

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
23 May 2002 (23.05.2002)

PCT

(10) International Publication Number
WO 02/40453 A1

(51) International Patent Classification⁷: **C07D 233/50**,
405/12, A61K 31/4168, 31/496, 31/5377, 31/4178, A61P
29/00

(21) International Application Number: PCT/EP01/12776

(22) International Filing Date:
5 November 2001 (05.11.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/248,888 14 November 2000 (14.11.2000) US

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

(72) Inventor: **JAHANGIR, Alam**; 3655 Valley Ridge Lane,
San Jose, CA 95148 (US).

(74) Agent: **WAECHTER, Dieter**; Grenzacherstrasse 124,
CH-4070 Basle (CH).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, 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, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW.

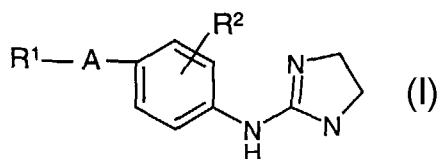
(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, 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 receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: SUBSTITUTED 2-PHENYLAMINOIMIDAZOLINE PHENYL KETONE DERIVATIVES AS IP ANTAGONISTS



(57) Abstract: This invention relates to compounds which are generally prostaglandins I₂ (IP) receptor modulators, particularly IP receptor antagonists, and which are represented by the general formula (I) wherein A, R¹ and R² are defined in the specification; and individual isomers, racemic or non-racemic mixtures of isomers, and pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compositions containing such compounds, a process for the preparation of such compounds and their use for treating diseases associated with pain, inflammation, urinary tract disease states, respiratory disease states, edema formation, or hypotensive vascular diseases.