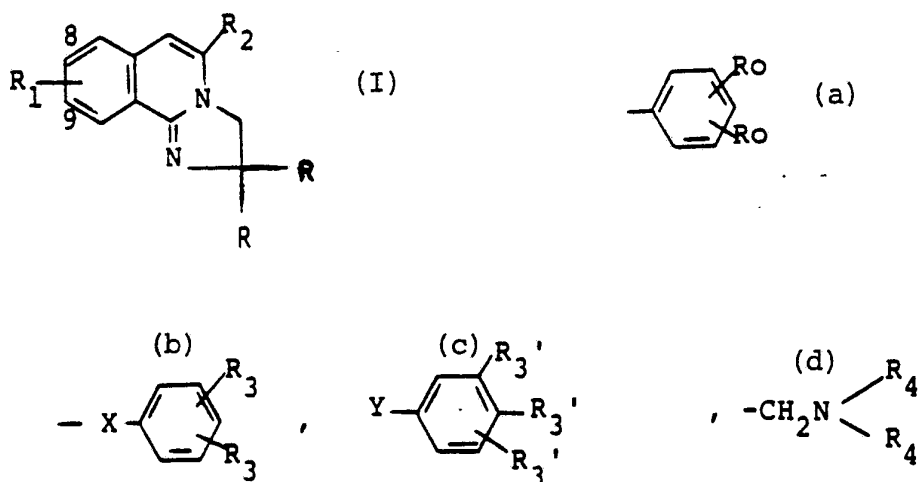




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification⁴ : C07D 471/04, C07F 7/10 A61K 31/47 // (C07D 471:04 C07D 231:00, 221:00)	A3	(11) International Publication Number: WO 88/ 00587 (43) International Publication Date: 28 January 1988 (28.01.88)
(21) International Application Number: PCT/EP87/00366 (22) International Filing Date: 7 July 1987 (07.07.87) (31) Priority Application Numbers: 885,115 013,515 (32) Priority Dates: 14 July 1986 (14.07.86) 11 February 1987 (11.02.87) (33) Priority Country: US (71) Applicant: SANDOZ AG [CH/CH]; Lichtstrasse 35, CH-4002 Basel (CH). (72) Inventor: HOULIHAN, William, Joseph ; 15 Raynold Road, Mountain Lakes, NJ 07046 (US). (81) Designated States: AU, DK, FI, HU, JP, KR.	Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i> (88) Date of publication of the international search report: --- 7 April 1988 (07.04.88)	

(54) Title: 5-HETERO- OR ARYL-SUBSTITUTED-IMIDAZO[2,1-a]ISOQUINOLINES**(57) Abstract**

Compounds of formula (I), wherein each R independently is hydrogen or methyl, R₁ is in 8- or 9-position and represents hydrogen, chloro, fluoro or C₁₋₃alkyl and R₂ represents thienyl, furyl or formula (a) wherein each Ro represents independently hydrogen, fluoro, chloro, C₁₋₁₀alkyl, C₁₋₁₀alkoxy or C₁₋₁₀alkylthio or one Ro is hydrogen and the other represents tri-(C₁₋₃alkyl)silyl, trifluoromethyl, phenyl, monochlorophenyl, monofluorophenyl, formulas (b), (c), (d), wherein X, Y, R₃, R₃' or R₄ are as defined herein or two Ro's on adjacent carbon atoms form methylenedioxy. The compounds are indicated for use in treating PAF-mediated bronchoconstriction and extravasation.


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INTERNATIONAL SEARCH REPORT

International Application No PCT/EP 87/00366

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all) ⁶		
According to International Patent Classification (IPC) or to both National Classification and IPC		
IPC ⁴ C 07 D 471/04; C 07 F 7/10; A 61 K 31/47; // C 07 D 471/04; C 07 D 231:00; C 07 D 221:00		
II. FIELDS SEARCHED		
Minimum Documentation Searched ⁷		
Classification System :		Classification Symbols
IPC ⁴		C 07 D 471/00; A 61 K 31/00
Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched ⁸		
III. DOCUMENTS CONSIDERED TO BE RELEVANT ⁹		
Category ⁹	Citation of Document, ¹¹ with indication, where appropriate, of the relevant passages ¹²	Relevant to Claim No. ¹³
X	FR, A, 2125378 (ASPRO) 29 September 1972, see page 4, lines 5-40; page 10, formula VIa; page 11, lines 30-34; page 12, lines 8-10 & US, A, 3887566 cited in the application -----	1,3,5,8
<p>¹⁰ Special categories of cited documents:</p> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> <p>"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step</p> <p>"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>"&" document member of the same patent family</p>		
IV. CERTIFICATION		
Date of the Actual Completion of the International Search		Date of Mailing of this International Search Report
8th February 1988		18 MAR 1988
International Searching Authority		Signature of Authorized Officer
EUROPEAN PATENT OFFICE		 P.C.G. VAN DER PUTTEN

FURTHER INFORMATION CONTINUED FROM THE SECOND SHEET**V. OBSERVATIONS WHERE CERTAIN CLAIMS WERE FOUND UNSEARCHABLE ¹**

This International search report has not been established in respect of certain claims under Article 17(2) (a) for the following reasons:

1. Claim numbers because they relate to subject matter not required to be searched by this Authority, namely:
2. Claim numbers because they relate to parts of the International application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. Claim numbers because they are dependent claims and are not drafted in accordance with the second and third sentences of PCT Rule 6.4(a).

VI. OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING ²

This International Searching Authority found multiple inventions in this International application as follows:

Claims 1,2,10 (partially)

Claims 3,4,10 (partially)

Claims 5,6,7,8,9,10 (partially), 11

Please refer to Form PCT/ISA 206 dated 27th October 1987.

1. As all required additional search fees were timely paid by the applicant, this International search report covers all searchable claims of the international application.
2. As only some of the required additional search fees were timely paid by the applicant, this International search report covers only those claims of the international application for which fees were paid, specifically claims:
3. No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claim numbers:
4. As all searchable claims could be searched without effort justifying an additional fee, the International Searching Authority did not invite payment of any additional fee.

Remark on Protest

- The additional search fees were accompanied by applicant's protest.
- No protest accompanied the payment of additional search fees.

ANNEX TO THE INTERNATIONAL SEARCH REPORT
ON INTERNATIONAL PATENT APPLICATION NO.

EP 8700366
SA 17895

This annex lists the patent family members relating to the patent documents cited in the above-mentioned international search report.
The members are as contained in the European Patent Office EDP file on 04/03/88
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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
FR-A- 2125378	29-09-72	DE-A- 2206012	24-08-72
		CH-A- 539643	14-09-73
		CH-A- 539644	14-09-73
		GB-A- 1347493	27-02-74
		US-A- 3887566	03-06-75
		BE-A- 779128	30-05-72
		SE-A- 7413349	23-10-74

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For more details about this annex : see Official Journal of the European Patent Office, No. 12/82