Eletriptan hydrobromide

Cat. No.: HY-A0010
CAS No.: 177834-92-3
Molecular Formula: C₂₂H₂₇BrN₂O₂S
Molecular Weight: 463.43
Target: 5-HT Receptor
Pathway: GPCR/G Protein; Neuronal Signaling
Storage: Powder
-20°C 3 years
4°C 2 years
In solvent
-80°C 6 months
-20°C 1 month

BIOLOGICAL ACTIVITY

Description
Eletriptan HBr is a selective 5-HT1B and 5-HT1D receptor agonist with Ki of 0.92 nM and 3.14 nM, respectively. IC50 value: 0.82 nM/3.14 nM (5-HT1B/5-HT1D, Ki) [1].

Table: 5-HT1B/5-HT1D in vitro: [3H]Eletriptan has a total number of binding sites (Bmax) of 2478 fmol/mg and 1576 fmol/mg for 5-HT1B and 5-HT1D, respectively. [3H]Eletriptan has a significantly faster association rate (K(on) 0.249/min/nM) than [3H]sumatriptan (K(on) 0.024/min/nM) and a significantly slower off-rate (K(off) 0.027/min compared to 0.037/min for [3H]sumatriptan) [1]. Eletriptan induces concentration-dependent contractions of meningeal artery, coronary artery, and saphenous vein. The potency of Eletriptan is higher in meningeal artery than in coronary artery (86-fold) or saphenous vein (66-fold). The predicted contraction by Eletriptan (40 mg and 80 mg) and sumatriptan (100 mg) at free C(max) observed in clinical trials is similar in meningeal artery [2].

In vivo: Eletriptan (<1000 mg/kg, i.v.) produces a dose-dependent reduction of carotid arterial blood flow in the anaesthetised dog. Eletriptan reduces coronary artery diameter with ED50 value of 63 mg/kg in the anaesthetised dog. Eletriptan (<300 mg/kg, i.v.) administered prior to electrical stimulation of the trigeminal ganglion produces a dose-related and complete inhibition of plasma protein extravasation in the dura mater rats. Eletriptan (100 mg/kg, i.v.) produces a complete inhibition of plasma protein extravasation in rat dura mater [3]. Iontophoretic ejection (50 nA) of Eletriptan suppresses the response in 75% of cells and causes an average suppression of cell firing of 42% in cats [4].

IC₅₀ & Target

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<th>5-HT₁Β Receptor</th>
<th>5-HT₁D Receptor</th>
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<tr>
<td>IC₅₀ (Ki)</td>
<td>0.92 nM (Ki)</td>
<td>3.14 nM (Ki)</td>
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REFERENCES


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

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