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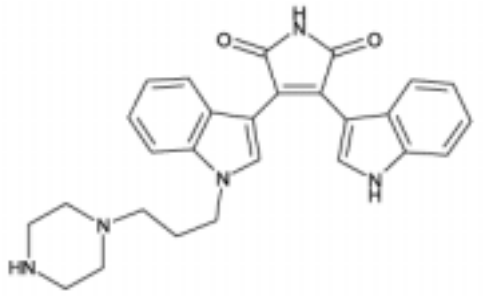
ProductInformation

BISINDOLYLMALEIMIDE VII

Product Number **B 3681**
Storage Temperature –20 °C

CAS #: 137592-47-3
Synonyms: 2-[1-(3-Piperazinopropyl)-1*H*-Indol-3-yl]-3-(1 *H*-indol-3-yl) maleimide; 3-[1-(3-Piperazinopropyl)-1*H*-indol-3-yl]-4-(1*H*-indol-3-yl)-1*H*-pyrrole-2,5-dione

Product Description
Molecular formula: $C_{27}H_{27}N_5O_2$
Mol. wt.: 453.5



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 VII, a piperazinopropyl analog, for bovine brain PKC over two other protein kinases is shown in the table below.

Enzyme	IC_{50}
Protein Kinase C	52 nM
CAMP-Dependent Protein Kinase	4400 nM
Phosphorylase Kinase	5700 nM

Preparation Instructions
Prepare stock solutions in DMSO.

Storage/Stability
Store product at –20 °C. Protect from light.

References
1. 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).
2. Fabre, S., et al. Protein kinase C inhibitors; structure-activity relationships in K252c-related compounds. Bioorg. Med. Chem., **1,** 193-196 (1993).
3. Davis, P. D., et al., Inhibitors of protein kinase C. 2,3-Bisarylmaleimides. J. Med. Chem., **35,** 177-184 (1992).

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