Ro-24-4736

Cat. No.: HY-19097
CAS No.: 125030-71-9
Molecular Formula: C₃₁H₂₀ClN₅OS
Molecular Weight: 546.04
Target: Others
Pathway: Others
Storage: Please store the product under the recommended conditions in the Certificate of Analysis.
Solubility: DMSO
* "<1 mg/mL" means slightly soluble or insoluble. "≥" means soluble, but saturation unknown.

PREPARING STOCK SOLUTIONS

<table>
<thead>
<tr>
<th>Volume</th>
<th>Mass</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mg</td>
<td>5 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>1.8314 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3663 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1831 mL</td>
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Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description
Ro 24-4736 is a potent, selective, p.o.-active platelet-activating factor (PAF) antagonist with a long duration of action.

IC₅₀ & Target
PAF[1]

In Vitro
Ro 24-4736 competes with [³H]PAF for its receptor site on dog platelets with an IC₅₀ of 9.8±1.0 nM and selectively inhibits PAF-induced aggregation of guinea pig, dog and human platelets with concentration dependence[1].

In Vivo
Ro 24-4736 dose-dependently inhibits in vivo bronchoconstriction (ID₅₀ of 0.006-mg/kg p.o.) and ex vivo platelet aggregation (ID₅₀ of 0.004 mg/kg p.o.) induced by PAF in guinea pigs. Time course studies show complete blockade of PAF-induced platelet aggregation (ex vivo) up to 8 hr after a single p.o. dose of 0.03 mg/kg as well as a long duration of action in vivo (30 hr). The in vivo PAF antagonistic activity is specific because, even at high p.o. doses (up to 10 mg/kg), Ro 24-4736 shows no inhibitory activity toward the bronchoconstrictor effects of leukotriene D₄ or histamine. In comparison with other PAF antagonists evaluated in this guinea pig model, Ro 24-4736 is markedly superior in terms of p.o. potency, bioavailability and p.o. duration of action. Studies are also performed with Ro 24-4736 in additional in vivo models. When administered p.o. to sensitized guinea pigs, the drug attenuates inhaled antigen-induced airway hyper-reactivity without effect on bronchoalveolar lavage leukocyte accumulation[1]. Ro 24-4736 is a new platelet activating factor antagonist. The tissue distribution of the ¹⁴C-label in male rats following a
single intravenous dose of 1.0 mg/kg of 14C-Ro 24-4736 indicates appreciable uptake by the liver, kidney, heart and gastrointestinal tract. Peak plasma and tissue concentrations are seen at 5 minutes after dosing except for the small intestine (4 hrs) and abdominal fat, stomach and large intestine (4 hrs). At 48 hours, only 3.5% of the dose is present in the tissues, and 6.1% in the lumen of the gastrointestinal tracts[2].

REFERENCES
