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Inhibitors, Agonists, Screening Libraries

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.

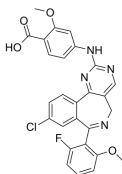
Aurora Kinase Inhibitors

Alisertib

(MLN 8237)

Cat. No.: HY-10971

Alisertib (MLN 8237) is an orally active and selective **Aurora A kinase** inhibitor (IC_{50} =1.2 nM), which binds to Aurora A kinase resulting in mitotic spindle abnormalities, mitotic accumulation.

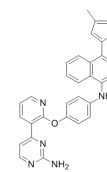


Purity: 99.84%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

AMG 900

Cat. No.: HY-13253

AMG 900 is a potent and highly selective **pan-Aurora kinases** inhibitor with IC_{50} of 5 nM, 4 nM and 1 nM for **Aurora A, B and C**, respectively.

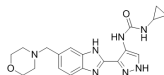


Purity: 99.29%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AT9283

Cat. No.: HY-50514

AT9283 is a multi-targeted kinase inhibitor with potent activity against **Aurora A/B, JAK2/3, Abl (T315I)** and **Flt3** (IC_{50} s ranging from 1 to 30 nM). AT9283 inhibits growth and survival of multiple solid tumors in vitro and in vivo.

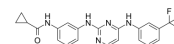


Purity: 99.61%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Aurora Kinase Inhibitor 3

Cat. No.: HY-112373

Aurora Kinase Inhibitor 3 is a strong and selective **Aurora A kinase** inhibitor with an IC_{50} of 42 nM, and weakly inhibits EGFR with an IC_{50} >10 μ M.



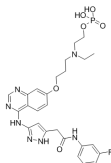
Purity: 98.98%
Clinical Data:
Size: 10 mM × 1 mL, 1 mg, 5 mg

AZD1152

(Barasertib)

Cat. No.: HY-10127

AZD1152 is a pro-drug of Barasertib-hQPA, which is a highly selective **Aurora B** inhibitor with IC_{50} of 0.37 nM in a cell-free assay.



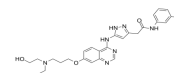
Purity: 99.62%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Barasertib-HQPA

(AZD2811; INH-34; AZD1152-HQPA)

Cat. No.: HY-10126

Barasertib-HQPA (AZD2811) is a highly selective **Aurora B** inhibitor with an IC_{50} of 0.37 nM in a cell-free assay, and shows 3700-fold selectivity for Aurora B over Aurora A.

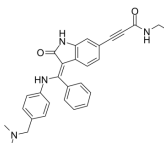


Purity: 99.47%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BI-847325

Cat. No.: HY-18955

BI-847325 is an ATP competitive dual inhibitor of **MEK** and **aurora kinases (AK)** with IC_{50} values of 4 and 15 nM for human MEK2 and AK-C, respectively.

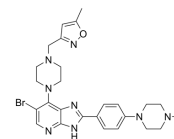


Purity: 99.14%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

CCT 137690

Cat. No.: HY-10804

CCT 137690 is a potent and orally available **aurora kinase** inhibitor with IC_{50} s of 15, 25, and 19 nM for **aurora A, B and C**, respectively.

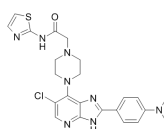


Purity: 99.10%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CCT129202

Cat. No.: HY-12049

CCT129202 is an **aurora kinase** inhibitor with IC_{50} s of 42, 198, and 227 nM for **aurora A, B and C**, respectively.

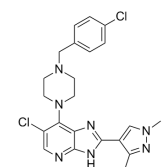


Purity: 98.24%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

CCT241736

Cat. No.: HY-18161

CCT241736 is a potent and orally bioavailable dual **FLT3** and **Aurora kinase** inhibitor, which inhibits Aurora kinases (Aurora-A K_{d} , 7.5 nM, IC_{50} , 38 nM; Aurora-B K_{d} , 48 nM), FLT3 kinase (K_{d} , 6.2 nM), and FLT3 mutants including FLT3-ITD (K_{d} , 38 nM) and FLT3(D835Y) (K_{d} , 14 nM).



