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Inhibitors, Screening Libraries, Proteins

# IAP

IAP (Inhibitor of apoptosis) proteins, a family of anti-apoptotic proteins, have an important role in evasion of apoptosis, as they can both block apoptosis-signaling pathways and promote survival. Eight members of this family have been described in humans (BIRC1/NAIP, BIRC2/cIAP1, BIRC3/cIAP2, BIRC4/XIAP, BIRC5/Survivin, BIRC6/Apollon, BIRC7/ML-IAP and BIRC8/ILP2).

IAP genes encode proteins that directly bind and inhibit caspases, and thus play a critical role in deciding cell fate. The IAPs are in turn regulated by endogenous proteins (second mitochondrial activator of caspases and Omi) that are released from the mitochondria during apoptosis. IAP protein family members are frequently overexpressed in cancer and contribute to tumor cell survival, chemo-resistance, disease progression, and poor prognosis. Targeting critical apoptosis regulators, like IAPs, is an attractive therapeutic way undertaken for the development of new classes of therapies for cancer.

Although best known for their ability to regulate caspases, IAPs also influence ubiquitin (Ub)-dependent pathways that modulate innate immune signaling via activation of NF- $\kappa$ B. Several members of the IAP family regulate innate and adaptive immunity through modulation of signal transduction pathways, cytokine production, and cell survival. The regulation of immunity by the IAPs is primarily mediated through the ubiquitin ligase function of cIAP1, cIAP2, and XIAP, the targets of which impact NF- $\kappa$ B and MAPK signalling pathways.

## IAP Inhibitors & Antagonists

<p><b>APG-1387</b></p> <p>Cat. No.: HY-125593</p>	<p><b>ASTX660</b></p> <p>Cat. No.: HY-109565</p>
<p>APG-1387, a bivalent SMAC mimetic and an IAP antagonist, blocks the activity of IAPs family proteins (XIAP, cIAP-1, cIAP-2, and ML-IAP). APG-1387 induces degradation of cIAP-1 and XIAP proteins, as well as caspase-3 activation and PARP cleavage, which leads to apoptosis.</p> <p><b>Purity:</b> 99.46%</p> <p><b>Clinical Data:</b> Phase 2</p> <p><b>Size:</b> 1 mg, 5 mg, 10 mg</p>	<p>ASTX660 is an orally bioavailable dual antagonist of cellular inhibitor of apoptosis protein (cIAP) and X-linked inhibitor of apoptosis protein (XIAP).</p> <p><b>Purity:</b> 99.60%</p> <p><b>Clinical Data:</b> Phase 2</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>AZD5582</b></p> <p>Cat. No.: HY-12600</p>	<p><b>AZD5582 dihydrochloride</b></p> <p>Cat. No.: HY-110346</p>
<p>AZD5582 is an antagonist of the inhibitor of apoptosis proteins (IAPs), which binds to the BIR3 domains cIAP1, cIAP2, and XIAP with <math>IC_{50}</math>s of 15, 21, and 15 nM, respectively. AZD5582 induces apoptosis.</p> <p><b>Purity:</b> 98.11%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>AZD5582 dihydrochloride is an antagonist of the inhibitor of apoptosis proteins (IAPs), which binds to the BIR3 domains cIAP1, cIAP2, and XIAP with <math>IC_{50}</math>s of 15, 21, and 15 nM, respectively. AZD5582 induces apoptosis.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>
<p><b>Birinapant</b> (TL32711)</p> <p>Cat. No.: HY-16591</p>	<p><b>BV6</b></p> <p>Cat. No.: HY-16701</p>
<p>Birinapant (TL32711), a bivalent Smac mimetic, is a potent antagonist for XIAP and cIAP1 with <math>K_d</math>s of 45 nM and less than 1 nM, respectively.</p> <p><b>Purity:</b> 99.70%</p> <p><b>Clinical Data:</b> Phase 2</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>BV6 is an antagonist of cIAP1 and XIAP, members of the inhibitors of apoptosis (IAP) family.</p> <p><b>Purity:</b> 99.84%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>CUDC-427</b> (GDC-0917)</p> <p>Cat. No.: HY-15835</p>	<p><b>Embelin</b> (Embelic acid; Emberine; NSC 91874)</p> <p>Cat. No.: HY-17473</p>
<p>CUDC-427 is a potent second-generation pan-selective IAP antagonist, used for treatment of various cancers.</p> <p><b>Purity:</b> 99.01%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Embelin (Embelic acid), a potent, nonpeptidic XIAP inhibitor (<math>IC_{50}</math>=4.1 <math>\mu</math>M), inhibits cell growth, induces apoptosis, and activates caspase-9 in prostate cancer cells with high levels of XIAP.</p> <p><b>Purity:</b> 98.75%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg</p>
<p><b>GDC-0152</b></p> <p>Cat. No.: HY-13638</p>	<p><b>Isolinderalactone</b></p> <p>Cat. No.: HY-N3001</p>
<p>GDC-0152 is a potent IAPs inhibitor, and binds to the BIR3 domains of XIAP, cIAP1, cIAP2 and the BIR domain of ML-IAP with <math>K_i</math> values of 28 nM, 17 nM, 43 nM and 14 nM, respectively.</p> <p><b>Purity:</b> 99.89%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>Isolinderalactone suppresses human glioblastoma growth and angiogenic activity through the inhibition of VEGFR2 activation in endothelial cells. Isolinderalactone suppresses the expression of B-cell lymphoma 2 (Bcl-2), survi.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg</p>

