Bictegravir

Cat. No.: HY-17605
CAS No.: 1611493-60-7
Molecular Formula: C₂₁H₁₈F₃N₃O₅
Molecular Weight: 449.38
Target: HIV; HIV Integrase
Pathway: Anti-infection; Metabolic Enzyme/Protease
Storage: 4°C, sealed storage, away from moisture and light
* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)

**SOLVENT & SOLUBILITY**

**In Vitro**
DMSO: 83.3 mg/mL (185.37 mM; Need ultrasonic and warming)

**Preparing Stock Solutions**

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass (mL) 1 mg</th>
<th>Mass (mL) 5 mg</th>
<th>Mass (mL) 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.2253 mL</td>
<td>11.1264 mL</td>
<td>22.2529 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4451 mL</td>
<td>2.2253 mL</td>
<td>4.4506 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2225 mL</td>
<td>1.1126 mL</td>
<td>2.2253 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: ≥ 2.5 mg/mL (5.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.56 mM); Clear solution

**BIOLOGICAL ACTIVITY**

**Description**
Bictegravir is a novel, potent inhibitor of HIV-1 integrase with an IC₅₀ of 7.5 nM.

**IC₅₀ & Target**
IC₅₀: 7.5 nM (HIV-1 integrase)[1]

**In Vitro**
Bictegravir (BIC) inhibits the strand transfer activity with an IC₅₀ of 7.5± 0.3 nM. Relative to its inhibition of strand transfer activity, Bictegravir is a much weaker inhibitor of 3'-processing activity of HIV-1 IN, with an IC₅₀ of 241±51 nM. Bictegravir enhances the accumulation of 2-LTR circles ~5-fold relative to the mock-treated control and reduces...
the amount of authentic integration products in infected cells by 100-fold. Bictegravir potently inhibits HIV-1 replication in both MT-2 and MT-4 cells with EC\textsubscript{50}s of 1.5 and 2.4 nM, respectively. Bictegravir exhibits potent antiviral effects in both primary CD4\textsuperscript{+} T lymphocytes and monocyte-derived macrophages, with EC\textsubscript{50}s of 1.5±0.3 nM and 6.6±4.1 nM, respectively, which are comparable to values obtained in T-cell lines\textsuperscript{[1]}

**PROTOCOL**

**Cell Assay\textsuperscript{[1]}**

MT-2 cells are infected in bulk culture with HIV-1 IIIb at a cell density of 2\times10\textsuperscript{6} cells/mL for 3 h at 37°C. Infected MT-2 cells receive either DMSO (mock-treated control) or Bictegravir (BIC) at a final concentration greater than or equal to 20 times their respective antiviral 50% effective concentration (EC\textsubscript{50}). These plates are incubated at 37°C for either 12 h (for late reverse transcription product quantification) or 24 h (for 2-LTR circle and Alu-LTR product quantification), after which time the cells are harvested for total DNA isolation. DNA is extracted from each well using the DNA minikit and collected as a 100-μL eluate. TaqMan real-time PCR-quantified 2-LTR junctions (2-LTR circles), late reverse transcription products, and integration junctions (Alu-LTR) are normalized to the level of host globin gene in each sample\textsuperscript{[1]}.

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

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**REFERENCES**