

07-09-01

A/Prod

Type a plus sign (+) inside this box

SUBSTITUTE for Provisional Application for Patent Cover Sheet PTO/SB/16 (8-00)
Approved for use through 10/31/2002 OMB 0651-0037
U.S. Patent and Trademark Office, U.S. DEPARTMENT OF COMMERCE

J1036 U.S. PRO
60/303474
07/06/01

J1036 U.S. PRO
60/303474
07/06/01

PROVISIONAL APPLICATION FOR PATENT COVER SHEET

This is a request for filing a **PROVISIONAL APPLICATION FOR PATENT** under 37 CFR 1.53 (c).

DOCKET NUMBER	20907PV
---------------	---------

INVENTOR(S)					
Given Name (first and middle [if any])	Family Name or Surname	Residence (City and either State or Foreign Country)			
Scott D.	Edmondson	New York, NY			
Michael H.	Fisher	Ringoes, NJ			
Dooseop	Kim	Westfield, NJ			
Malcolm	MacCoss	Freehold, NJ			
Emma R.	Parmee	Scotch Plains, NJ			
Ann E.	Weber	Scotch Plains, NJ			
Jinyou	Xu	Scotch Plains, NJ			
<input type="checkbox"/> Additional inventors are being named on the _____ separately numbered sheets attached hereto					
TITLE OF THE INVENTION (280 characters max)					
BETA-AMINO HETEROCYCLIC DIPEPTIDYL PEPTIDASE INHIBITORS FOR THE TREATMENT OR PREVENTION OF DIABETES					
CORRESPONDENCE ADDRESS					
Direct all Correspondence to:					
Merck & Co., Inc.		Patent Department - RY60-30		<input checked="" type="checkbox"/> Customer Number	000210
P.O. Box 2000		Rahway			
STATE	New Jersey	ZIP CODE	07065	COUNTRY	U.S.A
ENCLOSED APPLICATION PARTS (check all that apply)					
<input checked="" type="checkbox"/>	Specification	Number of Pages	66		
<input type="checkbox"/>	Drawing(s)	Number of Sheets		<input type="checkbox"/> Other (specify)	
<input type="checkbox"/>	Application Data Sheet. See 37 CFR 1.76				
METHOD OF PAYMENT OF FILING FEES FOR THIS PROVISIONAL APPLICATION FOR PATENT (check one)					
<input type="checkbox"/> A check or money order is enclosed to cover the filing fees				FILING FEE AMOUNT (\$)	\$150.00
<input checked="" type="checkbox"/> The Commissioner is hereby authorized to charge filing fees or credit any overpayment to Deposit Account Number: 13-2755					

The invention was made by an agency of the United States Government or under a contract with an agency of the United States Government.

- No.
- Yes, the name of the U.S. Government agency and the Government contract number are: _____

Respectfully submitted,

SIGNATURE J. Eric Thies
 TYPED or PRINTED NAME J. Eric Thies
 TELEPHONE 732-594-3904

Date 07/06/2001
 REGISTRATION NO. 35,382
 (if appropriate)

EXPRESS MAIL CERTIFICATE	
DATE OF DEPOSIT	July 6, 2001
EXPRESS MAIL NO.	EL523910547US
I HEREBY CERTIFY THAT THIS CORRESPONDENCE IS BEING DEPOSITED WITH THE UNITED STATES POSTAL SERVICE AS EXPRESS MAIL "POST OFFICE TO ADDRESSEE" ON THE ABOVE DATE IN AN ENVELOPE ADDRESSED TO ASSISTANT COMMISSIONER FOR PATENTS, WASHINGTON, D.C. 20531.	
MAILED BY <u>S. P. Crowley</u>	DATE <u>July 6, 2001</u>

In Duplicate

Computer generated form "Transmittal Form-PV" (Application Filing Folder) Merck & Co., Inc., 9/28/00



Find authenticated court documents without watermarks at docketalarm.com.

INVENTOR INFORMATION

Inventor One Given Name:: Scott D.
Family Name:: Edmondson
Postal Address Line One:: Merck & Co., Inc., P.O. Box 2000
City:: Rahway
State or Province:: NJ
Country:: U.S.A.
Postal or Zip Code:: 07065-0907
City of Residence:: New York
State or Province of Residence:: NY
Country of Residence:: U.S.A.
Citizenship Country:: U.S.A.
Inventor Two Given Name:: Michael H.
Family Name:: Fisher
Postal Address Line One:: Merck & Co., Inc., P.O. Box 2000
City:: Rahway
State or Province:: NJ
Country:: U.S.A.
Postal or Zip Code:: 07065-0907
City of Residence:: Ringoes
State or Province of Residence:: NJ
Country of Residence:: U.S.A.
Citizenship Country:: U.S.A.
Inventor Three Given Name:: Dooseop
Family Name:: Kim
Postal Address Line One:: Merck & Co., Inc., P.O. Box 2000
City:: Rahway
State or Province:: NJ
Country:: U.S.A.
Postal or Zip Code:: 07065-0907
City of Residence:: Westfield
State or Province of Residence:: NJ
Country of Residence:: U.S.A.
Citizenship Country:: Korea
Inventor Four Given Name:: Malcolm
Family Name:: MacCoss
Postal Address Line One:: Merck & Co., Inc., P.O. Box 2000
City:: Rahway
State or Province:: NJ
Country:: U.S.A.
Postal or Zip Code:: 07065-0907
City of Residence:: Freehold
State or Province of Residence:: NJ
Country of Residence:: U.S.A.
Citizenship Country:: United Kingdom
Inventor Five Given Name:: Emma R.
Family Name:: Parmee
Postal Address Line One:: Merck & Co., Inc., P.O. Box 2000
City:: Rahway

