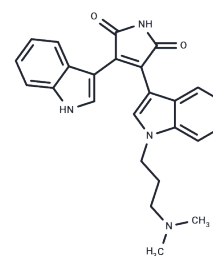


Bisindolylmaleimide I

Chemical Properties

| | |
|-------------------|--|
| CAS No. : | 133052-90-1 |
| Formula: | C25H24N4O2 |
| Molecular Weight: | 412.48 |
| Appearance: | no data available |
| Storage: | Powder: -20°C for 3 years In solvent: -80°C for 1 year |



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) | 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.p.) 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: 4.12 mg/mL (10 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|--|

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.

Reference

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- Zheng Q, Zou Y, Teng P, et al. Mechanosensitive Channel PIEZO1 Senses Shear Force to Induce KLF2/4 Expression via CaMKII/MEKK3/ERK5 Axis in Endothelial Cells. Cells. 2022, 11(14): 2191
- Gekeler V, et al. Br J Cancer. 1996, 74(6), 897-905.
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Tel:781-999-4286 E_mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481