Purity: 99.86%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

<p>Cenisertib (AS-703569; R-763)</p> <p>Cenisertib (AS-703569) is a multi-kinase inhibitor that blocks the activity of Aurora-kinase-A/B, ABL1, AKT, STAT5 and FLT3. Cenisertib induces major growth-inhibitory effects by blocking the activity of several different molecular targets in neoplastic mast cells (MC).</p> <p>Purity: 99.56% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>CYC-116</p> <p>CYC-116 is a potent aurora A and aurora B inhibitor with K_s of 8 and 9 nM, respectively.</p> <p>Purity: 98.17% Clinical Data: Phase 1 Size: 10 mg, 50 mg, 100 mg</p>
<p>Danuseritib (PHA-739358)</p> <p>Danuseritib is a pyrrolo-pyrazole and aurora kinase inhibitor with IC_{50} of 13, 79, and 61 nM for Aurora A, B, and C, respectively.</p> <p>Purity: 99.44% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>ENMD-2076</p> <p>ENMD-2076 is a multi-targeted kinase inhibitor with IC_{50}s of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.</p> <p>Purity: 99.12% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>ENMD-2076 Tartrate</p> <p>ENMD-2076 Tartrate is a multi-targeted kinase inhibitor with IC_{50}s of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.</p> <p>Purity: 98.59% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg</p>	<p>GSK-1070916 (GSK-1070916A)</p> <p>GSK-1070916 is a potent and selective ATP-competitive inhibitor of aurora B and aurora C with K_s of 0.38 and 1.5 nM, respectively, and is >250- fold selective over Aurora A.</p> <p>Purity: 99.55% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p>
<p>Hesperadin</p> <p>Hesperadin is an ATP competitive indolinone inhibitor of Aurora A and B. Hesperadin inhibits Aurora B with an IC_{50} of 250 nM.</p> <p>Purity: >98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Hesperadin hydrochloride</p> <p>Hesperadin hydrochloride is an ATP competitive indolinone inhibitor of Aurora A and B. Hesperadin hydrochloride inhibits Aurora B with an IC_{50} of 250 nM.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Ilorasertib (ABT-348)</p> <p>Ilorasertib (ABT-348) is a potent and ATP-competitive multitargeted kinase inhibitor, which inhibits Aurora C, Aurora B, and Aurora A with IC_{50}s of 1 nM, 7 nM, 120 nM, respectively.</p> <p>Purity: >98% Clinical Data: Phase 2 Size: 50 mg, 100 mg</p>	<p>Ilorasertib hydrochloride (ABT-348 hydrochloride)</p> <p>Ilorasertib hydrochloride (ABT-348 hydrochloride) is a potent and ATP-competitive multitargeted kinase inhibitor, which inhibits Aurora C, Aurora B, and Aurora A with IC_{50}s of 1 nM, 7 nM, 120 nM, respectively.</p> <p>Purity: 98.91% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>

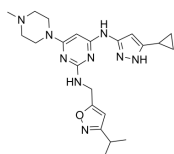
<p>JNJ-7706621</p> <p style="text-align: right;">Cat. No.: HY-10329</p>	<p>KW-2449</p> <p style="text-align: right;">Cat. No.: HY-10339</p>
<p>JNJ-7706621 is a potent aurora kinase inhibitor, and also inhibits CDK1 and CDK2, with IC_{50}s of 9 nM, 3 nM, 11 nM, and 15 nM for CDK1, CDK2, aurora-A and aurora-B, respectively.</p> <p>Purity: 99.96% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>KW-2449 is a multi-targeted kinase inhibitor of FLT3, ABL, ABL^{T315I} and Aurora kinase with IC_{50}s of 6.6, 14, 4 and 48 nM, respectively.</p> <p>Purity: 99.85% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>LY3295668 (AK-01)</p> <p style="text-align: right;">Cat. No.: HY-114258</p>	<p>MK-5108 (VX-689)</p> <p style="text-align: right;">Cat. No.: HY-13252</p>
<p>LY3295668 (AK-01) 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.</p> <p>Purity: 99.61% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>MK-5108 is a highly potent and specific inhibitor of Aurora A kinase with an IC_{50} value of 0.064 nM.</p> <p>Purity: >98.0% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>MK-8745</p> <p style="text-align: right;">Cat. No.: HY-13819</p>	<p>MLN8054</p> <p style="text-align: right;">Cat. No.: HY-10180</p>
<p>MK-8745 is an aurora A kinase inhibitor with an IC_{50} of 0.6 nM.</p> <p>Purity: 99.28% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>MLN8054 is a potent, selective and orally available aurora A kinase inhibitor with an IC_{50} of 4 nM.</p> <p>Purity: 99.43% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>NU6140</p> <p style="text-align: right;">Cat. No.: HY-107419</p>	<p>PF 477736 (PF 00477736)</p> <p style="text-align: right;">Cat. No.: HY-10032</p>
<p>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.</p> <p>Purity: >99.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg</p>	<p>PF 477736 (PF 00477736) is a potent, selective and ATP-competitive inhibitor of Chk1, with a K_i of 0.49 nM, it is also a Chk2 inhibitor, with a K_i of 47 nM.</p> <p>Purity: 99.21% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>
<p>PF-03814735</p> <p style="text-align: right;">Cat. No.: HY-14574</p>	<p>PHA-680632</p> <p style="text-align: right;">Cat. No.: HY-10178</p>
<p>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.</p> <p>Purity: 99.82% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>PHA-680632 is an aurora kinase inhibitor with IC_{50}s of 27, 135 and 120 nM for aurora A, B and C, respectively.</p> <p>Purity: 98.48% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>

