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ProductInformation

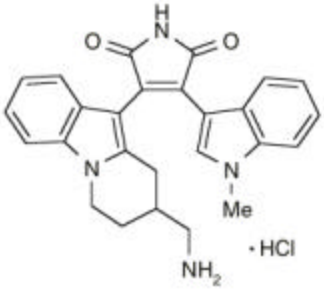
Bisindolylmaleimide X Hydrochloride

Product Number **B 3931**
Storage Temperature –20 °C

Synonym: 2-(8-Aminomethyl-6,7,8,9-tetrahydropyrido[1,2- a]indol-3-yl)-3-(1-methylindol-3-yl)maleimide, HCl Salt; 3-(8-Aminomethyl-6,7,8,9-tetrahydropyrido[1,2-a]indol-10-yl)-4-(1-methylindol-3-yl)-1H -pyrrole-2,5-dione, HCl Salt; Ro 31-8425

Product Description

Molecular formula: $C_{26}H_{24}N_4O_2 \bullet HCl$
Mol. wt.: 461.0



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.

Bisindolylmaleimide X inhibits PKC within intact platelets and T cells. It also inhibits Fas-mediated apoptosis and T cell-mediated autoimmune diseases.

The selectivity of bisindolylmaleimide X for rat brain PKC over two other protein kinases is shown in the table below.

Enzyme	IC_{50}
Protein Kinase C	15 nM
cAMP-Dependent Protein Kinase	2800 nM
Phosphorylase Kinase	1300 nM

Preparation Instructions

Prepare stock solutions in DMSO.

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).
4. Bit, R. A., et al., Inhibitors of protein kinase C. 3. Potent and highly selective bisindolylmaleimides by conformational restriction. J. Med. Chem., **36,** 21-29 (1993).

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