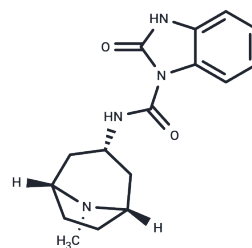


Itasetron

Chemical Properties

CAS No. :	123258-84-4
Formula:	C ₁₆ H ₂₀ N ₄ O ₂
Molecular Weight:	300.36
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Itasetron (U 98079A) is a 5-hydroxytryptamine 3-receptor antagonist used to prevent age-related memory deficits in rats. Itasetron's antiemetic activity in animal models of cancer chemotherapy and radiation therapy may be useful in the study of amnesia.
Targets(IC50)	5-HT Receptor
In vivo	Itasetron orally is rapidly absorbed (about 90 min), is highly bioavailable (greater than 90%), and has a long half-life (about 12 h). Itasetron (0.5, 1, 2, 4, and 8 mg; twice daily) in comparison with 8 mg b.i.d. ondansetron. Assessments were made in patients (n = 104) with histologically confirmed cancer (excluding head and neck tumors) and about to receive their first course of moderately emetogenic chemotherapy. Itasetron demonstrated comparable efficacy to ondansetron; no statistically significant between-group differences were observed in the primary (complete response rate) or secondary (nausea and delayed emesis) efficacy criteria. The tolerability of Itasetron was assessed as 'very good' or 'rather good' by 81% of patients and 89% of physicians. In conclusion, Itasetron is effective and well tolerated in patients receiving moderately emetogenic chemotherapy. Oral doses of 1 mg b.i.d. or above will be used in further clinical studies. [1]

Solubility Information

Solubility	DMSO: 45 mg/mL (149.82 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
------------	---------------------------------------------------------------------------------------------------------------------------

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.3293 mL	16.6467 mL	33.2934 mL
5 mM	0.6659 mL	3.3293 mL	6.6587 mL
10 mM	0.3329 mL	1.6647 mL	3.3293 mL
50 mM	0.0666 mL	0.3329 mL	0.6659 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Goldschmidt H, et al. Comparison of oral itasetron with oral ondansetron: results of a double-blind, active-controlled phase II study in chemotherapy-naïve patients receiving moderately emetogenic chemotherapy. *Anticancer Drugs*. 1997 Jun;8(5):436-44.

Patoia L, et al. Intravenous itasetron: establishing the effective dose range for the prophylactic control of acute emesis in cancer patients undergoing high-dose cisplatin chemotherapy. *Clin Oncol (R Coll Radiol)*. 1999;11(2):99-104.

Volonté M, et al. Effect of the 5-hydroxytryptamine₃ receptor antagonist itasetron (DAU 6215) on (+)-N-allylnormetazocine-induced dopamine release in the nucleus accumbens and in the corpus striatum of the rat: an in vivo microdialysis study. *J Pharmacol Exp Ther*. 1995 Oct;275(1):358-67.

Pitsikas N, et al. Itasetron (DAU 6215) prevents age-related memory deficits in the rat in a multiple choice avoidance task. *Eur J Pharmacol*. 1996 Sep 12;311(2-3):115-9.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481