<p><b>LBW242</b></p> <p style="text-align: right;">Cat. No.: HY-15519</p>	<p><b>LCL161</b></p> <p style="text-align: right;">Cat. No.: HY-15518</p>
<p>LBW242, a 3-mer and Smac mimetic, is a potent and orally active proapoptotic IAP inhibitor. LBW242 shows effects on mutant FLT3-expressing cells. LBW242 has activity against multiple myeloma, and potentiates TRAIL- and anticancer drug-mediated cell death of ovarian cancer cells.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>LCL161 is a IAP inhibitor which inhibits XIAP in HEK293 cell and cIAP1 in MDA-MB-231 cell with IC<sub>50</sub>s of 35 and 0.4 nM, respectively.</p> <p><b>Purity:</b> 99.74%</p> <p><b>Clinical Data:</b> Phase 2</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>MV1</b></p> <p style="text-align: right;">Cat. No.: HY-113534</p>	<p><b>MX69</b></p> <p style="text-align: right;">Cat. No.: HY-100892</p>
<p>MV1 is an antagonist of IAP (inhibitor of apoptosis protein), leads to protein knockdown of HaloTag-fused proteins when combined with HaloTag ligand.</p> <p><b>Purity:</b> 99.54%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>MX69 is an inhibitor of MDM2/XIAP, used for cancer treatment.</p> <p><b>Purity:</b> 99.99%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Polygalacin D</b></p> <p style="text-align: right;">Cat. No.: HY-N6064</p>	<p><b>SBP-0636457</b></p> <p style="text-align: right;">Cat. No.: HY-125378</p>
<p>Polygalacin D (PGD) is a bioactive compound isolated from <i>Platycodon grandiflorum</i> (Jacq.) with anticancer and anti-proliferative properties.</p> <p><b>Purity:</b> 99.30%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>SBP-0636457 (SB1-0636457) is a SMAC mimetic, and as an IAP antagonist. SBP-0636457 binds to the BIR-domains of the IAP proteins, with a K<sub>i</sub> of 0.27 μM. SBP-0636457 can be used for the research of solid tumors and hematologic cancers.</p> <p><b>Purity:</b> 98.42%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg</p>
<p><b>SM-1295</b></p> <p style="text-align: right;">Cat. No.: HY-124181</p>	<p><b>SM-164</b></p> <p style="text-align: right;">Cat. No.: HY-15989</p>
<p>SM-1295 is an inhibitor of apoptosis protein (IAP) antagonist, with K<sub>d</sub> values of 3077 nM, 3.2 nM and 9.5 nM for XIAP-BIR3, c-IAP1-BIR3 and c-IAP2-BIR3, respectively.</p> <p><b>Purity:</b> 98.71%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>SM-164 is a cell-permeable Smac mimetic compound. SM-164 binds to XIAP protein containing both the BIR2 and BIR3 domains with an IC<sub>50</sub> value of 1.39 nM and functions as an extremely potent antagonist of XIAP.</p> <p><b>Purity:</b> 99.65%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>SM-164 Hydrochloride</b></p> <p style="text-align: right;">Cat. No.: HY-15989A</p>	<p><b>SM-433</b></p> <p style="text-align: right;">Cat. No.: HY-138059</p>
<p>SM-164 Hydrochloride is a cell-permeable Smac mimetic compound. SM-164 binds to XIAP protein containing both the BIR2 and BIR3 domains with an IC<sub>50</sub> value of 1.39 nM and functions as an extremely potent antagonist of XIAP.</p> <p><b>Purity:</b> 99.0%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>SM-433, a Smac mimetic, function as inhibitor of inhibitor of apoptosis proteins (IAPs). SM-433 exhibits strong binding affinity XIAP BIR3 protein with an IC<sub>50</sub> &lt;1 μM (patent WO2008128171A2).</p> <p><b>Purity:</b> 98.06%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

