Azasetron hydrochloride

Cat. No.: HY-B0068

CAS No.: 123040-16-4

Molecular Formula: $C_{17}H_{21}Cl_2N_3O_3$

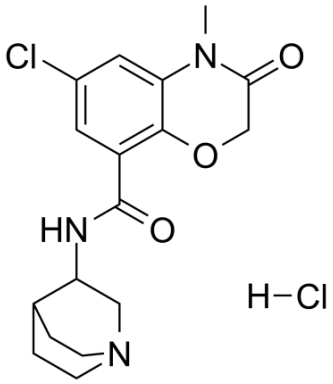
Molecular Weight: 386.27

Target: 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 20 mg/mL (51.78 mM; Need ultrasonic)
DMSO : 2.22 mg/mL (5.75 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5889 mL	12.9443 mL	25.8886 mL
5 mM	0.5178 mL	2.5889 mL	5.1777 mL
10 mM	0.2589 mL	1.2944 mL	2.5889 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Azasetron (hydrochloride) is a selective 5-HT3 receptor antagonist with IC50 of 0.33 nM used in the management of nausea and vomiting induced by cancer chemotherapy. Target: 5-HT3 ReceptorAzasetron (hydrochloride) is a 5-HT3 receptor antagonist which is used as an anti-emetic.Azasetron (hydrochloride) inhibited the specific binding of [3H]quipazine to 5-HT3 receptors at the synaptic membranes of the rat cerebral cortex with a Ki value of 2.9 nM. Azasetron (hydrochloride) showed low affinity for histamine H1 receptors (IC50 = 4.4 microM) but it could not reveal any affinities for the other receptors (5-HT1A, 5-HT2, dopamine D1, dopamine D2, alpha 1-adrenoceptor, alpha 2-adrenoceptor, muscarine and benzodiazepine) even at a 10 microM concentration [1]. Azasetron (hydrochloride) (0.1-1.0 mg/kg) dose-dependently prolonged the latency to the first vomiting and decreased the number of vomitings induced by cisplatin in dogs. Azasetron (hydrochloride) is an orally active antiemetic compound against cisplatin and doxorubicin/cyclophosphamide-induced emeses; and its the antiemetic potency is similar to those of granisetron and ondansetron, but superior to those of metoclopramide and domperidone [2].

IC_{50} & Target

$5\text{-}HT_3$ Receptor
0.33 nM (IC_{50})

CUSTOMER VALIDATION

- Protein Cell. 2019 Mar;10(3):178-195.

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REFERENCES

[1]. Sato, N., et al., Antagonistic activity of Y-25130 on 5-HT3 receptors. Jpn J Pharmacol, 1992. 59(4): p. 443-8.

[2]. Haga, K., et al., The effects of orally administered Y-25130, a selective serotonin3-receptor antagonist, on chemotherapeutic agent-induced emesis. Jpn J Pharmacol, 1993. 63(3): p. 377-83.

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