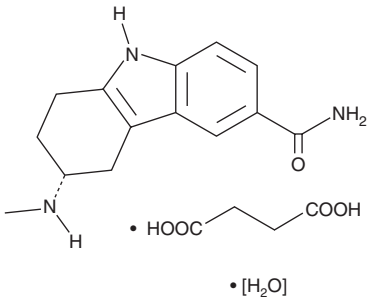
PRODUCT INFORMATION

Frovatriptan (succinate hydrate)

Item No. 23771

CAS Registry No.: 158930-17-7
Formal Name: butanedioic acid, compd. with (R)-2,3,4,9-tetrahydro-3-(methylamino)-1H-carbazole-6-carboxamide, hydrate (1:1:1)
MF: $C_{14}H_{17}N_3O \bullet C_4H_6O_4$ [H_2O]
FW: 379.4
Purity: ≥98%
UV/Vis.: λ_{max}: 245, 278 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Frovatriptan (succinate hydrate) is supplied as a solid. A stock solution may be made by dissolving the frovatriptan (succinate hydrate) in the solvent of choice, which should be purged with an inert gas. Frovatriptan (succinate hydrate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of frovatriptan (succinate hydrate) in these solvents is approximately 10 and 3 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of frovatriptan (succinate hydrate) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of frovatriptan (succinate hydrate) in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Frovatriptan is an agonist of the serotonin (5-HT) receptor subtypes 5-HT_{1B} and 5-HT_{1D} (K_is = 2.51 and 3.98 nM, respectively).[1,2] It is selective for 5-HT_{1B} and 5-HT_{1D} over 5-HT_{1A}, 5-HT_{1E}, 5-HT_{1F}, 5-HT_{2A}, 5-HT_{2C}, 5-HT_3, and 5-HT_7 receptors, as well as dopamine D_1, D_2, and D_3, histamine H_1, and α_1-adrenergic receptors (K_is = >50 nM). Frovatriptan induces contractions in human basilar arteries isolated post-mortem, coronary arteries from transplant recipient hearts, and coronary arteries from donor hearts unsuitable for transplantation (EC_{50}s = 13.8, 41.69, and 15.49 nM, respectively).[3] It increases carotid vascular resistance in closed-chest and open-chest anesthetized dogs (ED_{50}s = 6 and 1 nmol/kg, i.v., respectively).[4] Formulations containing frovatriptan have been used in the treatment of migraines.

References

1. Brown, A.M., Parsons, A.A., Raval, P., *et al. SB 209509 (VML 251), a potent constrictor of rabbit basilar artery with high affinity and selectivity for human 5-HT_{1D} receptors Br. J. Pharmacol.* **119(Suppl 1),** 110P (1996).
2. Comer, M.B. Pharmacology of the selective 5-$HT_{1B/1D}$ agonist frovatriptan *Headache* **42(Suppl 2),** S47-S53 (2002).
3. Parsons, A.A., Raval, P., Smith, S., *et al.* Effects of the novel high-affinity 5-$HT_{1B/1D}$-receptor ligand frovatriptan in human isolated basilar and coronary arteries *J. Cardiovasc. Pharmacol.* **32(2),** 220-224 (1998).
4. Parsons, A.A., Parker, S.G., Raval, P., *et al.* Comparison of the cardiovascular effects of the novel 5-$HT_{1B/1D}$ receptor agonist, SB 209509 (VML251), and sumatriptan in dogs *J. Cardiovasc. Pharmacol.* **30(1),** 136-141 (1997).

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