Product Data Sheet

Product Name: 3,4-dihydro Naratriptan
Cat. No.: GC14343

Chemical Properties

Cas. No.	121679-20-7		
Chemical Name	N-methyl-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-indole-5-ethanesulfonamide		
SMILES	O=S(CCC1=CC=C2C(C(C3=CCN(C)CC3)=CN2)=C1)(NC)=O		
Formula	$C_{17}H_{23}N_3O_2S$	M.Wt	333.4
Solubility	≤0.1mg/ml in ethanol;10mg/ml in DMSO;10mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	3,4-dihydro Naratriptan		

Background

pKi: 8.9 of Naratriptan for human 5-HT1B

3,4-dihydro Naratriptan is a selective serotonin 5-HT1B agonist.

5-HT1B receptors are widely distributed throughout the CNS with the highest concentrations found in the basal ganglia, frontal cortex, striatum, and the hippocampus. The function of the 5-HT1B receptor differs depending upon its location.

In vitro: 3,4-dihydro Naratriptan is an impurity formed during the preparation of naratriptan. Naratriptan had high affinity for human recombinant 5HT1B and 5HT1D receptors and could cause contractions of dog isolated basilar and middle cerebral artery. Naratriptan also caused small contractions of human isolated coronary arteries [1].

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In vivo: In anaesthetized dogs, naratriptan caused selective vasoconstriction of the carotid arterial bed and, in anaesthetized rats, naratriptan selectively inhibited neurogenic plasma protein extravasation in the dura. In various antinociceptive tests, naratriptan had no effect even at high doses. In conscious rats and dogs, naratriptan had high oral bioavailability [1].

Clinical trial: Naratriptan has been approved for acute oral migraine therapy. In two Phase III trials of naratriptan compared with placebo, relief at four hours was obtained in 60% and 68% of patients using the 2.5-mg dose, with recurrence of headache in 24 hours in 27% and 28% of patients. Adverse effects of naratriptan were found to be similar to placebo, and its tolerability appeared superior compared with studies of other oral triptans [2].

References:
[1] Connor HE, Feniuk W, Beattie DT, North PC, Oxford AW, Saynor DA, Humphrey PP. Naratriptan: biological profile in animal models relevant to migraine. Cephalalgia. 1997 May;17(3):145-52.
[2] Dulli DA. Naratriptan: an alternative for migraine. Ann Pharmacother. 1999 Jun;33(6):704-11.

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