

(12)

(21) 2 383 971

(22) 05.09.2000

(51) Int. Cl.⁷: **A61K 31/235**, A61P 27/02, A61K 47/04, A61K 9/06, A61K 9/10, A61K 47/10, A61K 47/12, A61K 47/32

(85) 05.03.2002

(86) PCT/JP00/06014

(87) WO01/017527

(30) 11/251538 JP 06.09.1999

Chuo-ku, Osaka-shi
541-0046, OSAKA, XX (JP).

(71)

ONO PHARMACEUTICAL CO., LTD.,
1-5, Doshomachi 2-chome
Chuo-ku
Osaka-shi
541-8526, OSAKA, XX (JP).
SENJU PHARMACEUTICAL CO., LTD.,
5-8 Hiranomachi 2-chome

(72)

KAWABATA, KAZUHITO (JP).
NAKA, HIROAKI (JP).
TOKUSHIGE, HIDEKI (JP).

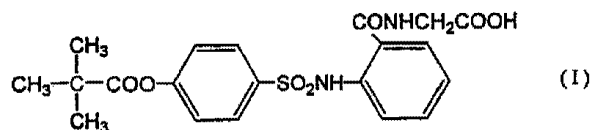
(74)

FETHERSTONHAUGH & CO.

(54) MEDICAMENTS THERAPEUTIQUE ET PROPHYLACTIQUE POUR TRAITER LES MALADIES OPHTALMIQUES
(54) PROPHYLACTIC AND THERAPEUTIC MEDICAMENTS FOR OPHTHALMIC DISEASES

(57)

Preventive and therapeutic agents for eye diseases, particularly inflammatory eye diseases and corneal ulcer, containing as the active ingredient the compound of formula (I), pharmacologically acceptable salts thereof, or hydrates of both.

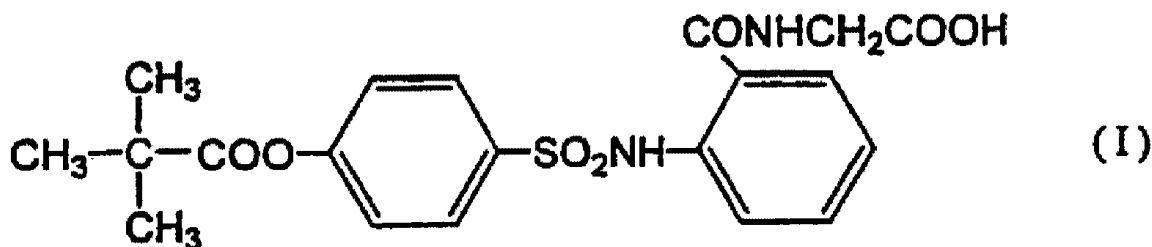


(86) Date de dépôt PCT/PCT Filing Date: 2000/09/05
(87) Date publication PCT/PCT Publication Date: 2001/03/15
(85) Entrée phase nationale/National Entry: 2002/03/05
(86) N° demande PCT/PCT Application No.: JP 2000/006014
(87) N° publication PCT/PCT Publication No.: 2001/017527
(30) Priorité/Priority: 1999/09/06 (11/251538) JP

(51) Cl.Int.⁷/Int.Cl.⁷ A61K 31/235, A61K 47/32, A61K 47/12, A61P 27/02, A61K 47/10, A61K 9/10, A61K 9/06, A61K 47/04
(71) Demandeurs/Applicants:
SENJU PHARMACEUTICAL CO., LTD., JP;
ONO PHARMACEUTICAL CO., LTD., JP
(72) Inventeurs/Inventors:
NAKA, HIROAKI, JP;
KAWABATA, KAZUHITO, JP;
TOKUSHIGE, HIDEKI, JP
(74) Agent: FETHERSTONHAUGH & CO.

