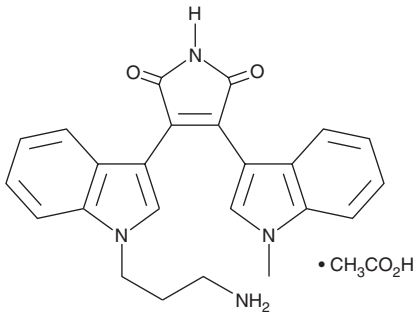
PRODUCT INFORMATION

Bisindolylmaleimide VIII (acetate)

Item No. 13333

CAS Registry No.:	138516-31-1
Formal Name:	3-[1-(3-aminopropyl)-1H-indol-3-yl]-4-(1-methyl-1H-indol-3-yl)-1H-pyrrole-2,5-dione, acetate
Synonyms:	BIM VIII, Ro 31-7549
MF:	$C_{24}H_{22}N_4O_2 \bullet C_2H_4O_2$
FW:	458.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 284, 373, 462 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

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

Bisindolylmaleimide VIII (acetate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, bisindolylmaleimide VIII (acetate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Bisindolylmaleimide VIII (acetate) 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

Bisindolylmaleimide VIII (BIM VIII) is a selective protein kinase C (PKC) inhibitor (IC_{50} = 158 nM for rat brain PKC) that acts at the ATP binding site of PKC.[1,2] This compound exhibits some degree of PKC isozyme specificity with preference for PKCα over PKCβI, PKCβII, PKCγ, or PKCε (IC_{50}s = 53, 195, 163, 213, and 175 nM, respectively).[1] At 10 µM BIM VIII does not inhibit the tyrosine phosphorylation or the activation of phospholipase C γ1.[3] BIM VIII inhibits carbachol-evoked noradrenaline release from human SH-SY5Y neuroblastoma cells with an IC_{50} value of 600 nM.[4]

References

1. Wilkinson, S.E., Parker, P.J., and Nixon, J.S. Isoenzyme specificity of bisindolylmaleimides, selective inhibitors of protein kinase C. *Biochem. J.* **294(Pt 2)**, 335-337 (1993).
2. Zhang, C., Hirasawa, N., and Beaven, M.A. Antigen activation of mitogen-activated protein kinase in mast cells through protein kinase C-dependent and independent pathways. *J. Immunol.* **158(10)**, 4968-4975 (1997).
3. Ozawa, K., Yamada, K., Kazanietz, M.G., *et al.* Different isozymes of protein kinase C mediate feedback inhibition of phospholipase C and stimulatory signals for exocytosis in rat RBL-2H3 cells. *J. Biol. Chem.* **268(4)**, 2280-2283 (1993).
4. Turner, N.A., Walker, J.H., Ball, S.G., *et al.* Phorbol ester-enhanced noradrenaline secretion correlates with the presence and activity of protein kinase C-α in human SH-SY5Y neuroblastoma cells. *J. Neurochem.* **66(6)**, 2381-2389 (1996).

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