

Catalog Number: CM10939

产品信息

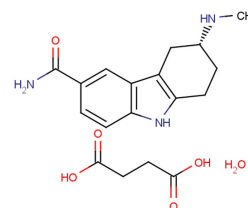
Catalog Number:
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CAS号:
158930-17-7

分子式:
C₁₈H₂₅N₃O₆
主要靶点:
5-HT Receptor

主要通路:
神经科学|G蛋白偶联受体

分子量:
379.41

溶解度:
DMSO:60 mg/ml (158.14 mM)


靶点活性

Human 5-HT₇ Receptor:6.7 (pki)|5-HT_{1B} Receptor:8.6 (pki)|5-HT_{1D} Receptor:8.4 (pki)

体外活性

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} receptor subtypes. Frovatriptan has a moderate affinity for the 5-HT₇ receptors, an action associated with coronary artery relaxation in the dog. 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[1].

体内活性

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. Frovatriptan has a low risk of interactions with other drugs. 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[2].

描述

Frovatriptan succinate hydrate is effective in treating the full spectrum of migraine including the associated symptoms of nausea, vomiting, photophobia, and phonophobia. Frovatriptan succinate hydrate can also be used as in mini-prophylaxis in menstrual migraine. Frovatriptan succinate hydrate is a potent, high affinity, selective and orally active 5-HT_{1B}, HT_{1D} receptor agonist and a moderately potent 5-HT₇ receptor agonist, with pK_i values of 8.6, 8.4, and 6.7, respectively.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years