Certificate of Analysis

Product Name: **GF 109203X** **Catalog No.: 0741** **Batch No.: 6**

CAS Number: 133052-90-1

IUPAC Name: 2-[1-(3-Dimethylaminopropyl)indol-3-yl]-3-(indol-3-yl) maleimide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{25}H_{24}N_4O_2.¼H_2O$

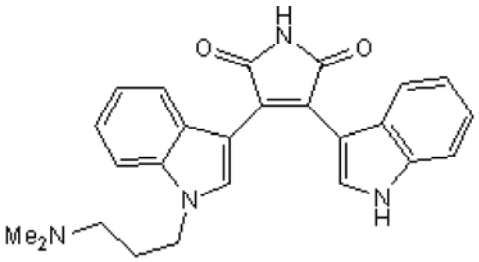
Batch Molecular Weight: 416.99

Physical Appearance: Orange solid

Solubility: DMSO to 25 mM

Storage: Store at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.09 (Chloroform:Methanol [9:1])

HPLC: Shows 98.0% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	72.01	5.92	13.44
Found	71.85	6.03	13.06

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Description:

Very potent and selective inhibitor of protein kinase C, selective for the α and β1 isoforms (IC_{50} values are 0.0084, 0.0180, 0.210, 0.132, and 5.8 μM for α, β1, δ, ε and ζ isoforms respectively). Selective over MLCK, PKG and PKA (IC_{50} values are 0.6, 4.6, and 33 μM respectively). Potent antagonist at the 5-HT_3 receptor (K_i = 29.5 nM). Anti-inflammatory in vivo.

Physical and Chemical Properties:

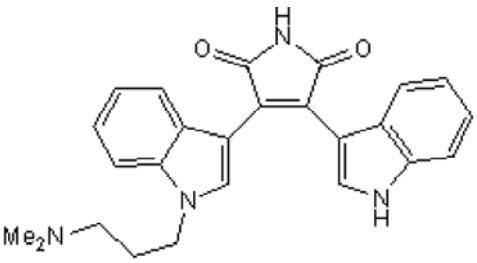
Batch Molecular Formula: $C_{25}H_{24}N_4O_2.¼H_2O$

Batch Molecular Weight: 416.99

Physical Appearance: Orange solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at RT

Solubility & Usage Info:

DMSO to 25 mM

When purchsed as a 1mg unit, this product is supplied as a lyophilized solid and may be very hard to visualize. Solutions should be made by adding solvent directly to the vial. The vial should then be vortexed vigorously to ensure the product has completely dissolved.

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Jiang *et al* (2011) Heat shock protein 90-mediated inactivation of nuclear factor-κB switches autophagy to apoptosis through *becn1* transcriptional inhibition in selenite-induced NB4 cells. Mol.Biol.Cell. ***22*** 1167. PMID: 21346199.

Coultrap *et al* (1999) Competitive antagonism of the mouse 5-hydroxytryptamine3 receptor by bisindolylmaleimide I, a "selective" protein kinase C inhibitor. J.Pharmacol.Exp.Ther. ***290*** 76. PMID: 10381762.

Jacobson *et al* (1995) Anti-inflammatory properties of Go 6850: a selective inhibitor of protein kinase C. J.Pharmacol.Exp.Ther. ***275*** 995. PMID: 7473193.

Martiny-Baron *et al* (1993) Selective inhibition of protein kinase C isozymes by the indolocarbazole Go 6976. J.Biol.Chem. ***268*** 9194. PMID: 8486620.

Toullec *et al* (1991) The bisindolylmaleimide GF 109203X is a potent and selective inhibitor of protein kinase C. J.Biol.Chem. ***266*** 15771. PMID: 1874734.