

PRODUCT INFORMATION



Istradefylline-¹³C-d₃

Item No. 31240

Formal Name: (E)-8-(3,4-dimethoxystyryl)-1,3-diethyl-7-(methyl-¹³C-d₃)-3,7-dihydro-1H-purine-2,6-dione

MF: C₁₉[¹³C]H₂₁D₃N₄O₄

FW: 388.5

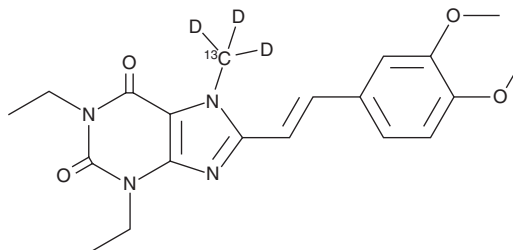
Chemical Purity: ≥98% (Istradefylline)

Deuterium Incorporation: ≥99% deuterated forms (d₁-d₃); ≤1% d₀

Supplied as: A 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-¹³C-d₃ is intended for use as an internal standard for the quantification of istradefylline (Item No. 22958) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Istradefylline-¹³C-d₃ is supplied as a solid. A stock solution may be made by dissolving the istradefylline-¹³C-d₃ in the solvent of choice, which should be purged with an inert gas. Istradefylline-¹³C-d₃ is soluble in methanol and DMSO.

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 ED₅₀ 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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