Bisindolylmaleimide I, Hydrochloride

Cell Signaling

500 μg

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Background: Bisindolylmaleimide I (BIS) is a potent inhibitor of PKC (1,2). *In vitro* the IC $_{50}$ of BIS is 10-20 nM for PKC α /β/ γ and 100-200 nM for PKC δ / ϵ isoforms. The *in vitro* IC $_{50}$ for PKC ζ is about 6 μ M, indicating that BIS is a very weak inhibitor for this isoform. In *in vivo* cellular assays the IC $_{50}$ of BIS for PKC is between 0.2-2 μ M (1,3).

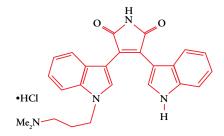
Molecular Formula: C₂₅H₂₄N₄O₂·HCl

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.

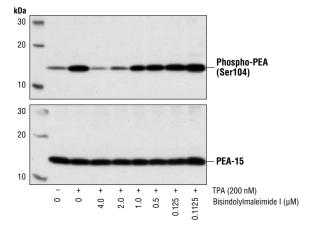
Storage: Store lyophilized or in solution at -20°C, desiccated. Protect from light. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.

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.



Molecular Weight: 448.95 g/mol



Western blot analysis of extracts from A172 cells, untreated or treated with indicated concentrations of Bisindolylmaleimide I for 30 minutes, followed by stimulation with 200 nM TPA for 10 minutes. The phosphorylation of PEA-15 was detected by using Phospho-PEA-15 (Ser104) Antibody #2776 (upper). PEA-15 Antibody #2780 was used as a loading control (lower). Bisindolylmaleimide I-mediated inhibition of TPA-induced PKC phosphorylation of PEA-15 at Ser104 is shown.