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PRODUCT INFORMATION

Tropisetron-d₅

Item No. 30736

Formal Name: 1H-indole-3-carboxylic acid (3-*endo*)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl-d₅ ester

MF: C₁₇H₁₅D₅N₂O₂

FW: 289.4

Chemical Purity: ≥98% (Tropisetron)

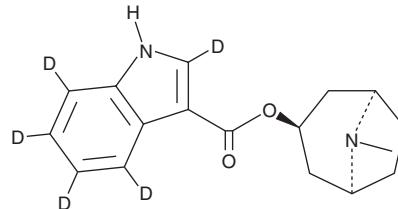
Deuterium

Incorporation: ≥99% deuterated forms (d₁-d₅); ≤1% d₀

Supplied as: A solid

Storage: -20°C

Stability: ≥2 years



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

Laboratory Procedures

Tropisetron-d₅ is intended for use as an internal standard for the quantification of tropisetron (Item No. 21240) 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).

Tropisetron-d₅ is supplied as a solid. A stock solution may be made by dissolving the tropisetron-d₅ in the solvent of choice, which should be purged with an inert gas. Tropisetron-d₅ is slightly soluble in DMSO and methanol.

Description

Tropisetron is an antagonist of the serotonin (5-HT) receptor subtype 5-HT₃ (K_i = 0.8 nM for the mouse receptor).¹ It is selective for 5-HT₃ over the 5-HT₄ receptor subtype (K_i = 156 nM for the porcine receptor). Tropisetron is also an antagonist of the α9 nicotinic acetylcholine receptor (nAChR; IC₅₀ = 166 nM for the rat receptor) and a partial agonist of the α7 nAChR (K_i = 6.9 nM for the rat receptor).^{2,3} It enhances glycine-induced potentiation of homomeric α1 but not homomeric α2 glycine receptors when used at a concentration of 10 μM.⁴ Tropisetron (0.1 nM) blocks 5-HT-induced depolarizations in isolated rabbit nodose ganglia.⁵ It exhibits anti-emetic effects in a ferret model of emesis induced by cisplatin (Item No. 13119) when administered at a dose of 1 mg/kg.⁶ Formulations containing tropisetron have been used in the treatment of nausea and vomiting associated with chemotherapy.

References

1. Schiavi, G.B., Brunet, S., Rizzi, C.A., et al. *Neuropharmacology* **33**(3-4), 543-549 (1994).
2. Rothlin, C.V., Katz, E., Verbitsky, M., et al. *Mol. Pharmacol.* **55**(2), 248-254 (1999).
3. Macor, J.E., Gurley, D., Lanthorn, T., et al. *Bioorg. Med. Chem. Lett.* **11**(3), 319-321 (2001).
4. Supplisson, S. and Chesnoy-Marchais, D. *Mol. Pharmacol.* **58**(4), 763-770 (2000).
5. Round, A. and Wallis, D.I. *Br. J. Pharmacol.* **88**(2), 485-494 (1986).
6. Watson, J.W., Gonsalves, S.F., Fossa, A.A., et al. *Br. J. Pharmacol.* **115**(1), 84-94 (1995).

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.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

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