

Product Information

GF 109203X hydrochloride

Catalog Number **B6292**

Storage Temperature 2–8 °C

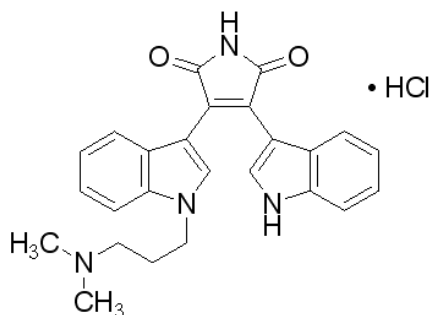
CAS RN 176504-36-2

Synonyms: Bisindolylmaleimide I hydrochloride; 3-[1-(Dimethylaminopropyl)indol-3-yl]-4-(indol-3-yl) maleimide hydrochloride; 3-[1-[3-(dimethylamino) propyl]-1H-indol-3-yl]-4-(1H-indol-3-yl)-1H-pyrrole-2,5- dione hydrochloride

Product Description

Molecular formula: C₂₅H₂₄N₄O₂ · HCl

Molecular weight: 448.94



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. They inhibit PKC within intact platelets and T cells, Fas-mediated apoptosis, and T cell-mediated autoimmune diseases.³

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.

The selectivity of GF 109203X, a dimethylaminopropyl analog, for PKC over two other protein kinases is shown in Table 1. The inhibition of A-group PKCs is greater than it is for B-group and C-group PKCs.⁴

Table 1.

GF 109203X Selectivity

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

Precautions and Disclaimer

This product is for R&D use only, not for drug, household, or other uses. Please consult the Material Safety Data Sheet for information regarding hazards and safe handling practices.

Preparation Instructions

GF 109203X is soluble in DMSO (1 mg/ml).

Storage/Stability

Store the product at 2–8 °C. Protect from light.

Store solutions in frozen aliquots at –20 °C.

References

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).

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