

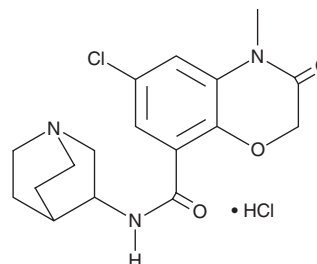
PRODUCT INFORMATION



Azasetron (hydrochloride)

Item No. 28383

CAS Registry No.: 123040-16-4
Formal Name: N-1-azabicyclo[2.2.2]oct-3-yl-6-chloro-3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazine-8-carboxamide, monohydrochloride
Synonym: Y-25130
MF: $C_{17}H_{20}ClN_3O_3 \cdot HCl$
FW: 386.3
Purity: $\geq 98\%$
UV/Vis.: λ_{max} : 221, 307 nm
Supplied as: A crystalline solid
Storage: $-20^{\circ}C$
Stability: ≥ 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Description

Azasetron is an orally bioavailable antagonist of the serotonin (5-HT) receptor subtype 5-HT₃ ($K_i = 2.9$ nM).^{1,2} It is selective for 5-HT₃ over 5-HT_{1A} and 5-HT₂ ($IC_{50} = >10$ μ M for both), as well as dopamine D₁ and D₂ and α_1 - and α_2 -adrenergic receptors ($IC_{50}s = >10$ μ M), but it does bind to histamine H₁ receptors ($IC_{50} = 4.4$ μ M). Azasetron inhibits contractions induced by 5-HT (Item No. 14332) in isolated guinea pig ileum ($pA_2 = 7.04$) and isolated rabbit heart ($pA_2 = 10.06$). It inhibits emesis induced by cisplatin (Item No. 13119) in dogs and induced by doxorubicin (Item No. 15007) combined with cyclophosphamide (Item No. 13849) in ferrets when administered at a dose of 1 mg/kg.¹

References

1. Haga, K., Inaba, K., Shoji, H., *et al.* The effects of orally administered Y-25130, a selective serotonin₃-receptor antagonist, on chemotherapeutic agent-induced emesis. *Jpn. J. Pharmacol.* **63**(3), 377-383 (1993).
2. Sato, N., Sakamori, M., Haga, K., *et al.* Antagonistic activity of Y-25130 on 5-HT₃ receptors. *Jpn. J. Pharmacol.* **59**(4), 443-448 (1992).

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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