Bisindolylmaleimide XI Hydrochloride

Product Number B 4056
Storage Temperature –20 °C

Synonym: 2-{8-[(Dimethylamino)methyl]-6,7,8,9-tetrahydropyrido[1,2-a]indol-3-yl}-3-(1-methylindol-3-yl)maleimide, HCl; 3-{8-[(Dimethylamino)methyl]-6,7,8,9-tetrahydropyrido[1,2-a]indol-10-yl}-4-(1-methylindol-3-yl)-1H-pyrrole-2,5-dione, HCl; Ro 32-0432

Product Description
Molecular formula: C_{28}H_{28}N_{4}O_{2}•HCl
Mol. wt.: 489.1

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

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

<table>
<thead>
<tr>
<th>Enzyme</th>
<th>IC_{50}</th>
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<tbody>
<tr>
<td>Protein Kinase C</td>
<td>21 nm</td>
</tr>
<tr>
<td>cAMP-Dependent Protein Kinase</td>
<td>8600 nm</td>
</tr>
<tr>
<td>Phosphorylase Kinase</td>
<td>1100 nm</td>
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</tbody>
</table>

Preparation Instructions
Prepare stock solutions in DMSO or water. Bisindolylmaleimide XI is slightly soluble in methanol or ethanol.

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

References