

PCT

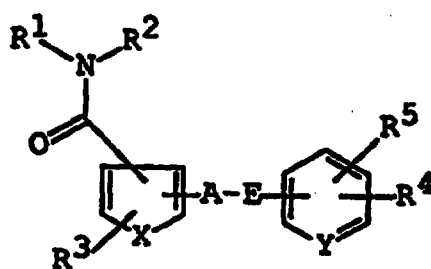
WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07C 237/42, 237/44, A61K 31/165, 31/33, C07D 295/18, 295/20, 211/58, 211/46, 213/80, 209/48, C07C 271/16		A1	(11) International Publication Number: WO 96/41795 (43) International Publication Date: 27 December 1996 (27.12.96)
(21) International Application Number: PCT/JP96/01533 (22) International Filing Date: 6 June 1996 (06.06.96) (30) Priority Data: 9511694.3 9 June 1995 (09.06.95) GB (71) Applicant (for all designated States except US): FUJISAWA PHARMACEUTICAL CO., LTD. [JP/JP]; 4-7, Doshomachi 3-chome, Chuo-ku, Osaka-shi, Osaka 541 (JP). (72) Inventors; and (75) Inventors/Applicants (for US only): SETOI, Hiroyuki [JP/JP]; 13-1, Namiki 4-chome, Tsukuba-shi, Ibaraki 305 (JP). OHKAWA, Takehiko [JP/JP]; 944-2, Mukoishige, Ishigemachi, Yuki-gun, Ibaraki 300-27 (JP). ZENKOH, Tatsuya [JP/JP]; 6-3-3-A101, Keyakidai, Moriyamachi, Kitasouma-gun, Ibaraki 302-01 (JP). SAWADA, Hitoshi [JP/JP]; 2-25-10, Matsushiro, Tsukuba-shi, Ibaraki 305 (JP). SATO, Kentaro [JP/JP]; 2-25-10, Matsushiro, Tsukuba-shi, Ibaraki 305 (JP). TANAKA, Hirokazu [JP/JP]; 3-10-21, Hanayashiki Souen, Takarazuka-shi, Hyogo 665 (JP).		(74) Agent: SEKI, Hideo; Fujisawa Pharmaceutical Co., Ltd., Osaka Factory, 1-6, Kashima 2-chome, Yodogawa-ku, Osaka-shi, Osaka 532 (JP). (81) Designated States: AU, CA, CN, HU, IL, JP, KR, MX, NZ, SG, US, Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, FI, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE). Published <i>With international search report.</i>	

(54) Title: **BENZAMIDE DERIVATIVES AND THEIR USE AS VASOPRESSIN ANTAGONISTS**



(I)



(a)

(57) Abstract

This invention relates to new benzamide derivatives having a vasopressin antagonistic activity, etc., and represented by general formula (I), wherein R¹ is aryl optionally substituted with lower alkoxy, etc., R² is lower alkyl, etc., R³ is hydrogen, etc., R⁴ is lower alkoxy, etc., R⁵ is hydrogen, etc., A is NH, etc., E is (a), etc., X is -CH=CH-, -CH=N-, or S, and Y is CH or N, and pharmaceutically acceptable salts thereof, to processes for preparation thereof and to a pharmaceutical composition comprising the same.