Aurora Kinase

Aurora kinases are serine/threonine kinases that are essential for cell proliferation. Aurora kinase helps the dividing cell dispense its genetic materials to its daughter cells. More specifically, Aurora kinases play a crucial role in cellular division by controlling chromatid segregation. Defects in this segregation can cause genetic instability, a condition which is highly associated with tumorigenesis. Three Aurora kinases have been identified in mammalian cells to date, Aurora A, Aurora B, Aurora C. Besides being implicated as mitotic regulators, these three kinases have generated significant interest in the cancer research field due to their elevated expression profiles in many human cancers. The human Aurora kinases present a similar domain organization, with a N-terminal domain of 39 to 129 residues in length, a protein kinase domain and a short C-terminal domain containing 15 to 20 residues. The N-terminal domain of three proteins share low sequence conservation, which determines selectivity during protein-protein interactions.
Aurora Kinase Inhibitors

**Alisertib (MLN 8237)**
Cat. No.: HY-10971

Alisertib (MLN 8237) is an orally active and selective Aurora A kinase inhibitor, which inhibits Aurora A kinase resulting in mitotic spindle abnormalities, mitotic accumulation.

**AMG 900**
Cat. No.: HY-13253

AMG 900 is a potent and highly selective pan-Aurora kinase inhibitor with IC₅₀ of 5 nM, 4 nM and 1 nM for Aurora A, B and C, respectively.

**AT9283**
Cat. No.: HY-50514

AT9283 is a multitargeted kinase inhibitor which potently inhibits aurora kinase A/B, JAK2/3 with IC₅₀ values of 1.2 nM, 1.1 nM.

**Aurora A inhibitor I**
Cat. No.: HY-U00304

Aurora A inhibitor I is a potent and highly selective inhibitor with an IC₅₀ of 3.4 nM.

**Aurora B inhibitor 1**
Cat. No.: HY-111506

Aurora B inhibitor 1 is an Aurora B inhibitor extracted from patent WO2007059299A1, compound 1-3, with an IC₅₀ of <0.010 µM.

**AZD1152 (Barasertib)**
Cat. No.: HY-10127

AZD1152 is a pro-drug of Barasertib-HQPA, which is a highly selective Aurora B inhibitor with IC₅₀ of 0.37 nM in a cell-free assay.

**Barasertib-HQPA (AZD2811; INH-34; AZD1152-HQPA)**
Cat. No.: HY-10126

Barasertib-HQPA (AZD2811) is a highly selective Aurora B inhibitor with an IC₅₀ of 0.37 nM in a cell-free assay, and shows 3700-fold selectivity for Aurora B over Aurora A.

**BI-847325**
Cat. No.: HY-18955

BI-847325 is an ATP competitive dual inhibitor of MEK and aurora kinases (AK) with IC₅₀ values of 4 and 15 nM for human MEK2 and AK-C, respectively.

**CCT 137690**
Cat. No.: HY-10804

CCT 137690 is a potent and orally available aurora kinase inhibitor with IC₅₀ of 15, 25, and 19 nM for aurora A, B and C, respectively.
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>CCT129202</td>
<td>HY-12049</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
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<td>CCT241736</td>
<td>HY-18161</td>
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<td>CYC116</td>
<td>HY-10558</td>
<td>Phase 1</td>
<td>10 mg, 50 mg, 100 mg</td>
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<td>Danusertib</td>
<td>HY-10179</td>
<td>Phase 2</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<td>ENMD-2076</td>
<td>HY-10987A</td>
<td>Phase 2</td>
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<td>ENMD-2076 Tartrate</td>
<td>HY-10987</td>
<td>Phase 2</td>
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<tr>
<td>GSK1070916</td>
<td>HY-70044</td>
<td>Phase 1</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
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<td>Hesperadin</td>
<td>HY-12054</td>
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<td>Ilorasertib</td>
<td>HY-16018</td>
<td>Phase 2</td>
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<td>JNJ7706621</td>
<td>HY-10329</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</tbody>
</table>
KW-2449

KW-2449 is a multi-targeted kinase inhibitor of FLT3, ABL, ABL^{T315I} and Aurora kinase with \( IC_{50} \) of 6.6, 14, 4 and 48 nM, respectively.

