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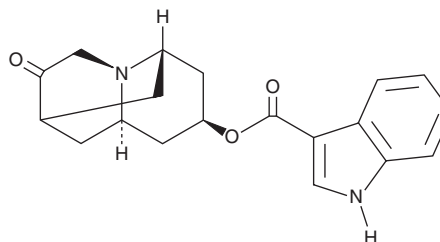
PRODUCT INFORMATION



Dolasetron

Item No. 22234

CAS Registry No.: 115956-12-2
Formal Name: 1H-indole-3-carboxylic acid, octahydro-3-oxo-2,6-methano-2H-quinolizin-8-yl ester, stereoisomer
Synonym: MDL 73147
MF: C₁₉H₂₀N₂O₃
FW: 324.4
Purity: ≥98%
UV/Vis.: λ_{max}: 213, 282 nm
Supplied as: A crystalline 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

Dolasetron is supplied as a crystalline solid. A stock solution may be made by dissolving the dolasetron in the solvent of choice, which should be purged with an inert gas. Dolasetron is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of dolasetron in these solvents is approximately 16, 33, and 25 mg/ml, respectively.

Dolasetron is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, dolasetron should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Dolasetron has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Dolasetron is an antagonist of the serotonin (5-HT) receptor subtype 5-HT₃ (K_i = 20 nM).¹ It is selective for 5-HT₃ receptors over 5-HT_{1A}, 5-HT_{1B}, 5-HT₂, dopamine D₂, α₁-, α₂-, β-adrenergic, M₁₋₅ muscarinic acetylcholine, and neurokinin-1 (NK₁) receptors (IC₅₀s = >10 μM for all).² Dolasetron inhibits 5-HT-induced membrane currents in NG 108-15 cells (IC₅₀ = 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. Beojinga, P.H., Galvan, M., Baron, B.M., *et al.* Characterization of the novel 5-HT₃ 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-HT₃ receptors. *Drug Develop. Res.* **28(1)**, 87-93 (1993).

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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