BAY-1816032

Cat. No.: HY-103020
CAS No.: 1891087-61-8
Molecular Formula: C₂₇H₂₄F₂N₆O₄
Molecular Weight: 534.51
Target: Others
Pathway: Others
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: 25 mg/mL (46.77 mM; Need ultrasonic and warming)
H₂O: < 0.1 mg/mL (insoluble)

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.8709 mL</td>
<td>9.3544 mL</td>
<td>18.7087 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3742 mL</td>
<td>1.8709 mL</td>
<td>3.7417 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1871 mL</td>
<td>0.9354 mL</td>
<td>1.8709 mL</td>
<td></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 >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
BAY-1816032 is a potent and oral available BUB1 (budding uninhibited by benzimidazoles 1) kinase inhibitor with an IC₅₀ of 7 nM.

IC₅₀ & Target
IC₅₀: 7 nM (BUB1) [1]

In Vitro
BAY-1816032 inhibits BUB1 enzymatic activity with an IC₅₀ of 7 nM, shows slow dissociation kinetics resulting in a long target residence time of 87 min, and an excellent selectivity on a panel of 395 kinases. Mechanistically BAY-1816032 abrogates nocodazole-induced Thr-120 phosphorylation of the major BUB1 target protein histone H2A in HeLa cells with an IC₅₀ of 29 nM, induced lagging chromosomes and mitotic delay. Persistent lagging chromosomes and missegregation are observed upon combination with low concentrations of paclitaxel. Single agent BAY-1816032...
inhibits proliferation of various tumor cell lines with a median IC$_{50}$ of 1.4 μM and demonstrates synergy or additivity with paclitaxel or docetaxel in almost all cell lines evaluated (minimal combination index 0.3)[1].

| In Vivo | In tumor xenograft studies BAY 1816032 only marginally inhibits tumor growth as single agent upon oral administration, however, upon combination with paclitaxel or docetaxel a strong and statistically significant reduction of tumor size as compared to the respective monotherapy is observed[1]. |

**CUSTOMER VALIDATION**


See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

**REFERENCES**