Purity: 99.85%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

LY3295668 (AK-01)

LY3295668 is a potent, orally active and highly specific Aurora-A kinase inhibitor, with \( K_i \) values of 0.8 nM and 1038 nM for AurA and AurB, respectively.

Purity: 99.61%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

MK-5108 (VX-689)

MK-5108 is a highly potent and specific inhibitor of Aurora A kinase with an \( IC_{50} \) value of 0.064 nM.

Purity: >98.0%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

MLN8054

MLN8054 is a potent, selective and orally available Aurora A kinase inhibitor with an \( IC_{50} \) of 4 nM.

Purity: >98.0%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PF-03814735

PF-03814735 is a potent, orally available and reversible Aurora A and Aurora B inhibitor with \( IC_{50} \)s of 0.8 and 0.5 nM, respectively.

Purity: 99.77%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

NU6140

NU6140 is a selective CDK2-cyclin A inhibitor (\( IC_{50} \) 0.41 μM), exhibits 10- to 36-fold selectivity over other CDKs. NU6140 also potently inhibits Aurora A and Aurora B, with \( IC_{50} \)s of 67 and 35 nM, respectively. Enhances the apoptotic effect, with anti-cancer activity.

Purity: >99.0%
Clinical Data: No Development Reported
Size: 5 mg

MH-2449

MH-2449 is a novel class of ATP-competitive Aurora kinase inhibitor with \( IC_{50} \)s of 400, 500 and 400 nM for Aurora A, Aurora B and Aurora C, respectively.

Purity: 99.25%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Reversine

Reversine is a novel class of ATP-competitive Aurora kinase inhibitor with \( IC_{50} \)s of 4 and 13 nM for Aurora A and B, respectively.

Purity: 98.20%
Clinical Data: No Development Reported
Size: 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

SCH-1473759

SCH-1473759 is an Aurora kinase inhibitor with \( IC_{50} \)s of 4 and 13 nM for Aurora A and B, respectively.

Purity: 98.20%
Clinical Data: No Development Reported
Size: 2 mg, 5 mg, 10 mg, 50 mg, 100 mg
**SCH-1473759 hydrochloride**  
Cat. No.: HY-10483

SCH-1473759 hydrochloride is an aurora inhibitor with IC\(_{50}\)s of 4 and 13 nM for aurora A and B, respectively.

- **Purity:** 99.67%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

**SNS-314**  
(S-N314 Mesylate)  
Cat. No.: HY-12003

SNS-314 is a potent and selective aurora kinase inhibitor with IC\(_{50}\)s of 9, 31, and 6 nM for aurora A, B and C, respectively.

- **Purity:** 99.81%
- **Clinical Data:** Phase 1
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**TAK-632**  
Cat. No.: HY-15767

TAK-632 is a potent pan-RAF inhibitor with IC\(_{50}\)s of 1.4, 2.4 and 8.3 nM for CRAF, BRAF\(^{V600E}\), BRAF\(^{WT}\), respectively.

- **Purity:** 99.13%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**TAK-901**  
Cat. No.: HY-12201

TAK-901 is a multi-targeted aurora inhibitor with IC\(_{50}\)s of 21 and 15 nM for aurora A and B, respectively.

- **Purity:** 99.80%
- **Clinical Data:** Phase 1
- **Size:** 5 mg, 10 mg, 50 mg, 100 mg

**Tozasertib**  
(VX 680; MK-0457)  
Cat. No.: HY-10161

Tozasertib (VX 680; MK-0457) is an inhibitor of Aurora A/B/C kinases with \(K_i\)s of 0.6, 18, 4.6 nM, respectively.

- **Purity:** 99.85%
- **Clinical Data:** Phase 2
- **Size:** 10 mM × 1 mL, 50 mg, 100 mg, 250 mg

**XL228**  
Cat. No.: HY-15749

XL228 is a multi-targeted tyrosine kinase inhibitor with IC\(_{50}\)s of 5, 3.1, 1.6, 6.1, 2 nM for Bcr-Abl, Aurora A, IGF-1R, Src and Lyn, respectively.

- **Purity:** 99.61%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**ZM-447439**  
Cat. No.: HY-10128

ZM-447439 is an aurora kinase inhibitor with IC\(_{50}\)s of 110 and 130 nM for aurora A and B, respectively.

- **Purity:** 98.59%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

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