

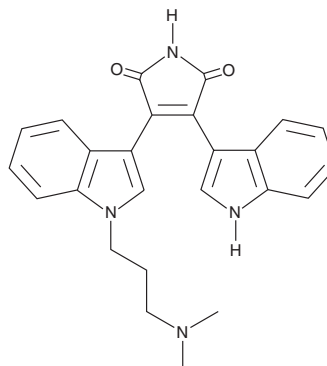
# PRODUCT INFORMATION



## Bisindolylmaleimide 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:** C<sub>25</sub>H<sub>24</sub>N<sub>4</sub>O<sub>2</sub>  
**FW:** 412.5  
**Purity:** ≥95%  
**UV/Vis.:** λ<sub>max</sub>: 279, 374, 461 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥2 years



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

### Laboratory Procedures

Bisindolylmaleimide 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. BIM I is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. 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).<sup>1</sup> It acts as a competitive inhibitor for the ATP binding site of PKC and shows high selectivity for PKC $\alpha$ ,  $\beta_1$ ,  $\beta_2$ ,  $\gamma$ ,  $\delta$ , and  $\epsilon$  isozymes. BIM I directly inhibits glycogen synthase kinase 3 (GSK3) in primary adipocyte lysates ( $IC_{50} = 360$  nM) and in GSK3 $\beta$  immunoprecipitates derived from rat epididymal adipocytes ( $IC_{50} = 170$  nM).<sup>2</sup> It also competitively antagonizes the serotonin (5-HT) receptor subtype 5-HT<sub>3</sub> with a  $K_i$  value of 61 nM.<sup>3</sup>

### References

1. Toullec, D., Pianetti, P., Coste, H., *et al.* The bisindolylmaleimide 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 bisindolylmaleimide I (GF 109203x) and IX (Ro 31-8220) are potent inhibitors of glycogen synthase kinase-3 activity. *FEBS Lett.* **460**, 433-436 (1999).
3. Coultrap, S.J., Sun, H., Tenner, T.E., *et al.* Competitive antagonism of the mouse 5-hydroxytryptamine receptor by bisindolylmaleimide 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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