990 H687-2
IPO3

**PCT** WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :
C07D 487/04, A61K 31/505, 31/415, C07D 231/40, 401/06

A1

(11) International Publication Number: WO 98/49166

(43) International Publication Date: 5 November 1998 (05.11.98)

(21) International Application Number: PCT/EP98/02257

(22) International Filing Date: 10 April 1998 (10.04.98)

(30) Priority Data:

| | | |
|---|---|---|
| 9708406.5 | 25 April 1997 (25.04.97) | GB |
| 9715380.3 | 22 July 1997 (22.07.97) | GB |
| 9722954.6 | 30 October 1997 (30.10.97) | GB |

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

(71) Applicant *(for all designated States except GB US)*: PFIZER INC. [US/US]; 235 East 42nd Street, New York, NY 10017 (US).

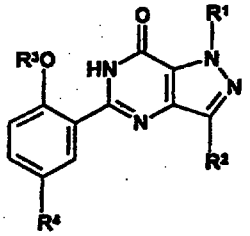
(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: BUNNAGE, Mark, Edward [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). MATHIAS, John, Paul [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). STREET, Stephen, Derek, Albert [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB). WOOD, Anthony [GB/GB]; Pfizer Central Research, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

(74) Agents: HAYLES, James, Richard et al.; Pfizer Limited, Ramsgate Road, Sandwich, Kent CT13 9NJ (GB).

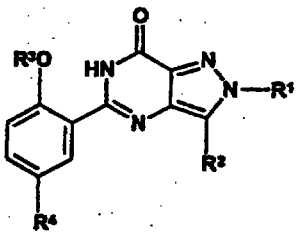
(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, 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, 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: PYRAZOLOPYRIMIDINONES WHICH INHIBIT TYPE 5 CYCLIC GUANOSINE 3',5'–MONOPHOSPHATE PHOSPHODIESTERASE (cGMP PDE5) FOR THE TREATMENT OF SEXUAL DYSFUNCTION



(IA)



(IB)

(57) Abstract

Compounds of formulae (IA) and (IB) or pharmaceutically or veterinarily acceptable salts thereof, or pharmaceutically or veterinarily acceptable solvates of either entity, wherein $R^1$ is $C_1$ to $C_3$ alkyl substituted with $C_3$ to $C_6$ cycloalkyl, $CONR^5R^6$ or a N–linked heterocyclic group; $(CH_2)_nHet$ or $(CH_2)_nAr$; $R^2$ is $C_1$ to $C_6$ alkyl; $R^3$ is $C_1$ to $C_6$ alkyl optionally substituted with $C_1$ to $C_4$ alkoxy; $R^4$ is $SO_2NR^7R^8$; $R^5$ and $R^6$ are each independently selected from H and $C_1$ to $C_4$ alkyl optionally substituted with $C_1$ to $C_4$ alkoxy, or, together with the nitrogen atom to which they are attached, form a 5– or 6–membered heterocyclic group; $R^7$ and $R^8$, together with the nitrogen atom to which they are attached, form a 4–$R^{10}$–piperazinyl group; $R^{10}$ is H or $C_1$ to $C_4$ alkyl optionally substituted with OH, $C_1$ to $C_4$ alkoxy or $CONH_2$; Het is an optionally substituted C–linked 5– or 6–membered heterocyclic group; Ar is optionally substituted phenyl; and n is 0 or 1; are potent and selective cGMP PDE5 inhibitors useful in the treatment of, *inter alia*, male erectile dysfunction and female sexual dysfunction.