Idasanutlin

Cat. No.: HY-15676
CAS No.: 1229705-06-9
Molecular Formula: C₃₁H₂₉Cl₂F₂N₃O₄
Molecular Weight: 616.48
Target: MDM-2/p53
Pathway: 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: ≥ 45 mg/mL (73.00 mM)
* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.6221 mL</td>
<td>8.1106 mL</td>
<td>16.2211 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3244 mL</td>
<td>1.6221 mL</td>
<td>3.2442 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1622 mL</td>
<td>0.8111 mL</td>
<td>1.6221 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
Idasanutlin (RG7388) is a potent and selective MDM2 antagonist, inhibiting p53-MDM2 binding, with an IC₅₀ of 6 nM.

IC₅₀ & Target
IC₅₀: 6 nM (p53-MDM2)

In Vitro
Idasanutlin (RG7388) inhibits cell proliferation with IC₅₀ of 30 nM, and induces dose-dependent p53 stabilization, cell cycle arrest, as well as cell apoptosis in cancer cells expressing wild-type p53. Idasanutlin (RG7388) (300 nM or 1.8 μM) induces apoptosis in SJS osteosarcoma cells.

In Vivo
Idasanutlin (RG7388, 25 mg/kg p.o.) results in tumor growth inhibition and regression, in the mouse SJSA human osteosarcoma xenograft model. Idasanutlin (RG7388) induces induction of apoptosis and antiproliferation, in the SJSA xenograft model.
PROTOCOL

Cell Assay [1]

Cell proliferation is evaluated by the tetrazolium dye assay. The concentration at which 50% inhibition (IC$_{50}$) or 90% inhibition (IC$_{90}$) of cell proliferation is determined from the linear regression of a plot of the logarithm of the concentration versus percent inhibition.

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

Animal Administration [2]

At 10 to 12 weeks of age, mice are implanted with a 1:1 mixture of human SJSA osteosarcoma cells (ATCC) suspended in phenol-free Matrigel and PBS. Mice are implanted in the right flank at a concentration of $5 \times 10^6$ cells in 0.2 mL total volume. At approximately day 10, animals are randomized according to tumor volume, so that all groups of 10 randomized mice have similar starting mean tumor volumes of 100 to 250 mm$^3$. Idasanutlin (RG7388) is administered as an amorphous solid dispersion microbulk precipitate powder containing 30% drug substance and 70% hydroxypropyl methylcellulose acetate succinate polymer that is reconstituted immediately before administration as a suspension in Kluce/Tween, and remaining suspension is discarded after dosing.

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

CUSTOMER VALIDATION

- Preprints. 2018, 2018100121.

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REFERENCES
