

Product Information

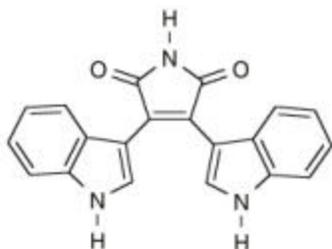
Bisindolylmaleimide IV

Product Number **B 3306**
Storage Temperature $-20\text{ }^{\circ}\text{C}$
CAS# 119139-23-0

Synonyms: Arcyriarubin A, 2,3-Bis(indol-3-yl)-maleimide, 3,4-Bis(1H-indol-3-yl)-1H-pyrrole-2,5-dione, Ro 31-6233

Product Description

Molecular formula: $\text{C}_{20}\text{H}_{13}\text{N}_3\text{O}_2$
Mol. wt.: 327.3



Bisindolylmaleimides are potent, selective inhibitors of protein kinase C (PKC).¹⁻⁵ 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

Bisindolylmaleimide IV inhibits PKC within intact platelets and T cells. It inhibits Fas-mediated apoptosis and T cell-mediated autoimmune diseases.¹

The selectivity of bisindolylmaleimide IV for rat brain PKC over two other protein kinases is shown in the table below.^{2,3}

Enzyme	IC ₅₀
Protein Kinase C	87 nM
cAMP-Dependent Protein Kinase	2000 nM
Phosphorylase Kinase	3200 nM

Preparation Instructions

Prepare stock solutions in DMSO, or MeOH.

Storage/Stability

Store at $-20\text{ }^{\circ}\text{C}$. Protect from light.

Reference

1. Zhou, T., et al., Bisindolylmaleimide VIII facilitates Fas-mediated apoptosis and inhibits T cell-mediated autoimmune diseases. *Nat. Med.* **5**, 42-48 (1999).
2. Toullec, D., et al. The bisindolylmaleimide GF 109203X is a potent and selective inhibitor of protein kinase C. *J. Biol. Chem.*, **266**: 15771-15781 (1991)
3. 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).
4. Wilkinson, S.E. et al., Isozyme specificity of bisindolylmaleimides, selective inhibitors of protein kinase C. *Biochem. J.*, **294**, 335-337 (1993).
5. 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).

JWM 12/01

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