Cinanserin hydrochloride

Cat. No.: HY-100943

CAS No.: 54-84-2

Molecular Formula: $C_{20}H_{25}ClN_2OS$

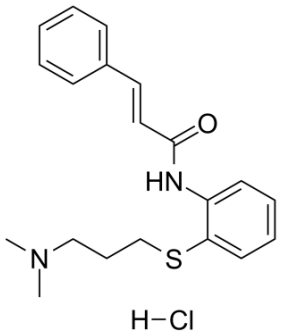
Molecular Weight: 376.94

Target: 5-HT Receptor; Influenza Virus

Pathway: GPCR/G Protein; Neuronal Signaling; Anti-infection

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (331.62 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6529 mL	13.2647 mL	26.5294 mL
	5 mM	0.5306 mL	2.6529 mL	5.3059 mL
	10 mM	0.2653 mL	1.3265 mL	2.6529 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cinanserin hydrochloride (SQ 10643) is a potent, selective and highly affinity 5-HT_2 receptor antagonist with a K_i of 41 nM. Cinanserin hydrochloride has a much higher binding affinity for the 5-HT_2 than for the 5-HT_1 receptor (K_i of 3500 nM). Cinanserin is also an inhibitor of 3C-like proteinase of severe acute respiratory syndrome coronavirus and strongly reduces virus replication in vitro[1][2][3].

IC_{50} & Target		
	5-HT_2 Receptor 41 nM (Ki)	3C-like proteinase

In Vitro

Cinanserin/Cinanserin hydrochloride have binding affinity to SARS-CoV $3CL^{pro}$, HCoV-229E $3CL^{pro}$, with the K_D values of 49.4

	μM/78.0 μM for SARS-associated coronavirus (SARS-CoV) $3CL^{pro}$ and 18.2 μM/36.6 μM for human coronavirus 229E (HCoV-229E) $3CL^{pro}$[1]. The IC_{50} values of Cinanserin and Cinanserin hydrochloride for inhibiting the catalytic activity of SARS-CoV $3CL^{pro}$ are calculated as 4.92 μM and 5.05 μM, respectively, The corresponding IC_{50} values for HCoV-229E $3CL^{pro}$ are 4.68 μM and 5.68 μM. None of the compounds have inhibitory activity against HRV-14 $3C^{pro}$ at concentrations up to 200 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Cinanserin (5 mg/kg; intravenous injection; for 2 hours; male Wistar rats) treatment significantly reduces systemic burn edema to shamburn levels. Leukocyte-endothelial interactions are significantly reduced by administration of Cinanserin[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats (250 g) underwent thermal injury[2]
Dosage:	5 mg/kg
Administration:	Intravenous injection; for 2 hours
Result:	Significantly reduced systemic burn edema to shamburn levels..

REFERENCES

[1]. Chen L, et al. Cinanserin is an inhibitor of the 3C-like proteinase of severe acute respiratory syndrome coronavirus and strongly reduces virus replication in vitro. J Virol. 2005 Jun;79(11):7095-103.

[2]. Hernekamp JF, et al. Cinanserin reduces plasma extravasation after burn plasma transfer in rats. Burns. 2013 Sep;39(6):1226-33.

[3]. Leysen JE, et al. Receptor binding profile of R 41 468, a novel antagonist at 5-HT2 receptors. Life Sci. 1981 Mar 2;28(9):1015-22.

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