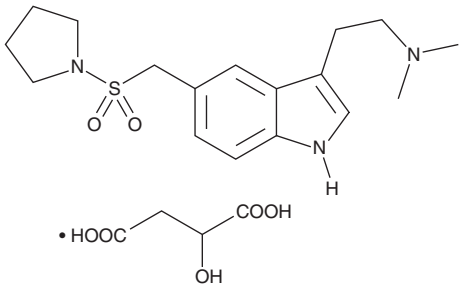
PRODUCT INFORMATION

Almotriptan (malate)

Item No. 25646

CAS Registry No.:	181183-52-8
Formal Name:	2-hydroxy-butanedioic acid, compd. with N,N-dimethyl-5-[(1-pyrrolidinylsulfonyl)methyl]-1H-indole-3-ethanamine
Synonym:	PNU 180638E
MF:	$C_{17}H_{25}N_3O_2S \bullet C_4H_6O_5$
FW:	469.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 229 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

Almotriptan (malate) is supplied as a crystalline solid. A stock solution may be made by dissolving the almotriptan (malate) in the solvent of choice. Almotriptan (malate) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of almotriptan (malate) in these solvents is approximately 0.1 and 0.2 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 almotriptan (malate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of almotriptan (malate) in PBS, pH 7.2, is approximately 20 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Almotriptan is an agonist of the serotonin (5-HT) receptor subtypes 5-HT_{1B} and 5-HT_{1D} (IC_{50}s = 12 and 13 nM, respectively, in a radioligand binding assay).[1] It is selective for human 5-HT_{1B} and 5-HT_{1D} receptors over rat 5-HT_{1A} and human 5-HT_{2A} and 5-HT_4 receptors (IC_{50}s = 0.85, 25.1, and 140 µM, respectively). Almotriptan induces contractions in isolated canine saphenous veins (EC_{50} = 394 nM) but not isolated rabbit renal or mesenteric arteries. It increases carotid vascular resistance in anesthetized cats (ED_{100} = 11 µg/kg, i.v.) without increasing blood pressure or heart rate.[2] Formulations containing almotriptan have been used in the treatment of migraine headaches.

References

1. Bou, J., Domènech, T., Puig, J., *et al*. Pharmacological characterization of almotriptan: An indolic 5-HT receptor agonist for the treatment of migraine. *Eur. J. Pharmacol.* **410(1),** 33-41 (2000).
2. Gras, J., Bou, J., Llenas, J., *et al*. Functional profile of almotriptan in animal models predictive of antimigraine activity. *Eur. J. Pharmacol*. **410(1),** 43-51 (2000).

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