9705353-2

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 403/06, A61K 31/41, C07D 239/30, 239/36 — A1

(11) International Publication Number: WO 97/06160

(43) International Publication Date: 20 February 1997 (20.02.97)

(21) International Application Number: PCT/EP96/03376

(22) International Filing Date: 26 July 1996 (26.07.96)

(30) Priority Data:
9516121.2 5 August 1995 (05.08.95) GB

(71) Applicant *(for all designated States except GB JP US)*: PFIZER RESEARCH AND DEVELOPMENT COMPANY, N.V./S.A. [BE/IE]; La Touche House, International Financial Services Centre, Dublin 1 (IE).

(71) Applicant *(for GB only)*: PFIZER LIMITED [GB/GB]; Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(71) Applicant *(for JP only)*: PFIZER INC. [US/US]; 235 East 42nd Street, New York, NY 10017 (US).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: BUTTERS, Michael [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). HARRISON, Julie, Ann [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). PETTMAN, Alan, John [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(74) Agents: RUDDOCK, Keith, Stephen et al.; Pfizer Limited, European Patent Dept., Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

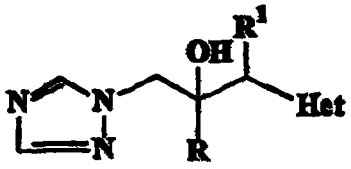
(81) Designated States: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, TR, US, European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).

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.

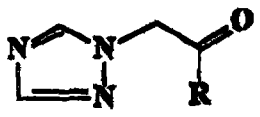
(54) Title: PREPARATION OF TRIAZOLES BY ORGANOMETALLIC ADDITION TO KETONES AND INTERMEDIATES THEREFOR

(57) Abstract

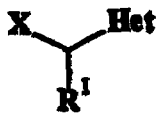
The invention provides a process for the preparation of a compound of formula (I), or an acid addition or base salt thereof, wherein R is phenyl optionally substituted by 1 to 3 substituents each independently selected from halo and trifluoromethyl; R^1 is C_1-C_6 alkyl; and "Het" is pyrimidinyl optionally substituted by 1 to 3 substituents each independently selected from C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, oxo, benzyl and benzyloxy, comprising reaction of a compound of formula (II), wherein R is as previously defined for a compound of formula (I), with a compound of formula (III), wherein R^1 and "Het" are as previously defined for a compound of the formula (I) and X is chloro, bromo or iodo, in the presence of zinc, iodine and/or a Lewis acid and an aprotic organic solvent: said process being optionally followed by conversion of the compound of the formula (I) to an acid addition or base salt thereof.



(I)



(II)



(III)