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Patent Information

Apr 21, 2005
WO 2005034878
A2

Application

Oct 8, 2004
WO 2004-US33349

Priority

Oct 8, 2003
US 2003-509882

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2005
CODEN: PIXXD2

Classifications

Main IPC A61K

Accession Number

2005:346813
CAN 142:411218
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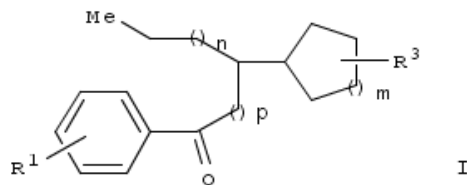
Language

English

2. Preparation of pyrovalerone analogs as selective dopamine transporter inhibitors

By: Madras, Bertha K.; Meltzer, Peter C.; Butler, David
Assignee: President and Fellows of Harvard College, USA; Organix, Inc.

Pyrovalerone analogs, e.g., I [R1 = 1-4 H, halo, alkyl, alkoxy, etc.; R3 = H, alkyl, alkoxy, etc.; n = 0-4; m, p = 0-2] are prepd. For instance, pyrovalerone.bul.HCl is resolved into enantiomers using D-dibenzoyltartaric acid and L-dibenzoyltartaric acid. (S)-pyrovalerone ((S)-2-(Pyrrolidin-1-yl)-1-(p-tolyl)pentan-1-one) is potent at the dopamine transporter (IC50 = 3 nM) and at the serotonin transporter (IC50 > 50 μM). Compds. of the invention are useful in the treatment of, e.g., depression.



Patent Information

Patent No.	Kind	Date	Application No.	Date
WO 2005034878	A2	Apr 21, 2005	WO 2004-US33349	Oct 8, 2004
WO 2005034878	A3	Jun 30, 2005		
AU 2004280256	A1	Apr 21, 2005	AU 2004-280256	Oct 8, 2004
CA 2542077	A1	Apr 21, 2005	CA 2004-2542077	Oct 8, 2004
EP 1670755	A2	Jun 21, 2006	EP 2004-809902	Oct 8, 2004
JP 2007508314	T	Apr 5, 2007	JP 2006-534415	Oct 8, 2004
US 20080234498	A1	Sep 25, 2008	US 2007-575177	Sep 11, 2007

Priority Application

US 2003-509882P	P	Oct 8, 2003
WO 2004-US33349	W	Oct 8, 2004

Indexing

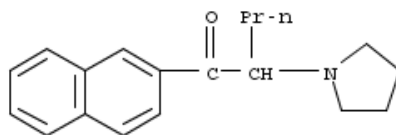
Heterocyclic Compounds (One Hetero Atom) (Section 27-10)
Section cross-reference(s): 1, 63

Concepts

Mental and behavioral disorders
attention deficit disorder; prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors
Nervous system, disease
degeneration; prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors

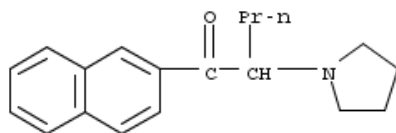
Mental and behavioral disorders
depression; prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors
Transport proteins
dopamine transporter; prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors Biological study, unclassified; Biological study
Transport proteins
norepinephrine transporter; prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors Biological study, unclassified; Biological study
5-HT reuptake inhibitors Alzheimer's disease Anti-Alzheimer's agents Antidepressants Antiparkinsonian agents Human Parkinson's disease
prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors
Transport proteins
serotonin transporter; prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors Biological study, unclassified; Biological study
Substances
50-36-2 Cocaine
abuse; prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors Biological study, unclassified; Biological study
50-67-9 Serotonin, biological studies 51-41-2 Norepinephrine 51-61-6 Dopamine, biological studies
prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors Biological study, unclassified; Biological study
1147-62-2P 5537-19-9P 2-(Pyrrolidin-1-yl)-1-(4-methoxyphenyl)pentan-1-one hydrochloride 850351-99-4P 1-(3,4-Dimethoxyphenyl)-2-(pyrrolidin-1-yl)pentan-1-one hydrochloride 850352-09-9P 1-(4-Iodophenyl)-2-(pyrrolidin-1-yl)pentan-1-one hydrochloride 850352-17-9P 2-(Pyrrolidin-1-yl)-1-(4-bromophenyl)pentan-1-one hydrochloride 850352-21-5P N-[4-[2-(Pyrrolidin-1-yl)pentanoyl]phenyl]acetamide hydrochloride
prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors Pharmacological activity; Reactant; Synthetic preparation; Therapeutic use; Biological study; Preparation; Uses; Reactant or reagent
5485-65-4P 2-(Pyrrolidin-1-yl)-1-phenylpentan-1-one hydrochloride 13415-53-7P 2-(Pyrrolidin-1-yl)-1-(4-hydroxyphenyl)pentan-1-one hydrochloride 13415-57-1P 2-(Pyrrolidin-1-yl)-1-(m-tolyl)pentan-1-one hydrochloride 13415-85-5P 2-(Pyrrolidin-1-yl)-1-(m-tolyl)pentan-1-one 13415-87-7P 2-(Pyrrolidin-1-yl)-1-(4-hydroxyphenyl)pentan-1-one 14530-33-7P 2-(Pyrrolidin-1-yl)phenylpentan-1-one

14979-97-6P 2-(Pyrrolidin-1-yl)-1-(4-methoxyphenyl)pentan-1-one
 28736-30-3P 2-[(Pyrrolidin-1-yl)methyl]-1-(p-tolyl)pentan-1-one hydrochloride
 30611-22-4P 4-[2-(Pyrrolidin-1-yl)pentanoyl]benzoic acid methyl ester
 30611-28-0P 1-(4-Hydroxymethylphenyl)-2-(pyrrolidin-1-yl)pentan-1-one hydrochloride
 30659-58-6P 4-[2-(Pyrrolidin-1-yl)pentanoyl]benzoic acid methyl ester hydrochloride
 93024-77-2P 2-(Pyrrolidin-1-yl)-1-(p-tolyl)pent-4-en-1-one hydrochloride
 184592-08-3P 1-(4-Hydroxymethylphenyl)-2-(pyrrolidin-1-yl)pentan-1-one
 408307-10-8P 2-(Pyrrolidin-1-yl)-1-(p-tolyl)pent-4-en-1-one
 850351-98-3P 1-(3,4-Dihydroxyphenyl)-2-(pyrrolidin-1-yl)pentan-1-one hydrobromide
 850352-00-0P 4-[2-(Pyrrolidin-1-yl)pentanoyl]benzotrile hydrochloride
 850352-01-1P 2-(Pyrrolidin-1-yl)-1-(p-tolyl)pentan-4-yn-1-one hydrochloride
 850352-03-3P 1-Phenyl-3-(pyrrolidin-1-yl)-2-(p-tolyl)hexan-2-ol hydrochloride
 850352-04-4P 1-(3,4-Dichlorophenyl)-2-(pyrrolidin-1-yl)pent-4-en-1-one hydrochloride
 850352-05-5P 1-(2-Bromo-4,5-dimethoxyphenyl)-2-(pyrrolidin-1-yl)pentan-1-one hydrochloride
 850352-06-6P
 850352-07-7P
 850352-08-8P 1-(4-Propynylphenyl)-2-(pyrrolidin-1-yl)pentan-1-one hydrochloride
 850352-10-2P 4-Methyl-2-(pyrrolidin-1-yl)-1-(p-tolyl)pentan-1-one hydrochloride
850352-11-3P 1-(Naphthalen-2-yl)-2-(pyrrolidin-1-yl)pentan-1-one hydrochloride



