HIV Integrase

HIV Integrase is an enzyme produced by a HIV that enables its genetic material to be integrated into the DNA of the infected cell. IN is a key component in the retroviral pre-integration complex (PIC). All retroviral integrase proteins contain three canonical domains, connected by flexible linkers: an N-terminal HH-CC zinc-binding domain, a catalytic core domain and a C-terminal DNA-binding domain. Integration occurs following production of the double-stranded viral DNA by the viral RNA/DNA-dependent DNA polymerase reverse transcriptase. The main function of IN is to insert the viral DNA into the host chromosomal DNA, a step that is essential for HIV replication. Integration is a point of no return for the cell, which becomes a permanent carrier of the viral genome (provirus). Integration is in part responsible for the persistence of retroviral infections.
HIV Integrase Inhibitors & Modulators

(±)-BI-D

Cat. No.: HY-18601

Bioactivity: (±)-BI-D is a potent ALLNI (an allosteric IN inhibitor) that binds integrase at the LEDGF/p75 binding site.

Purity: 96.90%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

BI 224436

Cat. No.: HY-18595

Bioactivity: BI 224436 is a novel HIV-1 noncatalytic site integrase inhibitor with EC_{50} values of less than 15 nM against different HIV-1 laboratory strains.

Purity: 98.17%
Clinical Data: Phase 1
Size: 5 mg, 10 mg, 50 mg, 100 mg

Bictegravir

(GS-9883)

Cat. No.: HY-17605

Bioactivity: Bictegravir is a novel, potent inhibitor of HIV-1 integrase with an IC_{50} of 7.5 nM.

Purity: 98.27%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

BMS-538203

Cat. No.: HY-11019

Bioactivity: BMS-538203 is a highly efficient HIV integrase inhibitor and antiviral agent.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

BMS-707035

Cat. No.: HY-13269

Bioactivity: BMS-707035 is an HIV-1 integrase (IN) inhibitor with an IC_{50} value of 15 nM.

Purity: 99.59%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

BMS-707035

Cat. No.: HY-13238A

Bioactivity: BMS-707035 is an HIV-1 integrase (IN) inhibitor with an IC_{50} value of 15 nM.

Purity: 99.54%
Clinical Data: Launch
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

Dolutegravir

(GS-1265744; S/GSK1265744)

Cat. No.: HY-15592

Bioactivity: Cabotegravir is a potent HIV integrase inhibitor as an oral lead-in tablet and long-acting injectable for the treatment and prevention of HIV infection. Cabotegravir is an inhibitor of OAT1 (IC_{50} 0.81 μM) and OAT3 (IC_{50} 0.41 μM).

Purity: 99.85%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Dolutegravir sodium

(GSK-1349572A)

Cat. No.: HY-13238A

Bioactivity: Dolutegravir sodium is an inhibitor of HIV-1 integrase-catalyzed strand transfer with IC_{50} of 2.7 nM.

Purity: 97.02%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Elvitegravir

(GS-9137; JTK-303; D06677)

Cat. No.: HY-14740

Bioactivity: Elvitegravir is an HIV integrase inhibitor for HIV-1 and HIV-2 with IC_{50} of 0.7 nM, 2.8 nM and 1.4 nM, respectively.

Purity: 99.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

HIV-1 integrase inhibitor

Cat. No.: HY-13025

Bioactivity: HIV-1 integrase inhibitor is useful for anti-HIV.

Purity: 98.64%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
</tr>
</thead>
<tbody>
<tr>
<td>HIV-1 integrase inhibitor 2</td>
<td>HY-10522</td>
<td>HIV-1 integrase inhibitor 2, in the treatment of human immunodeficiency virus (HIV) infection.</td>
</tr>
<tr>
<td>HIV-1 integrase inhibitor 3</td>
<td>HY-108817</td>
<td>HIV-1 integrase inhibitor 3 is a HIV-1 integrase strand transfer (INST) inhibitor with an IC₅₀ of 2.7 nM.</td>
</tr>
<tr>
<td>HIV-1 integrase inhibitor 4</td>
<td>HY-108820</td>
<td>HIV-1 integrase inhibitor 4 is a HIV-1 integrase strand transfer (INST) inhibitor with an IC₅₀ of 3.7 nM.</td>
</tr>
<tr>
<td>MK-2048</td>
<td>HY-13305</td>
<td>MK-2048 is a potent inhibitor of integrase and INR263K with IC₅₀ of 2.6 nM and 1.5 nM, respectively.</td>
</tr>
<tr>
<td>Raltegravir (MK-0518)</td>
<td>HY-10353</td>
<td>Raltegravir is a potent integrase (IN) inhibitor, used to treat HIV infection.</td>
</tr>
<tr>
<td>Raltegravir potassium salt (MK 0518 potassium salt)</td>
<td>HY-10353A</td>
<td>Raltegravir (potassium salt) is a potent integrase (IN) inhibitor, used to treat HIV infection.</td>
</tr>
<tr>
<td>Salicylanilide (2-Hydroxybenzanilide)</td>
<td>HY-81408</td>
<td>Salicylanilide demonstrates a wide range of biological activities including antiviral potency which can inhibit HIV virus by targeting HIV-1 integrase or reverse transcriptase.</td>
</tr>
</tbody>
</table>

**Purity:**
- HIV-1 integrase inhibitor 2: 99.41%
- HIV-1 integrase inhibitor 3: >98%
- HIV-1 integrase inhibitor 4: >98%
- MK-2048: 98.0%
- Raltegravir (MK-0518): 98.11%
- Raltegravir potassium salt (MK 0518 potassium salt): 99.96%
- Salicylanilide: 99.60%

**Clinical Data:**
- No Development Reported
- Launched
- Phase 1
- 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
- 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Size:**
- 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
- 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

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