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Certificate of Analysis

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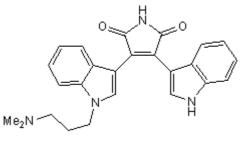
Product Name: GF 109203X

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: Batch Molecular Weight: Physical Appearance: Solubility: Storage: Batch Molecular Structure: $C_{25}H_{24}N_4O_2$.¹/₄H₂O 416.99 Orange solid DMSO to 25 mM Store at RT



2. ANALYTICAL DATA

TLC: HPLC: ¹H NMR: Mass Spectrum: Microanalysis: R_f = 0.09 (Chloroform:Methanol [9:1]) Shows 98.0% purity Consistent with structure Consistent with structure Carbon Hydrogen Nitrogen Theoretical 72.01 5.92 13.44

6.03

13.06

71.85

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Found

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Description:

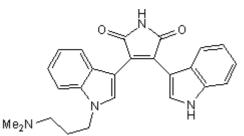
Very potent and selective inhibitor of protein kinase C, selective for the α and $\beta1$ isoforms (IC₅₀ values are 0.0084, 0.0180, 0.210, 0.132, and 5.8 μ M for α , $\beta1$, δ , ϵ and ζ isoforms respectively). Selective over MLCK, PKG and PKA (IC₅₀ values are 0.6, 4.6, and 33 μ M respectively). Potent antagonist at the 5-HT₃ receptor (K_i = 29.5 nM). Anti-inflammatory in vivo.

Physical and Chemical Properties:

 $\begin{array}{l} \text{Batch Molecular Formula: } C_{25}H_{24}N_4O_2.\rlap{k}_4H_2O\\ \text{Batch Molecular Weight: 416.99}\\ \text{Physical Appearance: Orange solid} \end{array}$

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at RT

Solubility & Usage Info: DMSO to 25 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a $45-60^{\circ}$ 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:

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.

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

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.

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.

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

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