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Inhibitors, Agonists, Screening Libraries

Arp2/3 Complex

Actin-related protein 2/3 complex

The intact ARP2/3 complex is first purified from *Acanthamoeba castellanii* based on its affinity for the actin-binding protein profilin, and is shown to consist of a stable assembly of seven polypeptides. Two of the subunits are actin-related proteins of the ARP2 and ARP3 subfamilies, giving the complex its name.

The ARP2/3 complex possesses little biochemical activity on its own. However, when engaged by nucleation-promoting factor (NPF) proteins, it is activated to initiate the formation of a new (daughter) filament that emerges from an existing (mother) filament in a γ -branch configuration with a regular 70° branch angle. This coupling of nucleation and branching by the ARP2/3 complex is referred to as autocatalytic branching or dendritic nucleation, and is central to its functions in vivo.

Polymerization of actin filaments directed by the Arp2/3 complex supports many types of cellular movements.

Arp2/3 Complex Inhibitors & Activators

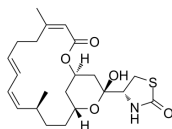
<p>187-1, N-WASP inhibitor</p> <p>Cat. No.: HY-P1045</p> <p>187-1, N-WASP inhibitor, a 14-aa cyclic peptide, is an allosteric neural Wiskott-Aldrich syndrome protein (N-WASP) inhibitor. 187-1, N-WASP inhibitor potently inhibits actin assembly induced by phosphatidylinositol 4,5-bisphosphate (PIP2) with an IC_{50} of 2 μM.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>187-1, N-WASP inhibitor TFA</p> <p>Cat. No.: HY-P1045A</p> <p>187-1, N-WASP inhibitor TFA, a 14-aa cyclic peptide, is an allosteric neural Wiskott-Aldrich syndrome protein (N-WASP) inhibitor.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Benproperine phosphate</p> <p>Cat. No.: HY-114657A</p> <p>Benproperine phosphate is an orally active, potent actin-related protein 2/3 complex subunit 2 (ARPC2) inhibitor. Benproperine phosphate attenuates the actin polymerization rate of action polymerization nucleation by impairing Arp2/3 function.</p> <p>Purity: 99.23% Clinical Data: Launched Size: 10 mM \times 1 mL, 100 mg</p>	<p>CK-636 (CK-0944636)</p> <p>Cat. No.: HY-15892</p> <p>CK-636 is a cell permeable inhibitor of Arp2/3 complex, that could inhibit actin polymerization, with IC_{50} values of 4 μM, 24 μM and 32 μM for human, fission yeast and bovine, respectively.</p> <p>Purity: 98.43% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 10 mg, 50 mg, 100 mg</p>
<p>CK-666</p> <p>Cat. No.: HY-16926</p> <p>CK-666 is a cell-permeable actin-related protein Arp2/3 complex inhibitor (IC_{50}=12 μM). CK-666 binds to Arp2/3 complex, stabilizes the inactive state of the complex, blocking movement of the Arp2 and Arp3 subunits into the activated filament-like (short pitch) conformation.</p> <p>Purity: 99.63% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>CK-869</p> <p>Cat. No.: HY-16927</p> <p>CK-869 is an Actin-Related Protein 2/3 (ARP2/3) complex inhibitor, with an IC_{50} of 7 μM.</p> <p>Purity: 99.76% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Cytochalasin B (Phomin)</p> <p>Cat. No.: HY-16928</p> <p>Cytochalasin B is a cell-permeable mycotoxin binding to the barbed end of actin filaments, disrupting the formation of actin polymers, with K_d value of 1.4-2.2 nM for F-actin.</p> <p>Purity: 98.92% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 1 mg, 5 mg, 10 mg</p>	<p>Cytochalasin D (Zygosporin A; NSC 209835)</p> <p>Cat. No.: HY-N6682</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: \geq99.0% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 1 mg</p>
<p>Dihydrocytochalasin B</p> <p>Cat. No.: HY-N6701</p> <p>Dihydrocytochalasin B (H2CB) is a Cytokinesis inhibitor and changes the morphology of the cells, similar to that of cytochalasin B; does not inhibit glucose transport.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Jasplakinolide</p> <p>Cat. No.: HY-P0027</p> <p>Jasplakinolide is a potent actin polymerization inducer and stabilizes pre-existing actin filaments. Jasplakinolide binds to F-actin competitively with phalloidin with a K_d of 15 nM.</p> <p>Purity: \geq98.0% Clinical Data: No Development Reported Size: 100 μg</p>

Latrunculin A

(LAT-A)

Cat. No.: HY-16929

Latrunculin A (LAT-A) is a toxin isolated from the red sea sponge *Latrunculia magnifica*, binds to actin monomers, inhibits polymerization of actin, with K_d s of 0.1, 0.4, 4.7 μ M and 0.19 μ M for ATP-actin, ADP-Pi-actin, ADP-actin and G-actin, respectively.



Purity: $\geq 95.0\%$

Clinical Data: No Development Reported

Size: 100 μ g (237.2 μ M * 1 mL in Ethanol)