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siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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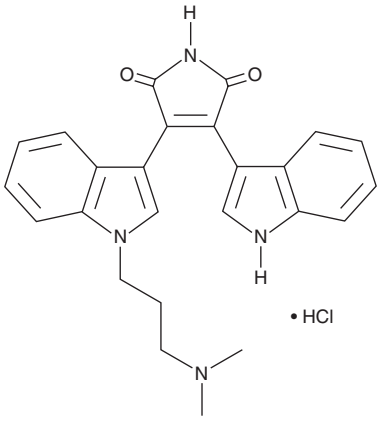
PRODUCT INFORMATION

Bisindolylmaleimide I (hydrochloride)

Item No. 21180



CAS Registry No.:	176504-36-2
Formal Name:	3-[1-[3-(dimethylamino)propyl]-1H-indol-3-yl]-4-(1H-indol-3-yl)-1H-pyrrole-2,5-dione, monohydrochloride
Synonyms:	BIM I, GF109203X, Gö 6850
MF:	$C_{25}H_{24}N_4O_2$ • HCl
FW:	449.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 284, 374, 460 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) (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the BIM I (hydrochloride) in the solvent of choice. BIM I (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of BIM I (hydrochloride) in these solvents is approximately 16 and 10 mg/ml, respectively.

BIM I (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIM I (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BIM I (hydrochloride) has a solubility of approximately 0.2 mg/ml in a 1:4 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 PKCα, β1, β2, γ, δ, and ε isozymes. BIM I directly inhibits glycogen synthase kinase 3 (GSK3) in primary adipocyte lysates (IC_{50} = 360 nM) and in GSK3β immunoprecipitates derived from rat epididymal adipocytes (IC_{50} = 170 nM).[2] It also competitively antagonizes the serotonin (5-HT) receptor subtype 5-HT_3 with a K_i value of 61 nM.[3]

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(3)**, 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).

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