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(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

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

(72)

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

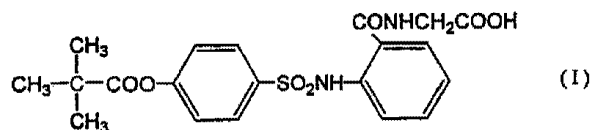
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FETHERSTONHAUGH & CO.

(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.

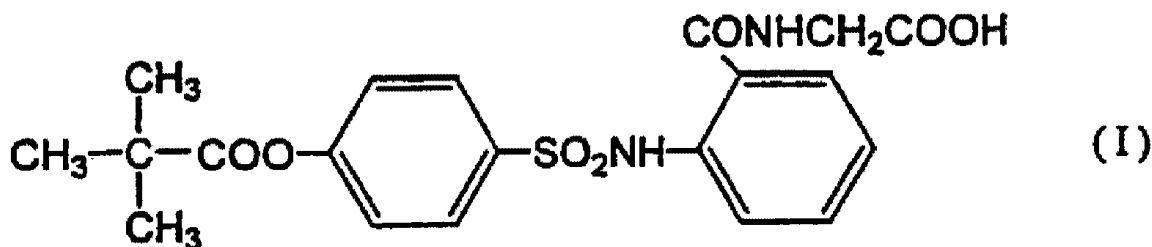


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(71) Demandeurs/Applicants:
SENJU PHARMACEUTICAL CO., LTD., JP;
ONO PHARMACEUTICAL CO., LTD., JP
(72) Inventeurs/Inventors:
NAKA, HIROAKI, JP;
KAWABATA, KAZUHITO, JP;
TOKUSHIGE, HIDEKI, JP
(74) Agent: FETHERSTONHAUGH & CO.

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



(57) Abrégé/Abstract:

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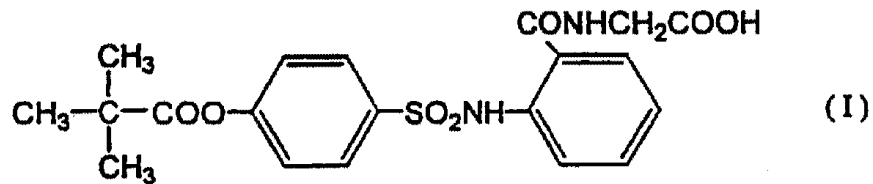
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Abstract of the disclosure:

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
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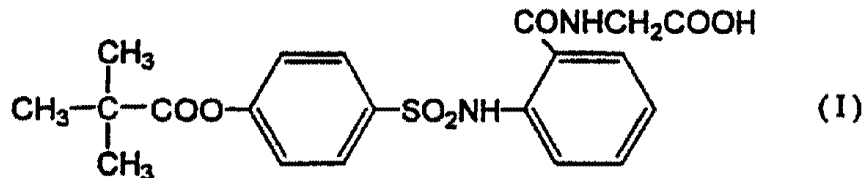
5 TECHNICAL FIELD

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

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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):



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(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.

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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.

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