Cutamesine dihydrochloride

Cat. No.: HY-13510

CAS No.: 165377-44-6

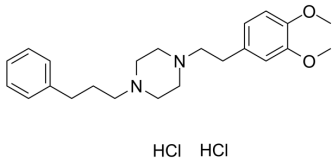
Molecular Formula: $C_{23}H_{34}Cl_2N_2O_2$

Molecular Weight: 441.43

Target: Sigma Receptor

Pathway: Neuronal Signaling

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

H_2O : 100 mg/mL (226.54 mM; Need ultrasonic)
DMSO : 30 mg/mL (67.96 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Concentration / Solvent / Mass	1 mg	5 mg	10 mg
1 mM	2.2654 mL	11.3268 mL	22.6536 mL
5 mM	0.4531 mL	2.2654 mL	4.5307 mL
10 mM	0.2265 mL	1.1327 mL	2.2654 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 33.33 mg/mL (75.50 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1 mg/mL (2.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (2.27 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (2.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Cutamesine dihydrochloride (SA4503 dihydrochloride; AGY94806 dihydrochloride) is a potent Sigma 1 receptor agonist with an IC_{50} of 17.4 nM in guinea pig brain membranes.

IC_{50} & Target

IC50: 17.4 nM (σ1receptor, guinea pig brain membranes)[1]

In Vitro

The sigma receptor might be involved in several diseases in the central nervous system. Cutamesine, a potent σ1receptor

	agonist, has 103-fold higher affinity for σ1 (IC_{50}=17.4 nM) than σ2 (IC_{50}=1,784 nM) sites in guinea pig brain membranes. Cutamesine is 14-fold selective for σ1 (K_i=4.6 nM) over σ2 (K_i=63.1 nM) sites in guinea pig brain homogenates[1]. Cutamesine protects motor neuron NSC34 cells against superoxide dismutase 1 and serum free neurotoxicity. It upregulates the phosphorylation levels of Akt and extracellular signal-regulated kinase (ERK) 1/2[2]. Cutamesine reduces the activation of the MAPK/ERK pathway and down-regulated the ionotropic glutamate receptor, GluR1[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Cutamesine extends the survival time in the SOD1G93A mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	The NSC34 cells are seeded at a density of 7000 cells per well into 96-well plates with D-MEM and transfected using Lipofectamine 2000 mixed with 2 μg /mL of plasmid vector in D-MEM for 6 h. After 6 h, the cell-culture medium is replaced with fresh D-MEM and culture and allowed to proceed for a further 42 h. The cells are then transferred to serum-free D-MEM and immediately treated with Cutamesine at a final concentration of 1, 3, or 10 nM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice: Transgenic female mice overexpressing mutated human $SOD1^{G93A}$ are used in the study. Cutamesine is dissolved in saline and subcutaneously administered at a dose of 1 mg/kg once daily to 5-week-old $SOD1^{G93A}$ mice to the time of death. In a control group, vehicle (saline) is subcutaneously administered at 10 ml/kg[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lever JR, et al. Sigma1 and sigma2 receptor binding affinity and selectivity of SA4503 and fluoroethyl SA4503. Synapse. 2006 May;59(6):350-8.

[2]. Tuerxun T, et al. SA4503, a sigma-1 receptor agonist, prevents cultured cortical neurons from oxidative stress-induced cell death via suppression of MAPK pathway activation and glutamate receptor expression. Neurosci Lett. 2010 Jan 29;469(3):303-8.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA