Sarizotan

Cat. No.: HY-100820

CAS No.: 351862-32-3

Molecular Formula: $C_{22}H_{21}FN_2O$

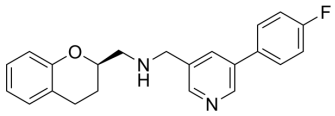
Molecular Weight: 348.41

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (287.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8702 mL	14.3509 mL	28.7018 mL
	5 mM	0.5740 mL	2.8702 mL	5.7404 mL
	10 mM	0.2870 mL	1.4351 mL	2.8702 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Sarizotan (EMD 128130) is an orally active serotonin 5-HT_{1A} receptor and dopamine receptor agonist. Sarizotan (EMD 128130) exhibits IC_{50} values of 6.5 nM (rat 5-HT_{1A}), 0.1 nM (human 5-HT_{1A}), 15.1 nM (rat D_2), 17 nM (human D_2), 6.8 nM (human D_3) and 2.4 nM (human $D_{4.2}$), respectively[1].

IC_{50} & Target

5-HT_{1A} Receptor 6.5 nM (IC_{50}, rat)	5-HT_{1A} Receptor 0.1 nM (IC_{50}, human)	rD_2 Receptor 15.1 nM (IC_{50}, rat)	D_3 Receptor 6.8 nM (IC_{50}, human)
5-HT_{1B} Receptor 600 nM (IC_{50}, rat)	5-HT_{1D} Receptor 500 nM (IC_{50}, calf)	5-HT_{2A} Receptor 960 nM (IC_{50}, rat, agonist site)	5-HT_{2A} Receptor 587 nM (IC_{50}, human, antagonist site)
5-HT_{2B} Receptor 108 nM (IC_{50}, human)	5-HT_{2C} Receptor 800 nM (IC_{50}, pig)	5-HT_3 Receptor 3500 nM (IC_{50}, NG 108 cells)	5-HT_{5A} Receptor 313 nM (IC_{50}, human)

	5-HT_6 Receptor 3300 nM (IC_{50}, human)	5-HT_7 Receptor 10 nM (IC_{50}, human)	$hD_{4.2}$ Receptor 2.4 nM (IC_{50})	hD_2 Receptor 17 nM (IC_{50})

In Vitro

Sarizotan concentration-dependently inhibits the electrically-induced contractions with an IC_{50} value of 150 nM (E_{max} 40% at 1 mM) [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Sarizotan (3, 10 mg/kg, po) at the higher dose slightly decreases 5-HT in the striatum and its metabolite 5-HIAA in frontal cortex and hippocampus. Sarizotan (10 mg/kg, po) substantially increases the DA metabolites DOPAC and HVA in the striatum and frontal cortex[1].

Sarizotan represents a new approach for the treatment of extrapyramidal motor complications such as l-DOPA-induced dyskinesia in Parkinson's disease[1].

Sarizotan (10 ng and 1 μg, local administration) attenuates levodopa-induced dyskinesias in 6-OHDA-lesioned rats[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats weighing 220-240 g (exhibiting a vigorous rotational response) [2].
Dosage:	1 ng, 10 ng and 1 μg in 0.3 μL solution.
Administration:	Administered via an injection cannula inserted to the guide cannula through the STN (V -8.4 mm from skull) with the injection cannula connected to a 10-μL syringe and mounted on a microinfusion pump for 22 consecutive days.
Result:	On day 23, the subthalamic administration of Sarizotan at the higher doses tested (10 ng and 1 μg) significantly decreased the total dyskinesia score in levodopa-treated rats in comparison with the rats treated only with levodopa ($p<0.05$, $p<0.01$, respectively).

REFERENCES

[1]. G D Bartoszyk, et al. Sarizotan, a Serotonin 5-HT1A Receptor Agonist and Dopamine Receptor Ligand. J Neural Transm (Vienna). 2004 Feb;111(2):113-26.

[2]. C Marin, et al. Local Administration of Sarizotan Into the Subthalamic Nucleus Attenuates Levodopa-Induced Dyskinesias in 6-OHDA-lesioned Rats. Psychopharmacology (Berl). 2009 Jun;204(2):241-50.

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