PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 7 : C07D 401/14, 417/14, A61K 31/505, A61P 9/00 — A1

(11) International Publication Number: **WO 00/52007**

(43) International Publication Date: 8 September 2000 (08.09.00)

(21) International Application Number: PCT/EP00/01660

(22) International Filing Date: 28 February 2000 (28.02.00)

(30) Priority Data:
99104306.8 3 March 1999 (03.03.99) EP

(71) Applicant: F. HOFFMANN-LA ROCHE AG [CH/CH]; Grenzacherstrasse 124, CH-4070 Basle (CH).

(72) Inventors: BREU, Volker; Belchenstrasse 7, D-79418 Schliengen (DE). COASSOLO, Philippe; 25, rue du Cantal, F-68270 Wittenheim (FR). NEIDHART, Werner; 9, rue du Steinler, F-68220 Hagenthal le Bas (FR). ROUX, Sébastien; Missionsstrasse 21b, CH-4055 Basle (CH). WEISS, Peter; Rosentalstrasse 52, CH-4058 Basle (CH).

(74) Agent: WITTE, Hubert; Grenzacherstrasse 124, CH-4070 Basle (CH).

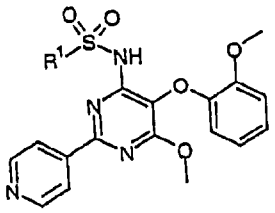
(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published
With international search report.
Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

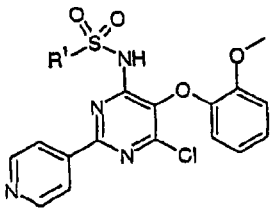
(54) Title: 4-HETEROCYCLYSULFONAMIDYL-6-METHOXY-5-(2-METHOXY-PHENOXY)-2-PYRIDYL-PYRIMIDINE DERIVATIVES, THEIR PREPARATION AND USE AS ENDOTHELIN RECEPTOR ANTAGONISTS

(57) Abstract

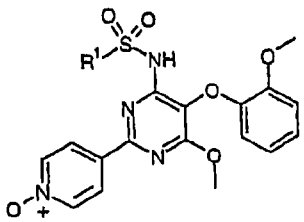
The compounds of formula (I) wherein R^1 is a heterocyclic residue selected form pyridil and thiazolyl, where said heterocyclic residue may optionally be substituted with lower alkyl or lower alkenyl; and pharmaceutically acceptable salts thereof, are inhibitors of endothelin receptors and can therefore be used for the treatment of disorders which are associated with abnormal vascular tone and endothelial dysfunction; and the corresponding intermediates of formulae (III) and (V).



(I)



(III)



(V)

162162

G00001