

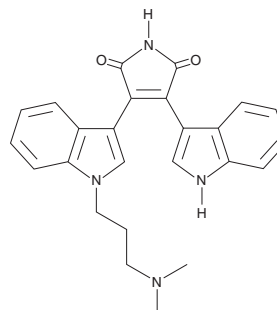
PRODUCT INFORMATION



Bisindolymaleimide I

Item No. 13298

CAS Registry No.: 133052-90-1
Formal Name: 3-[1-[3-(dimethylamino)propyl]-1H-indol-3-yl]-4-(1H-indol-3-yl)-1H-pyrrole-2,5-dione
Synonyms: BIM I, GF 109203X, Gö 6850
MF: C₂₅H₂₄N₄O₂
FW: 412.5
Purity: ≥95%
UV/Vis.: λ_{max}: 279, 374, 461 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Bisindolymaleimide I (BIM I) is supplied as a crystalline solid. A stock solution may be made by dissolving the BIM I in the solvent of choice, which should be purged with an inert gas. BIM I is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of BIM I in these solvents is approximately 5 and 3 mg/ml, respectively.

BIM I is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIM I should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BIM I has a solubility of approximately 0.1 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BIM I is a highly selective, cell-permeable, and reversible PKC inhibitor ($K_i = 14$ nM) that is structurally similar to the poorly selective PKC inhibitor staurosporine (Item No. 81590).¹ It acts as a competitive inhibitor for the ATP binding site of PKC and shows high selectivity for PKC α , β_1 , β_2 , γ , δ , and ϵ isozymes. BIM I directly inhibits glycogen synthase kinase 3 (GSK3) in primary adipocyte lysates ($IC_{50} = 360$ nM) and in GSK3 β immunoprecipitates derived from rat epididymal adipocytes ($IC_{50} = 170$ nM).² It also competitively antagonizes the serotonin (5-HT) receptor subtype 5-HT₃ with a K_i value of 61 nM.³

References

1. Toullec, D., Pianetti, P., Coste, H., *et al.* The bisindolymaleimide GF 109203X is a potent and selective inhibitor of protein kinase C. *J. Biol. Chem.* **266**(24), 15771-15781 (1991).
2. Hers, I., Tavaré, J.M., and Denton, R.M. The protein kinase C inhibitors bisindolymaleimide I (GF 109203x) and IX (Ro 31-8220) are potent inhibitors of glycogen synthase kinase-3 activity. *FEBS Lett.* **460**(3), 433-436 (1999).
3. Coultrap, S.J., Sun, H., Tenner, T.E., *et al.* Competitive antagonism of the mouse 5-hydroxytryptamine receptor by bisindolymaleimide I, a "selective" protein kinase C inhibitor. *J. Pharmacol. Exp. Ther.* **290**(1), 76-82 (1999).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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