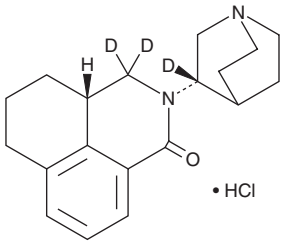
PRODUCT INFORMATION

Palonosetron-d_3 (hydrochloride)

Item No. 29049

Formal Name:	(S)-2-((S)-quinuclidin-3-yl-3-d)-2,3,3a,4,5,6-hexahydro-1H-benzo[de]isoquinolin-1-one-3,3-d_2, monohydrochloride
Synonym:	RS 25259-197-d_3
MF:	$C_{19}H_{21}D_3N_2O$ • HCl
FW:	335.9
Chemical Purity:	≥95% (Palonosetron)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_3); ≤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

Palonosetron-d_3 (hydrochloride) is intended for use as an internal standard for the quantification of palonosetron (Item No. 16752) 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).

Palonosetron-d_3 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the palonosetron-d_3 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Palonosetron-d_3 (hydrochloride) is soluble in the organic solvent DMSO.

Description

Palonosetron is an antagonist of the serotonin (5-HT) receptor subtype 5-HT_3 (K_i = 0.04 nM).[1] It is selective for 5-HT_3 over 5-HT_{1A}, 5-HT_{1D}, 5-HT_{2A}, and 5-HT_{2C} receptors (K_is = ≥15.85 µM for all), as well as a panel of additional neurotransmitter receptors. Palonosetron inhibits 5-HT-induced contraction in isolated guinea pig ileum. It inhibits cisplatin-induced emesis in ferrets (ID_{50} = 1.1 µg/kg, i.v.) as well as emesis induced by cisplatin (Item No. 13119), dacarbazine (Item No. 21877), actinomycin D (Item No. 11421), and mechlorethamine in dogs (ID_{50}s = 1.9, 4.1, 4.9, and 4.4 µg/kg, respectively).[2] Formulations containing palonosetron have been used in the treatment of postoperative or chemotherapy-induced nausea and vomiting.

References

1. Wong, E.H., Clark, R., Leung, E., *et al.* The interaction of RS 25259-197, a potent and selective antagonist, with 5-HT_3 receptors, *in vitro*. *Br. J. Pharmacol.* **114(4)**, 851-859 (1995).
2. Eglen, R.M., Lee, C.H., Smith, W.L., *et al.* Pharmacological characterization of RS 25259-197, a novel and selective 5-HT_3 receptor antagonist, *in vivo*. *Br. J. Pharmacol.* **114(4)**, 860-866 (1995).

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