

904002-1
168-

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 513/10, 277/42, A61K 31/425, C07D 417/12, 513/04	A1	(11) International Publication Number: WO 98/41528 (43) International Publication Date: 24 September 1998 (24.09.98)
---	-----------	---

(21) International Application Number: **PCT/EP98/01358**(22) International Filing Date: **9 March 1998 (09.03.98)**(30) Priority Data:
9705428.2 **15 March 1997 (15.03.97)** **GB**(71) Applicant (for all designated States except US): **KNOLL AK-
TIENGESELLSCHAFT [DE/DE]; Knollstrasse, D-67061
Ludwigshafen (DE).**

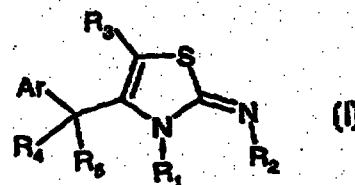
(72) Inventors; and

(75) Inventors/Applicants (for US only): **CHEETHAM, Sharon,
Crawford [GB/GB]; R3 Pennyfoot Street, Nottinghamshire,
Nottingham NG1 1GF (GB). KERRIGAN, Frank [GB/GB];
R5 Pennyfoot Street, Nottinghamshire, Nottingham NG1
1GF (GB). JONES, Colin, Gerhart, Pryce [GB/GB]; R5
Pennyfoot Street, Nottinghamshire, Nottingham NG1 1GF
(GB).**(74) Agents: **MILLER, Thomas, Kerr et al.; BASF Aktienge-
sellschaft, D-67056 Ludwigshafen (DE).**(81) Designated States: **AL, AU, BG, BR, BY, CA, CN, CZ, GE,
HU, ID, IL, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO,
RU, SG, SI, SK, TR, UA, US, Eurasian patent (AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM), 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: **SUBSTITUTED 4-ARYLMETHYLENE-2-IMINO-2,3-DIHYDROTHIAZOLES AND DERIVATIVES AND THEIR PHAR-
MACEUTICAL USE**

(57) Abstract

Compounds of Formula (I) including pharmaceutically acceptable salts thereof in the form of individual enantiomers, racemates, or other mixtures of enantiomers, in which Ar is phenyl, naphthyl or benzo[*b*]thiophenyl, each of which may be optionally substituted; R₁ and R₂, which may be the same or different, independently are a) H, b) an alkyl group containing 1 to 6 carbon

atoms, c) an alkenyl group containing 3 to 6 carbon atoms, d) a cycloalkyl group containing 3 to 7 carbon atoms, e) a cycloalkylmethyl group in which the ring contains 3 to 7 carbon atoms, f) an aryl or heteroaryl group optionally substituted, g) an arylalkyl or heteroarylalkyl group each optionally substituted; or R₁ and R₂ form an alkylene chain optionally substituted by one or more alkyl groups each containing 1 to 3 carbon atoms, such that, together with the atoms to which they are attached, they form a 5 or 6 membered ring; R₃ is a) H, b) an aryl or heteroaryl group each optionally substituted, c) an optionally substituted arylmethyl group; or d) an alkoxyalkyl group containing 3 to 6 carbon atoms; and R₄ and R₅, which may be the same or different, independently are an alkyl group containing 1 to 3 carbon atoms, or R₄ and R₅ together with the atom to which they are attached from a cycloalkyl ring containing 3 to 6 carbon atoms; processes to prepare such compounds; compositions containing such compounds and their use in the treatment of depression, anxiety, Parkinson's disease, obesity, cognitive disorders, seizures, neurological disorders and as neuroprotective agents; are described.



(I)