Bisindolylmaleimide I

Product Number G 2911
Storage Temperature 2-8 °C
CAS# 133052-90-1

Synonyms: 3-[1-[Dimethylaminopropyl]indol-3-yl]-4-[indol-3-yl]maleimide, 3-[1-[3-(dimethylamino)propyl]-1H-indol-3-yl]-4-(1H-indol-3-yl)-1H-pyrrole-2,5-dione, GF 109203X, Gö 6850

Product Description
Molecular formula: C_{25}H_{24}N_{4}O_{2}
Mol. wt.: 412.5

Bisindolylmaleimides are potent, selective inhibitors of protein kinase C (PKC).\(^1-4\) 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.

Bisindolylmaleimides inhibit PKC within intact platelets and T cells. It also inhibits Fas-mediated apoptosis and T cell-mediated autoimmune diseases.\(^3\)

The selectivity of bisindolylmaleimide I, a dimethylaminopropyl analog, for PKC over two other protein kinases is shown in the table below. The inhibition of A-group PKCs is greater than it is for B-group and C-group PKCs.\(^4\)

<table>
<thead>
<tr>
<th>Enzyme</th>
<th>IC(_{50})</th>
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<tbody>
<tr>
<td>Protein Kinase C (A-group)</td>
<td>8-20 nm</td>
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<tr>
<td>cAMP-Dependent Protein Kinase</td>
<td>2000 nM</td>
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<tr>
<td>Phosphorylase Kinase</td>
<td>700 nM</td>
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Preparation Instructions
Prepare stock 1 mg/ml solutions in DMSO.

Storage/Stability
Store at 2-8 °C. Protect from light. Store stock solutions in frozen aliquots at –20 °C.

Reference

JWM 12/01