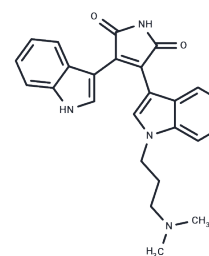


Bisindolylmaleimide I

Chemical Properties

CAS No. :	133052-90-1
Formula:	C ₂₅ H ₂₄ N ₄ O ₂
Molecular Weight:	412.48
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Bisindolylmaleimide I (GF109203X) is a potent and highly selective protein kinase C (PKC) inhibitor, exhibiting a K_i of 14 nM.
Targets(IC50)	GSK-3, PDGFR, PKC
In vitro	Bisindolylmaleimide I, as an ATP-competitive PKC inhibitor, prevents platelet aggregation induced by stimuli that activate PKC, and has the potential as a tool for studying the involvement of PKC in signal transduction pathways. [1] GF 109203X produces reversal activity on P-glycoprotein and MRP -mediated multidrug resistance. [2] [3] PKC inhibition by Bisindolylmaleimide I significantly reduces carbachol-stimulated ERK1/2 activation and the subsequent proliferation of SNU-407 colon cancer cells. [4]
In vivo	GF109203X (10 µg/mouse, i.pl.) dose-dependently inhibits BK-induced mechanical allodynia in Wistar rats. [5]
Kinase Assay	Assay of protein kinase C: Protein kinase C is assayed by measuring ³² P transferred from [γ- ³² P] ATP to lysine-rich histone type III-s. The reaction mixture (80 µL) contained 50 mM Tris-HCl, pH 7.4, 100 µM CaCl ₂ , 10 mM MgCl ₂ , 37.5 µL/mL histone type III-s, 10 µM [γ- ³² P] ATP (1250 cpm/pmol), 31 µM bovine brain phosphatidylserine and 0.5 µM 1,2 sn-dioleoylglycerol. Fifteen µL of purified PKC (final concentration in assay 0.38 µg/mL) is added to the incubation mixture. After 10 min at 30°C, the reaction is stopped by addition of 30 µL of casein 30 mg/mL and 0.9 ml of 12% trichloroacetic acid. The acid precipitable material is collected by centrifugation, dissolved in 1N NaOH (100µL) and precipitated again with 1 ml of 12% trichloroacetic acid. The pellet is dissolved in 1N NaOH (100µL) and ³² P incorporation is measured by scintillation counting in Aquasol.
Cell Research	Cell proliferation is monitored by the 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyl tetrazolium bromide (MTT) assay. Cells are seeded in 96-well plates and allowed to grow overnight. The cells are serum-starved for 18-24 hours and then treated with 1 mM carbachol for 48 hours in 100 µL serum-free RPMI 1640. Inhibitors are added 30 min prior to carbachol treatment. Following the treatment, 10 µL of MTT solution (5 mg/ml) is applied to each well, and the plates were incubated for 3 h at 37 °C. After the medium is removed, the formazan crystals formed are solubilized in 100 µL DMSO. The absorbance at 570 nm is measured using a microplate reader and the background absorbance at 690 nm is subtracted. Each assay is performed in triplicate. (Only for Reference)

Solubility Information

Solubility	DMSO: 26.67 mg/mL (64.66 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.42 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4244 mL	12.1218 mL	24.2436 mL
5 mM	0.4849 mL	2.4244 mL	4.8487 mL
10 mM	0.2424 mL	1.2122 mL	2.4244 mL
50 mM	0.0485 mL	0.2424 mL	0.4849 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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