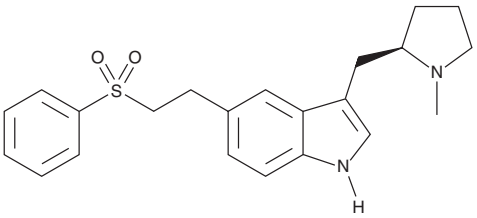
PRODUCT INFORMATION

Eletriptan

Item No. 20048

CAS Registry No.:	143322-58-1
Formal Name:	3-[[(2R)-1-methyl-2-pyrrolidinyl]methyl]-5-[2-(phenylsulfonyl)ethyl]-1H-indole
Synonym:	UK 116044
MF:	$C_{22}H_{26}N_2O_2S$
FW:	382.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 223, 271 nm
Supplied as:	A crystalline 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

Eletriptan is supplied as a crystalline solid. A stock solution may be made by dissolving the eletriptan in the solvent of choice, which should be purged with an inert gas. Eletriptan is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of eletriptan in ethanol is approximately 0.1 mg/ml, and approximately 10 mg/ml in DMSO and DMF.

Eletriptan is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, eletriptan should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Eletriptan has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Eletriptan is an agonist of the serotonin (5-HT) receptor types 5-HT_{1B} and 5-HT_{1D}.[1] It inhibits forskolin-induced cAMP accumulation in and induces vasoconstriction of isolated rabbit common carotid artery rings (pD_2s = 7.6 and 4.9, respectively), an effect that can be blocked by the 5-HT_{1B} antagonist SB216641 but not the 5-HT_{1D} antagonist BRL15572. Eletriptan preferentially induces constriction of isolated human cerebral over coronary arteries (EC_{50}s = 15.8 and 1,995 nM, respectively).[2] Formulations containing eletriptan have been used in the treatment of migraine headache.

References

1. Akin, D., Onaran, H. O., and Gudal, H. Agonist-directed trafficking explaining the difference between response pattern of naratriptan and sumatriptan in rabbit common carotid artery. *Br. J. Pharmacol.* **136(2)**, 171-176 (2002).
2. Edvinsson, L., Uddman, E., Wackenfors, A., *et al.* Triptan-induced contractile (5-HT_{1B} receptor) responses in human cerebral and coronary arteries: Relationship to clinical effect. *Clin. Sci. (Lond.)* **109(3)**, 335-342 (2005).

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