R406 free base

Cat. No.: HY-11108
CAS No.: 841290-80-0
Molecular Formula: C₂₂H₂₃FN₆O₅
Molecular Weight: 470.45
Target: Syk; Apoptosis
Pathway: Protein Tyrosine Kinase/RTK; Apoptosis
Storage: Powder -20°C 3 years
        -4°C 2 years
        In solvent -80°C 6 months
        -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro
DMSO : ≥ 10 mg/mL (21.26 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.1256 mL</td>
<td>10.6281 mL</td>
<td>21.2562 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4251 mL</td>
<td>2.1256 mL</td>
<td>4.2512 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2126 mL</td>
<td>1.0628 mL</td>
<td>2.1256 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

In Vivo
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.5 mg/mL (1.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.5 mg/mL (1.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
R406 free base is a potent Syk inhibitor with IC50 of 41 nM, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. IC50 value: 41 nM [1]. Target: Syk
In vitro: R406 is a potent inhibitor of immunoglobulin E (IgE)- and IgG-mediated activation of Fc receptor signaling. R406 inhibits the anti-IgE-induced production and release of LTC4 and cytokines and chemokines, including TNFα, IL-8, and GM-CSF. R406 inhibits phosphorylation of Syk substrate linker for activation of T cells in mast cells and B-cell linker protein/SLP65 in B cells. R406 binds to the ATP binding pocket of Syk and inhibits its kinase activity as an ATP-competitive inhibitor with Ki of 30 nM. R406 blocks Syk-dependent FcR-mediated activation of monocytes/macrophages and neutrophils and Bcr-mediated activation of B lymphocytes [1]. R406 significantly induces chronic lymphocytic leukemia (CLL) cell apoptosis in nurselike cells cocultures and blocks...
CCL3 and CCL4 secretion by CLL cells in response to B-cell antigen receptor (Bcr) triggering [2]. R406 is a potent inhibitor of platelet signaling and functions initiated by FcγRIIA cross-linking by specific antibodies or by sera from HIT patients [3]. In vivo: R406 reduces cutaneous reverse passive Arthus reaction by approximately 86% at 5 mg/kg in prophylactic treated mice. R406 also shows efficacy in inhibiting paw inflammation in antibody-induced arthritis mouse models [1]. R406 does not adversely affect macrophage or neutrophil function in innate immune responses and has minimal functional immunotoxicity notwithstanding its lymphocytopenic effect [4].

CUSTOMER VALIDATION

- Arthritis Rheumatol. 2018 Sep;70(9):1419-1428.

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REFERENCES


