KB-5492 free base

Cat. No.: HY-47228

CAS No.: 113594-64-2

Molecular Formula: $C_{23}H_{30}N_2O_6$

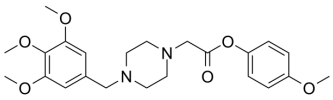
Molecular Weight: 430.49

Target: Sigma Receptor

Pathway: Neuronal Signaling

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 : 100 mg/mL (232.29 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3229 mL	11.6147 mL	23.2293 mL
	5 mM	0.4646 mL	2.3229 mL	4.6459 mL
	10 mM	0.2323 mL	1.1615 mL	2.3229 mL

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

BIOLOGICAL ACTIVITY

Description

KB-5492 free base 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 free base 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) free base inhibits specific [^{3}H]DTG binding in a concentration-dependent manner[1].

KB-5492 (0.1-1 mM) free base 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.) free base prevents macroscopic lesions in the gastric mucosa[2].

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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