

Bisindolylmaleimide I, Hydrochloride



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Background

Bisindolylmaleimide I (BIS), also known as GF-109203X, is a potent inhibitor of PKC (1,2). *In vitro*, the IC₅₀ of BIS is 10-20 nM for PKC α / β / γ and 100-200 nM for PKC δ / ϵ isoforms. The *in vitro* IC₅₀ for PKC ζ is approximately 6 μ M, indicating that BIS is a very weak inhibitor for this isoform. In *in vivo* cellular assays, the IC₅₀ of BIS for PKC is 0.2-2 μ M (1,3).

Molecular Formula

C₂₅H₂₄N₄O₂ • HCl

Molecular Weight

448.94 g/mol

Purity

>99%

CAS

176504-36-2

Solubility

Soluble in DMSO at 1 mg/ml.

Storage

Store lyophilized or in solution at -20°C, desiccated. Protect from light. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.

Directions for Use

Bisindolylmaleimide I is supplied as 500 μ g powder. Store at or below -20°C. Before use, dissolve powder in 0.28 ml DMSO to make a 4 mM bisindolylmaleimide I stock solution. For working concentrations of 2 μ M-4 μ M, dilute DMSO stock 1:2000 to 1:1000. Treat cells with the desired concentration for 30 minutes.

Background References

1. Toullec, D. et al. (1991) *J. Biol. Chem.* 266, 15771-15781.
2. Martiny-Baron, G. et al. (1993) *J. Biol. Chem.* 268, 9194-9197.
3. Heikkilä, J. et al. (1993) *Biochem. Biophys. Res. Commun.* 197, 1185-1193.

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