

产品名称: **Azasetron HCl**
 产品别名: **Azasetron hydrochloride**

生物活性:

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Description	<p>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 Receptor Azasetron (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].</p>				
Solvent&Solubility	<p><i>In Vitro:</i></p> <p>DMSO : H2O : ≥ 3.9 mg/mL (10.10 mM)</p> <p>* "≥" means soluble, but saturation unknown.</p>				
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	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
<p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限：-80℃，6 months；-20℃，1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。</p>					
References	<p>[1]. <u>Sato, N., et al., Antagonistic activity of Y-25130 on 5-HT3 receptors. Jpn J Pharmacol, 1992. 59(4): p. 443-8.</u></p> <p>[2]. <u>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.</u></p>				