AZD2932

Cat. No.: HY-18179
CAS No.: 883986-34-3
Molecular Formula: C₂₄H₂₅N₅O₄
Molecular Weight: 447.49
Target: PDGFR; VEGFR; FLT3; c-Kit
Pathway: Protein Tyrosine Kinase/RTK
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 : ≥ 41 mg/mL (91.62 mM)
* "≥" means soluble, but saturation unknown.

Preparation of Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.2347 mL</td>
<td>11.1734 mL</td>
<td>22.3469 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4469 mL</td>
<td>2.2347 mL</td>
<td>4.4694 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2235 mL</td>
<td>1.1173 mL</td>
<td>2.2347 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
AZD2932 is a potent and multi-targeted kinase inhibitor VEGFR2, PDGFB, Flt-3 and c-Kit with IC₅₀s of 8, 4, 7 and 9 nM in cell assay, respectively.

IC₅₀ & Target

<table>
<thead>
<tr>
<th>IC₅₀ &amp; Target</th>
<th>VEGFR2</th>
<th>PDGFRβ</th>
<th>FLT3</th>
<th>c-Kit</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>8 nM (IC₅₀)</td>
<td>4 nM (IC₅₀)</td>
<td>7 nM (IC₅₀)</td>
<td>9 nM (IC₅₀)</td>
</tr>
</tbody>
</table>

In Vitro
AZD2932 has a potent and balanced profile against PDGFB, VEGFR-2, Flt-3 and c-Kit. It does not inhibit the various cytochrome P450 isoforms with the worst IC₅₀ being against 2C9 (8.0 μM). AZD2932 has no activity against hERG (IC₅₀=137 μM)[1].

In Vivo
Twice daily oral dosing (b.i.d.) of AZD2932 10 h apart results in significant tumor growth inhibition of 64% for both 50 and 12.5 mg/kg doses on the day the control animals are terminated. Xenografts bearing non-PDGFB expressing tumor cells are also sensitive to AZD2932 treatment: growth of Calu-6 tumor is inhibited by 81% and 72% at 50 and
12.5 mg/kg b.i.d. and and LoVo tumors by 67% at 50 mg/kg b.i.d. This is due AZD2932 potent activity against VEGFR2 as well as a potential effect on pericytes and tumor-associated fibroblasts due to PDGFR α and β inhibition. AZD2932 at 3–50 mg/kg b.i.d. 10 h apart gives 60–80% inhibition of both p-VEGFR2 and p-PDGFβ in a 1:1 ratio[1].

**PROTOCOL**

**Animal Administration [1]**

Mice: To confirm that AZD2932 has similar potency against both PDGFβ and VEGFR-2 phosphorylation, the female nude mice bearing C6 tumors are dosed iv with VEGF-A and PDGFβ 5 min prior to cull and 6 h post last dose of AZD2932 and the lungs excised immediately after. Lung lysates are analyzed by western blot for total and phosphorylated VEGFR-2 and PDGFβ[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**REFERENCES**


Caution: Product has not been fully validated for medical applications. For research use only.

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