Siramesine hydrochloride

Cat. No.: HY-14221A

CAS No.: 224177-60-0

Molecular Formula: $C_{30}H_{32}ClFN_2O$

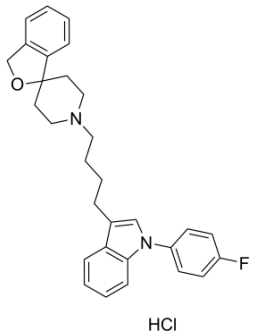
Molecular Weight: 491.04

Target: Sigma Receptor; Ferroptosis

Pathway: GPCR/G Protein; Neuronal Signaling; Apoptosis

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 42 mg/mL (85.53 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0365 mL	10.1825 mL	20.3649 mL
	5 mM	0.4073 mL	2.0365 mL	4.0730 mL
	10 mM	0.2036 mL	1.0182 mL	2.0365 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: ≥ 2.5 mg/mL (5.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.09 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Siramesine hydrochloride (Lu 28-179 hydrochloride) is a selective sigma-2 receptor agonist, which has been shown to trigger cell death of cancer cells and to exhibit a potent anticancer activity in vivo. IC50 value:Target: sigma-2 receptor; lysosome-destabilizing agentsiramesine can induce rapid cell death in a number of cell lines at concentrations above 20 μM. In HaCaT cells, cell death was accompanied by caspase activation, rapid loss of mitochondrial membrane potential (MMP), cytochrome c release, cardiolipin peroxidation and typical apoptotic morphology, whereas in U-87MG cells most apoptotic hallmarks were not notable, although MMP was rapidly lost [1]. Siramesine, a sigma-2 receptor agonist originally developed

as an anti-depressant, can induce cell death in transformed cells through a mechanism involving lysosomal destabilization [2].in vivo: SA4503 or siramesine given jointly with MEM (as well as with AMA) decreased the immobility time in rats. The effect of SA4503 and AMA co-administration was antagonized by progesterone, a sigma1 receptor antagonistic neurosteroid. Combined treatment with siramesine and AMA was modified by neither progesterone nor BD1047 (a novel sigma antagonist with preferential affinity for sigma1 sites) [3]

CUSTOMER VALIDATION

- J Pharmacol Exp Ther. 2015 Aug;354(2):203-12.

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REFERENCES

[1]. Cesen MH, et al. Siramesine triggers cell death through destabilisation of mitochondria, but not lysosomes. Cell Death Dis. 2013 Oct 3;4:e818.

[2]. Spirkoski J, et al. Mast cell apoptosis induced by siramesine, a sigma-2 receptor agonist. Biochem Pharmacol. 2012 Dec 15;84(12):1671-80.

[3]. Skuza G, et al. The synergistic effect of selective sigma receptor agonists and uncompetitive NMDA receptor antagonists in the forced swim test in rats. J Physiol Pharmacol. 2006 Jun;57(2):217-29.

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