

Title (en)

OPTICALLY ACTIVE ISOMERS OF DIHYDREXIDINE AND ITS SUBSTITUTED ANALOGS

Title (de)

OPTISCH AKTIVE ISOMERE DES DIHYDREXIDIN UND SUBSTITUIERTE ANALOGE DAVON

Title (fr)

ISOMERES OPTIQUEMENT ACTIFS DE LA DIHYDREXIDINE ET DE SES ANALOGUES SUBSTITUES

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Application

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Abstract (en)

[origin: WO9602513A1] Optically active hexahydrobenzo[a]phenanthridines of formula (I) wherein R is hydrogen or C1-C4 alkyl; R1 is hydrogen or a phenol protecting group, X is fluoro, chloro, bromo, iodo or a group of the formula OR5, and R2, R3, and R4 are independently selected from the group consisting of hydrogen, C1-C4alkyl, phenyl, fluoro, chloro, bromo, iodo, or a group -OR1 are disclosed. The method of resolving the racemic trans-hexahydrobenzo[a]phenanthridines into their component enantiomers is also disclosed. Pharmacological evidence reveals that only one of the enantiomers of a preferred phenanthridine, dihydrexidine, the (6aR, 12bS)-(+)-isomer, is active in binding to both D1-like and D2-like dopamine receptors. Various other compounds, compositions, and methods of using the optically active stereoisomers are likewise disclosed.

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