INCB 024360

Cat. No.: HY-15689
CAS No.: 1204669-58-8
Molecular Formula: C₁₁H₁₃BrFN₇O₄S
Molecular Weight: 438.23
Target: Indoleamine 2,3-Dioxygenase (IDO)
Pathway: Metabolic Enzyme/Protease
Storage:
- Powder: -20°C 3 years, 4°C 2 years
- In solvent: -80°C 6 months, -20°C 1 month

Solvent & Solubility

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass (mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO : ≥ 31 mg/mL (70.74 mM)</td>
<td></td>
</tr>
</tbody>
</table>

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.2819 mL</td>
<td>11.4095 mL</td>
<td>22.8191 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4564 mL</td>
<td>2.2819 mL</td>
<td>4.5638 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2282 mL</td>
<td>1.1410 mL</td>
<td>2.2819 mL</td>
</tr>
</tbody>
</table>

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

In Vivo

1. INCB 024360 (Epacadostat) is dissolved freshly in sodium citrate buffer prior to each experiment[3].

BIOLOGICAL ACTIVITY

Description
INCB 024360 is a potent and selective indoleamine 2,3-dioxygenase 1 (IDO1) inhibitor with an IC₅₀ of 71.8 nM.

IC₅₀ & Target
IC₅₀: 71.8 nM±17.5 nM (IDO1), 7.1 nM±0.6 nM (IDO1, in HeLa cells)[1]

In Vitro
In cellular assays, INCB 024360 (INCB024360) selectively inhibits human IDO1 with IC₅₀ values of approximately 10 nM, demonstrating little activity against other related enzymes such as IDO2 or tryptophan 2,3-dioxygenase (TDO). INCB 024360 also exhibits significant activity toward mouse IDO1, with an IC₅₀ value of 52.4 nM±15.7 nM, in a similar assay using mouse IDO1-transfected HEK293/MSR cells[1].

In Vivo
Female Balb/c mice bearing CT26 tumors are treated orally twice daily for 12 d with INCB 024360 (INCB024360) at 100 mg/kg. INCB 024360 suppresses kynurenine equivalently in plasma, tumors, and lymph nodes. In naive C57BL/6
mice, 50 mg/kg INCB024360 decreases plasma kynurenine levels within 1 hour and those levels stay at least 50% suppressed through the 8-hour time course[2].

**PROTOCOL**

**Cell Assay** [1]

To determine INCB 024360 activity against IDO in recombinant cells, HEK293/MSR cells are transiently transfected with full-length human or mouse IDO1, or mouse IDO2 cDNA, with Transit-293 transfection reagent or Lipofectamine 2000 reagents. INCB 024360 at different concentrations is added to the recovered transfected cells seeded at $2 \times 10^4$ cells per well in a 96-well plate (200 μL/well). The cells are incubated for 2 days, and kyn in the supernatants is measured as described in the HeLa cell assay. The tryptophan 2,3-dioxygenase (TDO) assay is performed similarly with HEK293/MSR cells transfected with a human TDO expression vector[3].

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

**Animal Administration** [2]

**Mice** [2]

The female C57BL/6 mice are dosed orally with 50 mg/kg INCB 024360. C57BL/6 wild-type or Ido1−/−-deficient mice are administered a single oral dose of INCB 024360, at which point food is removed from the cages until after the 8-h time point. At various time points after dosing, mice are euthanized and blood is collected by cardiac puncture. Plasma is analyzed for the presence of INCB023843, INCB 024360, tryptophan, and kynurenine according to the methods below. Data are analyzed using one-way ANOVA with Dunnett’s posttest for statistical significance.

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**REFERENCES**


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