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(54) Title: OPTHALMIC FORMULATION OF DOPAMINE ANTAGONISTS

(57) Abstract: This invention provides ocular formulations comprising an ocular drug and a carboxylic acid in an amount sufficient to maintain the pH of the formulation from about 4.5 to about 7.5. The ocular drug may be a dopamine antagonist and the acid may be lactic acid, citric acid or tartaric acid. In some aspects, the pH of the formulation is about 5.5. The ocular formulations of this invention provide enhanced bioavailability which results in increased drug concentrations across the cornea and in the eye ball, i.e., aqueous humor and intraocular organs and chambers. Moreover, the present formulations are non-irritating when applied topically and have a shelf-life of at least fourteen days at 25 °C. Methods are also provided to increase ocular blood flow by using present ocular formulations comprising dopamine antagonists or other drugs for the prevention and treatment of ocular hypertension, glaucoma, ischemic retinopathy and age-related macular degeneration (AMD).

# INTERNATIONAL SEARCH REPORT

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PCT/US 00/41491

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K9/00 A61K47/12

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BIOSIS, MEDLINE, EMBASE, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 4 501 749 A (CLINESCHMIDT BRADLEY V) 26 February 1985 (1985-02-26)  column 1, line 1 - line 17 column 2, line 6 - line 8 column 3, line 25 - column 4, line 47 column 4; examples 1,2 ---	1-5, 8, 10, 11, 17-24
X	WO 99 40900 A (ALAM ABU ; CRUZ PABLO J (US); GLAD KEITH (US); ROBERTS DENNIS (US);) 19 August 1999 (1999-08-19) page 5, line 29 - page 6, line 14 page 13, line 4 - line 22 page 16; example 5 page 19 - page 23; examples 10-20 claims 1-4, 15-18, 25-27 --- -/-	1-7, 10-20

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>EP 0 622 074 A (DAIICHI SEIYAKU SAITAMA ;DAIICHI SEIYAKU CO (JP)) 2 November 1994 (1994-11-02) page 2, line 56 -page 3, line 23 page 4, line 3 - line 4 page 4, line 27 page 4, line 49 -page 5, line 49 page 6, line 16 - line 17 page 6 -page 7; example 1 claims 1,2,14</p> <p style="text-align: center;">-----</p>	<p>1-7, 10-16, 19,20</p>

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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