(54) Titre : MEDICAMENTS THERAPEUTIQUE ET PROPHYLACTIQUE POUR TRAITER LES MALADIES OPHTALMIQUES

(54) Title: PROPHYLACTIC AND THERAPEUTIC MEDICAMENTS FOR OPHTHALMIC DISEASES



(57) Abrégé/Abstract:

Preventive and therapeutic agents for eye diseases, particularly inflammatory eye diseases and corneal ulcer, containing as the active ingredient the compound of formula (I), pharmacologically acceptable salts thereof, or hydrates of both.

Canada

<http://opic.gc.ca> • Ottawa-Hull K1A 0C9 • <http://cipo.gc.ca>

OPIC • CIPQ 191

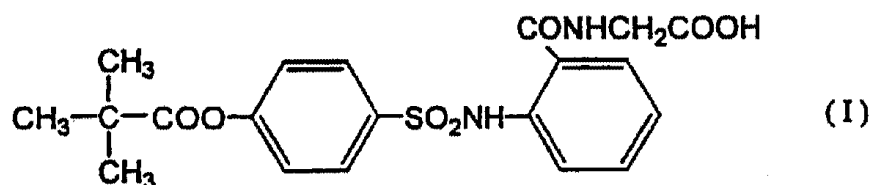
OPIC



CIPO

Abstract of the disclosure:

The present invention provides a prophylactic and therapeutic medicament for ophthalmic diseases, especially ophthalmic inflammatory diseases and corneal ulcer, comprising as an active ingredient a compound represented by the formula (I):



or a pharmacologically acceptable salt or hydrate thereof.

PROPHYLACTIC AND THERAPEUTIC MEDICAMENTS FOR OPHTHALMIC
DISEASES

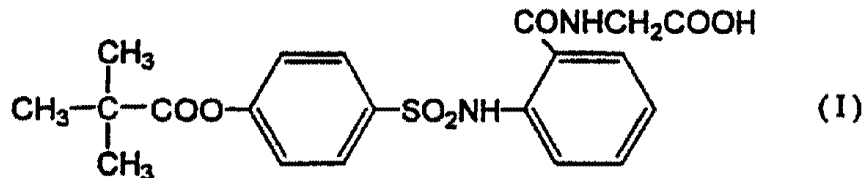
5 TECHNICAL FIELD

The present invention relates to a prophylactic and therapeutic medicament for ophthalmic diseases having a leukocyte (neutrophil)-derived elastase inhibitory activity.

10

BACKGROUND OF THE INVENTION

JP-B 5-81586 and JP-A 5-194366 (corresponding to EP-A 539223) disclose a compound represented by the formula (I):



15

(hereinafter referred to as a compound of Formula (I)) and a salt or hydrate thereof, which has a human neutrophil-derived elastase inhibitory activity and is effective for preventing and treating diseases such as pulmonary emphysema, atherosclerosis and rheumatoid arthritis.

20

On the other hand, the ophthalmologic field also

involves various diseases relating to leukocytes and their elastases. For example, ophthalmic infections, corneal traumas, corneal ulcers and uveitis may be mentioned. In an ophthalmic infection, the cellular infiltration of leukocytes results in an intraocular abscess [Invest. Ophthalmol. Vis. Sci., 40, 385-391 (1999)]. An alkaline trauma (erosion) which is one of corneal traumas allows leukocytes to be infiltrated into corneal stromal cells at an early stage of the alkaline erosion, two to three weeks after which the elevation of leukocyte elastase activity is observed [Ophthalmic. Res., 29, 154-160 (1997)]. Also in a case of corneal ulcers, a corneal wound or detachment results in the infiltration of leukocytes into a corneal stroma, which leads to the release or secretion of a protease such as an elastase or collagen [Klin. Monatsbl. Augenheilkd, 188, 593-595 (1986)]. An uveitis, especially Behcet's disease, was reported to undergo an increase in a plasma leukocyte elastase [Clin. Chim. Acta 236:129-134 (1995), Acta, Ophthalmol. Scand. 75:287-289 (1997), J.Reumatol. 25: 326-328 (1998)]. While leukocytes or their elastases were reported to be involved in the ophthalmic diseases mentioned above, no actual effect of the administration of an elastase inhibitor was reported.

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.