATES
Brzozowski, David B., Island Lake, IL, UNITED STATES
Fox, Rita, Princeton, NJ, UNITED STATES
Godfrey, Jollie Duaine JR., Ewing, NJ, UNITED STATES
Hanson, Ronald L., Morris Plains, NJ, UNITED STATES
Kolotuchin, Sergei V., Roselle Park, NJ, UNITED STATES
Mazzullo, John A., Florence, SC, UNITED STATES
Patel, Ramesh N., Bridgewater, NJ, UNITED STATES
Wang, Jianji, Dayton, NJ, UNITED STATES
Wong, Kwok, Lawrenceville, NJ, UNITED STATES
Yu, Jurong, Dayton, NJ, UNITED STATES
Zhu, Jason J., East Brunswick, NJ, UNITED STATES
Magnin, David R., Hamilton, NJ, UNITED STATES
Augeri, David J., Princeton, NJ, UNITED STATES
Hamann, Lawrence G., Cherry Hill, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20050090539	A1	20050428
	US 7420079	B2	20080902
APPLICATION INFO.:	US 2003-716012	A1	20031118 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-431814P	20021209 (60) <--

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000, US
NUMBER OF CLAIMS: 31

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EXEMPLARY CLAIM:        1
LINE COUNT:             2603
CAS INDEXING IS AVAILABLE FOR THIS PATENT.


=> D US6395767/PN
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'/Q'.  The saved name for an answer set must end with '/A'.  The saved
name for an L# list must end with '/L'.  SDI request names must end
with '/S'.  To see a list of all saved query, answer set,, and L# list
names for this loginid, enter "DISPLAY SAVED" at an arrow
prompt (=>).  Enter "DISPLAY SAVED/S" to see a list of SDI request
names.  Enter "DISPLAY SAVED/B" to see a list of BATCH search
requests.

=> S US6395767/PN
L24          2 US6395767/PN

=> DUP REMOV L24
PROCESSING COMPLETED FOR L24
L25           2 DUP REMOV L24 (0 DUPLICATES REMOVED)

=> D IBIB L24 1-
YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):Y

L24  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER:        2001:693281  CAPLUS Full-text
DOCUMENT NUMBER:         135:257147
TITLE:                   Preparation of fused cyclopropylpyrrolidine-based
                         inhibitors of dipeptidyl peptidase IV
INVENTOR(S):             Robl, Jeffrey A.; Sulsky, Richard B.; Augeri, David
                         J.; Magnin, David R.; Hamann, Lawrence G.; Betebenner,
                         David A.
PATENT ASSIGNEE(S):      Bristol-Myers Squibb Co., USA
SOURCE:                  PCT Int. Appl., 135 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     ---------------     ----   --------    --------------------   --------
     WO 2001068603        A2    20010920    WO 2001-US7151         20010305
     WO 2001068603        A3    20020214
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 20020019411       A1    20020214    US 2001-788173         20010216
     US 6395767           B2    20020528                                  <--
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Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 194 of 373

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     CA 2402894           A1    20010920    CA 2001-2402894        20010305
     CA 2402894           C     20120417
     AU 2001045466        A     20010924    AU 2001-45466          20010305
     EP 1261586           A2    20021204    EP 2001-918383         20010305
     EP 1261586           B1    20080521
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     JP 2003531118        T     20031021    JP 2001-567699         20010305
     JP 4460205           B2    20100512
     HU 2003002792        A2    20031229    HU 2003-2792           20010305
     HU 2003002792        A3    20070328
     BR 2001009115        A     20031230    BR 2001-9115           20010305
     NZ 520821            A     20041126    NZ 2001-520821         20010305
     AU 2001245466        B2    20050512    AU 2001-245466         20010305
     CN 1213028           C     20050803    CN 2001-806315         20010305
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     CN 1698601           A     20051123    CN 2005-10078518       20010305
     TW 258468            B     20060721    TW 2001-104965         20010305
     RU 2286986           C2    20061110    RU 2002-125491         20010305
     AT 396176            T     20080615    AT 2001-918383         20010305
     PT 1261586           E     20080804    PT 2001-918383         20010305
     ES 2305062           T3    20081101    ES 2001-918383         20010305
     SG 152030            A1    20090529    SG 2004-5783           20010305
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     JP 2010077163        A     20100408    JP 2010-6181           20100114
PRIORITY APPLN. INFO.:                       US 2000-188555P     P  20000310
                                             CN 2001-806315      A3 20010305
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                                             KR 2002-7011806     A3 20020909
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S):         MARPAT 135:257147
OS.CITING REF COUNT:     35    THERE ARE 35 CAPLUS RECORDS THAT CITE THIS
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REFERENCE COUNT:          11    THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24  ANSWER 2 OF 2  USPATFULL on STN
ACCESSION NUMBER:       2002:32589  USPATFULL Full-text
TITLE:                  Cyclopropyl-fused pyrrolidine-based inhibitors of
                        dipeptidyl peptidase IV and method
INVENTOR(S):            Robl, Jeffrey A., Newtown, PA, UNITED STATES
                        Sulsky, Richard B., West Trenton, NJ, UNITED STATES
                        Augeri, David J., Princeton, NJ, UNITED STATES
                        Magnin, David R., Hamilton, NJ, UNITED STATES
                        Hamann, Lawrence G., Cherry Hill, NJ, UNITED STATES
                        Betebenner, David A., Lawrenceville, NJ, UNITED STATES

                             NUMBER       KIND    DATE
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PATENT INFORMATION:     US 20020019411     A1   20020214
                        US 6395767         B2   20020528            <--
APPLICATION INFO.:      US 2001-788173     A1   20010216  (9)

                               NUMBER             DATE
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PRIORITY INFORMATION:   US 2000-188555P         20000310 (60)
DOCUMENT TYPE:          Utility
FILE SEGMENT:           APPLICATION
LEGAL REPRESENTATIVE:   MARLA J MATHIAS, BRISTOL-MYERS SQUIBB COMPANY, PATENT
                        DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
NUMBER OF CLAIMS:       24
EXEMPLARY CLAIM:        1
LINE COUNT:             2767
CAS INDEXING IS AVAILABLE FOR THIS PATENT.


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L4             2 S L3 FAM SAM

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YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):Y

L37  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER:        2001:693281  CAPLUS Full-text
DOCUMENT NUMBER:         135:257147
TITLE:                   Preparation of fused cyclopropylpyrrolidine-based
                         inhibitors of dipeptidyl peptidase IV
INVENTOR(S):             Robl, Jeffrey A.; Sulsky, Richard B.; Augeri, David
                         J.; Magnin, David R.; Hamann, Lawrence G.; Betebenner,
                         David A.
PATENT ASSIGNEE(S):      Bristol-Myers Squibb Co., USA
SOURCE:                  PCT Int. Appl., 135 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

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             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
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EP 2272825	A2	20110112	EP 2010-178907	20010305	<--
EP 2272825	A3	20110504			
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR					
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PRIORITY APPLN. INFO.:	US 2000-188555P	P	20000310 <--
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	EP 2005-5368	A3	20010305
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	JP 2001-567699	A3	20010305
	WO 2001-US7151	W	20010305
	IN 2002-MN1154	A3	20020823
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 135:257147
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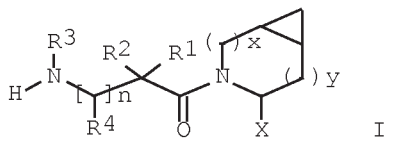

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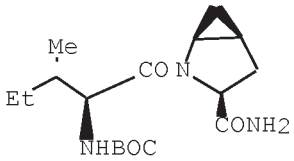

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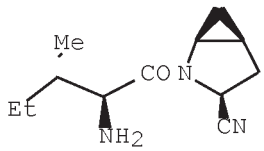

III

AB Dipeptidyl peptidase IV inhibiting compds. I (x = 0 or 1 and y = 0 or 1 provided that x = 1 when y = 0 and x = 0 when y = 1; n = 0, 1; X = H, CN; R1, R2, R3 and R4 = same or different and independently selected from H, (un)substituted chain or cyclic components) and the pharmaceutically acceptable salts or prodrugs (no data) were prepared Thus L-pyroglutamic acid Et ester was protected, cyclopropanated and reacted further with (S)-N-BOC-isoleucine providing an

intermediate II which reacted further to yield the fused cyclopropylpyrrolidine III in 57% yield. A method is also provided for treating diabetes and related diseases, especially Type II diabetes, and other diseases by employing a title DP 4 inhibitor or a combination of DP 4 inhibitor and one or more of another antidiabetic agent such as metformin, glyburide, troglitazone, pioglitazone, rosiglitazone and/or insulin and/or one or more of a hypolipidemic agent and/or anti-obesity agent and/or other therapeutic agent.

OS.CITING REF COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS RECORD (60 CITINGS)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER: 2002:32589 USPATFULL Full-text

TITLE: Cyclopropyl-fused pyrrolidine-based inhibitors of dipeptidyl peptidase IV and method

INVENTOR(S): Robl, Jeffrey A., Newtown, PA, UNITED STATES
Sulsky, Richard B., West Trenton, NJ, UNITED STATES
Augeri, David J., Princeton, NJ, UNITED STATES
Magnin, David R., Hamilton, NJ, UNITED STATES
Hamann, Lawrence G., Cherry Hill, NJ, UNITED STATES
Betebenner, David A., Lawrenceville, NJ, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20020019411	A1	20020214
	US 6395767	B2	20020528
APPLICATION INFO.:	US 2001-788173	A1	20010216 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-188555P	20000310 (60) <--

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MARLA J MATHIAS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
NUMBER OF CLAIMS: 24
EXEMPLARY CLAIM: 1
LINE COUNT: 2767
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Dipeptidyl peptidase IV (DP 4) inhibiting compounds are provided having the formula ##STR1##

where x is 0 or 1 and y is 0 or 1 (provided that x=1 when y=0 and x=0 when y=1);

n is 0 or 1; X is H or CN;

and wherein R.sup.1, R.sup.2, R.sup.3 and R.sup.4 are as described herein.

A method is also provided for treating diabetes and related diseases, especially Type II diabetes, and other diseases as set out herein, employing such DP 4 inhibitor or a combination of such DP 4 inhibitor and one or more of another

antidiabetic agent such as metformin, glyburide, troglitazone, pioglitazone, rosiglitazone and/or insulin and/or one or more of a hypolipidemic agent and/or anti-obesity agent and/or other therapeutic agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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L41  ANSWER 1 OF 2  USPATFULL on STN
ACCESSION NUMBER:       2002:32589  USPATFULL Full-text
TITLE:                  Cyclopropyl-fused pyrrolidine-based inhibitors of
                        dipeptidyl peptidase IV and method
INVENTOR(S):            Robl, Jeffrey A., Newtown, PA, UNITED STATES
                        Sulsky, Richard B., West Trenton, NJ, UNITED STATES
                        Augeri, David J., Princeton, NJ, UNITED STATES
                        Magnin, David R., Hamilton, NJ, UNITED STATES
                        Hamann, Lawrence G., Cherry Hill, NJ, UNITED STATES
                        Betebenner, David A., Lawrenceville, NJ, UNITED STATES

                             NUMBER       KIND    DATE
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PATENT INFORMATION:     US 20020019411     A1   20020214
                        US 6395767         B2   20020528
APPLICATION INFO.:      US 2001-788173     A1   20010216  (9)

                               NUMBER             DATE
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PRIORITY INFORMATION:   US 2000-188555P          20000310 (60)       <--
DOCUMENT TYPE:          Utility
FILE SEGMENT:           APPLICATION
LEGAL REPRESENTATIVE:   MARLA J MATHIAS, BRISTOL-MYERS SQUIBB COMPANY, PATENT
                        DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
NUMBER OF CLAIMS:       24
EXEMPLARY CLAIM:        1
LINE COUNT:             2767
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB      Dipeptidyl peptidase IV (DP 4) inhibiting compounds are provided having the
        formula   ##STR1##
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where x is 0 or 1 and y is 0 or 1 (provided that x=1 when y=0 and x=0 when y=1);

n is 0 or 1; X is H or CN;

and wherein R.sup.1, R.sup.2, R.sup.3 and R.sup.4 are as described herein.

A method is also provided for treating diabetes and related diseases, especially Type II diabetes, and other diseases as set out herein, employing such DP 4 inhibitor or a combination of such DP 4 inhibitor and one or more of another antidiabetic agent such as metformin, glyburide, troglitazone, pioglitazone, rosiglitazone and/or insulin and/or one or more of a hypolipidemic agent and/or anti-obesity agent and/or other therapeutic agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 361442-05-9P
(preparation of fused cyclopropylpyrrolidine-based inhibitors of dipeptidyl peptidase IV)
RN 361442-05-9 USPATFULL
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile,
2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-,
(1S,3S,5S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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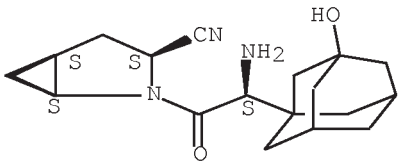
CMF C18 H25 N3 O2

Absolute stereochemistry.



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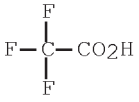

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=> S  L37 AND "361442-04-8"
L43          0 L37 AND "361442-04-8"

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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STRUCTURE FILE UPDATES:   29 APR 2012  HIGHEST RN 1371145-50-4
DICTIONARY FILE UPDATES:  29 APR 2012  HIGHEST RN 1371145-50-4

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

TSCA INFORMATION NOW CURRENT THROUGH DECEMBER 23, 2011

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

*** YOU HAVE NEW MAIL ***

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L44          1 361442-04-8/RN

=> D L44

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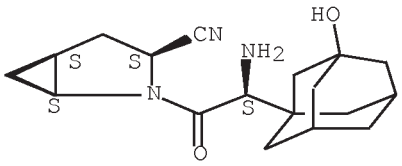
(*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

313 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
330 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL CAPLUS USPATFUL

FILE 'CAPLUS' ENTERED AT 16:40:05 ON 30 APR 2012
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE 'USPATFULL' ENTERED AT 16:40:05 ON 30 APR 2012
CA INDEXING COPYRIGHT (C) 2012 AMERICAN CHEMICAL SOCIETY (ACS)

=> S L44
L45 480 L44

=> S L32 AND PRD<20000311
L46 35 L32 AND PRD<20000311

=> S L32 AND PRD<20000310
L47 33 L32 AND PRD<20000310

=> DUP REMOV L47

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PROCESSING COMPLETED FOR L47
L48          31 DUP REMOV L47 (2 DUPLICATES REMOVED)

=> D IBIB ABS HITSTR

L48  ANSWER 1 OF 31  CAPLUS  COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER:         2008:764140  CAPLUS Full-text
DOCUMENT NUMBER:          149:96035
TITLE:                    Method for treating diabetes employing an aP2
                          inhibitor and combination
INVENTOR(S):              Robl, Jaffrey A.; Parker, Rex A.; Biller, Scott A.;
                          Jamil, Haris; Jacobson, Bruce L.; Kodukula, Krishna
PATENT ASSIGNEE(S):       Bristol-Myers Squibb Company, USA; Pesident and
                          Fellows of Harvard College
SOURCE:                   U.S., 19pp.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     US 7390824            B1    20080624    US 1999-391053          19990907 <--
PRIORITY APPLN. INFO.:                       US 1999-391053          19990907 <--
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S):          MARPAT 149:96035
AB    A method is provided for treating diabetes and related diseases, esp. Type II
      diabetes, employing an aP2 inhibitor or a combination of an aP2 inhibitor and
      another antidiabetic agent such as metformin, glyburide, troglitazone and/or
      insulin.
REFERENCE COUNT:          27    THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> D HIST

     (FILE 'HOME' ENTERED AT 15:43:05 ON 30 APR 2012)

     FILE 'REGISTRY' ENTERED AT 15:43:22 ON 30 APR 2012
L1             1 S SAXAGLIPTIN/CN
L2             5 S SAXAGLIPTIN

     FILE 'REGISTRY' ENTERED AT 15:46:17 ON 30 APR 2012
L3               STR 361442-04-8
L4             2 S L3 FAM SAM

     FILE 'REGISTRY' ENTERED AT 15:46:33 ON 30 APR 2012
L5            48 S 361442-04-8/CRN

     FILE 'CAPLUS, USPATFULL' ENTERED AT 15:50:20 ON 30 APR 2012
L6           480 S L1

     FILE 'REGISTRY' ENTERED AT 15:50:53 ON 30 APR 2012
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L7           SEL L1 1- CHEM :       6 TERMS
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Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 206 of 373

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                SET SMARTSELECT OFF

     FILE 'CAPLUS, USPATFULL' ENTERED AT 15:50:53 ON 30 APR 2012
L8          1242 S L7
L9           454 DUP REMOVE L6 (26 DUPLICATES REMOVED)
L10         1218 DUP REMOVE L8 (24 DUPLICATES REMOVED)
L11         1228 S L9 OR L10
L12            0 S L11 AND PD<20000309
L13            0 S L11 AND AD<20000309
L14            0 S L11 AND AD<20000312
L15            0 S L11 AND AD<20010312
L16            0 S L11 AND AD<20020312
L17            0 S L11 AND AY<2002
L18         1071 S L11 AND AY>2002
L19         1071 S L11 AND AY>2000
L20            1 S L11 AND PRD<20020312
L21            0 S L11 AND ROBL/IN
L22            3 S L11 AND (ROBL JEFFREY A/IN)
L23            5 S L11 AND PRD<20030101
L24            2 S US6395767/PN
L25            2 DUP REMOV L24 (0 DUPLICATES REMOVED)
L26            0 S L11 AND L25
L27          472 S  361442-04-8/RN
L28           26 S L27 NOT L11
L29            0 S L28 AND (ROBL JEFFREY A/IN)
L30            0 S L28 AND US6395767/PN
L31            0 S L24 AND L27
L32          118 S (ROBL JEFFREY A/IN)
L33           56 S L32 AND PRD<20030101
L34           56 S L32 AND PRD<20020101
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L38            0 S  L37 AND 361442-04-8/RN
L39            0 S  L37 AND 361442-04-8
L40            2 S  L37 AND L5
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L42            0 S  L37 AND 361442-04-8/CRN
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     FILE 'REGISTRY' ENTERED AT 16:39:15 ON 30 APR 2012
L44            1 S 361442-04-8/RN

