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ProductInformation

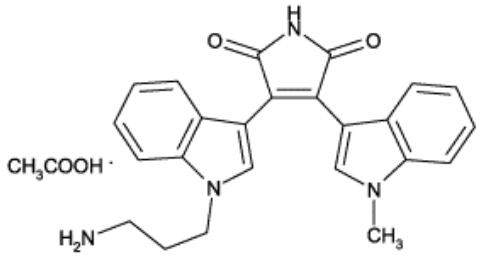
Bisindolylmaleimide VIII Acetate

Product Number **B 3806**
Storage Temperature –20 °C

CAS # 138516-31-1
Synonyms: 2-[1-(3-Aminopropyl)indol-3-yl]-3-(1-methylindol-3-yl) maleimide, Acetate Salt; 3-[1-(3-Aminopropyl)indol-3-yl]-4-(1-methylindol-3-yl)-1H-pyrrole-2,5-dione, Acetate Salt; Ro 31-7549

Product Description

Molecular formula: $C_{24}H_{22}N_4O_2 \bullet C_2H_4O_2$
Mol. wt.: 458.6



Bisindolylmaleimides are potent, selective inhibitors of protein kinase C (PKC). They are structurally similar to the naturally occurring molecule, staurosporine, but they are more selective for PKC over other protein kinases. Bisindolylmaleimides are used to selectively probe for PKC-mediated pathways for transduction of hormone, cytokine, and growth factor signals.

Bisindolylmaleimides inhibit PKC by interacting with the catalytic subunit. Inhibition is competitive with ATP. Studies of structure-activity relationships of analogs indicate that cationic substituents at the indole nitrogen increase the potency as an inhibitor of PKC.

The selectivity of Bisindolylmaleimide VIII for rat brain PKC over bovine heart cAMP-dependent protein kinase is shown in the table below.

Enzyme	IC_{50}
Protein Kinase C	75 nM
cAMP-Dependent Protein Kinase	5200 nM

Bisindolylmaleimide VIII, an aminopropyl analog, inhibits PKC within intact platelets and T cells. It inhibits Fas-mediated apoptosis and T cell-mediated autoimmune diseases.

	Culture medium only	With 10 µM Bisindolyl-maleimide VIII
% Apoptosis without anti-Fas	18.5 ± 3.5	19.8 ± 2.4
% Apoptosis with anti-Fas	22.1 ± 2.9	92.5 ± 12.1
Potentiation Index	1.19	4.7

Preparation Instructions

Prepare stock solutions in DMSO or water. Bisindolylmaleimide VIII is slightly soluble in methanol or ethanol.

Storage/Stability

Store product at –20 °C. Protect from light.

References

1. Davis, P.D., et al., Inhibitors of protein kinase C. 2. Substituted bisindolylmaleimides with improved potency and selectivity. J. Med. Chem., **35**, 994-1001 (1992).
2. Wilkinson, S.E. et al., Isozyme specificity of bisindolylmaleimides, selective inhibitors of protein kinase C. Biochem. J., **294**, 335-337 (1993).
3. Zhou, T., et al., Bisindolylmaleimide VIII facilitates Fas-mediated apoptosis and inhibits T cell-mediated autoimmune diseases. Nat. Med., **5**, 42-48 (1999).

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