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Inhibitors, Screening Libraries, Proteins

YAP

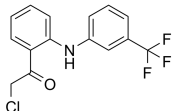
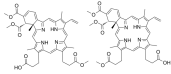
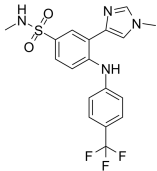
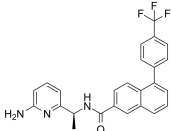
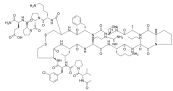
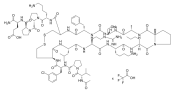
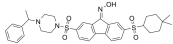
Yes-associated protein

YAP (Yes-associated protein) is a transcription co-activator in the Hippo tumor suppressor pathway and controls cell growth, tissue homeostasis and organ size. YAP is inhibited by the kinase Lats, which phosphorylates YAP to induce its cytoplasmic localization and proteasomal degradation. YAP induces gene expression by binding to the TEAD family transcription factors.

The function of YAP in human cancer is complex and could be cell-type-dependent. For instance, YAP could function as a tumor suppressor in some cell types, such as hematological cancers, by inducing apoptosis in response to DNA damage.

YAP Inhibitors, Antagonists, Activators & Modulators

<p>AICAR (Acadesine; AICA Riboside)</p> <p>AICAR (Acadesine) is an adenosine analog and a AMPK activator. AICAR regulates the glucose and lipid metabolism, and inhibits proinflammatory cytokines and iNOS production. AICAR is also an autophagy, YAP and mitophagy inhibitor.</p> <p>Purity: 99.92% Clinical Data: Phase 3 Size: 50 mg, 100 mg, 200 mg, 500 mg</p>	<p>AICAR phosphate (Acadesine phosphate; AICA Riboside phosphate)</p> <p>AICAR phosphate (Acadesine phosphate) is an adenosine analog and a AMPK activator. AICAR phosphate regulates the glucose and lipid metabolism, and inhibits proinflammatory cytokines and iNOS production. AICAR phosphate is also an autophagy, YAP and mitophagy inhibitor.</p> <p>Purity: 99.49% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg</p>
<p>Cytochalasin D (Zygosporin A; NSC 209835)</p> <p>Cytochalasin D (Zygosporin A; NSC 209835) is a potent and cell-permeable inhibitor of actin polymerization derived from fungus, inhibits the G-actin-cofilin interaction by binding to G-actin.</p> <p>Purity: 99.75% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg</p>	<p>K-975</p> <p>K-975 is a potent, selective and orally active TEAD inhibitor, with a strong inhibitory effect against protein-protein interactions between YAP1/TAZ and TEAD. K-975 covalently binds to Cys359 located in the palmitate-binding pocket of TEAD via an acrylamide structure.</p> <p>Purity: 98.55% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Lats-IN-1</p> <p>Lats-IN-1 is a potent and ATP-competitive inhibitor of Lats1 and Lats2 kinases. Lats-IN-1 promotes Yap-dependent proliferation in postmitotic mammalian tissues.</p> <p>Purity: 99.98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>ML-7 hydrochloride</p> <p>ML-7 hydrochloride is a naphthalene sulphonamide derivative, potently inhibits MLCK (IC_{50}=300 nM). ML-7 hydrochloride also inhibits YAP/TAZ.</p> <p>Purity: 99.75% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg</p>
<p>MYF-01-37</p> <p>MYF-01-37 is a covalent TEAD inhibitor targeting Cys380. MYF-01-37 has a reversible inhibition on YAP/TEAD interaction.</p> <p>Purity: 98.98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>PY-60</p> <p>PY-60 is a robust and specific activator of YAP transcriptional activity that targets annexin A2 (ANXA2) with a K_d of 1.4 μM. PY-60 directly binds to ANXA2 and antagonizes its normal cellular function of repressing YAP activity.</p> <p>Purity: 98.63% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Super-TDU</p> <p>Super-TDU is a specific YAP antagonist targeting YAP-TEADs interaction. Super-TDU suppresses tumor growth in gastric cancer mouse model.</p> <p>Purity: 98.85% Clinical Data: No Development Reported Size: 10 mg</p>	<p>Super-TDU (1-31)</p> <p>Super-TDU (1-31) is a peptide of Super-TDU, which is an inhibitor of YAP-TEADs, shows potent anti-tumor activity.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

