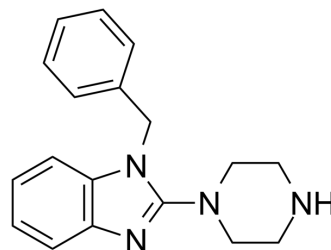


Lerisetron

Cat. No.:	HY-105090
CAS No.:	143257-98-1
Molecular Formula:	C ₁₈ H ₂₀ N ₄
Molecular Weight:	292.38
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Lerisetron is a potent 5-HT ₃ antagonists and possess high-affinity binding for the 5-HT ₃ receptors with pK _i value of 9.2. Lerisetron has a potent ability to inhibit the 5-HT-evoked reflex bradycardia in urethane-anesthetized rats ^[1] .																						
IC₅₀ & Target	pK _i : 9.2 (5-HT ₃) ^[1]																						
In Vivo	<p>Lerisetron (50-200 µg/kg; IV; single) exhibits CL of 0.004-0.005 L/min, Vd_s of 0.88-0.96 L, MRT_{0-LAST} of 224-337.1 min and AUC_∞ of 57.7-66.1 µg·min/L in rats^[2].</p> <p>Lerisetron (2-10 µg/kg; IV; single) causes rapid recovery from bradycardia^[2].</p> <p>Pharmacokinetic Parameters of Lerisetron in Sprague-Dawley rats^[2].</p> <table border="1"> <thead> <tr> <th></th> <th>IV (50 µg/kg)</th> <th>IV (100 µg/kg)</th> <th>IV (200 µg/kg)</th> </tr> </thead> <tbody> <tr> <td>CL (L/min)</td> <td>0.005</td> <td>0.004</td> <td>0.004</td> </tr> <tr> <td>Vd_s (L)</td> <td>0.9</td> <td>0.88</td> <td>0.96</td> </tr> <tr> <td>MRT_{0-LAST} (min)</td> <td>224</td> <td>337.1</td> <td>226.3</td> </tr> <tr> <td>AUC_∞ (µg·min/L)</td> <td>66.1</td> <td>57.7</td> <td>58.1</td> </tr> </tbody> </table> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>				IV (50 µg/kg)	IV (100 µg/kg)	IV (200 µg/kg)	CL (L/min)	0.005	0.004	0.004	Vd _s (L)	0.9	0.88	0.96	MRT _{0-LAST} (min)	224	337.1	226.3	AUC _∞ (µg·min/L)	66.1	57.7	58.1
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REFERENCES

[1]. Orjales A, Mosquera R, Labeaga L, Rodes R. New 2-piperazinylbenzimidazole derivatives as 5-HT₃ antagonists. Synthesis and pharmacological evaluation. *J Med Chem.* 1997;40(4):586-593.

[2]. Jauregizar N, Calvo R, Suarez E, Quintana A, Raczka E, Lukas JC. Pharmacokinetics and pharmacological effect of lerisetron, a new 5-HT₃ antagonist, in rats. *J Pharm Sci.* 2002;91(1):41-52.

Caution: Product has not been fully validated for medical applications. For research use only.

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