<p>Reversine</p> <p>Cat. No.: HY-14711</p>	<p>SCH-1473759</p> <p>Cat. No.: HY-10482</p>
<p>Reversine 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.</p> <p>Purity: 99.40%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>SCH-1473759 is an aurora inhibitor with IC_{50}s of 4 and 13 nM for aurora A and B, respectively.</p> <p>Purity: 98.20%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>SCH-1473759 hydrochloride</p> <p>Cat. No.: HY-10483</p>	<p>SNS-314 (SNS-314 Mesylate)</p> <p>Cat. No.: HY-12003</p>
<p>SCH-1473759 hydrochloride is an aurora inhibitor with IC_{50}s of 4 and 13 nM for aurora A and B, respectively.</p> <p>Purity: 99.67%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p>	<p>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.</p> <p>Purity: 99.90%</p> <p>Clinical Data: Phase 1</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>SP-96</p> <p>Cat. No.: HY-131339</p>	<p>TAK-632</p> <p>Cat. No.: HY-15767</p>
<p>SP-96 is a highly potent, selective and non-ATP-competitive Aurora B (IC_{50}=0.316 nM) inhibitor and shows >2000 fold selectivity against FLT3 and KIT. SP-96 shows selective growth inhibition in NCI60 screening, including MDA-MD-468 (GI_{50}=107 nM).</p> <p>Purity: 98.03%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>TAK-632 is a potent pan-RAF inhibitor with IC_{50} of 1.4, 2.4 and 8.3 nM for CRAF, BRAF^{V600E}, BRAF^{WT}, respectively.</p> <p>Purity: 99.13%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p>TAK-901</p> <p>Cat. No.: HY-12201</p>	<p>TCS7010</p> <p>Cat. No.: HY-70061</p>
<p>TAK-901 is a multi-targeted aurora inhibitor with IC_{50}s of 21 and 15 nM for aurora A and B, respectively.</p> <p>Purity: 99.80%</p> <p>Clinical Data: Phase 1</p> <p>Size: 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>TCS7010 is a potent and highly selective Aurora A inhibitor with an IC_{50} of 3.4 nM.</p> <p>Purity: 98.74%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Tozasertib (VX 680; MK-0457)</p> <p>Cat. No.: HY-10161</p>	<p>Tripolin A (E-Tripolin A)</p> <p>Cat. No.: HY-124330</p>
<p>Tozasertib (VX 680; MK-0457) is an inhibitor of Aurora A/B/C kinases with K_is of 0.6, 18, 4.6 nM, respectively.</p> <p>Purity: 99.85%</p> <p>Clinical Data: Phase 2</p> <p>Size: 10 mM × 1 mL, 50 mg, 100 mg, 250 mg</p>	<p>Tripolin A ((E)-Tripolin A) is a specific non-ATP competitive Aurora A kinase inhibitor, with IC_{50} values of 1.5 μM and 7 μM for Aurora A and Aurora B, respectively.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>

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%

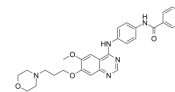
Clinical Data: No Development Reported

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: 99.19%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg