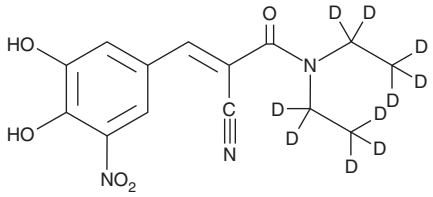
PRODUCT INFORMATION

Entacapone-d_{10}

Item No. 10010571

CAS Registry No.: 1185241-19-3
Formal Name: 2E-cyano-3-(3,4-dihydroxy-5-nitrophenyl)-N,N-di-(ethyl-d_5)-2-propenamide
Synonym: OR-611-d_{10}
MF: $C_{14}H_5D_{10}N_3O_5$
FW: 315.4
Chemical Purity: ≥98% (Entacapone)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_{10}); ≤1% d_0
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

Entacapone-d_{10} is intended for use as an internal standard for the quantification of entacapone (Item No. 14153) 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).

Entacapone-d_{10} is supplied as a crystalline solid. A stock solution may be made by dissolving the entacapone-d_{10} in the solvent of choice, which should be purged with an inert gas. Entacapone-d_{10} is slightly soluble in DMSO and methanol.

Description

Entacapone is a reversible catechol O-methyltransferase (COMT) inhibitor (IC_{50}s = 10, 10, 20, and 160 nM for rat duodenum, brain, erythrocyte, and liver COMT, respectively).[1] It is selective for COMT over monoamine oxidase A (MAO-A) and MAO-B and phenolsulphotransferase M (PST-M) and PST-P (IC_{50}s = >50 µM). Entacapone (10 mg/kg), in combination with L-DOPA (Item No. 13248) and carbidopa (Item No. 23783), reduces 3-O-methyldopa (3-OMD) levels in the rat striatum and hypothalamus to 52 and 27%, respectively, of the levels in control animals receiving only L-DOPA and carbidopa.[2] In a 6-OHDA rat model of Parkinson's disease, entacapone (10 mg/kg), in combination with L-DOPA and benserazide (Item No. 20298), increases contralateral turning behavior and striatal extracellular dopamine levels.[3] Entacapone also inhibits contraction of colon longitudinal muscle explants from a 6-OHDA rat model of Parkinson's disease (EC_{50} = 200 µM).[4] Formulations containing entacapone have been used in the treatment of Parkinson's disease.

References

1. Nissinen, E., Lindén, I.B., Schultz, E., *et al. Naunyn Schmiedebergs Arch. Pharmacol.* **346(3)**, 262-266 (1992).
2. Männistö, P.T., Tuomainen, P., and Tuominen, R.K. *Br. J. Pharmacol.* **105(3)**, 569-574 (1992).
3. Gerlach, M., van den Buuse, M., Blaha, C., *et al. Naunyn Schmiedebergs Arch. Pharmacol.* **370(5)**, 388-394 (2004).
4. Li, L.-S., Liu, C.-Z., Xu, J.-D., *et al. World J. Gastroenterol.* **21(12)**, 3509-3518 (2015).

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