<p>Super-TDU (1-31) (TFA)</p> <p>Cat. No.: HY-P1728A</p>	<p>Super-TDU TFA</p> <p>Cat. No.: HY-P1727A</p>
<p>Super-TDU (1-31) is a peptide of Super-TDU, which is an inhibitor of YAP-TEADs, shows potent anti-tumor activity.</p> <p><small>SVIDHFAKSLGGDTWLDGGSSNPKTANVPGT (TFA salt)</small></p> <p>Purity: 96.04%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg</p>	<p>Super-TDU TFA is a specific YAP antagonist targeting YAP-TEADs interaction. Super-TDU TFA suppresses tumor growth in gastric cancer mouse model.</p> <p><small>SVIDHFAKSLGGDTWLDGGSSNPKTANVPGT (TFA salt)</small></p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>TED-347</p> <p>Cat. No.: HY-125269</p>	<p>Verteporfin (CL 318952)</p> <p>Cat. No.: HY-B0146</p>
<p>TED-347 is a potent, irreversible, covalent and allosteric inhibitor at YAP-TEAD protein-protein interaction with an EC₅₀ of 5.9 μM for TEAD4Yap1 protein-protein interaction.</p>  <p>Purity: 98.78%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>Verteporfin (CL 318952) is a photosensitizer for photodynamic therapy to eliminate the abnormal blood vessels in the eye associated with conditions such as age-related macular degeneration. Verteporfin is a YAP inhibitor which disrupts YAP-TEAD interactions.</p>  <p>Purity: 99.58%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>VT103</p> <p>Cat. No.: HY-134955</p>	<p>VT107</p> <p>Cat. No.: HY-134957</p>
<p>VT103, an analog of VT101, is an orally active and selective TEAD1 protein palmitoylation inhibitor. VT103 inhibits YAP/TAZ-TEAD promoted gene transcription, blocks TEAD auto-palmitoylation, and disrupts interaction between YAP/TAZ and TEAD.</p>  <p>Purity: 99.21%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>VT-107, as an analogous to VT104, is an orally active and potent pan-TEAD auto-palmitoylation inhibitor. VT-107 can be used for the research of cancer.</p>  <p>Purity: 99.98%</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>YAP-TEAD-IN-1</p> <p>Cat. No.: HY-P2244</p>	<p>YAP-TEAD-IN-1 TFA</p> <p>Cat. No.: HY-P2244A</p>
<p>YAP-TEAD-IN-1 is a potent and competitive inhibitor of YAP-TEAD interaction (IC₅₀=25 nM). YAP-TEAD-IN-1 is a 17mer peptide and shows a higher the binding affinity to TEAD1 (K_d=15 nM) than YAP (50-171) (K_d=40 nM).</p>  <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>YAP-TEAD-IN-1 TFA is a potent and competitive peptide inhibitor of YAP-TEAD interaction (IC₅₀=25 nM). YAP-TEAD-IN-1 TFA is a 17mer peptide and shows a higher the binding affinity to TEAD1 (K_d=15 nM) than YAP (50-171) (K_d= 40 nM).</p>  <p>Purity: 99.88%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg, 10 mg</p>
<p>YAP/TAZ inhibitor-1</p> <p>Cat. No.: HY-111429</p>	
<p>YAP/TAZ inhibitor-1 is a YAP/TAZ inhibitor extracted from patent WO2017058716A1, Compound 1, has an IC₅₀ of <0.100 μM in firefly luciferase assay.</p>  <p>Purity: 98.52%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	