     FILE 'CAPLUS, USPATFULL' ENTERED AT 16:40:05 ON 30 APR 2012
L45          480 S L44
L46           35 S L32 AND PRD<20000311
L47           33 S L32 AND PRD<20000310
L48           31 DUP REMOV L47 (2 DUPLICATES REMOVED)

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=> S L45 AND PRD<20000311
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=> S L44 AND PRD<20000311
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=> S L44 AND PRD=<20000310
L53          0 L44 AND PRD=<20000310

=> S L45 AND(ROBL JEFFREY A/IN)
L54          0 L45 AND(ROBL JEFFREY A/IN)

=> D HIST

     (FILE 'HOME' ENTERED AT 15:43:05 ON 30 APR 2012)

     FILE 'REGISTRY' ENTERED AT 15:43:22 ON 30 APR 2012
L1           1 S SAXAGLIPTIN/CN
L2           5 S SAXAGLIPTIN

     FILE 'REGISTRY' ENTERED AT 15:46:17 ON 30 APR 2012
L3             STR 361442-04-8
L4           2 S L3 FAM SAM

     FILE 'REGISTRY' ENTERED AT 15:46:33 ON 30 APR 2012
L5          48 S 361442-04-8/CRN

     FILE 'CAPLUS, USPATFULL' ENTERED AT 15:50:20 ON 30 APR 2012
L6         480 S L1

     FILE 'REGISTRY' ENTERED AT 15:50:53 ON 30 APR 2012
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     FILE 'CAPLUS, USPATFULL' ENTERED AT 15:50:53 ON 30 APR 2012
L8         1242 S L7
L9          454 DUP REMOVE L6 (26 DUPLICATES REMOVED)
L10        1218 DUP REMOVE L8 (24 DUPLICATES REMOVED)
L11        1228 S L9 OR L10
L12           0 S L11 AND PD<20000309
L13           0 S L11 AND AD<20000309
L14           0 S L11 AND AD<20000312
L15           0 S L11 AND AD<20010312
L16           0 S L11 AND AD<20020312
L17           0 S L11 AND AY<2002
L18        1071 S L11 AND AY>2002
L19        1071 S L11 AND AY>2000
L20           1 S L11 AND PRD<20020312
L21           0 S L11 AND ROBL/IN
L22           3 S L11 AND (ROBL JEFFREY A/IN)
L23           5 S L11 AND PRD<20030101
L24           2 S US6395767/PN
L25           2 DUP REMOV L24 (0 DUPLICATES REMOVED)
L26           0 S L11 AND L25
L27         472 S  361442-04-8/RN
L28          26 S L27 NOT L11
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Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 208 of 373

0467

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L29           0 S L28 AND (ROBL JEFFREY A/IN)
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L31           0 S L24 AND L27
L32         118 S (ROBL JEFFREY A/IN)
L33          56 S L32 AND PRD<20030101
L34          56 S L32 AND PRD<20020101
L35          48 S L32 AND PRD<20010101
L36          35 S L32 AND PRD<20000311
L37           2 S L32 AND PRD=20000310
L38           0 S  L37 AND 361442-04-8/RN
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L41           2 DUP REMOV L40 (0 DUPLICATES REMOVED)
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     FILE 'CAPLUS, USPATFULL' ENTERED AT 16:40:05 ON 30 APR 2012
L45         480 S L44
L46          35 S L32 AND PRD<20000311
L47          33 S L32 AND PRD<20000310
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L50           0 S L45 AND PRD<20000311
L51           0 S L44 AND PRD<20000311
L52           0 S L44 AND PRD<20010311
L53           0 S L44 AND PRD=<20000310
L54           0 S L45 AND(ROBL JEFFREY A/IN)

=> F REG
L55      30092 REG

=> FIL REG

FILE 'REGISTRY' ENTERED AT 16:46:53 ON 30 APR 2012
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provided by InfoChem.

STRUCTURE FILE UPDATES:   29 APR 2012  HIGHEST RN 1371145-50-4
DICTIONARY FILE UPDATES:  29 APR 2012  HIGHEST RN 1371145-50-4

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http://www.cas.org/legal/infopolicy.html

TSCA INFORMATION NOW CURRENT THROUGH DECEMBER 23, 2011

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

*** YOU HAVE NEW MAIL ***

=> S 361442-05-9/RN
L56 1 361442-05-9/RN

=> FIL CAPLUS

FILE 'CAPLUS' ENTERED AT 16:47:11 ON 30 APR 2012
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 30 Apr 2012 VOL 156 ISS 19
FILE LAST UPDATED: 29 Apr 2012 (20120429/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2011

CAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2011.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L56
L57 4 L56

=> D IBIB ABS HITSTR 1-
YOU HAVE REQUESTED DATA FROM 4 ANSWERS - CONTINUE? Y/(N):Y

L57 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER: 2012:439526 CAPLUS Full-text
DOCUMENT NUMBER: 156:432112
TITLE: Oral preparation of saxagliptin
INVENTOR(S): Lin, Fei

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 210 of 373

PATENT ASSIGNEE(S): Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing, 18pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 102379869	A	20120321	CN 2010-10267175	20100831
PRIORITY APPLN. INFO.:			CN 2010-10267175	20100831

AB Application(for treating diabetes or the related diseases) of the invention should be covered in the abstract The title preparation contains saxagliptin 1-40 mg and the carrier. The title preparation contains saxagliptin hydrochloride 0.1-50% and the carrier 50-99.9%. The preparation method of dispersible tablet consists of pulverizing the saxagliptin hydrochloride, pulverizing the carrier, adding the loading agent, disintegrant, surfactant, flavoring, aromatic substance and colorant, mixing, adding the powder of saxagliptin hydrochloride, mixing, preparing the 2-15% bond solution with bond and water or ethanol-water, adding the bond to make the damp mass, pelletizing, parching, adding the glidant, lubricant and disintegrant, mixing, tabletting.

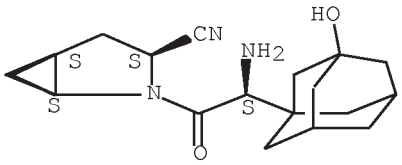
IT 361442-05-9
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral preparation of saxagliptin)

RN 361442-05-9 CAPLUS
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile, 2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

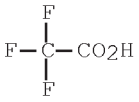
CRN 361442-04-8
CMF C18 H25 N3 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L57 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER: 2008:1300536 CAPLUS Full-text
DOCUMENT NUMBER: 149:519052
TITLE: Preparation of crystal forms of saxagliptin
INVENTOR(S): Gougoutas, Jack Z.; Malley, Mary F.; DiMarco, John D.; Yin, Xiaotian S.; Wei, Chenkou; Yu, Jurong; Vu, Truc Chi; Jones, Gregory Scott; Savage, Scott A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 134pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008131149	A2	20081030	WO 2008-US60711	20080418
WO 2008131149	A3	20090625		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090054303	A1	20090226	US 2008-105316	20080418
US 7943656	B2	20110517		
AR 66130	A1	20090722	AR 2008-101632	20080418
EP 2137149	A2	20091230	EP 2008-746183	20080418
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, MK, RS				
JP 2010524966	T	20100722	JP 2010-504258	20080418
IN 2009DN06560	A	20100611	IN 2009-DN6560	20091014
CN 101687793	A	20100331	CN 2008-80021025	20091221
US 20110257085	A1	20111020	US 2011-81341	20110406

PRIORITY APPLN. INFO.:	US 2007-912950P	P	20070420
	US 2008-105316	A3	20080418
	WO 2008-US60711	W	20080418

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB Phys. crystal structures of saxagliptin are provided including the free base monohydrate thereof (form H-1) and the hydrochloride thereof, including

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 212 of 373

hydrochlorde containing 0.75 equiv of H2O (form H0.75-3) and hydrochloride containing 2 equivs of H2O (form H2-1), and hydrochlorde Pattern P-5, preferably in substantially pure form, and other forms as described herein, pharmaceutical compns. containing these compds. processes for preparing the same, and methods of treating diseases such as diabetes.

IT 361442-05-9
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(preparation of crystal forms of saxagliptin)

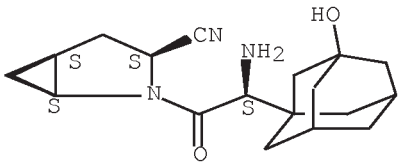
RN 361442-05-9 CAPLUS

CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile, 2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 361442-04-8
CMF C18 H25 N3 O2

Absolute stereochemistry.



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CRN 76-05-1
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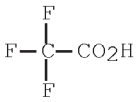


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L57 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER: 2005:543673 CAPLUS Full-text
DOCUMENT NUMBER: 143:221803
TITLE: Discovery and Preclinical Profile of Saxagliptin (BMS-477118): A Highly Potent, Long-Acting, Orally Active Dipeptidyl Peptidase IV Inhibitor for the Treatment of Type 2 Diabetes
AUTHOR(S): Augeri, David J.; Robl, Jeffrey A.; Betebenner, David A.; Magnin, David R.; Khanna, Ashish; Robertson, James G.; Wang, Aiying; Simpkins, Ligaya M.; Taunk, Prakash;

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 213 of 373

Huang, Qi; Han, Song-Ping; Abboa-Offei, Benoni; Cap, Michael; Xin, Li; Tao, Li; Tozzo, Effie; Welzel, Gustav E.; Egan, Donald M.; Marcinkeviciene, Jovita; Chang, Shu Y.; Biller, Scott A.; Kirby, Mark S.; Parker, Rex A.; Hamann, Lawrence G.
CORPORATE SOURCE: Department of Discovery Chemistry, Bristol-Myers Squibb, Princeton, NJ, 08543-5400, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(15), 5025-5037
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:221803
AB Efforts to further elucidate structure-activity relationships (SAR) within the authors previously disclosed series of β-quaternary amino acid linked L-cis-4,5-methanoprolinenitrile dipeptidyl peptidase IV (DPP-IV) inhibitors led to the investigation of vinyl substitution at the β-position of α-cycloalkyl-substituted glycines. Despite poor systemic exposure, vinyl-substituted compds. showed extended duration of action in acute rat ex vivo plasma DPP-IV inhibition models. Oxygenated putative metabolites were prepared and were shown to exhibit the potency and extended duration of action of their precursors in efficacy models measuring glucose clearance in Zuckerfa/fa rats. Extension of this approach to adamantylglycine-derived inhibitors led to the discovery of highly potent inhibitors, including hydroxyadamantyl compound BMS-477118 (saxagliptin), a highly efficacious, stable, and long-acting DPP-IV inhibitor, which is currently undergoing clin. trials for treatment of type 2 diabetes.

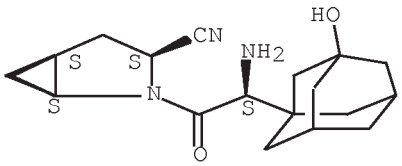
IT 361442-05-9P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(discovery and preclin. profile of saxagliptin (BMS-477118) as highly potent and long-acting and orally active dipeptidyl peptidase IV inhibitor for treatment of type 2 diabetes)

RN 361442-05-9 CAPLUS
CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile, 2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 361442-04-8
CMF C18 H25 N3 O2

Absolute stereochemistry.



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CRN 76-05-1
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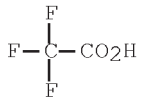

OS.CITING REF COUNT: 205 THERE ARE 205 CAPLUS RECORDS THAT CITE THIS RECORD (206 CITINGS)
REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2012 ACS on STN
ACCESSION NUMBER: 2001:693281 CAPLUS Full-text
DOCUMENT NUMBER: 135:257147
TITLE: Preparation of fused cyclopropylpyrrolidine-based inhibitors of dipeptidyl peptidase IV
INVENTOR(S): Robl, Jeffrey A.; Sulsky, Richard B.; Augeri, David J.; Magnin, David R.; Hamann, Lawrence G.; Betebenner, David A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068603	A2	20010920	WO 2001-US7151	20010305
WO 2001068603	A3	20020214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20020019411	A1	20020214	US 2001-788173	20010216
US 6395767	B2	20020528		
CA 2402894	A1	20010920	CA 2001-2402894	20010305
CA 2402894	C	20120417		
AU 2001045466	A	20010924	AU 2001-45466	20010305
EP 1261586	A2	20021204	EP 2001-918383	20010305
EP 1261586	B1	20080521		
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Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 215 of 373

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003531118	T	20031021	JP 2001-567699	20010305
JP 4460205	B2	20100512		
HU 2003002792	A2	20031229	HU 2003-2792	20010305
HU 2003002792	A3	20070328		
BR 2001009115	A	20031230	BR 2001-9115	20010305
NZ 520821	A	20041126	NZ 2001-520821	20010305
AU 2001245466	B2	20050512	AU 2001-245466	20010305
CN 1213028	C	20050803	CN 2001-806315	20010305
EP 1559710	A2	20050803	EP 2005-5368	20010305
EP 1559710	A3	20090722		

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CN 1698601	A	20051123	CN 2005-10078518	20010305
TW 258468	B	20060721	TW 2001-104965	20010305
RU 2286986	C2	20061110	RU 2002-125491	20010305
AT 396176	T	20080615	AT 2001-918383	20010305
PT 1261586	E	20080804	PT 2001-918383	20010305
ES 2305062	T3	20081101	ES 2001-918383	20010305
SG 152030	A1	20090529	SG 2004-5783	20010305
IL 151372	A	20091224	IL 2001-151372	20010305
IL 177018	A	20100328	IL 2001-177018	20010305
PL 207041	B1	20101029	PL 2001-365520	20010305
EP 2272825	A2	20110112	EP 2010-178907	20010305
EP 2272825	A3	20110504		

R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR

IN 2002MN01154	A	20050304	IN 2002-MN1154	20020823
ZA 2002006816	A	20031126	ZA 2002-6816	20020826
NO 2002004295	A	20021106	NO 2002-4295	20020909
NO 324227	B1	20070910		
KR 754089	B1	20070831	KR 2002-7011806	20020909
MX 2002008837	A	20030425	MX 2002-8837	20020910
HK 1049330	A1	20081114	HK 2003-101079	20030214
KR 758407	B1	20070914	KR 2006-7004515	20060303
IN 2007MN00184	A	20080215	IN 2007-MN184	20070205
JP 2010077163	A	20100408	JP 2010-6181	20100114

PRIORITY APPLN. INFO.:	US 2000-188555P	P	20000310
	CN 2001-806315	A3	20010305
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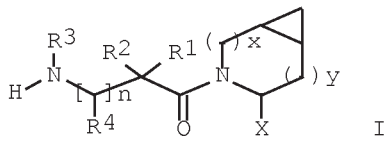
	EP 2005-5368	A3	20010305
	IL 2001-151372	A3	20010305
	JP 2001-567699	A3	20010305
	WO 2001-US7151	W	20010305
	IN 2002-MN1154	A3	20020823
	KR 2002-7011806	A3	20020909

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 135:257147
GI



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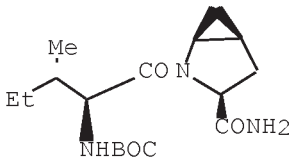

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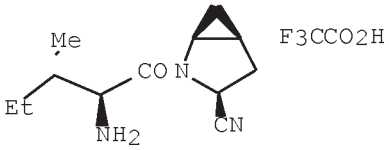


III

AB Dipeptidyl peptidase IV inhibiting compds. I (x = 0 or 1 and y = 0 or 1 provided that x = 1 when y = 0 and x = 0 when y = 1; n = 0, 1; X = H, CN; R1, R2, R3 and R4 = same or different and independently selected from H, (un)substituted chain or cyclic components) and the pharmaceutically acceptable salts or prodrugs (no data) were prepared Thus L-pyroglutamic acid Et ester was protected, cyclopropanated and reacted further with (S)-N-BOC-isoleucine providing an intermediate II which reacted further to yield the fused cyclopropylpyrrolidine III in 57% yield. A method is also provided for treating diabetes and related diseases, especially Type II diabetes, and other diseases by employing a title DP 4 inhibitor or a combination of DP 4 inhibitor and one or more of another antidiabetic agent such as metformin, glyburide, troglitazone, pioglitazone, rosiglitazone and/or insulin and/or one or more of a hypolipidemic agent and/or anti-obesity agent and/or other therapeutic agent.