• HCl

850352-12-4P 2-(Pyrrolidin-1-yl)-1-(4-trifluoromethylphenyl)pentan-1-one hydrochloride
 850352-13-5P 2-(Pyrrolidin-1-yl)-1-(o-tolyl)pentan-1-one hydrochloride
 850352-14-6P 1-(3,4-Dichlorophenyl)-2-[(pyrrolidin-1-yl)methyl]pentan-1-one hydrochloride
 850352-16-8P 2-(Pyrrolidin-1-yl)-1-[4-(1-methylpyrrol-2-yl)phenyl]pentan-1-one hydrochloride
 850352-18-0P 2-(Pyrrolidin-1-yl)-1-[4-(thiophen-2-yl)phenyl]pentan-1-one hydrochloride
 850352-19-1P 2-Pyrrolidin-1-yl-1-[4-(furan-2-yl)phenyl]pentan-1-one hydrochloride
 850352-20-4P 2-(Pyrrolidin-1-yl)-1-(4-nitrophenyl)pentan-1-one hydrochloride
 850352-22-6P 3-(Pyrrolidin-1-yl)-1-(p-tolyl)pentan-1-one hydrochloride
 850352-24-8P 1-(3,4-Dichlorophenyl)-3-(pyrrolidin-1-yl)pentan-1-one hydrochloride
 850352-26-0P 1-(3-Iodophenyl)-2-(pyrrolidin-1-yl)pentan-1-one hydrochloride
 850352-27-1P 2-(Pyrrolidin-1-yl)-1-(3,4-Dichlorophenyl)pentan-1-one hydrochloride
 850352-28-2P 2-(Butylamino)-1-(3,4-dichlorophenyl)pentan-1-one hydrochloride
 850352-29-3P 2-(Piperidin-1-yl)-1-(3,4-dichlorophenyl)pentan-1-one hydrochloride
 850352-30-6P 2-(Pyrrolidin-1-yl)-1-(3,4-dichlorophenyl)butan-1-one hydrochloride
 850352-31-7P 2-(Pyrrolidin-1-yl)-1-(4'-fluorophenyl)pentan-1-one hydrochloride
 850352-32-8P (R)-2-(Pyrrolidin-1-yl)-1-(p-tolyl)pentan-1-one hydrochloride
 850352-33-9P (S)-2-(Pyrrolidin-1-yl)-1-(p-tolyl)pentan-1-one
 850352-35-1P (R)-2-(Pyrrolidin-1-yl)-1-(p-tolyl)pentan-1-one
 850352-50-0P 1-(4-Propynylphenyl)-2-(pyrrolidin-1-yl)pentan-1-one
 850352-51-1P 4-Methyl-2-(pyrrolidin-1-yl)-1-(p-tolyl)pentan-1-one
 850352-52-2P 1-(4-Iodophenyl)-2-(pyrrolidin-1-yl)pentan-1-one
850352-53-3P 1-(Naphthalen-2-yl)-2-(pyrrolidin-1-yl)pentan-1-one



850352-54-4P 2-(Pyrrolidin-1-yl)-1-(o-tolyl)pentan-1-one
 850352-55-5P 2-(Pyrrolidin-1-yl)-1-[4-(thiophen-2-yl)phenyl]pentan-1-one
 850352-56-6P 2-(Pyrrolidin-1-yl)-1-[4-(furan-2-yl)phenyl]pentan-1-one
 850352-57-7P 2-(Pyrrolidin-1-yl)-1-(4-nitrophenyl)pentan-1-one
 850352-58-8P N-[4-[2-(Pyrrolidin-1-yl)pentanoyl]phenyl]acetamide
 850352-59-9P 2-(Pyrrolidin-1-yl)-1-(4-bromophenyl)pentan-1-one
 850352-60-2P 1-(3-Iodophenyl)-2-(pyrrolidin-1-yl)pentan-1-one
 850352-61-3P 2-(Pyrrolidin-1-yl)-1-(3,4-Dichlorophenyl)pentan-1-one
 850352-62-4P 2-(Pyrrolidin-1-yl)-1-(4-fluorophenyl)pentan-1-one
 850352-63-5P 1-(3,4-Dihydroxyphenyl)-2-(pyrrolidin-1-yl)pentan-1-one
 850352-64-6P 2-(Piperidin-1-yl)-1-(3,4-dichlorophenyl)pentan-1-one
 850352-65-7P 2-(Pyrrolidin-1-yl)-1-(3,4-dichlorophenyl)butan-1-one
 850352-66-8P 1-(3,4-Dichlorophenyl)-2-(pyrrolidin-1-yl)pent-4-en-1-one

prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors

Pharmacological activity; Synthetic preparation; Therapeutic use; Biological study; Preparation; Uses

