KB-5492 anhydrous

Cat. No.: HY-19120

CAS No.: 129200-10-8

Molecular Formula: $C_{27}H_{34}N_2O_{10}$

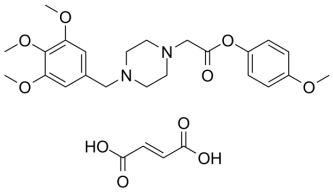
Molecular Weight: 546.57

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

DMSO : 250 mg/mL (457.40 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	1 mM	1.8296 mL	9.1480 mL	18.2959 mL
	5 mM	0.3659 mL	1.8296 mL	3.6592 mL
	10 mM	0.1830 mL	0.9148 mL	1.8296 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 (3.81 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.81 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KB-5492 anhydrous is a potent and selective inhibitor of sigma receptor, inhibits specific [^{3}H]1,3-di(2-tolyl)guanidine (DTG) binding to the sigma receptor with an IC_{50} of 3.15 μM. KB-5492 anhydrous is an anti-ulcer agent[1][2].

IC_{50} & Target	IC50: 3.15 μM (sigma receptor)[1]
In Vitro	KB-5492 (0.001-100 μM) inhibits specific [^{3}H]DTG binding in a concentration-dependent manner[1]. KB-5492 (0.1-1 mM) significantly and concentration-dependently prevents the ethanol- and acidified aspirin-induced increases in ^{51}Cr release from gastric epithelial cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	KB-5492 (200 mg/kg; p.o.) prevents macroscopic lesions in the gastric mucosa[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats weighing 210-240 g are induced gastric mucosal damage[2]
Dosage:	200 mg/kg
Administration:	Oral gavage
Result:	Reduced the lesion length as compared with the control. Prevented the deep mucosal lesions and exfoliation of surface epithelial cells.

REFERENCES

[1]. Harada Y, et, al. Receptor binding profiles of KB-5492, a novel anti-ulcer agent, at sigma receptors in guinea-pig brain. Eur J Pharmacol. 1994 May 2; 256(3): 321-8.

[2]. Morimoto Y, et, al. Effects of KB-5492, a new anti-ulcer agent, on ethanol- and acidified aspirin-induced gastric mucosal damage in vivo and in vitro. Jpn J Pharmacol. 1994 Jan; 64(1): 41-7.

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