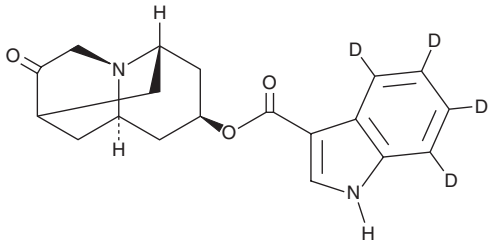
PRODUCT INFORMATION

Dolasetron-d_4

Item No. 33294

Formal Name: 1H-indole-3-carboxylic acid-4,5,6,7-d_4, octahydro-3-oxo-2,6-methano-2H-quinolizin-8-yl ester, stereoisomer
MF: $C_{19}H_{16}D_4N_2O_3$
FW: 328.4
Chemical Purity: ≥95% (Dolasetron)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_4); ≤1% d_0
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

Dolasetron-d_4 is intended for use as an internal standard for the quantification of dolasetron (Item No. 22234) 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).

Dolasetron-d_4 is supplied as a solid. A stock solution may be made by dissolving the dolasetron-d_4 in the solvent of choice, which should be purged with an inert gas. Dolasetron-d_4 is soluble in organic solvents such as methanol, DMSO, acetone, and acetonitrile.

Description

Dolasetron is an antagonist of the serotonin (5-HT) receptor subtype 5-HT_3 (K_i = 20 nM).[1] It is selective for 5-HT_3 receptors over 5-HT_{1A}, 5-HT_{1B}, 5-HT_2, dopamine D_2, α_1-, α_2-, and β-adrenergic, M_{1-5} muscarinic acetylcholine, and neurokinin-1 (NK_1) receptors (IC_{50}s = >10 µM for all).[2] Dolasetron inhibits 5-HT-induced membrane currents in NG 108-15 cells (IC_{50} = 3.8 nM).[1] It increases the latency to emesis and reduces the number of vomiting and retching episodes induced by cisplatin (Item No. 13119) in ferrets when administered at doses of 0.5 or 2 mg/kg.[2] Formulations containing dolasetron have been used in the prevention of postoperative or chemotherapy-induced nausea.

References

1. Beoijinga, P.H., Galvan, M., Baron, B.M., *et al.* Characterization of the novel 5-HT_3 antagonists MDL 73147EF (dolasetron mesilate) and MDL 74156 in NG108-15 neuroblastoma x glioma cells. *Eur. J. Pharmacol.* **219(1)**, 9-13 (1992).
2. Miller, R.C., Galvan, M., Gittos, M.W., *et al.* Pharmacological properties of dolasetron, a potent and selective antagonist at 5-HT_3 receptors. *Drug Develop. Res.* **28(1)**, 87-93 (1993).

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