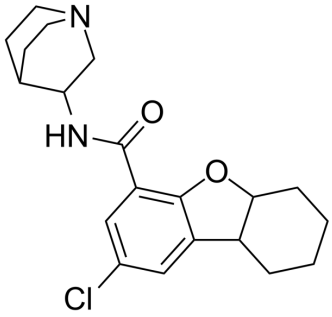
RG-12915

Cat. No.:	HY-19110
CAS No.:	136174-04-4
Molecular Formula:	$C_{20}H_{25}ClN_2O_2$
Molecular Weight:	360.88
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	RG-12915 is a selective 5-HT3 antagonist, with IC_{50} value of 0.16 nM.
IC_{50} & Target	5-HT_3 Receptor 0.16 nM (IC_{50})
In Vitro	RG 12915 is a potent and selective displacer of binding of 5-hydroxytryptamine (5-HT3) binding sites (IC_{50} value = 0.16 nM), whereas failing to displace binding of ligands for the alpha-1, alpha-2 and beta adrenergic, 5-HT1 or 5-HT2 or cholinergic-muscarinic sites with IC_{50} values less than 1 μM. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RG 12915 has a lower ED_{50} value (0.004 mg/kg) for attenuating cisplatin-induced emetic episodes in the ferret. RG 12915 (1 mg/kg, p.o.) is highly protective against cisplatin-induced emesis in the dog. RG 12915 has no significant gastroprokinetic activity in the same species[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Fitzpatrick LR, et al. RG 12915: a potent 5-hydroxytryptamine-3 antagonist that is an orally effective inhibitor of cytotoxic drug-induced emesis in the ferret and dog. J Pharmacol Exp Ther. 1990 Aug;254(2):450-5.

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