Product Data Sheet

Product Name: Donitriptan hydrochloride
Cat. No.: GC13265

Chemical Properties

Cas. No.	170911-68-9		
Chemical Name	4-(4-(2-((3-(2-aminoethyl)-1H-indol-5-yl)oxy)acetyl)piperazin-1-yl)benzonitrile hydrochloride		
SMILES	O=C(COC1=CC=C2NC=C(CCN)C2=C1)N3CCN(C4=CC=C(C#N)C=C4)CC3.Cl		
Formula	$C_{23}H_{25}N_5O_2$.HCl	M.Wt	439.94
Solubility	Soluble in DMSO	Storage	Desiccate at RT
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	Donitriptan hydrochloride		

Background

Donitriptan hydrochloride is a potent, selective, high-efficacy agonist at 5-HT1B/1D receptors both in vivo and in vitro in neuronal and vascular models relevant to migraine.

Donitriptan hydrochloride has subnanomolar affinity for cloned human and nonhuman 5-HT1B and 5-HT1D receptors with Ki values ranging from 0.1-4.3 nM. It potently inhibits forskolin-induced cAMP accumulation mediated by recombinant human and nonhuman, stably transfected 5-HT1B and 5-HT1D receptors with mean EC50 values ranging from 0.2-1.9 nM [1].

In mammals, 5-hydroxytryptamine (5-HT) is important in regulating emotions and related behaviors as a neurotransmitter [2]. In C6 glioma cells transfected with human 5-HT1B or 5-HT1D receptors, donitriptan hydrochloride enhanced specific GTPγ35S binding at both 5-HT1B and 5-HT1D receptors to a greater extent, compared with rizatriptan, naratriptan, sumatriptan, dihydroergotamine and zolmitriptan, but to a level equivalent to which evoked by 5-HT. In guinea pig-isolated trigeminal ganglion neurons, treatment

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with donitriptan hydrochloride resulted in increase in Ca2+-dependent K+ current (pD2 = 7.3) [1].

Oral administration with donitriptan hydrochloride in guinea pigs resulted in hypothermic responses with an ED50 of 1.6 mg/kg. Compared with zolmitriptan, naratriptan and rizatriptan with an ED50 of 8.3, 9.9 and 12.3 mg/kg, respectively, donitriptan hydrochloride showed superior potency. There is likelihood that donitriptan hydrochloride gains access to the brain [1].Donitriptan hydrochloride is orally active and well tolerated by animals, displays unique craniovascular selectivity and a long duration of action, and gains access to the brain.

References:
[1]. Gareth W. John, Michel Perez, Petrus J. Pauwels, et al. Donitriptan, a Unique High-Efficacy 5-HT1B/1D Agonist: Key Features and Acute Antimigraine Potential. CNS Drug Reviews, 2000, 6(4): 278-289.
[2]. Liang Hua, QinWang, ZhenQin, et al. Detection of 5-hydroxytryptamine (5-HT) in vitro using a hippocampal neuronal network-based biosensor with extracellular potential analysis of neurons. Biosensors and Bioelectronics, 2015, 66:572-578.

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