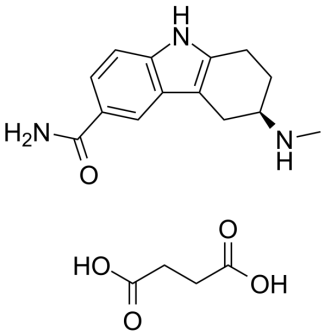
Frovatriptan succinate

Cat. No.:	HY-B1658B
CAS No.:	158930-09-7
Molecular Formula:	$C_{18}H_{23}N_3O_5$
Molecular Weight:	361.39
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	Frovatriptan succinate ((R)-Frovatriptan succinate) is a potent, high affinity, selective and orally active 5-HT_{1B} (pK_{50} of 8.2) and 5-HT_{1D} receptor agonist. Frovatriptan succinate exhibits >10-fold selectivity for 5-HT_{1B} and 5-HT_{1D} over 5-HT_{1A}, 5-HT_{1F}, and 5-HT_7 and >1000-fold selectivity over other 5-HT, dopamine, histamine H_1, and α1-adrenoceptor. Frovatriptan succinate has the potential for migraine research[1][2].	
IC_{50} & Target	5-HT_{1B} Receptor 8.2 (pEC50)	5-HT_{1D} Receptor
In Vitro	Cerebral vasodilatation and neurogenic inflammation are considered to be prime movers in the pathogenesis of migraine. Activation of 5-HT_{1B} reverses cerebral vasodilatation and activation of 5-HT_{1D} prevents neurogenic inflammation. Frovatriptan has a high affinity for 5-HT_{1B} and 5-HT_{1D} receptors and a moderate affinity for the 5-HT_{1A} and 5-HT_{1F} receptors subtypes. Frovatriptan has a moderate affinity for the 5-HT_7 receptors, an action associated with coronary artery relaxation in the dog[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	Oral bioavailability of Frovatriptan is 22%-30% and is not affected by food. Although the maximum concentration in the plasma is achieved in 2-3 hours, 60%-70% of this is achieved in 1 hour. A steady state is achieved in 4-5 days. Plasma protein binding is low at 15%. The most unique feature is the relative terminal long half-life of about 26 hours. Frovatriptan is chiefly metabolized by CYP1A2 and is cleared by the kidney and liver making moderate failure of either organ not a limiting factor in treatment[1]. Frovatriptan (0.1, 0.2, and 0.3 mg/kg; a single bolus intraduodenal administration) treatment produces an increase in carotid vascular resistance, which is sustained for at least 5 hours in dogs[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Kelman L. Review of frovatriptan in the treatment of migraine. Neuropsychiatr Dis Treat. 2008 Feb;4(1):49-54.

[2]. Comer MB. Et al. Pharmacology of the selective 5-HT(1B/1D) agonist frovatriptan. Headache. 2002 Apr;42 Suppl 2:S47-53.

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

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