IT 361442-05-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused cyclopropylpyrrolidine-based inhibitors of dipeptidyl peptidase IV)

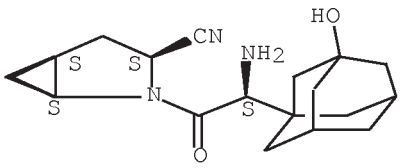
RN 361442-05-9 CAPLUS

CN 2-Azabicyclo[3.1.0]hexane-3-carbonitrile, 2-[(2S)-2-amino-2-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)acetyl]-, (1S,3S,5S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 361442-04-8
CMF C18 H25 N3 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
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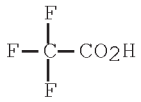
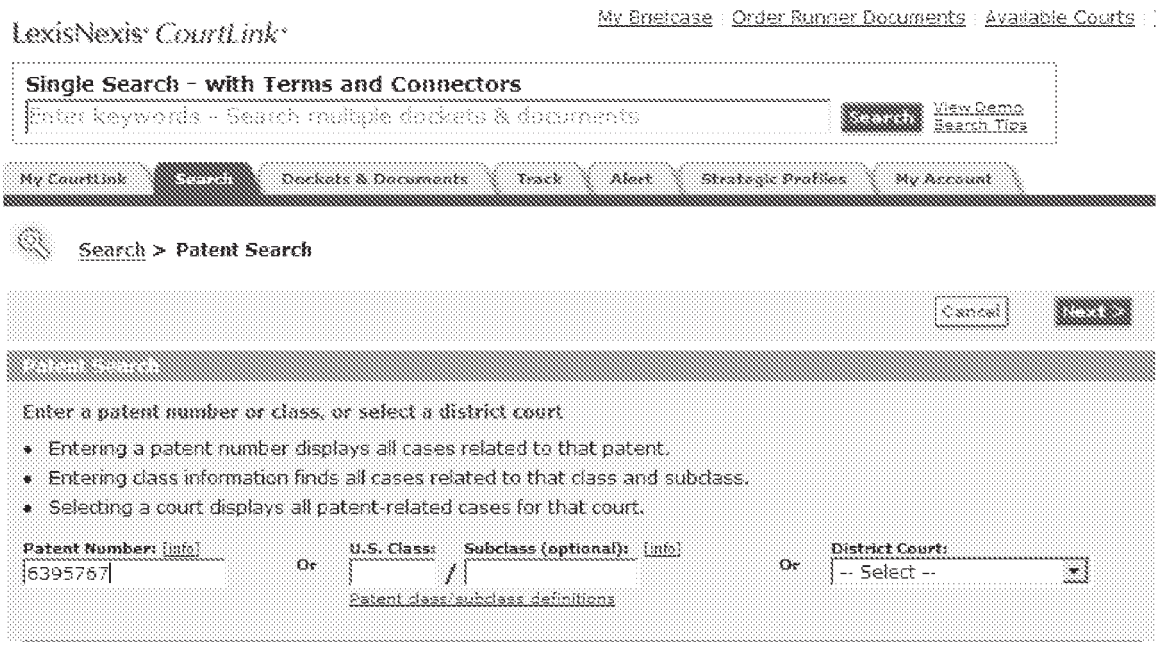


OS.CITING REF COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS RECORD (60 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> LOGOFF HOLD

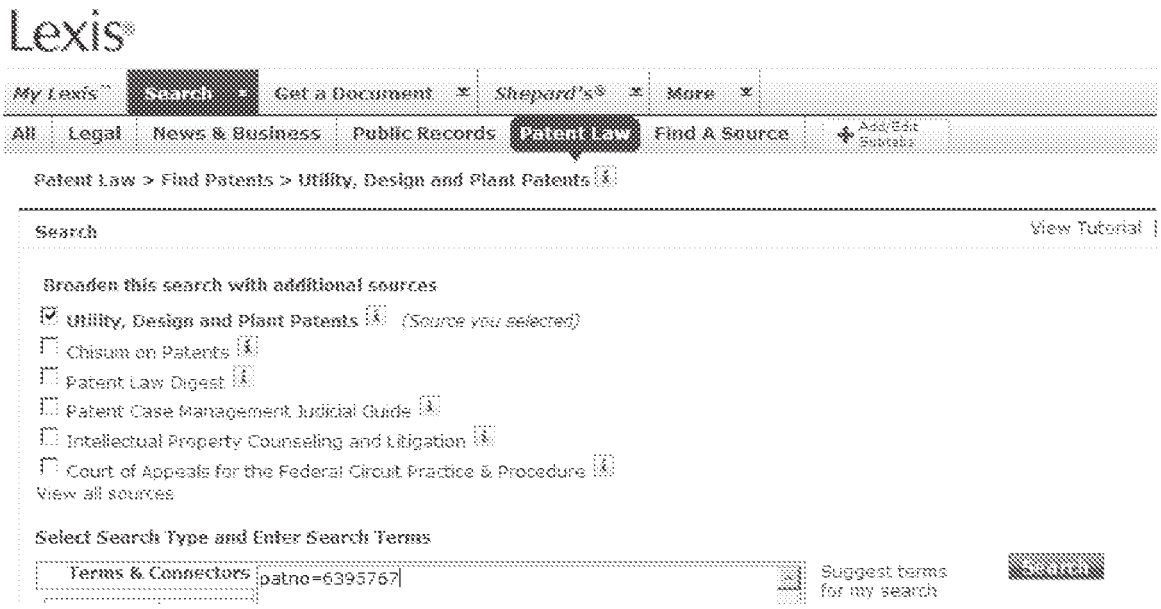
SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 16:48:32 ON 30 APR 2012

CourtLink, Lexis/Nexis and Dialog Litigation search for USP 6,395,767. Case 13/308,658.

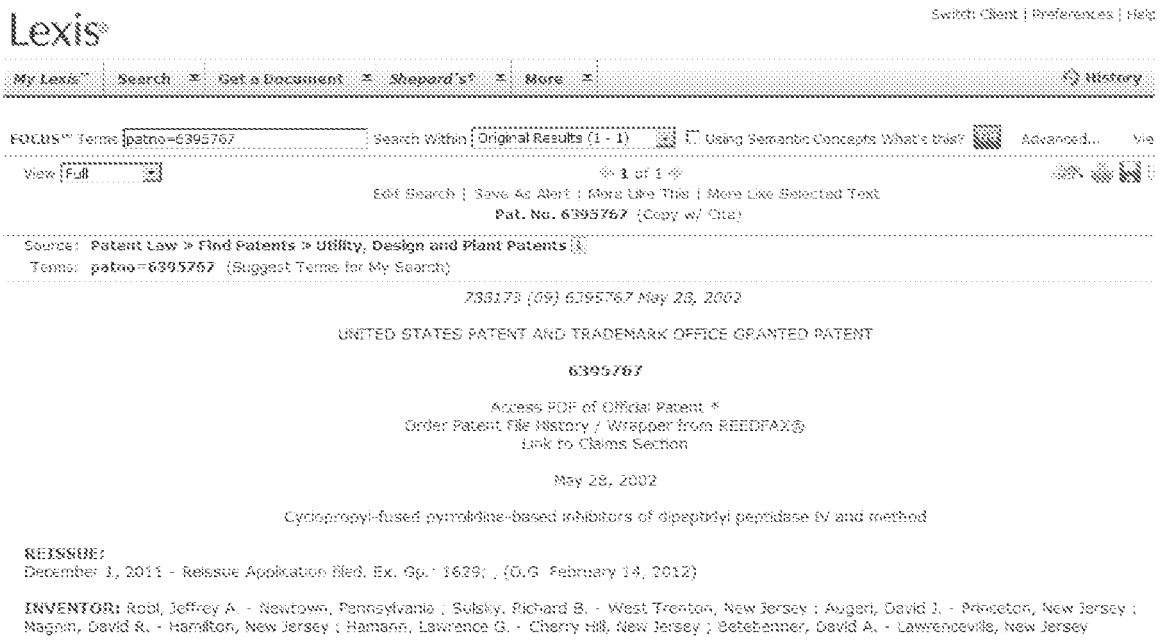


CourtLink search for USP 6,395,767.

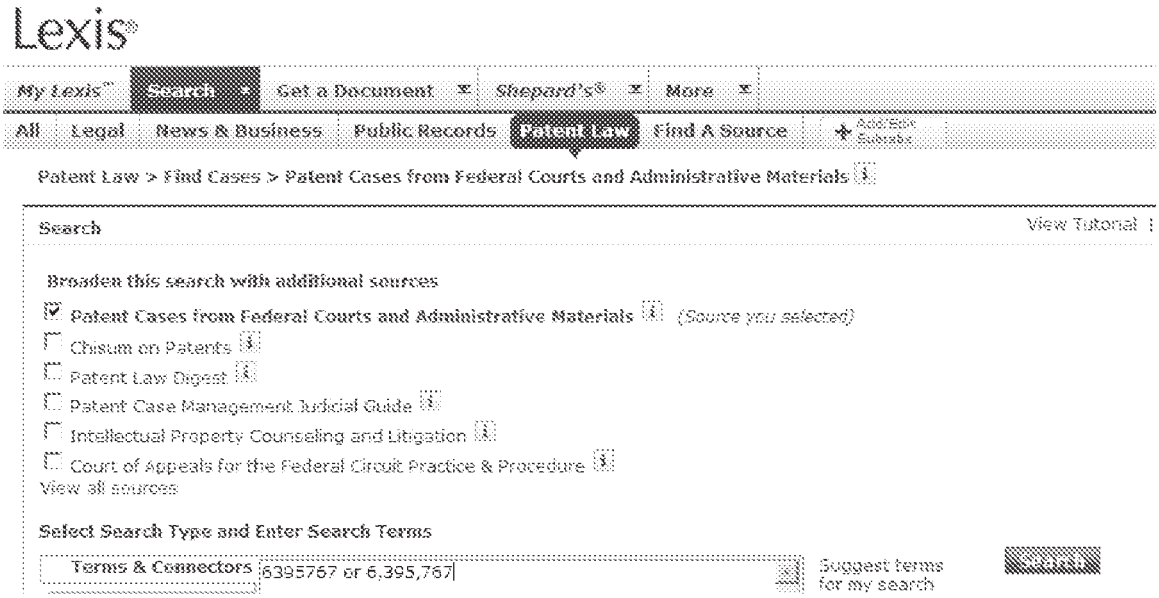
No Cases found in CourtLink Search.



Searched the Utility, Design and Plant Patents database.



Lexis lists litigation at the top of its patents: No litigation listed.



Searched the Patent Cases from Federal Courts and Administrative Materials Database.

No Documents Found

No documents were found for your search terms
"6395767 or 6,395,767"

Click "Save this search as an Alert" to schedule your search to run in the future.

- OR -
Click "Search Using Natural Language" to run your search as Natural Language search.

- OR -

Click "Edit Search" to return to the search form and modify your search.

Suggestions:

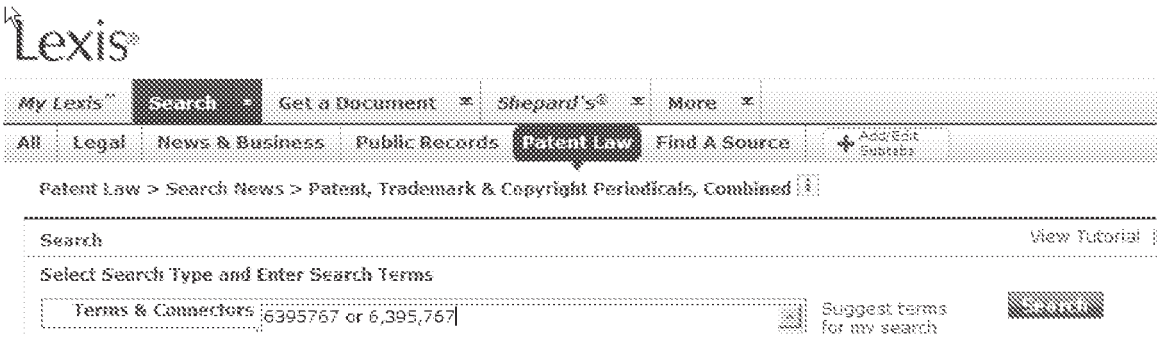
- Check for spelling errors.
- Remove some search terms.
- Use more common search terms, such as those listed in "Suggested Words and Concepts."
- Use a less restrictive date range.
- Use "OR" in between terms to search for one term or the other.

Save this Search as an Alert | **Search Using Natural Language** | **Edit Search**

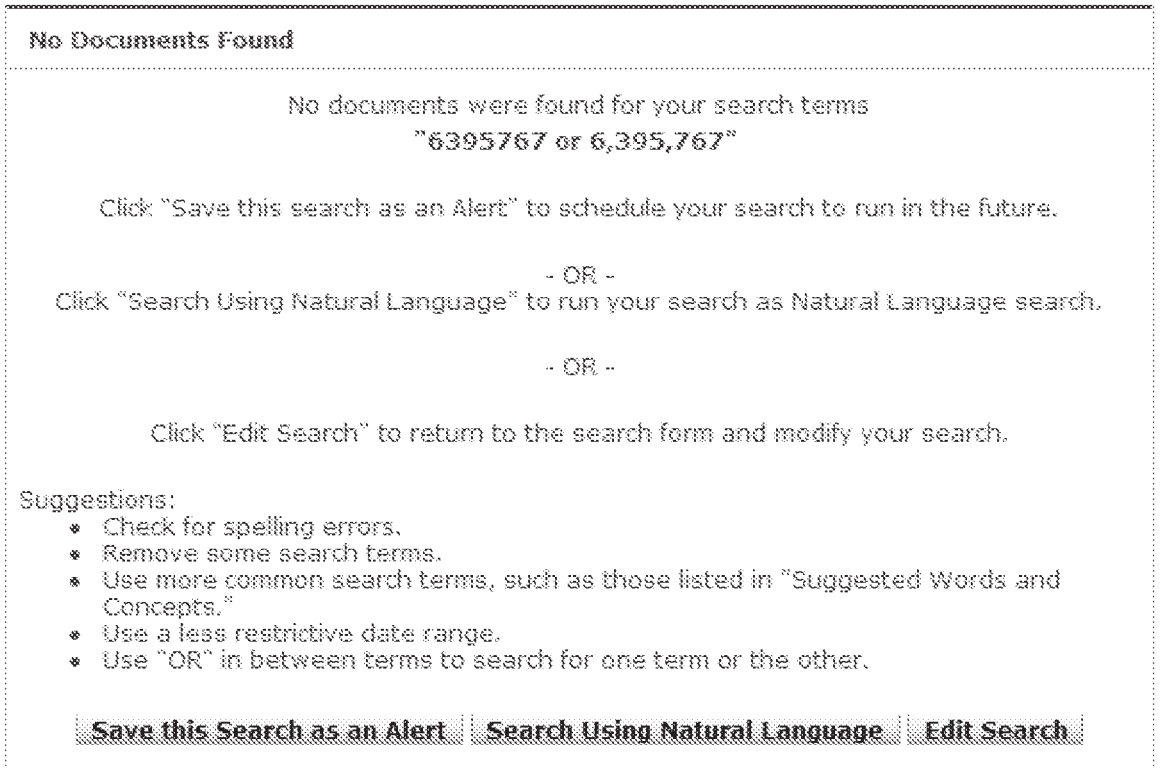
No documents found.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 221 of 373

0480



Searched the Patent, Trademark & Copyright Periodicals, Combined database.



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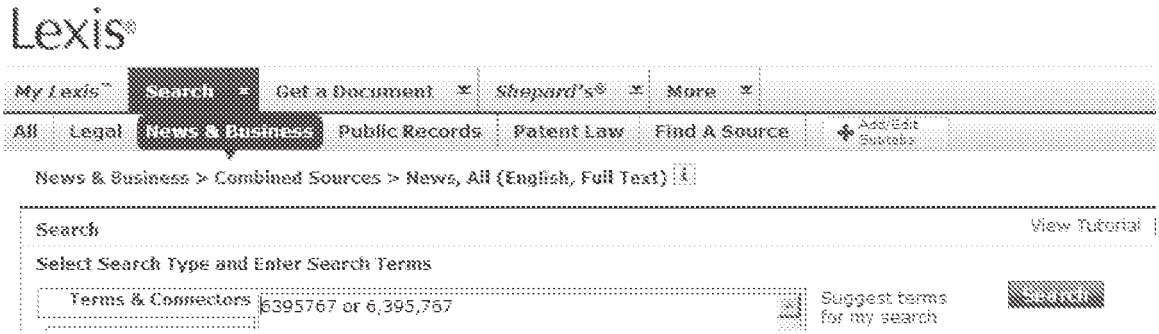
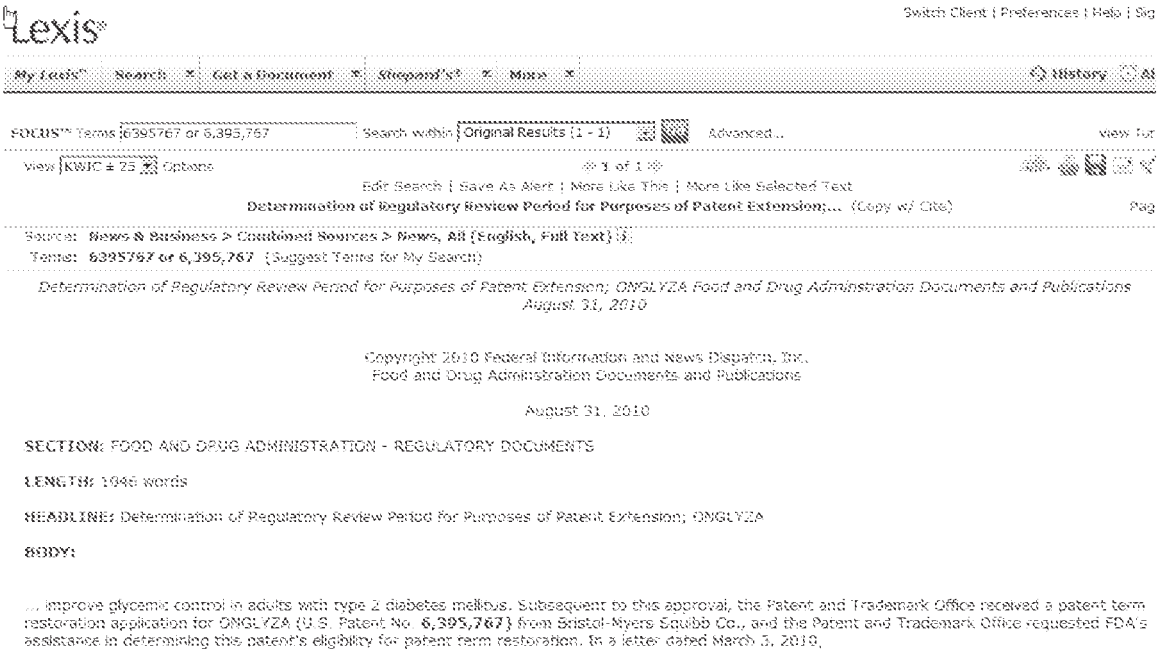
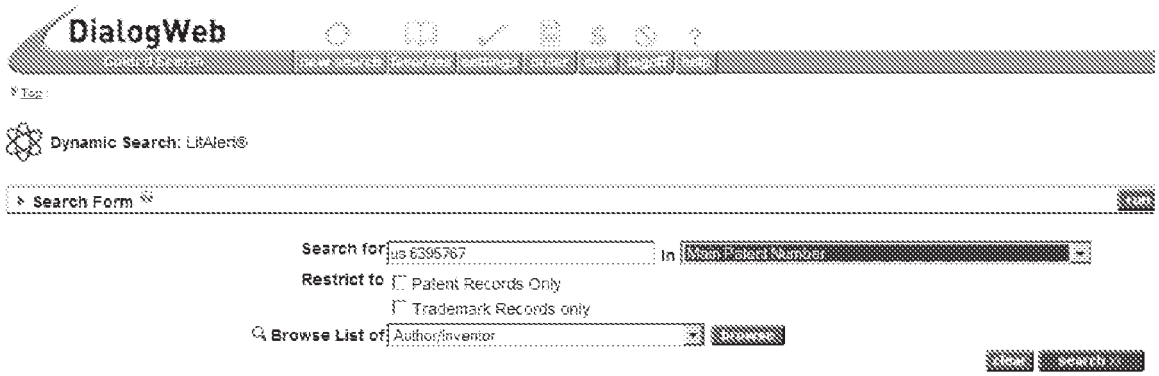


Searched Lexis/Nexis News, All (English, Full Text) database.



One article found. No litigation is mentioned.



Searched Dialog for Litigation information.

No Records Found : LitAlert®

There were no records matching your search. Please modify your search and try again.

Tips:

- Don't over-specify: use only the search options you really need.
- Exclude "implied concepts": leave out words like *research* or *effects*.
- Check the format of your entry: some search options require specific spacing or punctuation.
- Use the Browse feature when available to find variations for your terms.
- Use more wildcards to search different word endings.
- Check that you are using parentheses correctly when you combine words with AND, OR, NOT.
- Check for misspelled words.

refine search

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 225 of 373

UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
Address: COMMISSIONER FOR PATENTS
P.O. Box 1450
Alexandria, Virginia 22313-1450
www.uspto.gov

APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
13/308,658	12/01/2011	Jeffrey A. Robl	BMS-2856	7781

23377 7590 05/30/2012

WOODCOCK WASHBURN LLP
CIRA CENTRE, 12TH FLOOR
2929 ARCH STREET
PHILADELPHIA, PA 19104-2891

EXAMINER	
POLANSKY, GREGG	
ART UNIT	**PAPER NUMBER**
1629	

NOTIFICATION DATE	DELIVERY MODE
05/30/2012	ELECTRONIC

Please find below and/or attached an Office communication concerning this application or proceeding.

The time period for reply, if any, is set in the attached communication.

Notice of the Office communication was sent electronically on above-indicated "Notification Date" to the following e-mail address(es):

eofficemonitor@woodcock.com

Applicant-Initiated Interview Summary	Application No.	Applicant(s)	
	13/308,658	ROBL ET AL.	
	Examiner	Art Unit	
	Gregg Polansky	1629	

All participants (applicant, applicant's representative, PTO personnel):

(1) *Gregg Polansky*. (3) *Maurice Valla*.

(2) *James Anderson*. (4)_____.

Date of Interview: *22 May 2012*.

Type: ☒ Telephonic ☐ Video Conference
☐ Personal [copy given to: ☐ applicant ☐ applicant's representative]

Exhibit shown or demonstration conducted: ☐ Yes ☒ No.
If Yes, brief description: _____.

Issues Discussed ☐101 ☐112 ☐102 ☐103 ☒Others
(For each of the checked box(es) above, please describe below the issue and detailed description of the discussion)

Claim(s) discussed: *pending claims*.

Identification of prior art discussed: *none*.

Substance of Interview
(For each issue discussed, provide a detailed description and indicate if agreement was reached. Some topics may include: identification or clarification of a reference or a portion thereof, claim interpretation, proposed amendments, arguments of any applied references etc...)

Discussed the objections and rejections set forth in the Office action mailed on 5/08/2012. Attorney Valla spoke to his understanding of the issues presented in the Office action and the means to overcome them. The Examiner's provided clarification with regard to problems with Applicants' Oath and the incorporation of corrections provided by the Certificate of Correction in the original patent .

Applicant recordation instructions: The formal written reply to the last Office action must include the substance of the interview. (See MPEP section 713.04). If a reply to the last Office action has already been filed, applicant is given a non-extendable period of the longer of one month or thirty days from this interview date, or the mailing date of this interview summary form, whichever is later, to file a statement of the substance of the interview

Examiner recordation instructions: Examiners must summarize the substance of any interview of record. A complete and proper recordation of the substance of an interview should include the items listed in MPEP 713.04 for complete and proper recordation including the identification of the general thrust of each argument or issue discussed, a general indication of any other pertinent matters discussed regarding patentability and the general results or outcome of the interview, to include an indication as to whether or not agreement was reached on the issues raised.

☐ Attachment

/JAMES D ANDERSON/	/Gregg Polansky/
Primary Examiner, Art Unit 1629	Examiner, Art Unit 1629

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 227 of 373

Summary of Record of Interview Requirements

Manual of Patent Examining Procedure (MPEP), Section 713.04, Substance of Interview Must be Made of Record
A complete written statement as to the substance of any face-to-face, video conference, or telephone interview with regard to an application must be made of record in the application whether or not an agreement with the examiner was reached at the interview.

Title 37 Code of Federal Regulations (CFR) § 1.133 Interviews
Paragraph (b)

In every instance where reconsideration is requested in view of an interview with an examiner, a complete written statement of the reasons presented at the interview as warranting favorable action must be filed by the applicant. An interview does not remove the necessity for reply to Office action as specified in §§ 1.111, 1.135. (35 U.S.C. 132)

37 CFR §1.2 Business to be transacted in writing.
All business with the Patent or Trademark Office should be transacted in writing. The personal attendance of applicants or their attorneys or agents at the Patent and Trademark Office is unnecessary. The action of the Patent and Trademark Office will be based exclusively on the written record in the Office. No attention will be paid to any alleged oral promise, stipulation, or understanding in relation to which there is disagreement or doubt.

The action of the Patent and Trademark Office cannot be based exclusively on the written record in the Office if that record is itself incomplete through the failure to record the substance of interviews.

It is the responsibility of the applicant or the attorney or agent to make the substance of an interview of record in the application file, unless the examiner indicates he or she will do so. It is the examiner's responsibility to see that such a record is made and to correct material inaccuracies which bear directly on the question of patentability.

Examiners must complete an Interview Summary Form for each interview held where a matter of substance has been discussed during the interview by checking the appropriate boxes and filling in the blanks. Discussions regarding only procedural matters, directed solely to restriction requirements for which interview recordation is otherwise provided for in Section 812.01 of the Manual of Patent Examining Procedure, or pointing out typographical errors or unreadable script in Office actions or the like, are excluded from the interview recordation procedures below. Where the substance of an interview is completely recorded in an Examiners Amendment, no separate Interview Summary Record is required.

The Interview Summary Form shall be given an appropriate Paper No., placed in the right hand portion of the file, and listed on the "Contents" section of the file wrapper. In a personal interview, a duplicate of the Form is given to the applicant (or attorney or agent) at the conclusion of the interview. In the case of a telephone or video-conference interview, the copy is mailed to the applicant's correspondence address either with or prior to the next official communication. If additional correspondence from the examiner is not likely before an allowance or if other circumstances dictate, the Form should be mailed promptly after the interview rather than with the next official communication.

The Form provides for recordation of the following information:
- Application Number (Series Code and Serial Number)
- Name of applicant
- Name of examiner
- Date of interview
- Type of interview (telephonic, video-conference, or personal)
- Name of participant(s) (applicant, attorney or agent, examiner, other PTO personnel, etc.)
- An indication whether or not an exhibit was shown or a demonstration conducted
- An identification of the specific prior art discussed
- An indication whether an agreement was reached and if so, a description of the general nature of the agreement (may be by attachment of a copy of amendments or claims agreed as being allowable). Note: Agreement as to allowability is tentative and does not restrict further action by the examiner to the contrary.
- The signature of the examiner who conducted the interview (if Form is not an attachment to a signed Office action)

It is desirable that the examiner orally remind the applicant of his or her obligation to record the substance of the interview of each case. It should be noted, however, that the Interview Summary Form will not normally be considered a complete and proper recordation of the interview unless it includes, or is supplemented by the applicant or the examiner to include, all of the applicable items required below concerning the substance of the interview.

A complete and proper recordation of the substance of any interview should include at least the following applicable items:
1) A brief description of the nature of any exhibit shown or any demonstration conducted,
2) an identification of the claims discussed,
3) an identification of the specific prior art discussed,
4) an identification of the principal proposed amendments of a substantive nature discussed, unless these are already described on the Interview Summary Form completed by the Examiner,
5) a brief identification of the general thrust of the principal arguments presented to the examiner,
   (The identification of arguments need not be lengthy or elaborate. A verbatim or highly detailed description of the arguments is not required. The identification of the arguments is sufficient if the general nature or thrust of the principal arguments made to the examiner can be understood in the context of the application file. Of course, the applicant may desire to emphasize and fully describe those arguments which he or she feels were or might be persuasive to the examiner.)
6) a general indication of any other pertinent matters discussed, and
7) if appropriate, the general results or outcome of the interview unless already described in the Interview Summary Form completed by the examiner.

Examiners are expected to carefully review the applicant's record of the substance of an interview. If the record is not complete and accurate, the examiner will give the applicant an extendable one month time period to correct the record.

Examiner to Check for Accuracy

If the claims are allowable for other reasons of record, the examiner should send a letter setting forth the examiner's version of the statement attributed to him or her. If the record is complete and accurate, the examiner should place the indication, "Interview Record OK" on the paper recording the substance of the interview along with the date and the examiner's initials.

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of:
Jeffrey A. Robl

Confirmation No.: **7781**

Application No.: **13/308,658**

Group Art Unit: **1629**

Filing Date: **December 1, 2011**

Examiner: **Gregg Polansky**

For: **Cyclopropyl-Fused Pyrrolidine-Based Inhibitors of Dipeptidyl Peptidase IV and Method**

Mail Stop Amendment
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Dear Commissioner:

REPLY PURSUANT TO 37 CFR § 1.111

In response to the Official Action dated **May 8, 2012**, reconsideration is respectfully requested in view of the amendments and/or remarks as indicated below:

☒ **A Listing of Prior Changes to U.S. 6,395,767 ("the 767 patent") Made By Certificate of Correction** begin on page 2 of this paper.

☒ **Amendments to the Claims of the 767 Patent** begin on page 16 of this paper.

☒ **A Complete Listing of the Claims as Amended**, with status identifiers, begins on page 22 of this paper.

☒ **Remarks** begin on page 33 of this paper.

☒ The Commissioner is hereby authorized to charge any fee deficiency, charge any additional fees, or credit any overpayment of fees, associated with this application in connection with this filing, or any future filing, submitted to the U.S. Patent and Trademark Office during the pendency of this application, to Deposit Account No. 23-3050.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 229 of 373

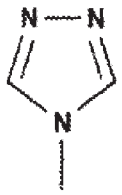
0488

Changes to 767 Patent Previously Entered by Certificate of Correction

1. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 7, line 4-col. 8, line 7 of the 767 patent:

Alternately, the carboxamide group in 8 may be converted to the nitrile as described above to give compound 9. Deprotection of PG_1 affords 10 which may be subject to standard peptide coupling conditions to afford 7, an intermediate in the synthesis of Ib. Compound 10 may also be generated by oxidation of the amine 2 (e.g. NCS) followed by hydrolysis and subsequent cyanide treatment. Compound 10 may be obtained as a mixture of stereoisomers or a single isomer/diastereomer which may be epimerized (employing conventional procedures) to afford a mixture of stereoisomers.

2. As indicated by the Certificate of Correction, please insert the following structure at col. 14, line 50 of the 767 patent:



3. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 14, lines 55-58 of the 767 patent:

The term "cycloheteroalkylalkyl" as used herein alone or as part of another group refers tocycloheteroalkyl groups as defined above linked through a C atom or heteroatom to a $(CH_2)_r$ chain.

4. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 15, lines 49-56 of the 767 patent:

The other antidiabetic agent may also preferably be a sulfonyl urea such as glyburide (also known as glibenclamide), glimepiride (disclosed in U.S. Pat. No. 4,379,785), glipizide, gliclazide or chlorpropamide, other known sulfonylureas or other

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 230 of 373

antihyperglycemic agents which act on the ATP-dependent channel of the β-cells, with glyburide and glipizide being preferred, which may be administered in the same or in separate oral dosage forms.

5. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 20, lines 57-62 of the 767 patent:

The other type of therapeutic agent which may be optionally employed with the DP4 inhibitor of formula I may be 1, 2, 3 or more of an anti-obesity agent including a beta 3 adrenergic agonist, a lipase inhibitor, a serotonin (and dopamine) reuptake inhibitor, a thyroid receptor beta drug, an anorectic agent and/or a fatty acid oxidation upregulator.

6. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 29, lines 15-29 of the 767 patent:

To a stirred solution of (S)-N-tert-butoxycarbonyl-isoleucine (231 mg, 1 mmol) and benzotriazol-1-yloxytripyrrolidinophosphonium hexafluorophosphate (780 mg, 1.5 mmol) in CH_2Cl_2 (6 mL) under nitrogen at rt was added 4-methylmorpholine (0.33 mL, 3 mmol). After 5 min, Step 1 compound (120 mg, 1 mmol) was added in one portion. The reaction mixture was stirred under nitrogen at rt overnight and then diluted with CH_2Cl_2 (30 mL), washed with 4.1% $KHSO_4$ (10 mL)), aqueous $NaHCO_3$ (10 mL), brine (10 mL), dried (Na_2SO_4) and evaporated. Purification by flash chromatography on silica gel (2.4x20 cm column, 1:3 EtOAc/hexane) gave the title compound as a colorless oil, 290 mg, 90% yield. LC/MS gave the correct molecular ion [$(M+H)^+$ =297] for the desired compound.

7. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 29, line 40-col. 30, line 3 of the 767 patent:

The reaction mixture of Step 2 compound (220 mg, 0.74 mmol) and 4 M HCl in dioxane (1.5 mL, 6 mmol) was stirred at rt for 2 h and evaporated under reduced pressure. Et_2O was added to the residue and a precipitate was formed. Et_2O was decanted and this was

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 231 of 373

0490

done three times. The precipitate was dried *in vacuo* to give the title compound as a white powder, 130 mg (76% yield), mp 205-206°C. LC/MS gave the correct molecular ion [$(M+H)^+$ = 197] for the desired compound.

8. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 32, lines 54-63 of the 767 patent:

To a stirred solution of Step 2 compounds (104 mg, 0.32 mmol) in CH_2Cl_2 (1 mL) at rt was added TFA (1 mL). The reaction mixture was stirred at rt for 2 h. The reaction mixture was added slowly to a precooled slurry of $NaHCO_3$ (2 g) in H_2O (2 mL). The mixture was extracted with CH_2Cl_2 (4 mL x 4), and combined CH_2Cl_2 layers were evaporated and purified by preparative HPLC to give the title compound Example 5 (36 mg) and Example 5A (36 mg). LC/MS gave the correct molecular ion [$(M+H)^+$ = 222] for the desired compounds..

9. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 32, line 66-col. 33, line 12 of the 767 patent:

General Method A: Parallel array synthesis methods for preparation of inhibitors from commercially available amino acids. As shown in Scheme 3, the ester 11, described in Example 1 Step 1, was saponified to the acid with LiOH in THF/H_2O and converted to the amide 12 by treatment with isobutyl chloroformate/NMM followed by ammonia in dioxane. The Boc protecting group was removed under acidic conditions using TFA in methylene chloride to give 13. The TFA salt was coupled to Boc-*t*-butylglycine using either EDAC/HOBT/DMF or EDAC/DMAP/CH_2Cl_2 to give 14. The amide was dehydrated to the nitrile 15 using $POCl_3$/imidazole in pyridine at –20°C and finally deprotected with TFA in CH_2Cl_2 at ambient temperature to afford the target 16. SCHEME 3, GENERAL METHOD A (EXAMPLES 6-27)

10. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 34, line 59-col. 35, line 13 of the 767 patent:

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 232 of 373

0491

An oven-dried 15-mL test tube was charged with Step 3 compound (56 mg, 0.22 mmol), N-*tert*-butoxycarbonyl-(L)-*tert*-leucine (53 mg, 0.23 mmol), dimethylaminopyridine (0.11 g, 0.88 mmol), and CH_2Cl_2 (4 mL). The tube was sealed under nitrogen atmosphere and treated with 1-[(3-(dimethyl)amino)propyl]-3-ethylcarbodiimide (84 mg, 0.44 mmol). The mixture was placed in a shaker and vortexed overnight. The product was purified by solid phase extraction using a United Technology SCX column (2 g of sorbent in a 6 mL column) by loading the material on a SCX ion exchange column and successively washing with CH_2Cl_2 (5 mL), 30% methanol in CH_2Cl_2 (5 mL), 50% methanol in CH_2Cl_2 (5 mL) and methanol (10 mL). The product containing fractions were concentrated under reduced pressure to give the desired amide. Further purification by reverse phase preparative column chromatography on a YMC S5 ODS 20 x 250 mm column gave the title compound, 50 mg (68% yield). Purification conditions: Gradient elution from 30% methanol/water/0.1 TFA to 90% methanol/water/0.1 TFA over 15 min. 5 min. hold at 90% methanol/water/0.1 TFA. Flow rate: 20 mL/min. Detection wavelength: 220. Retention Time: 14 min.

11. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 41, lines 36-46 of the 767 patent:

An oven-dried 10-mL round bottomed flask was charged with Step 2 compound (350 mg, 0.79 mmol), imidazole (108 mg, 1.58 mmol), pyridine (3 mL). The flask under argon was cooled to -30^0C. Slow addition of $POCl_3$ (0.30 mL, 3.16 mmol) gave after mixing a thick slurry. The slurry was mixed at -30^0C for 3 h and the volatiles evaporated. Dichloromethane (5 mL) was then added and the insoluble solid was removed by filtration. The organic layer was washed with H_2O, 10% citric acid, brine and dried over Na_2SO_4. Removal of solvent gave crude desired nitrile (330 mg) (LC/Mass, + ion): 424 (M+H).

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 233 of 373

0492

12. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 43, lines 20-29 of the 767 patent:

To a flame-dried 500-mL round-bottomed flask containing cyclopentylideneacetic acid ethyl ester (17.5 g, 113 mmol) in 100 mL anhydrous toluene at -78°C under argon was added DIBAL-H (189 mL of a 1.5 M solution in toluene, 284 mmol, 2.50 equiv) dropwise over a 30 min period through an addition funnel, and the mixture was then allowed to warm to rt, stirring for 18 h. The reaction mixture was then recooled to -78°C, and quenched by the careful addition of 30 mL anhydrous MeOH. Upon warming to rt, 1 N Rochelle's salt (100 mL) was added, and the mixture was stirred 90 min. The biphasic reaction mixture was then diluted with Et_2O (200 mL) in a separatory funnel, and the layers were separated. The organic layer was then washed with brine (100 mL), dried (Na_2SO_4), and concentrated under reduced pressure. Purification by flash column chromatography (silica gel, CH_2Cl_2 / EtOAc, 10:1) gave 11.6 g (92%) of the desired allylic alcohol as a colorless oil.

13. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 43, lines 53-67 of the 767 patent:

To a flame-dried 500-mL round-bottomed flask containing N-(*tert*-butyloxycarbonyl)glycine (13.45 g, 76.75 mmol) in 100 mL CH_2Cl_2 at rt was added Step 2 compound (8.61 g, 76.75 mmol, 1.00 equiv) in 20 mL CH_2Cl_2, followed by dicyclohexylcarbodiimide (16.63 g, mmol, 1.05 equiv) in 80 mL CH_2Cl_2. To this reaction mixture was then added 4-dimethylaminopyridine (0.94 mg, mmol, 0.10 equiv), and the mixture was allowed to stir overnight. The reaction mixture was then filtered through a medium sintered-glass funnel, rinsing with 100 mL CH_2Cl_2, and concentrated under reduced pressure. The crude product was then purified by flash chromatography (silica gel, hexanes/EtOAc, 20:1 to 1:1 gradient) to give 19.43 g (94%) of the desired glycinyl ester as a colorless oil.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 234 of 373

14. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 44, lines 19-45 of the 767 patent:

A flame-dried 500-mL round-bottomed flask under argon was charged with $ZnCl_2$ (11.8 g, mmol, 1.20 equiv) and 20 mL toluene. The mixture was heated under vacuum with vigorous stirring to azeotrope off any traces of moisture with the distilling toluene, repeating this process (2 x). The flask was then cooled to rt under argon, (2-cyclopentylideneethyl) N-(*tert*-butyloxycarbonyl)glycinate (19.36 g, 71.88 mmol) was added via cannula as a solution in 180 mL THF, and the mixture was then cooled to -78°C. In a separate flame-dried 200-mL round-bottomed flask containing diisopropylamine (26.3 mL, mmol, 2.60 equiv) in 90 mL THF at -78°C was added n-butyllithium (71.89 mL of a 2.5 M solution in hexanes, mmol, 2.5 equiv), and the mixture was allowed to warm to 0°C for 30 min before recooling to -78°C. The lithium diisopropylamine thus generated was then added via cannula to the $ZnCl_2$ ester mixture dropwise at a steady rate over 40 min, and the resultant reaction mixture was allowed to slowly warm to rt and stir overnight. The yellow reaction mixture was then poured into a separatory funnel, diluted with 300 mL Et_2O, and the resultant organic solution was washed successively with 300 mL 1N HCl and 300 mL brine, dried (Na_2SO_4), and concentrated under reduced pressure. Purification by flash chromatography (silica gel, 3% MeOH in CH_2Cl_2 with 0.5% HOAc) gave 17.8 g (92%) of the desired amino acid product as a white solid. (FAB MH+ 270).

15. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col 46, lines 48-59 of the 767 patent:

Step 2 compound (32 mg, 0.09 mmol) was dissolved in 1 mL of CH_2Cl_2 and 1 mL of TFA was added and the reaction stirred for 30 min at rt and was evaporated to dryness. The product was purified by reverse phase preparative column chromatography on a YMC S5 ODS 20 X 250 mm column to give 12 mg of the TFA salt (lyophilized from water or isolated after evaporation of eluent and trituration with ether) the title compound. Purification conditions: gradient elution from 10% methanol/water/0.1 TFA

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 235 of 373

0494

to 90% methanol/water/0.1 TFA over 18 min; 5 min. hold at 90% methanol/water/0.1 trifluoroacetic acid. Flow rate: 20 mL/min. Detection wavelength: 220.

16. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 46, lines 61-67 of the 767 patent:

Examples 30–39 were prepared by the methods outlined in General Method B and General Method C starting from cyclopentanone, cyclobutanone, cyclohexanone, cycloheptanone, cyclooctanone, cis-3,4-dimethylcyclopentanone, and 4-pyranone, cyclopropaneethylhemiacetal, acetone, and 3-pentanone respectively.

17. As indicated by the Certificate of Correction, at col. 52, line 64 of the 767 patent, change "25" to ---28--.

18. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 53, lines 28-45 of the 767 patent:

N-Boc protected cyclobutylvinyl compound (Example 31, prepared by general method C) (0.16 g, 0.46 mmol) was dissolved in 10 mL of a 1:1 mixture of THF:water and treated with OsO_4 (12 mg, catalyst) and $NaIO_4$ (0.59 g, 2.76 mmol, 6 equiv). After 2 h, the reaction mixture was diluted with 50 mL of ether and 10 mL of water. The layers were equilibrated and the organic fraction was washed one time with $NaHCO_3$ solution, dried over $MgSO_4$ and concentrated to give a dark oil. The oil was diluted with 10 mL of methanol and treated with $NaBH_4$ (0.08 g, 2.0 mmol). The mixture turned very dark and after 30 min was diluted with ether and the reaction was quenched with aqueous $NaHCO_3$ solution. The mixture was equilibrated and layers separated. The organic fraction was washed with solutions of $NaHCO_3$ and 0.1 M HCl. The organics were dried ($MgSO_4$) and concentrated to give 90 mg (56%) of the Step 1 compound as a dark oil.

19. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 53, lines 59-67 of the 767 patent:

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 236 of 373

0495

Step 1 compound (90 mg, 0.26 mmol) was dissolved in 3 mL of CH_2Cl_2, cooled to 0°C and treated with 3 mL of freshly distilled TFA. The reaction was complete in 80 min and evaporated to dryness and purified by preparative HPLC (YMC S5 ODS 30 x 100 mm, 10 minute gradient 100%A to 100%B, Solvent A = 10% MeOH-90%H2O-0.1% TFA, Solvent B = 90% MeOH-10% H_2O -0.1% TFA, to give, after removal of water, 50 mg (60%) of title compound. (MH+250).

20. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 62, lines 56-67 of the 767 patent:

The Step 2 compound (95 mg, 0.22 mmol, 1 equiv) was dissolved in anhydrous CH_2Cl_2 (2.5 mL) under argon and cooled to –78°C. The mixture was treated with diisopropylethylamine (65 μL, 0.37 mmol, 1.7 equiv), and triethylsilyl triflate (75 μL, 0.33 mmol, 1.5 equiv), and stirred at 0°C for 1.5 h. The reaction was mixed with MeOH (0.5 mL), silica gel (200 mg) and H_2O (2 drops) and stirred at rt for 18 h. The solvent was removed by rotary evaporation and the residue purified flash column chromatography on silica gel(2.5x10 cm) with 4% MeOH/CH_2Cl_2 to afford the product (92 mg, 0.17 mmol, 77%): MS m/e 540 $(m+H)^+$.

21. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 66, lines 11-27 of the 767 patent:

An oven-dried flask equipped with a condenser and drying tube was charged with norbornane-2-carboxylic acid (4.92 g, 35 mmol, 1 equiv) and treated with bromine (2.1 mL, 41 mmol, 1.15 equiv) and phosphorous trichloride (0.153 mL, 1.8 mmol, 0.05 equiv). The mixture was heated at 85°C for 7 h protected from light. Additional bromine (0.4 mL, 7.8 mmol, 0.22 equiv) was added with continued heating for 1 h. The mixture was cooled to rt, and Et_2O (100 mL) was added. The mixture was washed with 10% aq $NaHSO_3$ (50 mL), H_2O (2x50 mL), and brine (25 mL). The ether fraction was dried (Na_2SO_4), filtered and concentrated by rotary evaporation. The product was purified by flash column chromatography on silica gel (5x15 cm) with 2% to 4% MeOH/CH_2Cl_2 +

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 237 of 373

0496

0.5% HOAc. The product was chased with hexanes to remove residual HOAc. The isolated material consists of two inseparable materials (4.7 g), which was used without further purification in the next step.

22. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 69, lines 20-27 of the 767 patent:

To a 50-mL round-bottomed flask containing Step 2 compound (0.72 g, 4.20 mmol) in 8 mL of water at rt was added NaCN (0.20 g, 4.20 mmol) followed by NH_4Cl (0.20 g, 5.00 mmol). To this reaction mixture was then added methanol (8 mL) and the mixture was allowed to stir overnight. The reaction mixture was then extracted with ether (2x15 mL), dried ($MgSO_4$) and concentrated under reduced pressure to give the crude Strecker product.

23. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 69, lines 28-44 of the 767 patent:

To a 100-mL round-bottomed flask containing the crude Strecker product was added 10 mL of HOAc and 10 mL of conc. HCl. The mixture was refluxed overnight. The mixture was concentrated under reduced pressure to give a yellow solid. The solid was triturated with 5 mL of 1:1 mixture of ether and hexanes. The white solid was treated with triethylamine (1.4 mL, 9.99 mmol) and di-*tert*-butyldicarbonate (1.00 g, 4.60 mmol) in 50 mL DMF. After 4 h the pH of the mixture was adjusted to 9 with saturated Na_2CO_3 soln. After an additional 3 h of stirring the mixture was extracted with 1:1 ether and hexanes and the aqueous fraction acidified to pH 2 with 5% $KHSO_4$ solution. The aqueous phase was washed with ether (2 X 40 mL), the organics dried ($MgSO_4$), and evaporated to an oil that was purified by silica gel flash chromatography with 8:92 methanol:CH_2Cl_2 to give 0.3 g (23%) of the Boc-protected amino acid as a light oil (M-H, 318).

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 238 of 373

0497

24. As indicated by the Certificate of Correction, please move "Step 1" at col. 70, line 56 of the 767 patent to col. 70, line 65.

25. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 72, lines 30-49 of the 767 patent:

Sodium ethoxide (940 mg of 21 wt% solution in ethanol, 2.9 mmol) in ethanol (2 mL) was added to a stirred solution of diethyl acetamidomalonate (4.31g, 19.8 mmol) in EtOH (23 mL) at rt under argon. The reaction mixture was cooled to 0°C; and trans-2-pentenal (1.51 g, 18.0 mmol) was added dropwise maintaining the reaction temperature at < 5°C. After the addition, the reaction was allowed to warm to rt, stirred for 4 h, then quenched with acetic acid (460 μl). The solution was concentrated *in vacuo*, and the residue dissolved in EtOAc (25 mL), washed with 10% $NaHCO_3$ solution (2x5 mL), brine and dried ($MgSO_4$). The solution was filtered and concentrated to a 10 mL volume, then heated to reflux and diluted with hexane (20 mL). Upon cooling to rt, the title compound precipitated and was collected to give 3.0 g (50%) of the Step 1 compound (mp 106-109°C; LC/Mass: + ions, 324 M+Na).

26. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 72, line 64-col. 73, line 8 of the 767 patent:

To a solution of Step 1 compound (2.87 g, 9.5 mmol) and triethylsilane (2.28 mL, 14.3 mmol) in CH_2Cl_2 (30 mL) under argon was added TFA (7.35 mL, 95.3 mmol) dropwise with stirring while maintaining the internal temperature at 25^0C by means of an ice bath. After stirring for 4 h at rt, the solution was concentrated. The residue was diluted with CH_2Cl_2 (100 mL), then treated with H_2O (50 mL) and solid Na_2CO_3 with vigorous stirring until the mixture was basic. The organic layer was separated, dried (Na_2SO_4), filtered, then concentrated to give the Step 2 compound as a yellow oil which was used without further purification (LC/Mass: + ions, 308 M+Na).

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 239 of 373

0498

27. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 73, lines 22-27 of the 767 patent:

Step 2 compound (3.73 g, 9.5 mmol) was suspended in 6 N HCl (20 mL) and HOAc (5 mL) and heated at reflux for 20 h. The reaction mixture was then cooled, washed with EtOAc (20 mL), then concentrated to give an oil which crystallized upon trituration with ether to give the title compound (1.2 g, 70.6%) (LC/Mass, + ion): 144 (M+H).

28. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 74, lines 26-41 of the 767 patent:

Sodium ethoxide (940 mg, 2.9 mmol; 21% w/w solution in ethanol) in ethanol (2 mL) was added to a stirred solution of diethyl acetamidomalonate (4.31 g, 19.8 mmol) in EtOH (23 mL) at rt under argon. The reaction mixture was cooled to 0^0C; and 4-methyl-2-pentenal (1.77 g, 18.0 mmol)was added dropwise maintaining the reaction temperature at < 5°C. After the addition, the reaction was allowed to warm to rt, stirred for 4 h, then quenched with acetic acid (460 μl). The solution was concentrated and the remainder dissolved in EtOAc (25 mL). The organics were washed with 10% $NaHCO_3$ solution (2x5 mL), brine and dried ($MgSO_4$). The solution was filtered and concentrated to 10 mL volume, then heated to reflux and treated with hexane (20 mL). On cooling, the Step 1 compound precipitated and was collected (3.3 g) (LC/Mass, + ion): 338 (M+Na).

29. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 79, lines 54-67 of the 767 patent:

N-[((S)-cyclopentylvinyl)-N-tert-butoxycarbonylglycinyl]-(2S,4S,5S)-2-cyano-4,5-methano-L-prolylamide (70 mg, 0.19 mmol) described in General Method C, Step 2 was dissolved in a mixture of 2 mL *t*-BuOH / 3 mL THF and N-methylmorpholine-N-oxide (33mg, 0.28 mmol) was added followed by osmium tetroxide (0.1 mmol, 50 mol%). The reaction was quenched with 1 mL of 10% aqueous Na_2SO_3 and was taken up in EtOAc and washed with H_2O 5 mL, dried (Na_2SO_4), filtered, evaporated and purified by silica gel flash chromatography (5% MeOH/CH_2Cl_2) to give 41 mg (55%) of the protected diol

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 240 of 373

0499

as an oil. The title compound was obtained by deprotection of the amine functionality with TFA according to General Method C (FAB MH+ 294).

30. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 82, lines 52-67 of the 767 patent:

According to literature (J. Org. Chem 1994, 59, 8215), a solution of Step 3 compound (0.875 g, 3.83 mmol) in dry benzene (4.0 mL) was treated with triethylamine (0.52 mL, 3.83 mmol) and diphenylphosphoryl azide (0.85 mL, 3.83 mmol), refluxed under nitrogen for 1 h and cooled to rt. The solution was treated with benzyl alcohol (0.60 mL, 5.75 mmol or 1.5 equiv), refluxed for 17 h, cooled then diluted with ether (40 mL). The solution was washed with 10% aqueous citric acid (2x3 mL),back-extracting the citric acid wash with ether (40 mL). The combined organic extracts were washed with 5% sodium bicarbonate (2x3 mL), dried ($MgSO_4$), filtered, and concentrated. Flash chromatography on silica gel of the crude product with 10% EtOAc in hexane (1.0 L) gave step 4 compound as a clear thick syrup. Yield: 1.15 g (90%). MS(M+H) 334.

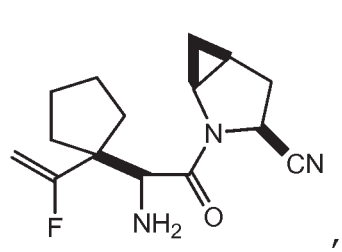
31. As indicated by the Certificate of Correction, at col. 84, line 34 of the 767 patent, please replace "NS" with --MS--.

32. As indicated by the Certificate of Correction, please replace claim 8 at col. 91, lines 9-49 with the following corrected claim:

8. A compound having the structure:

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 241 of 373

0500



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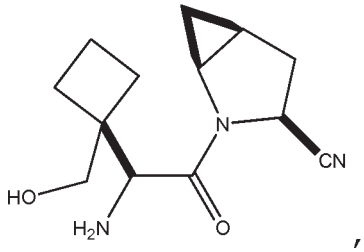

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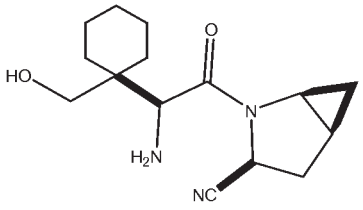

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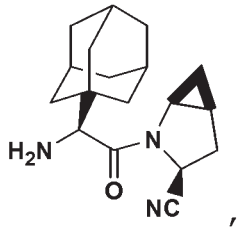

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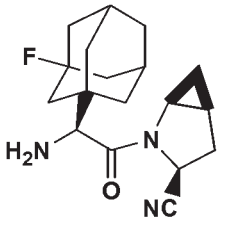

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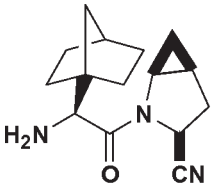

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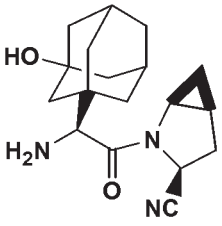

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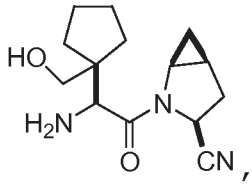


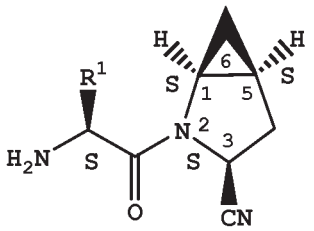
,

or a pharmaceutically acceptable salt thereof.

33. As indicated by the Certificate of Correction, please replace claim 10 at col. 91, line 54-col. 92, line 18 with the following corrected claim:

10. A compound which is

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 242 of 373

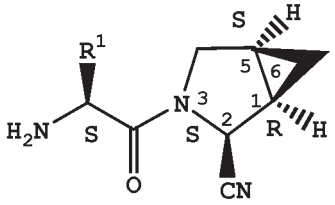


A

(1S,2(2S),3S,5S)

wherein R^1 is alkyl, cycloalkyl, bicycloalkyl, tricycloalkyl, alkylcycloalkyl, hydroxyalkyl, hydroxycycloalkyl, hydroxyalkylcycloalkyl, hydroxybicycloalkyl, or hydroxytricycloalkyl,

or



B

(1R,2S,3(2S),5S)

wherein R^1 is alkyl, cycloalkyl, bicycloalkyl, tricycloalkyl, alkylcycloalkyl, hydroxyalkyl, hydroxycycloalkyl, hydroxyalkylcycloalkyl, hydroxybicycloalkyl, or hydroxytricycloalkyl.

34. As indicated by the Certificate of Correction, please replace claim 15 at col. 92, lines 36 to 44 of the 767 patent with the following corrected claim:

15. The combination as defined in Claim 14 wherein the antidiabetic agent is 1, 2, 3 or more of metformin, glyburide, glimepiride, glipyride, glipizide, chlorpropamide, gliclazide, acarbose, miglitol, pioglitazone, troglitazone, rosiglitazone, insulin, Gl-262570, isaglitazone, JTT-501, NN-2344, L895645, YM-440, R-119702, AJ9677, repaglinide, nateglinide, KAD1129, AR-HO39242, GW-409544, KRP297, AC2993, Exendin-4, LY307161, NN2211, and/or LY315902.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 243 of 373

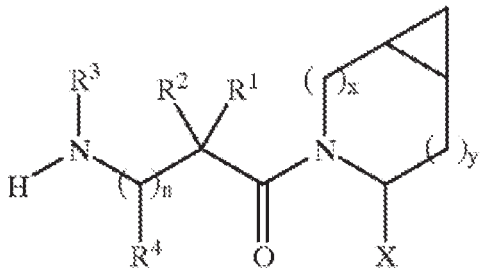
0502

Amendments to the Claims of the 767 Patent:

Please further amend the claims of the 767 patent as shown below (deletions and additions are shown relative to the claims as issued in the 767 patent):

Amend claim 1 as follows:

1. A compound having the structure



wherein x is 0 or 1 and y is 0 or 1, provided that

x=1 when y=0 and

x=0 when y=1; and wherein

n is 0 or 1;

X is H or CN;

R^1, R^2, R^3 and R^4 are the same or different and are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, tricycloalkyl, alkylcycloalkyl, hydroxyalkyl, hydroxyalkylcycloalkyl, hydroxycycloalkyl, hydroxybicycloalkyl, hydroxytricycloalkyl, bicycloalkylalkyl, alkylthioalkyl, arylalkylthioalkyl, cycloalkenyl, aryl, aralkyl, heteroaryl, heteroarylalkyl,

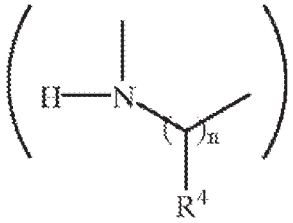
Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 244 of 373

cycloheteroalkyl or cycloheteroalkylalkyl; all optionally substituted through available carbon atoms with 1, 2, 3, 4 or 5 groups selected from hydrogen, halo, alkyl, polyhaloalkyl, alkoxy, haloalkoxy, polyhaloalkoxy, alkoxycarbonyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, polycycloalkyl, heteroarylamino, arylamino, cycloheteroalkyl, cycloheteroalkylalkyl, hydroxy, hydroxyalkyl, nitro, cyano, amino, substituted amino, alkylamino, dialkylamino, thiol, alkylthio, alkylcarbonyl, acyl, alkoxycarbonyl, aminocarbonyl, alkynylaminocarbonyl, alkylaminocarbonyl, alkenylaminocarbonyl, alkylcarbonyloxy, alkylcarbonylamino, arylcarbonylamino, alkylsulfonylamino, alkylaminocarbonylamino, alkoxycarbonylamino, alkylsulfonyl, aminosulfinyl, aminosulfonyl, alkylsulfinyl, sulfonamido or sulfonyl;

and R^1 and R^3 may optionally be taken together to form $(CR^5R^6)_m$ where m is 2 to 6, and R^5 and R^6 are the same or different and are independently selected from hydroxy, alkoxy, H, alkyl, alkenyl, alkynyl, cycloalkyl, halo, amino, substituted amino, cycloalkylalkyl, cycloalkenyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl, alkylcarbonylamino, arylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, alkoxycarbonyl, aryloxycarbonyl, or alkylaminocarbonylamino, or R^1 and R^4 may optionally be taken together to form $(CR^7R^8)_p$ wherein p is 2 to 6, and R^7 and R^8 are the same or different and are independently selected from hydroxy, alkoxy, cyano, H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, halo, amino, substituted amino, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl, alkylcarbonylamino, arylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, alkoxycarbonyl, aryloxycarbonyl, or alkylaminocarbonylamino, or optionally R^1 and R^3 together with

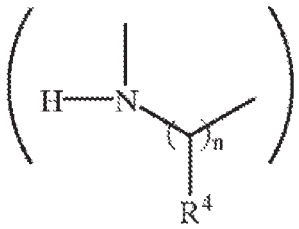
Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 245 of 373

0504



form a 5 to 7 membered ring containing a total of 2 to 4 heteroatoms selected from N, O, S, SO, or SO_2;

or optionally R^1 and R^3 together with



form a 4 to 8 membered cycloheteroalkyl ring wherein the cycloheteroalkyl ring has an optional aryl ring fused thereto or an optional 3 to 7 membered cycloalkyl ring fused thereto;

with the proviso that where x is 1 and y is 0, X is H, n is o, and one of R^1 and R^2 is H and the other is alkyl, then R^3 is other than pyridyl or substituted pyridyl;

including all stereoisomers thereof;

or [and] a pharmaceutically acceptable salt thereof[, or a prodrug ester thereof], and all stereoisomers thereof.

Amend claim 12 as follows:

12. A pharmaceutical combination comprising a [DP4 inhibitor] compound as defined in claim 1 and an antidiabetic agent other than a DP4 inhibitor for treating diabetes and related diseases, an anti-obesity agent and/or a lipid-modulating agent.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 246 of 373

Amend claim 13 as follows:

13. The pharmaceutical combination as defined in claim 12 comprising said [DP4 inhibitor] compound as defined in claim 1 and [an] the antidiabetic agent other than a DP4 inhibitor.

Amend claim 16 as follows:

16. The combination as defined in claim 13 wherein the compound as defined in claim 1 is present in a weight ratio to the antidiabetic agent within the range from about 0.01 to about 100:1.

Amend claim 17 as follows:

17. The combination as defined in claim 12 wherein the anti-obesity agent is a beta 3 adrenergic agonist, a lipase inhibitor, [a serotonin (and dopamine) reuptake inhibitor,] a thyroid receptor beta compound, an anorectic agent, and/or a fatty acid oxidation upregulator.

Amend claim 21 as follows:

21. The combination as defined in claim 19 wherein the compound as defined in claim 1 [DP4 inhibitor] is present in a weight ratio to the lipid-modulating agent within the range from about 0.01 to about 100:1.

Amend claim 22 as follows:

22. A pharmaceutical combination comprising a [DP4 inhibitor] compound as defined in claim 1 and an agent for treating infertility, an agent for treating polycystic ovary syndrome, an agent for treating a growth disorder and/or frailty, an anti-arthritis agent, an agent for preventing or inhibiting allograft rejection in transplantation, an agent for treating autoimmune disease, an anti-AIDS agent, an agent for treating inflammatory bowel disease/syndrome, an agent for treating anorexia nervosa, an anti-osteoporosis agent and/or an anti-obesity agent.

Amend added claim 29 to read as follows:

29. The composition of claim 27 or 28 further comprising an antidiabetic agent other than a DP4 inhibitor.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 247 of 373

Amend added claim 30 to read as follows:

30. The composition of claim 29 wherein the antidiabetic agent is metformin.

Amend added claim 31 to read as follows:

31. The composition of claim 29 wherein the antidiabetic agent is a SGLT2 inhibitor.

Cancel added claims 36 and 37.

Amend added claim 38 to read as follows:

38. The method of any one of claims 32, 33, 34, or 35, wherein the pharmaceutical composition further comprises an antidiabetic agent other than a DP4 inhibitor.

Amend added claim 39 to read as follows:

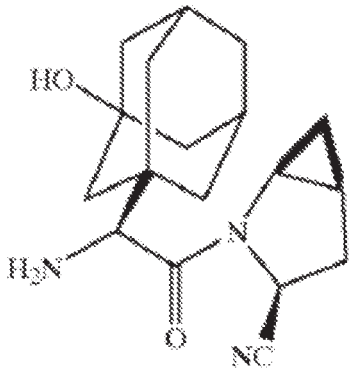
39. The method of claim 38 wherein the antidiabetic agent is metformin.

Amend added claim 40 to read as follows:\

40. The method of claim 38 wherein the antidiabetic agent is a SGLT2 inhibitor.

Add new claims 41 to 45 to read as follows:

41. A method for treating type II diabetes in a mammal comprising administering to the mammal a pharmaceutical composition comprising a compound that is



Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 248 of 373

0507

or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier therefor.

42. The method of claim 41, wherein the pharmaceutically acceptable salt is the hydrochloride salt.

43. The method of any one of claims 41 or 42, wherein the pharmaceutical composition further comprises an antidiabetic agent other than a DP4 inhibitor.

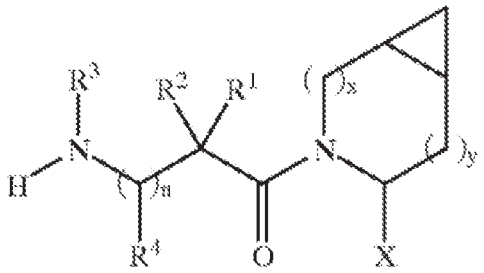
44. The method of claim 43, wherein the antidiabetic agent is metformin.

45. The method of claim 43, wherein the antidiabetic agent is a SGLT2 inhibitor.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 249 of 373

Complete Listing of Claims As Amended (including status identifiers):

1. (Amended) A compound having the structure



wherein x is 0 or 1 and y is 0 or 1, provided that

x=1 when y=0 and

x=0 when y=1; and wherein

n is 0 or 1;

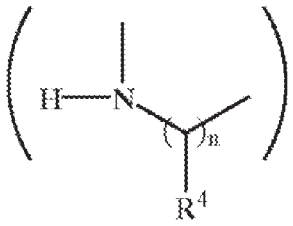
X is H or CN;

R^1, R^2, R^3 and R^4 are the same or different and are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, tricycloalkyl, alkylcycloalkyl, hydroxyalkyl, hydroxyalkylcycloalkyl, hydroxycycloalkyl, hydroxybicycloalkyl, hydroxytricycloalkyl, bicycloalkylalkyl, alkylthioalkyl, arylalkylthioalkyl, cycloalkenyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl or cycloheteroalkylalkyl; all optionally substituted through available carbon atoms with 1, 2, 3, 4 or 5 groups selected from hydrogen, halo, alkyl, polyhaloalkyl, alkoxy, haloalkoxy, polyhaloalkoxy, alkoxycarbonyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, polycycloalkyl, heteroarylamino, arylamino, cycloheteroalkyl, cycloheteroalkylalkyl, hydroxy, hydroxyalkyl, nitro,

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 250 of 373

cyano, amino, substituted amino, alkylamino, dialkylamino, thiol, alkylthio, alkylcarbonyl, acyl, alkoxycarbonyl, aminocarbonyl, alkynylaminocarbonyl, alkylaminocarbonyl, alkenylaminocarbonyl, alkylcarbonyloxy, alkylcarbonylamino, arylcarbonylamino, alkylsulfonylamino, alkylaminocarbonylamino, alkoxycarbonylamino, alkylsulfonyl, aminosulfinyl, aminosulfonyl, alkylsulfinyl, sulfonamido or sulfonyl;

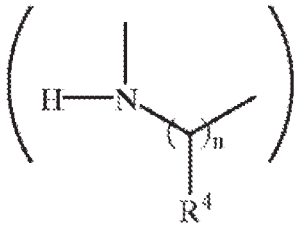
and R^1 and R^3 may optionally be taken together to form $(CR^5R^6)_m$ where m is 2 to 6, and R^5 and R^6 are the same or different and are independently selected from hydroxy, alkoxy, H, alkyl, alkenyl, alkynyl, cycloalkyl, halo, amino, substituted amino, cycloalkylalkyl, cycloalkenyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl, alkylcarbonylamino, arylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, alkoxycarbonyl, aryloxycarbonyl, or alkylaminocarbonylamino, or R^1 and R^4 may optionally be taken together to form $(CR^7R^8)_p$ wherein p is 2 to 6, and R^7 and R^8 are the same or different and are independently selected from hydroxy, alkoxy, cyano, H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, halo, amino, substituted amino, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl, alkylcarbonylamino, arylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, alkoxycarbonyl, aryloxycarbonyl, or alkylaminocarbonylamino, or optionally R^1 and R^3 together with



form a 5 to 7 membered ring containing a total of 2 to 4 heteroatoms selected from N, O, S, SO, or SO_2;

or optionally R^1 and R^3 together with

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 251 of 373



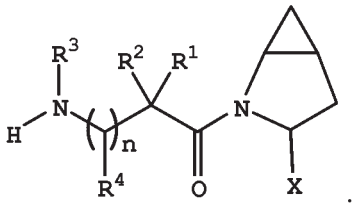
form a 4 to 8 membered cycloheteroalkyl ring wherein the cycloheteroalkyl ring has an optional aryl ring fused thereto or an optional 3 to 7 membered cycloalkyl ring fused thereto;

with the proviso that where x is 1 and y is 0, X is H, n is o, and one of R^1 and R^2 is H and the other is alkyl, then R^3 is other than pyridyl or substituted pyridyl;

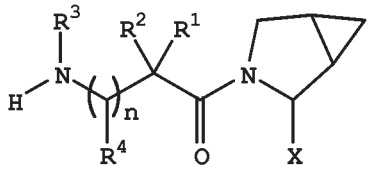
including all stereoisomers thereof;

or [and] a pharmaceutically acceptable salt thereof[, or a prodrug ester thereof], and all stereoisomers thereof.

2. (Original) The compound as defined in claim 1 having the structure:

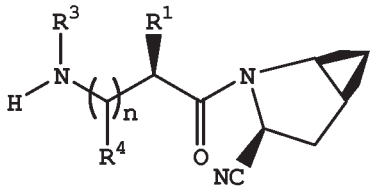


3. (Original) The compound as defined in claim 1 having the structure:

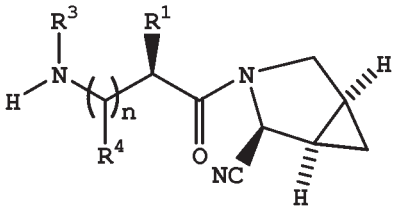


4. (Original) The compound as defined in claim 1 having the structure:

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 252 of 373



5. (Original) The compound as defined in claim 1 having the structure:



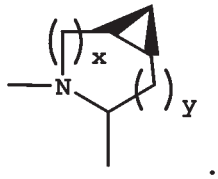
6. (Original) The compound as defined in claim 1 wherein:

R^3 is H, R^1 is H, alkyl, cycloalkyl, bicycloalkyl, tricycloalkyl, alkylcycloalkyl, hydroxyalkyl, hydroxyalkylcycloalkyl, hydroxycycloalkyl hydroxybicycloalkyl, or hydroxytricycloalkyl,

R^2 is H or alkyl, n is 0,

X is CN.

7. (Original) The compound as defined in claim 1 wherein the cyclopropyl fused to the pyrrolidine has the configuration:



Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 253 of 373

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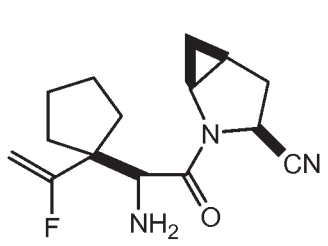
8. (Original) A compound having the structure:



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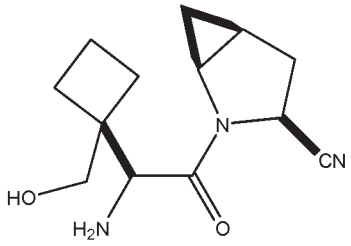

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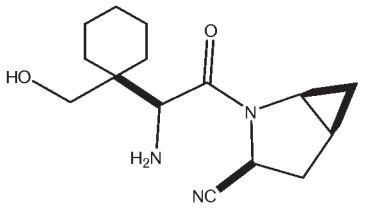

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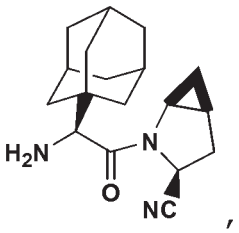

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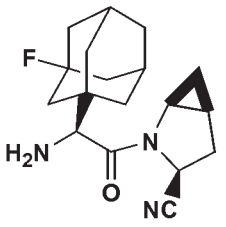

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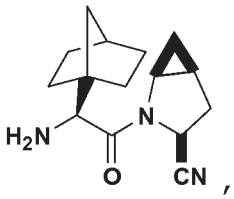

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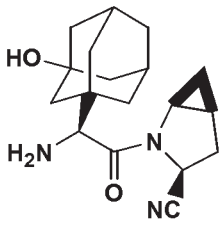
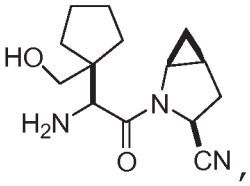


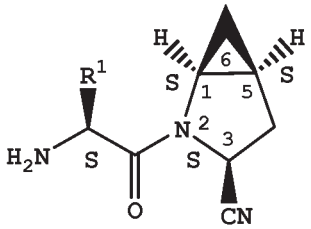
or


,

or a pharmaceutically acceptable salt thereof.

9. (Original) The compound as defined in claim 8 wherein the pharmaceutically acceptable salt is the hydrochloride salt or the trifluoroacetic acid salt.

10. (Original) A compound which is



A

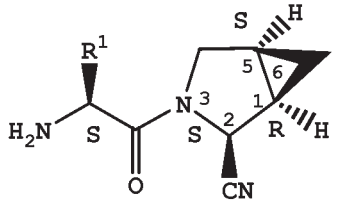
(1S,2(2S),3S,5S)

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 254 of 373

0513

wherein R^1 is alkyl, cycloalkyl, bicycloalkyl, tricycloalkyl, alkylcycloalkyl, hydroxyalkyl, hydroxycycloalkyl, hydroxyalkylcycloalkyl, hydroxybicycloalkyl, or hydroxytricycloalkyl,

or



B

(1R,2S,3(2S),5S)

wherein R^1 is alkyl, cycloalkyl, bicycloalkyl, tricycloalkyl, alkylcycloalkyl, hydroxyalkyl, hydroxycycloalkyl, hydroxyalkylcycloalkyl, hydroxybicycloalkyl, or hydroxytricycloalkyl.

11. (Original) A pharmaceutical composition comprising a compound as defined in claim 1 and a pharmaceutically acceptable carrier therefor.

12. (Amended) A pharmaceutical combination comprising a [DP4 inhibitor] compound as defined in claim 1 and an antidiabetic agent other than a DP4 inhibitor for treating diabetes and related diseases, an anti-obesity agent and/or a lipid-modulating agent.

13. (Twice Amended) The pharmaceutical combination as defined in claim 12 comprising said [DP4 inhibitor] compound as defined in claim 1 and [an] the antidiabetic agent other than a DP4 inhibitor.

14. (Original) The combination as defined in claim 13 wherein the antidiabetic agent is 1, 2, 3 or more of a biguanide, a sulfonyl urea, a glucosidase inhibitor, a PPAR agonist, a PPAR / dual agonist, an SGLT2 inhibitor, an aP2 inhibitor, a glycogen phosphorylase inhibitor, an AGE inhibitor, an insulin sensitizer, a glucagon-like peptide-1 (GLP-1) or mimetic thereof, insulin and/or a meglitinide.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 255 of 373

15. (Original) The combination as defined in Claim 14 wherein the antidiabetic agent is 1, 2, 3 or more of metformin, glyburide, glimepiride, glipyride, glipizide, chlorpropamide, gliclazide, acarbose, miglitol, pioglitazone, troglitazone, rosiglitazone, insulin, Gl-262570, isaglitazone, JTT-501, NN-2344, L895645, YM-440, R-119702, AJ9677, repaglinide, nateglinide, KAD1129, AR-HO39242, GW-409544, KRP297, AC2993, Exendin-4, LY307161, NN2211, and/or LY315902.

16. (Amended) The combination as defined in claim 13 wherein the compound as defined in claim 1 is present in a weight ratio to the antidiabetic agent within the range from about 0.01 to about 100:1.

17. (Amended) The combination as defined in claim 12 wherein the anti-obesity agent is a beta 3 adrenergic agonist, a lipase inhibitor, [a serotonin (and dopamine) reuptake inhibitor,] a thyroid receptor beta compound, an anorectic agent, and/or a fatty acid oxidation upregulator.

18. (Original) The combination as defined in claim 17 wherein the anti-obesity agent is orlistat, ATL-962, AJ9677, L750355, CP331648, sibutramine, topiramate, axokine, dexamphetamine, phentermine, phenylpropanolamine, famoxin, and/or mazindol.

19. (Original) The combination as defined in claim 12 wherein the lipid modulating agent is an MTP inhibitor, an HMG CoA reductase inhibitor, a squalene synthetase inhibitor, a fibric acid derivative, an upregulator of LDL receptor activity, a lipoxygenase inhibitor, an ACAT inhibitor, a cholesteryl ester transfer protein inhibitor, or an ATP citrate lyase inhibitor.

20. (Original) The combination as defined in claim 19 wherein the lipid modulating agent is pravastatin, lovastatin, simvastatin, atorvastatin, cerivastatin, fluvastatin, nisvastatin, visastatin, fenofibrate, gemfibrozil, clofibrate, implitapide, CP-529,414, avasimibe, TS-962, MD-700, and/or LY295427.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 256 of 373

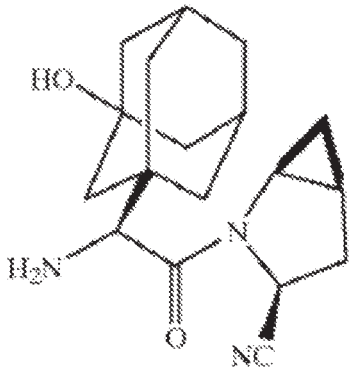
21. (Amended) The combination as defined in claim 19 wherein the compound as defined in claim 1 [DP4 inhibitor] is present in a weight ratio to the lipid-modulating agent within the range from about 0.01 to about 100:1.

22. (Amended) A pharmaceutical combination comprising a [DP4 inhibitor] compound as defined in claim 1 and an agent for treating infertility, an agent for treating polycystic ovary syndrome, an agent for treating a growth disorder and/or frailty, an anti-arthritis agent, an agent for preventing or inhibiting allograft rejection in transplantation, an agent for treating autoimmune disease, an anti-AIDS agent, an agent for treating inflammatory bowel disease/syndrome, an agent for treating anorexia nervosa, an anti-osteoporosis agent and/or an anti-obesity agent.

23. (Canceled)

24. (Canceled)

25. (New) A compound that is



; or a pharmaceutically acceptable salt thereof.

26. (New) The compound as defined in claim 25, wherein the pharmaceutically acceptable salt is the hydrochloride salt.

27. (New) A pharmaceutical composition comprising the compound of claim 25 and a pharmaceutically acceptable carrier therefor.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 257 of 373

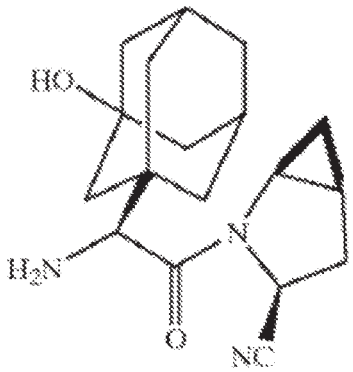
28. (New) A pharmaceutical composition comprising the compound of claim 26 and a pharmaceutically acceptable carrier therefor.

29. (New/Amended) The composition of claim 27 or 28 further comprising an antidiabetic agent other than a DP4 inhibitor.

30. (New/Amended) The composition of claim 29 wherein the antidiabetic agent is metformin.

31. (New/Amended) The composition of claim 29, wherein the antidiabetic agent is a SGLT2 inhibitor.

32. (New) A method for treating diabetes, insulin resistance, hyperglycemia, hyperinsulinemia, impaired glucose homeostasis, or impaired glucose tolerance in a mammal comprising administering to the mammal a pharmaceutical composition comprising a compound that is



or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier therefor.

33. (New) The method of claim 32, wherein the pharmaceutically acceptable salt is the hydrochloride salt.

34. (New) The method of claim 32, for treating diabetes.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 258 of 373

35. (New) The method of claim 33, for treating diabetes.

36. (Canceled)

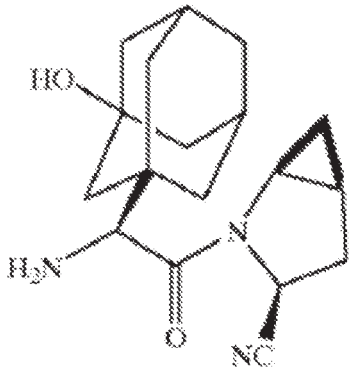
37. (Canceled)

38. (New/Amended) The method of any one of claims 32, 33, 34, or 35 wherein the pharmaceutical composition further comprises an antidiabetic agent other than a DP4 inhibitor.

39. (New/Amended) The method of claim 38, wherein the antidiabetic agent is metformin.

40. (New/Amended) The method of claim 38, wherein the antidiabetic agent is a SGLT2 inhibitor.

41. (New) A method for treating type II diabetes in a mammal comprising administering to the mammal a pharmaceutical composition comprising a compound that is



or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier therefor.

42. (New) The method of claim 41, wherein the pharmaceutically acceptable salt is the hydrochloride salt.

43. (New) The method of any one of claims 41 or 42, wherein the pharmaceutical composition further comprises an antidiabetic agent other than a DP4 inhibitor.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 259 of 373

0518

44. (New) The method of claim 43, wherein the antidiabetic agent is metformin.

45. (New) The method of claim 43, wherein the antidiabetic agent is a SGLT2 inhibitor.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 260 of 373

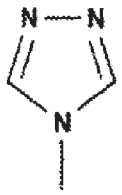
0519

Changes to 767 Patent Previously Entered by Certificate of Correction

1. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 7, line 4-col. 8, line 7 of the 767 patent:

Alternately, the carboxamide group in 8 may be converted to the nitrile as described above to give compound 9. Deprotection of PG_1 affords 10 which may be subject to standard peptide coupling conditions to afford 7, an intermediate in the synthesis of Ib. Compound 10 may also be generated by oxidation of the amine 2 (e.g. NCS) followed by hydrolysis and subsequent cyanide treatment. Compound 10 may be obtained as a mixture of stereoisomers or a single isomer/diastereomer which may be epimerized (employing conventional procedures) to afford a mixture of stereoisomers.

2. As indicated by the Certificate of Correction, please insert the following structure at col. 14, line 50 of the 767 patent:



3. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 14, lines 55-58 of the 767 patent:

The term "cycloheteroalkylalkyl" as used herein alone or as part of another group refers tocycloheteroalkyl groups as defined above linked through a C atom or heteroatom to a $(CH_2)_r$ chain.

4. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 15, lines 49-56 of the 767 patent:

The other antidiabetic agent may also preferably be a sulfonyl urea such as glyburide (also known as glibenclamide), glimepiride (disclosed in U.S. Pat. No. 4,379,785), glipizide, gliclazide or chlorpropamide, other known sulfonylureas or other

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 261 of 373

antihyperglycemic agents which act on the ATP-dependent channel of the β-cells, with glyburide and glipizide being preferred, which may be administered in the same or in separate oral dosage forms.

5. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 20, lines 57-62 of the 767 patent:

The other type of therapeutic agent which may be optionally employed with the DP4 inhibitor of formula I may be 1, 2, 3 or more of an anti-obesity agent including a beta 3 adrenergic agonist, a lipase inhibitor, a serotonin (and dopamine) reuptake inhibitor, a thyroid receptor beta drug, an anorectic agent and/or a fatty acid oxidation upregulator.

6. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 29, lines 15-29 of the 767 patent:

To a stirred solution of (S)-N-tert-butoxycarbonyl-isoleucine (231 mg, 1 mmol) and benzotriazol-1-yloxytripyrrolidinophosphonium hexafluorophosphate (780 mg, 1.5 mmol) in CH_2Cl_2 (6 mL) under nitrogen at rt was added 4-methylmorpholine (0.33 mL, 3 mmol). After 5 min, Step 1 compound (120 mg, 1 mmol) was added in one portion. The reaction mixture was stirred under nitrogen at rt overnight and then diluted with CH_2Cl_2 (30 mL), washed with 4.1% $KHSO_4$ (10 mL)), aqueous $NaHCO_3$ (10 mL), brine (10 mL), dried (Na_2SO_4) and evaporated. Purification by flash chromatography on silica gel (2.4x20 cm column, 1:3 EtOAc/hexane) gave the title compound as a colorless oil, 290 mg, 90% yield. LC/MS gave the correct molecular ion [$(M+H)^+$ =297] for the desired compound.

7. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 29, line 40-col. 30, line 3 of the 767 patent:

The reaction mixture of Step 2 compound (220 mg, 0.74 mmol) and 4 M HCl in dioxane (1.5 mL, 6 mmol) was stirred at rt for 2 h and evaporated under reduced pressure. Et_2O was added to the residue and a precipitate was formed. Et_2O was decanted and this was

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 262 of 373

0521

done three times. The precipitate was dried *in vacuo* to give the title compound as a white powder, 130 mg (76% yield), mp 205-206°C. LC/MS gave the correct molecular ion [$(M+H)^+$ = 197] for the desired compound.

8. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 32, lines 54-63 of the 767 patent:

To a stirred solution of Step 2 compounds (104 mg, 0.32 mmol) in CH_2Cl_2 (1 mL) at rt was added TFA (1 mL). The reaction mixture was stirred at rt for 2 h. The reaction mixture was added slowly to a precooled slurry of $NaHCO_3$ (2 g) in H_2O (2 mL). The mixture was extracted with CH_2Cl_2 (4 mL x 4), and combined CH_2Cl_2 layers were evaporated and purified by preparative HPLC to give the title compound Example 5 (36 mg) and Example 5A (36 mg). LC/MS gave the correct molecular ion [$(M+H)^+$ = 222] for the desired compounds..

9. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 32, line 66-col. 33, line 12 of the 767 patent:

General Method A: Parallel array synthesis methods for preparation of inhibitors from commercially available amino acids. As shown in Scheme 3, the ester 11, described in Example 1 Step 1, was saponified to the acid with LiOH in THF/H_2O and converted to the amide 12 by treatment with isobutyl chloroformate/NMM followed by ammonia in dioxane. The Boc protecting group was removed under acidic conditions using TFA in methylene chloride to give 13. The TFA salt was coupled to Boc-*t*-butylglycine using either EDAC/HOBT/DMF or EDAC/DMAP/CH_2Cl_2 to give 14. The amide was dehydrated to the nitrile 15 using $POCl_3$/imidazole in pyridine at –20°C and finally deprotected with TFA in CH_2Cl_2 at ambient temperature to afford the target 16. SCHEME 3, GENERAL METHOD A (EXAMPLES 6-27)

10. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 34, line 59-col. 35, line 13 of the 767 patent:

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 263 of 373

0522

An oven-dried 15-mL test tube was charged with Step 3 compound (56 mg, 0.22 mmol), N-*tert*-butoxycarbonyl-(L)-*tert*-leucine (53 mg, 0.23 mmol), dimethylaminopyridine (0.11 g, 0.88 mmol), and CH_2Cl_2 (4 mL). The tube was sealed under nitrogen atmosphere and treated with 1-[(3-(dimethyl)amino)propyl]-3-ethylcarbodiimide (84 mg, 0.44 mmol). The mixture was placed in a shaker and vortexed overnight. The product was purified by solid phase extraction using a United Technology SCX column (2 g of sorbent in a 6 mL column) by loading the material on a SCX ion exchange column and successively washing with CH_2Cl_2 (5 mL), 30% methanol in CH_2Cl_2 (5 mL), 50% methanol in CH_2Cl_2 (5 mL) and methanol (10 mL). The product containing fractions were concentrated under reduced pressure to give the desired amide. Further purification by reverse phase preparative column chromatography on a YMC S5 ODS 20 x 250 mm column gave the title compound, 50 mg (68% yield). Purification conditions: Gradient elution from 30% methanol/water/0.1 TFA to 90% methanol/water/0.1 TFA over 15 min. 5 min. hold at 90% methanol/water/0.1 TFA. Flow rate: 20 mL/min. Detection wavelength: 220. Retention Time: 14 min.

11. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 41, lines 36-46 of the 767 patent:

An oven-dried 10-mL round bottomed flask was charged with Step 2 compound (350 mg, 0.79 mmol), imidazole (108 mg, 1.58 mmol), pyridine (3 mL). The flask under argon was cooled to -30^0C. Slow addition of $POCl_3$ (0.30 mL, 3.16 mmol) gave after mixing a thick slurry. The slurry was mixed at -30^0C for 3 h and the volatiles evaporated. Dichloromethane (5 mL) was then added and the insoluble solid was removed by filtration. The organic layer was washed with H_2O, 10% citric acid, brine and dried over Na_2SO_4. Removal of solvent gave crude desired nitrile (330 mg) (LC/Mass, + ion): 424 (M+H).

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 264 of 373

0523

12. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 43, lines 20-29 of the 767 patent:

To a flame-dried 500-mL round-bottomed flask containing cyclopentylideneacetic acid ethyl ester (17.5 g, 113 mmol) in 100 mL anhydrous toluene at -78°C under argon was added DIBAL-H (189 mL of a 1.5 M solution in toluene, 284 mmol, 2.50 equiv) dropwise over a 30 min period through an addition funnel, and the mixture was then allowed to warm to rt, stirring for 18 h. The reaction mixture was then recooled to -78°C, and quenched by the careful addition of 30 mL anhydrous MeOH. Upon warming to rt, 1 N Rochelle's salt (100 mL) was added, and the mixture was stirred 90 min. The biphasic reaction mixture was then diluted with Et_2O (200 mL) in a separatory funnel, and the layers were separated. The organic layer was then washed with brine (100 mL), dried (Na_2SO_4), and concentrated under reduced pressure. Purification by flash column chromatography (silica gel, CH_2Cl_2 / EtOAc, 10:1) gave 11.6 g (92%) of the desired allylic alcohol as a colorless oil.

13. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 43, lines 53-67 of the 767 patent:

To a flame-dried 500-mL round-bottomed flask containing N-(*tert*-butyloxycarbonyl)glycine (13.45 g, 76.75 mmol) in 100 mL CH_2Cl_2 at rt was added Step 2 compound (8.61 g, 76.75 mmol, 1.00 equiv) in 20 mL CH_2Cl_2, followed by dicyclohexylcarbodiimide (16.63 g, mmol, 1.05 equiv) in 80 mL CH_2Cl_2. To this reaction mixture was then added 4-dimethylaminopyridine (0.94 mg, mmol, 0.10 equiv), and the mixture was allowed to stir overnight. The reaction mixture was then filtered through a medium sintered-glass funnel, rinsing with 100 mL CH_2Cl_2, and concentrated under reduced pressure. The crude product was then purified by flash chromatography (silica gel, hexanes/EtOAc, 20:1 to 1:1 gradient) to give 19.43 g (94%) of the desired glycinyl ester as a colorless oil.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 265 of 373

0524

14. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 44, lines 19-45 of the 767 patent:

A flame-dried 500-mL round-bottomed flask under argon was charged with $ZnCl_2$ (11.8 g, mmol, 1.20 equiv) and 20 mL toluene. The mixture was heated under vacuum with vigorous stirring to azeotrope off any traces of moisture with the distilling toluene, repeating this process (2 x). The flask was then cooled to rt under argon, (2-cyclopentylideneethyl) N-(*tert*-butyloxycarbonyl)glycinate (19.36 g, 71.88 mmol) was added via cannula as a solution in 180 mL THF, and the mixture was then cooled to -78°C. In a separate flame-dried 200-mL round-bottomed flask containing diisopropylamine (26.3 mL, mmol, 2.60 equiv) in 90 mL THF at -78°C was added n-butyllithium (71.89 mL of a 2.5 M solution in hexanes, mmol, 2.5 equiv), and the mixture was allowed to warm to 0°C for 30 min before recooling to -78°C. The lithium diisopropylamine thus generated was then added via cannula to the $ZnCl_2$ ester mixture dropwise at a steady rate over 40 min, and the resultant reaction mixture was allowed to slowly warm to rt and stir overnight. The yellow reaction mixture was then poured into a separatory funnel, diluted with 300 mL Et_2O, and the resultant organic solution was washed successively with 300 mL 1N HCl and 300 mL brine, dried (Na_2SO_4), and concentrated under reduced pressure. Purification by flash chromatography (silica gel, 3% MeOH in CH_2Cl_2 with 0.5% HOAc) gave 17.8 g (92%) of the desired amino acid product as a white solid. (FAB MH+ 270).

15. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col 46, lines 48-59 of the 767 patent:

Step 2 compound (32 mg, 0.09 mmol) was dissolved in 1 mL of CH_2Cl_2 and 1 mL of TFA was added and the reaction stirred for 30 min at rt and was evaporated to dryness. The product was purified by reverse phase preparative column chromatography on a YMC S5 ODS 20 X 250 mm column to give 12 mg of the TFA salt (lyophilized from water or isolated after evaporation of eluent and trituration with ether) the title compound. Purification conditions: gradient elution from 10% methanol/water/0.1 TFA

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 266 of 373

0525

to 90% methanol/water/0.1 TFA over 18 min; 5 min. hold at 90% methanol/water/0.1 trifluoroacetic acid. Flow rate: 20 mL/min. Detection wavelength: 220.

16. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 46, lines 61-67 of the 767 patent:

Examples 30–39 were prepared by the methods outlined in General Method B and General Method C starting from cyclopentanone, cyclobutanone, cyclohexanone, cycloheptanone, cyclooctanone, cis-3,4-dimethylcyclopentanone, and 4-pyranone, cyclopropaneethylhemiacetal, acetone, and 3-pentanone respectively.