74-99-7 Propyne
 96-54-8 N-Methylpyrrole
 103-84-4 Acetanilide
 106-96-7 Propargyl bromide
 123-75-1 Pyrrolidine, reactions
 591-50-4 Iodobenzene
 638-29-9 Valeryl chloride
 2743-38-6 Dibenzoyl-L-tartaric acid
 6921-34-2 Benzylmagnesium chloride
 17026-42-5 D-Dibenzoyltartaric acid
 25150-61-2
 54663-78-4 2-Tributylstannylthiophene
 118486-94-5 2-Tributylstannylfuran
 850352-02-2 2-(Pyrrolidin-1-yl)-1-(p-tolyl)ethanone hydrochloride

prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors

Reactant; Reactant or reagent

6582-45-2P 1-(3,4-Dichlorophenyl)butan-1-one
 20359-56-2P 1-(o-Tolyl)pentan-1-one
 20359-57-3P 1-(m-Tolyl)pentan-1-one
 21847-98-3P 4-Methyl-1-(p-tolyl)pentan-1-one
 30611-27-9P 2-Bromo-1-(4-hydroxymethylphenyl)pentan-1-one
 30768-94-6P 4-(2-Bromopentanoyl)benzoic acid methyl ester
 33228-40-9P N-[4-(Pentanoyl)phenyl]acetamide
 33489-63-3P 1-(Naphthalen-2-yl)pentan-1-one
 36412-64-3P 2-Bromo-1-(4-methoxyphenyl)pentan-1-one
 42916-66-5P 1-(4-Trifluoromethylphenyl)pentan-1-one
 49851-31-2P 2-Bromo-1-phenylpentan-1-one
 66053-97-2P 1-(3,4-Dimethoxyphenyl)pentan-1-one
 68120-72-9P 1-(3,4-Dichlorophenyl)pentan-1-one
 104455-10-9P 2-Bromo-4-methyl-1-(p-tolyl)pentan-1-one
 198758-23-5P 2-Bromo-1-(4-bromophenyl)pentan-1-one
 226712-31-8P 1-(4-Iodophenyl)pentan-1-one
 850352-15-7P 2-Bromo-1-(3,4-dichlorophenyl)pentan-1-one
 850352-23-7P 1-(p-Tolyl)pent-2-en-1-one
 850352-25-9P 1-(3,4-Dichlorophenyl)-pent-2-en-1-one
 850352-36-2P
 850352-37-3P
 850352-38-4P 4-(2-Bromopentanoyl)benzotrile
 850352-39-5P 2-Bromo-1-(3,4-dimethoxyphenyl)pentan-1-one
 850352-40-8P 2-Bromo-1-(2-bromo-4,5-dimethoxyphenyl)pentan-1-one
 850352-41-9P 2-Bromo-1-(4-iodophenyl)pentan-1-one
 850352-42-0P 2-Bromo-1-(4-trifluoromethylphenyl)pentan-1-one
 850352-43-1P 2-Bromo-1-(naphthalen-2-yl)pentan-1-one
 850352-44-2P 2-Bromo-1-(o-tolyl)pentan-1-one
 850352-45-3P N-[4-(2-Bromopentanoyl)phenyl]acetamide
 850352-46-4P 2-Bromo-1-(4-fluorophenyl)pentan-1-one
 850352-47-5P 2-Bromo-1-(3,4-dichlorophenyl)butan-1-one
 850352-48-6P 2-Bromo-1-(p-tolyl)pentan-1-one
 850352-49-7P 1-(3-Iodophenyl)pentan-1-one

prepn. of pyrovalerone analogs as selective dopamine transporter inhibitors

Reactant; Synthetic preparation; Preparation; Reactant or reagent

Supplementary Terms

pyrovalerone analog pyrrole dopamine serotonin norepinephrine transporter prepn

Citations

Anon; US 3287217 A

Tags

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