

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
5 December 2002 (05.12.2002)

PCT

(10) International Publication Number
WO 02/096421 A1

(51) International Patent Classification⁷: **A61K 31/4418**,
C07D 213/65, 213/74, A61K 31/44, C07D 213/69, A61P
25/24, 25/00, 9/00

(21) International Application Number: PCT/US02/16529

(22) International Filing Date: 22 May 2002 (22.05.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/292,703 22 May 2001 (22.05.2001) US

(71) Applicant: **NEUROGEN CORPORATION** [US/US]; 35
Northeast Industrial Road, Branford, CT 06405 (US).

(72) Inventors: **GE, Ping**; 718R Higganum Road, Durham, CT
06422 (US). **YOON, Taeyoung**; 6 Finch Lane, Guilford,
CT 06437 (US). **ZHANG, Lu, Yan**; 4 Brushy Plain Road,
Apt. 219, Brandford, CT 06405 (US). **HORVATH, Ray-
mond, F.**; 973 Little Meadow, Guilford, CT 06437 (US).

(74) Agents: **ALEXANDER, John, B.** et al.; Edwards & An-
gell, LLP, Dike, Bronstein, Roberts & Cushman LLP, Intel-
lectual Property Group, P.O. Box 9169, Boston, MA 02209
(US).

(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, OM, PH, PL, PT, RO, RU, SD, SE, SG,
SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN,
YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, 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

*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: 5-SUBSTITUTED-2-ARYLPYRIDINES AS CRF1 MODULATORS

(57) Abstract: Novel 5-substituted-2-arylpyridine compounds are provided. Such compounds can act as selective modulators of CRF receptors. The 5-substituted-2-arylpyridine compounds provided herein are useful in the treatment of a number of CNS and peripheral disorders, particularly stress, anxiety, depression, cardiovascular disorders, and eating disorders. Methods of treatment of such disorders and well as packaged pharmaceutical compositions are also provided. Compounds provided are also useful as probes for the localization of CRF receptors and as standards in assays for CRF receptor binding. Methods of using the compounds in receptor localization studies are given.



WO 02/096421 A1