17. As indicated by the Certificate of Correction, at col. 52, line 64 of the 767 patent, change "25" to ---28--.

18. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 53, lines 28-45 of the 767 patent:

N-Boc protected cyclobutylvinyl compound (Example 31, prepared by general method C) (0.16 g, 0.46 mmol) was dissolved in 10 mL of a 1:1 mixture of THF:water and treated with OsO_4 (12 mg, catalyst) and $NaIO_4$ (0.59 g, 2.76 mmol, 6 equiv). After 2 h, the reaction mixture was diluted with 50 mL of ether and 10 mL of water. The layers were equilibrated and the organic fraction was washed one time with $NaHCO_3$ solution, dried over $MgSO_4$ and concentrated to give a dark oil. The oil was diluted with 10 mL of methanol and treated with $NaBH_4$ (0.08 g, 2.0 mmol). The mixture turned very dark and after 30 min was diluted with ether and the reaction was quenched with aqueous $NaHCO_3$ solution. The mixture was equilibrated and layers separated. The organic fraction was washed with solutions of $NaHCO_3$ and 0.1 M HCl. The organics were dried ($MgSO_4$) and concentrated to give 90 mg (56%) of the Step 1 compound as a dark oil.

19. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 53, lines 59-67 of the 767 patent:

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 267 of 373

0526

Step 1 compound (90 mg, 0.26 mmol) was dissolved in 3 mL of CH_2Cl_2, cooled to 0°C and treated with 3 mL of freshly distilled TFA. The reaction was complete in 80 min and evaporated to dryness and purified by preparative HPLC (YMC S5 ODS 30 x 100 mm, 10 minute gradient 100%A to 100%B, Solvent A = 10% MeOH-90%H2O-0.1% TFA, Solvent B = 90% MeOH-10% H_2O -0.1% TFA, to give, after removal of water, 50 mg (60%) of title compound. (MH+250).

20. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 62, lines 56-67 of the 767 patent:

The Step 2 compound (95 mg, 0.22 mmol, 1 equiv) was dissolved in anhydrous CH_2Cl_2 (2.5 mL) under argon and cooled to –78°C. The mixture was treated with diisopropylethylamine (65 μL, 0.37 mmol, 1.7 equiv), and triethylsilyl triflate (75 μL, 0.33 mmol, 1.5 equiv), and stirred at 0°C for 1.5 h. The reaction was mixed with MeOH (0.5 mL), silica gel (200 mg) and H_2O (2 drops) and stirred at rt for 18 h. The solvent was removed by rotary evaporation and the residue purified flash column chromatography on silica gel(2.5x10 cm) with 4% MeOH/CH_2Cl_2 to afford the product (92 mg, 0.17 mmol, 77%): MS m/e 540 $(m+H)^+$.

21. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 66, lines 11-27 of the 767 patent:

An oven-dried flask equipped with a condenser and drying tube was charged with norbornane-2-carboxylic acid (4.92 g, 35 mmol, 1 equiv) and treated with bromine (2.1 mL, 41 mmol, 1.15 equiv) and phosphorous trichloride (0.153 mL, 1.8 mmol, 0.05 equiv). The mixture was heated at 85°C for 7 h protected from light. Additional bromine (0.4 mL, 7.8 mmol, 0.22 equiv) was added with continued heating for 1 h. The mixture was cooled to rt, and Et_2O (100 mL) was added. The mixture was washed with 10% aq $NaHSO_3$ (50 mL), H_2O (2x50 mL), and brine (25 mL). The ether fraction was dried (Na_2SO_4), filtered and concentrated by rotary evaporation. The product was purified by flash column chromatography on silica gel (5x15 cm) with 2% to 4% MeOH/CH_2Cl_2 +

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 268 of 373

0527

0.5% HOAc. The product was chased with hexanes to remove residual HOAc. The isolated material consists of two inseparable materials (4.7 g), which was used without further purification in the next step.

22. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 69, lines 20-27 of the 767 patent:

To a 50-mL round-bottomed flask containing Step 2 compound (0.72 g, 4.20 mmol) in 8 mL of water at rt was added NaCN (0.20 g, 4.20 mmol) followed by NH_4Cl (0.20 g, 5.00 mmol). To this reaction mixture was then added methanol (8 mL) and the mixture was allowed to stir overnight. The reaction mixture was then extracted with ether (2x15 mL), dried ($MgSO_4$) and concentrated under reduced pressure to give the crude Strecker product.

23. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 69, lines 28-44 of the 767 patent:

To a 100-mL round-bottomed flask containing the crude Strecker product was added 10 mL of HOAc and 10 mL of conc. HCl. The mixture was refluxed overnight. The mixture was concentrated under reduced pressure to give a yellow solid. The solid was triturated with 5 mL of 1:1 mixture of ether and hexanes. The white solid was treated with triethylamine (1.4 mL, 9.99 mmol) and di-*tert*-butyldicarbonate (1.00 g, 4.60 mmol) in 50 mL DMF. After 4 h the pH of the mixture was adjusted to 9 with saturated Na_2CO_3 soln. After an additional 3 h of stirring the mixture was extracted with 1:1 ether and hexanes and the aqueous fraction acidified to pH 2 with 5% $KHSO_4$ solution. The aqueous phase was washed with ether (2 X 40 mL), the organics dried ($MgSO_4$), and evaporated to an oil that was purified by silica gel flash chromatography with 8:92 methanol:CH_2Cl_2 to give 0.3 g (23%) of the Boc-protected amino acid as a light oil (M-H, 318).

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 269 of 373

0528

24. As indicated by the Certificate of Correction, please move "Step 1" at col. 70, line 56 of the 767 patent to col. 70, line 65.

25. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 72, lines 30-49 of the 767 patent:

Sodium ethoxide (940 mg of 21 wt% solution in ethanol, 2.9 mmol) in ethanol (2 mL) was added to a stirred solution of diethyl acetamidomalonate (4.31g, 19.8 mmol) in EtOH (23 mL) at rt under argon. The reaction mixture was cooled to 0°C; and trans-2-pentenal (1.51 g, 18.0 mmol) was added dropwise maintaining the reaction temperature at < 5°C. After the addition, the reaction was allowed to warm to rt, stirred for 4 h, then quenched with acetic acid (460 μl). The solution was concentrated *in vacuo*, and the residue dissolved in EtOAc (25 mL), washed with 10% $NaHCO_3$ solution (2x5 mL), brine and dried ($MgSO_4$). The solution was filtered and concentrated to a 10 mL volume, then heated to reflux and diluted with hexane (20 mL). Upon cooling to rt, the title compound precipitated and was collected to give 3.0 g (50%) of the Step 1 compound (mp 106-109°C; LC/Mass: + ions, 324 M+Na).

26. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 72, line 64-col. 73, line 8 of the 767 patent:

To a solution of Step 1 compound (2.87 g, 9.5 mmol) and triethylsilane (2.28 mL, 14.3 mmol) in CH_2Cl_2 (30 mL) under argon was added TFA (7.35 mL, 95.3 mmol) dropwise with stirring while maintaining the internal temperature at 25^0C by means of an ice bath. After stirring for 4 h at rt, the solution was concentrated. The residue was diluted with CH_2Cl_2 (100 mL), then treated with H_2O (50 mL) and solid Na_2CO_3 with vigorous stirring until the mixture was basic. The organic layer was separated, dried (Na_2SO_4), filtered, then concentrated to give the Step 2 compound as a yellow oil which was used without further purification (LC/Mass: + ions, 308 M+Na).

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 270 of 373

0529

27. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 73, lines 22-27 of the 767 patent:

Step 2 compound (3.73 g, 9.5 mmol) was suspended in 6 N HCl (20 mL) and HOAc (5 mL) and heated at reflux for 20 h. The reaction mixture was then cooled, washed with EtOAc (20 mL), then concentrated to give an oil which crystallized upon trituration with ether to give the title compound (1.2 g, 70.6%) (LC/Mass, + ion): 144 (M+H).

28. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 74, lines 26-41 of the 767 patent:

Sodium ethoxide (940 mg, 2.9 mmol; 21% w/w solution in ethanol) in ethanol (2 mL) was added to a stirred solution of diethyl acetamidomalonate (4.31 g, 19.8 mmol) in EtOH (23 mL) at rt under argon. The reaction mixture was cooled to 0^0C; and 4-methyl-2-pentenal (1.77 g, 18.0 mmol)was added dropwise maintaining the reaction temperature at < 5°C. After the addition, the reaction was allowed to warm to rt, stirred for 4 h, then quenched with acetic acid (460 μl). The solution was concentrated and the remainder dissolved in EtOAc (25 mL). The organics were washed with 10% $NaHCO_3$ solution (2x5 mL), brine and dried ($MgSO_4$). The solution was filtered and concentrated to 10 mL volume, then heated to reflux and treated with hexane (20 mL). On cooling, the Step 1 compound precipitated and was collected (3.3 g) (LC/Mass, + ion): 338 (M+Na).

29. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 79, lines 54-67 of the 767 patent:

N-[((S)-cyclopentylvinyl)-N-tert-butoxycarbonylglycinyl]-(2S,4S,5S)-2-cyano-4,5-methano-L-prolylamide (70 mg, 0.19 mmol) described in General Method C, Step 2 was dissolved in a mixture of 2 mL *t*-BuOH / 3 mL THF and N-methylmorpholine-N-oxide (33mg, 0.28 mmol) was added followed by osmium tetroxide (0.1 mmol, 50 mol%). The reaction was quenched with 1 mL of 10% aqueous Na_2SO_3 and was taken up in EtOAc and washed with H_2O 5 mL, dried (Na_2SO_4), filtered, evaporated and purified by silica gel flash chromatography (5% MeOH/CH_2Cl_2) to give 41 mg (55%) of the protected diol

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 271 of 373

0530

as an oil. The title compound was obtained by deprotection of the amine functionality with TFA according to General Method C (FAB MH+ 294).

30. As indicated by the Certificate of Correction, please substitute the following paragraph for the paragraph at col. 82, lines 52-67 of the 767 patent:

According to literature (J. Org. Chem 1994, 59, 8215), a solution of Step 3 compound (0.875 g, 3.83 mmol) in dry benzene (4.0 mL) was treated with triethylamine (0.52 mL, 3.83 mmol) and diphenylphosphoryl azide (0.85 mL, 3.83 mmol), refluxed under nitrogen for 1 h and cooled to rt. The solution was treated with benzyl alcohol (0.60 mL, 5.75 mmol or 1.5 equiv), refluxed for 17 h, cooled then diluted with ether (40 mL). The solution was washed with 10% aqueous citric acid (2x3 mL),back-extracting the citric acid wash with ether (40 mL). The combined organic extracts were washed with 5% sodium bicarbonate (2x3 mL), dried ($MgSO_4$), filtered, and concentrated. Flash chromatography on silica gel of the crude product with 10% EtOAc in hexane (1.0 L) gave step 4 compound as a clear thick syrup. Yield: 1.15 g (90%). MS(M+H) 334.

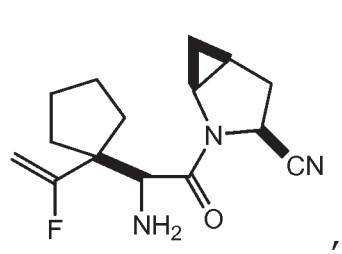
31. As indicated by the Certificate of Correction, at col. 84, line 34 of the 767 patent, please replace "NS" with --MS--.

32. As indicated by the Certificate of Correction, please replace claim 8 at col. 91, lines 9-49 with the following corrected claim:

8. A compound having the structure:

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 272 of 373

0531



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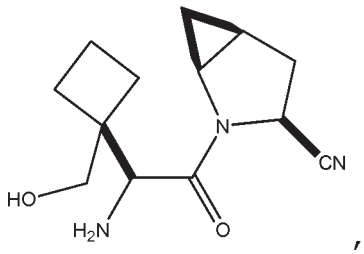

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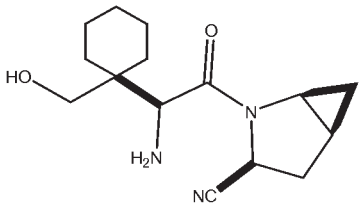

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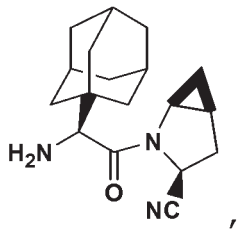

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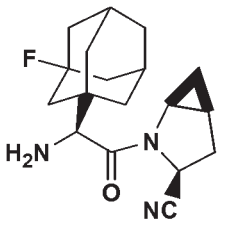

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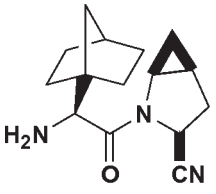

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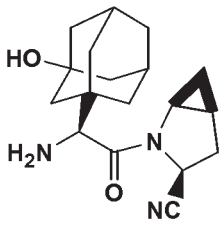
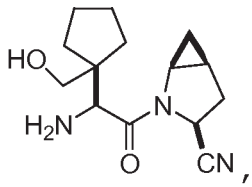


or



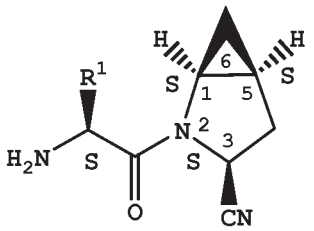
,

or a pharmaceutically acceptable salt thereof.

33. As indicated by the Certificate of Correction, please replace claim 10 at col. 91, line 54-col. 92, line 18 with the following corrected claim:

10. A compound which is

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 273 of 373

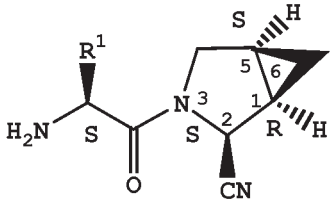


A

(1S,2(2S),3S,5S)

wherein R^1 is alkyl, cycloalkyl, bicycloalkyl, tricycloalkyl, alkylcycloalkyl, hydroxyalkyl, hydroxycycloalkyl, hydroxyalkylcycloalkyl, hydroxybicycloalkyl, or hydroxytricycloalkyl,

or



B

(1R,2S,3(2S),5S)

wherein R^1 is alkyl, cycloalkyl, bicycloalkyl, tricycloalkyl, alkylcycloalkyl, hydroxyalkyl, hydroxycycloalkyl, hydroxyalkylcycloalkyl, hydroxybicycloalkyl, or hydroxytricycloalkyl.

34. As indicated by the Certificate of Correction, please replace claim 15 at col. 92, lines 36 to 44 of the 767 patent with the following corrected claim:

15. The combination as defined in Claim 14 wherein the antidiabetic agent is 1, 2, 3 or more of metformin, glyburide, glimepiride, glipyride, glipizide, chlorpropamide, gliclazide, acarbose, miglitol, pioglitazone, troglitazone, rosiglitazone, insulin, Gl-262570, isaglitazone, JTT-501, NN-2344, L895645, YM-440, R-119702, AJ9677, repaglinide, nateglinide, KAD1129, AR-HO39242, GW-409544, KRP297, AC2993, Exendin-4, LY307161, NN2211, and/or LY315902.

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 274 of 373

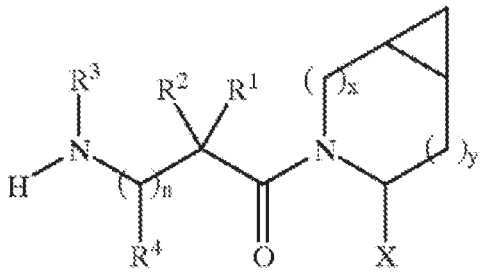
0533

Amendments to the Claims of the 767 Patent:

Please further amend the claims of the 767 patent as shown below (deletions and additions are shown relative to the claims as issued in the 767 patent):

Amend claim 1 as follows:

1. A compound having the structure



wherein x is 0 or 1 and y is 0 or 1, provided that

x=1 when y=0 and

x=0 when y=1; and wherein

n is 0 or 1;

X is H or CN;

R^1, R^2, R^3 and R^4 are the same or different and are independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, tricycloalkyl, alkylcycloalkyl, hydroxyalkyl, hydroxyalkylcycloalkyl, hydroxycycloalkyl, hydroxybicycloalkyl, hydroxytricycloalkyl, bicycloalkylalkyl, alkylthioalkyl, arylalkylthioalkyl, cycloalkenyl, aryl, aralkyl, heteroaryl, heteroarylalkyl,

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 275 of 373

0534

cycloheteroalkyl or cycloheteroalkylalkyl; all optionally substituted through available carbon atoms with 1, 2, 3, 4 or 5 groups selected from hydrogen, halo, alkyl, polyhaloalkyl, alkoxy, haloalkoxy, polyhaloalkoxy, alkoxycarbonyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, polycycloalkyl, heteroarylamino, arylamino, cycloheteroalkyl, cycloheteroalkylalkyl, hydroxy, hydroxyalkyl, nitro, cyano, amino, substituted amino, alkylamino, dialkylamino, thiol, alkylthio, alkylcarbonyl, acyl, alkoxycarbonyl, aminocarbonyl, alkynylaminocarbonyl, alkylaminocarbonyl, alkenylaminocarbonyl, alkylcarbonyloxy, alkylcarbonylamino, arylcarbonylamino, alkylsulfonylamino, alkylaminocarbonylamino, alkoxycarbonylamino, alkylsulfonyl, aminosulfinyl, aminosulfonyl, alkylsulfinyl, sulfonamido or sulfonyl;

and R^1 and R^3 may optionally be taken together to form $(CR^5R^6)_m$ where m is 2 to 6, and R^5 and R^6 are the same or different and are independently selected from hydroxy, alkoxy, H, alkyl, alkenyl, alkynyl, cycloalkyl, halo, amino, substituted amino, cycloalkylalkyl, cycloalkenyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl, alkylcarbonylamino, arylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, alkoxycarbonyl, aryloxycarbonyl, or alkylaminocarbonylamino, or R^1 and R^4 may optionally be taken together to form $(CR^7R^8)_p$ wherein p is 2 to 6, and R^7 and R^8 are the same or different and are independently selected from hydroxy, alkoxy, cyano, H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, halo, amino, substituted amino, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl, alkylcarbonylamino, arylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, alkoxycarbonyl, aryloxycarbonyl, or alkylaminocarbonylamino, or optionally R^1 and R^3 together with

Sun-Amneal-IPR2016-01104- Ex. 1006. Part 2, p. 276 of 373

0535