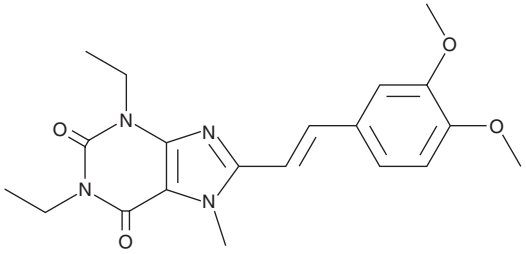
PRODUCT INFORMATION

Istradefylline

Item No. 22958

CAS Registry No.: 155270-99-8
Formal Name: 8-[(1E)-2-(3,4-dimethoxyphenyl) ethenyl]-1,3-diethyl-3,7-dihydro-7-methyl-1H-purine-2,6-dione
Synonym: KW 6002
MF: $C_{20}H_{24}N_4O_4$
FW: 384.4
Purity: ≥98%
UV/Vis.: λ_{max}: 228, 363 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Istradefylline is supplied as a crystalline solid. A stock solution may be made by dissolving the istradefylline in the solvent of choice, which should be purged with an inert gas. Istradefylline is soluble in the organic solvent acetonitrile at a concentration of approximately 1 mg/ml.

Description

Istradefylline is an adenosine receptor 2A (A_{2A}) antagonist (K_i = 2.2 nM in a radioligand binding assay).[1] *In vivo*, istradefylline inhibits catalepsy induced by haloperidol (Item No. 12014) with an ED_{50} value of 0.23 mg/kg in rats. Oral administration of istradefylline alleviates postural defects in a dose-dependent manner without inducing dyskinesias or hyperactivity in an MPTP-induced marmoset model of Parkinson's disease.[2] It also decreases bradykinesias induced by L-DOPA (Item No. 13248) and improves attentional and working memory deficits in an MPTP-induced macaque model of Parkinson's disease.[3] Formulations containing istradefylline are used to extend on-time in Parkinson's disease patients experiencing motor fluctuations.

References

1. Shimada, J., Nobuaki, K., Nonaka, H., *et al.* Adenosine A_{2A} antagonists with potent anti-cataleptic activity *Bioorg. Med. Chem. Lett.* **7(18)**, 2349-2352 (1997).
2. Kanda, T., Jackson, M.J., Smith, L.A., *et al.* Adenosine A_{2A} antagonist: A novel antiparkinsonian agent that does not provoke dyskinesia in parkinsonian monkeys. *Ann Neurol.* **43(4)**, 507-513 (1998).
3. Ko, W.K.D., Camus, S.M., Li, Q., *et al.* An evaluation of istradefylline treatment on Parkinsonian motor and cognitive deficits in 1-methyl-4-phenyl-1,2,3,6-tetrahydropyridine (MPTP)-treated macaque models. *Neuropharmacology* **100(Pt. A)**, 48-58 (2016).

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