2025 RELEASE UNDER E.O. 14176

State or Province:: NJ
Country:: U.S.A.
Postal or Zip Code:: 07065-0907
City of Residence:: Scotch Plains
State or Province of Residence:: NJ
Country of Residence:: U.S.A.
Citizenship Country:: United Kingdom
Inventor Six Given Name:: Ann E.
Family Name:: Weber
Postal Address Line One:: Merck & Co., Inc., P.O. Box 2000
City:: Rahway
State or Province:: NJ
Country:: U.S.A.
Postal or Zip Code:: 07065-0907
City of Residence:: Scotch Plains
State or Province of Residence:: NJ
Country of Residence:: U.S.A.
Citizenship Country:: U.S.A.
Inventor Seven Given Name:: Jinyou
Family Name:: Xu
Postal Address Line One:: Merck & Co., Inc., P.O. Box 2000
City:: Rahway
State or Province:: NJ
Country:: U.S.A.
Postal or Zip Code:: 07065-0907
City of Residence:: Scotch Plains
State or Province of Residence:: NJ
Country of Residence:: U.S.A.
Citizenship Country:: China

CORRESPONDENCE INFORMATION

Correspondence Customer Number:: 000210

APPLICATION INFORMATION

Title Line One:: BETA-AMINO HETEROCYCLIC DIPEPTIDYL PEPTI
Title Line Two:: DASE INHIBITORS FOR THE TREATMENT OR PRE
Title Line Three:: VENTION OF DIABETES
Formal Drawings?: No
Application Type:: Utility
Docket Number:: 20907PV
Secrecy Order in Parent Appl.?: No

REPRESENTATIVE INFORMATION

Registration Number One:: 35382
Registration Number Two:: 26332

FOR "WATERMARKS"

Source:: PrintEFS Version 1.0.1

2025-07-10 10:00:00

TITLE OF THE INVENTION
BETA-AMINO HETEROCYCLIC DIPEPTIDYL PEPTIDASE INHIBITORS FOR
THE TREATMENT OR PREVENTION OF DIABETES

5 BACKGROUND OF THE INVENTION

Diabetes refers to a disease process derived from multiple causative factors and characterized by elevated levels of plasma glucose or hyperglycemia in the fasting state or after administration of glucose during an oral glucose tolerance test. Persistent or uncontrolled hyperglycemia is associated with increased and premature morbidity and mortality. Often abnormal glucose homeostasis is associated both directly and indirectly with alterations of the lipid, lipoprotein and apolipoprotein metabolism and other metabolic and hemodynamic disease. Therefore patients with Type 2 diabetes mellitus are at especially increased risk of macrovascular and microvascular complications, including coronary heart disease, stroke, peripheral vascular disease, hypertension, nephropathy, neuropathy, and retinopathy. Therefore, therapeutic control of glucose homeostasis, lipid metabolism and hypertension are critically important in the clinical management and treatment of diabetes mellitus.

There are two generally recognized forms of diabetes. In type 1 diabetes, or insulin-dependent diabetes mellitus (IDDM), patients produce little or no insulin, the hormone which regulates glucose utilization. In type 2 diabetes, or noninsulin dependent diabetes mellitus (NIDDM), patients often have plasma insulin levels that are the same or even elevated compared to nondiabetic subjects; however, these patients have developed a resistance to the insulin stimulating effect on glucose and lipid metabolism in the main insulin-sensitive tissues, which are muscle, liver and adipose tissues, and the plasma insulin levels, while elevated, are insufficient to overcome the pronounced insulin resistance.

Insulin resistance is not primarily due to a diminished number of insulin receptors but to a post-insulin receptor binding defect that is not yet understood. This resistance to insulin responsiveness results in insufficient insulin activation of glucose uptake, oxidation and storage in muscle and inadequate insulin repression of lipolysis in adipose tissue and of glucose production and secretion in the liver.

The available treatments for type 2 diabetes, which have not changed substantially in many years, have recognized limitations. While physical exercise and reductions in dietary intake of calories will dramatically improve the diabetic

Explore Litigation Insights

Docket Alarm provides insights to develop a more informed litigation strategy and the peace of mind of knowing you're on top of things.

Real-Time Litigation Alerts



Keep your litigation team up-to-date with **real-time alerts** and advanced team management tools built for the enterprise, all while greatly reducing PACER spend.

Our comprehensive service means we can handle Federal, State, and Administrative courts across the country.

Advanced Docket Research



With over 230 million records, Docket Alarm's cloud-native docket research platform finds what other services can't. Coverage includes Federal, State, plus PTAB, TTAB, ITC and NLRB decisions, all in one place.

Identify arguments that have been successful in the past with full text, pinpoint searching. Link to case law cited within any court document via Fastcase.

Analytics At Your Fingertips



Learn what happened the last time a particular judge, opposing counsel or company faced cases similar to yours.

Advanced out-of-the-box PTAB and TTAB analytics are always at your fingertips.

API

Docket Alarm offers a powerful API (application programming interface) to developers that want to integrate case filings into their apps.

LAW FIRMS

Build custom dashboards for your attorneys and clients with live data direct from the court.

Automate many repetitive legal tasks like conflict checks, document management, and marketing.

FINANCIAL INSTITUTIONS

Litigation and bankruptcy checks for companies and debtors.

E-DISCOVERY AND LEGAL VENDORS

Sync your system to PACER to automate legal marketing.