Bisindolylmaleimide I hydrochloride

Cat. No.: HY-13867A

CAS No.: 176504-36-2

Molecular Formula: $C_{25}H_{25}ClN_4O_2$

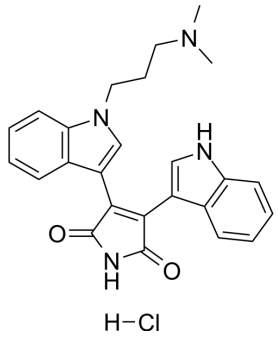
Molecular Weight: 448.94

Target: PKC; GSK-3

Pathway: Epigenetics; TGF-beta/Smad; PI3K/Akt/mTOR; Stem Cell/Wnt

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 : 62.5 mg/mL (139.22 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2275 mL	11.1373 mL	22.2747 mL
	5 mM	0.4455 mL	2.2275 mL	4.4549 mL
	10 mM	0.2227 mL	1.1137 mL	2.2275 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (4.63 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Bisindolylmaleimide I (GF109203X) hydrochloride is a cell-permeable and reversible PKC inhibitor (IC_{50} of 20 nM, 17 nM, 16 nM, and 20 nM for PKCα, PKCβI, PKCβII, and PKCγ. Bisindolylmaleimide I hydrochloride is also a GSK-3 inhibitor[1][2][3].

IC_{50} & Target

Bovine brain PKC 10 nM (IC_{50})	PKC-βII 16 nM (IC_{50})	PKC-βI 17 nM (IC_{50})	PKCα 20 nM (IC_{50})
PKCγ 20 nM (IC_{50})	FDGFG 65 μM (IC_{50})		

In Vitro

Bisindolylmaleimide I hydrochloride (5 μM) inhibits α-thrombin-induced P47 phosphorylation[1].
Bisindolylmaleimide I hydrochloride (0-1 μM) inhibits DNA synthesis in quiescent swiss 3T3 cells[1].
Bisindolylmaleimide I hydrochloride (5 μM) reduces GSK-3 activity to 25.1±4.3% in adipocytes lysates[3].

	Bisindolylmaleimide I hydrochloride (10 μM, 24 h) inhibits exosome and microvesicle (EMV) release from PC3 cells[4]. Bisindolylmaleimide I hydrochloride (10 μM, 24 h) enhances cytotoxicity of 5-fluorouracil (HY-90006)[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Bisindolylmaleimide I hydrochloride (0.02 mg/kg, i.p.) reduced the inceased NLRP3, P-PKCα, and PKCα levels in mechanical ventilation (MV) group[5]. Bisindolylmaleimide I hydrochloride (0-20 mg/kg, i.p.) reduces the mean frequency of Quinpirole-induced vomiting in shrews[6]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Quinpirole-treated shrews[2]
Dosage:	0-20 mg/kg
Administration:	i.p.
Result:	Reduced the mean frequency of Quinpirole-induced vomiting. Blocked Quinpirole-mediated ERK1/2 phosphorylation in shrew brainstems.

CUSTOMER VALIDATION

- Redox Biol. 2021 Oct;46:102098.
- Theranostics. 2021 Mar 11;11(11):5279-5295.
- Elife. 2021 Mar 3;10:e60889.
- J Adv Res. 2022 Jul 13;S2090-1232(22)00156-4.
- Mucosal Immunol. 2021 Oct 22.

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REFERENCES

[1]. Toullec D, et al. The bisindolylmaleimide GF 109203X is a potent and selective inhibitor of protein kinase C. J Biol Chem. 1991 Aug 25;266(24):15771-81.

[2]. Vetri F, et al. Impairment of neurovascular coupling in Type 1 Diabetes Mellitus in rats is prevented by pancreatic islet transplantation and reversed by a semi-selective PKC inhibitor. Brain Res. 2017 Jan 15;1655:48-54.

[3]. Hers I, et al. The protein kinase C inhibitors bisindolylmaleimide I (GF 109203x) and IX (Ro 31-8220) are potent inhibitors of glycogen synthase kinase-3 activity. FEBS Lett. 1999 Nov 5;460(3):433-6.

[4]. Kosgodage US, et al. Chloramidine/Bisindolylmaleimide-I-Mediated Inhibition of Exosome and Microvesicle Release and Enhanced Efficacy of Cancer Chemotherapy. Int J Mol Sci. 2017 May 9;18(5):1007.

[5]. Liu M, et al. Aerobic exercise alleviates ventilator-induced lung injury by inhibiting NLRP3 inflammasome activation. BMC Anesthesiol. 2022 Dec 1;22(1):369.

[6]. Belkacemi L, et al. Signal transduction pathways involved in dopamine D2 receptor-evoked emesis in the least shrew (Cryptotis parva). Auton Neurosci. 2021 Jul;233:102807.

Caution: Product has not been fully validated for medical applications. For research use only.

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