

Product Information

Bisindolylmaleimide I

Product Number **G 2911**

Storage Temperature 2-8 °C

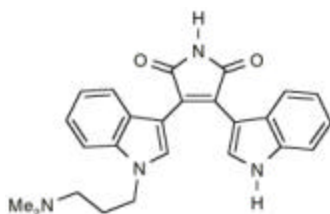
CAS# 133052-90-1

Synonyms: 3-(1-[Dimethylaminopropyl]indol-3-yl)-4-[indol-3-yl]maleimide, 3-[1-[3-(dimethylamino)propyl]-1H-indol-3-yl]-4-(1H-indol-3-yl)-1H-pyrrole-2,5-dione, GF 109203X, Gö 6850

Product Description

Molecular formula: C₂₅H₂₄N₄O₂

Mol. wt.: 412.5



Bisindolylmaleimides are potent, selective inhibitors of protein kinase C (PKC).¹⁻⁴ They are structurally similar to the naturally occurring molecule, staurosporine, but they are more selective for PKC over other protein kinases. Bisindolylmaleimides are used to selectively probe for PKC-mediated pathways for transduction of hormone, cytokine, and growth factor signals.

Bisindolylmaleimides inhibit PKC by interacting with the catalytic subunit. Inhibition is competitive with ATP. Studies of structure-activity relationships of analogs indicate that cationic substituents at the indole nitrogen increase the potency as an inhibitor of PKC.

Bisindolylmaleimides inhibit PKC within intact platelets and T cells. It also inhibits Fas-mediated apoptosis and T cell-mediated autoimmune diseases.³

The selectivity of bisindolylmaleimide I, a dimethylaminopropyl analog, for PKC over two other protein kinases is shown in the table below. The inhibition of A-group PKCs is greater than it is for B-group and C-group PKCs.⁴

Enzyme	IC ₅₀
Protein Kinase C (A-group)	8-20 nM
cAMP-Dependent Protein Kinase	2000 nM
Phosphorylase Kinase	700 nM

Preparation Instructions

Prepare stock 1 mg/ml solutions in DMSO.

Storage/Stability

Store at 2-8 °C. Protect from light.

Store stock solutions in frozen aliquots at -20 °C.

Reference

1. Toullec, D., et al. The bisindolylmaleimide GF 109203X is a potent and selective inhibitor of protein kinase C. *J. Biol. Chem.*, **266**, 15771-15781 (1991).
2. Kiss, Z., et al., The bisindolylmaleimide GF 109203X, a selective inhibitor of protein kinase C, does not inhibit the potentiating effect of phorbol ester on ethanol-induced phospholipase C-mediated hydrolysis of phosphatidylethanolamine. *Biochim. Biophys. Acta*, **1265**, 93-95 (1995).
3. Zhou, T., et al., Bisindolylmaleimide VIII facilitates Fas-mediated apoptosis and inhibits T cell-mediated autoimmune diseases. *Nat. Med.* **5**, 42-48 (1999).
4. Martiny-Baron, G., et al., Selective inhibition of protein kinase C isozymes by the indolocarbazole Gö 6976. *J. Biol. Chem.*, **268**, 9194-9197 (1993).

JWM 12/01

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