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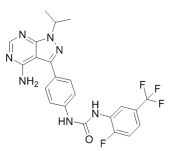
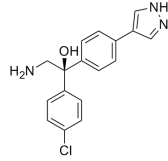
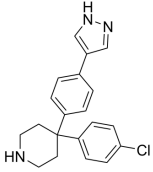
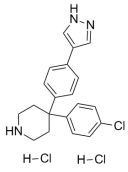
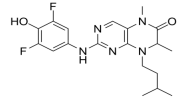
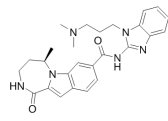
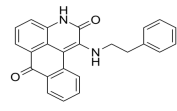
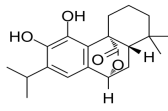
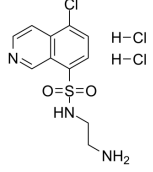
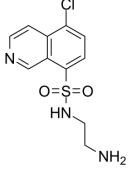
Inhibitors, Agonists, Screening Libraries

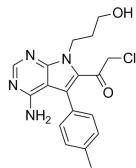
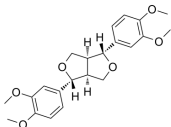
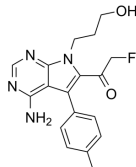
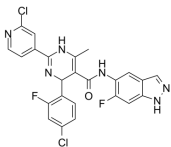
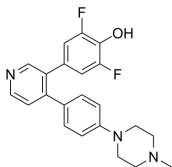
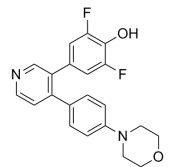
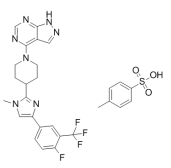
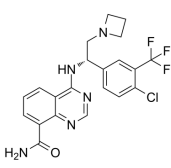
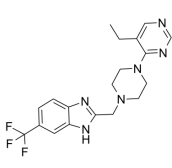
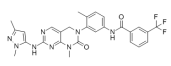
# Ribosomal S6 Kinase (RSK)

S6K

Ribosomal S6 Kinase (RSK) is a family of protein kinases involved in signal transduction. There are two subfamilies of rsk, p90rsk, also known as MAPK-activated protein kinase-1 (MAPKAP-K1), and p70rsk, also known as S6-H1 Kinase or simply S6 Kinase. There are three variants of p90rsk in humans, rsk 1-3. Rsks are serine/threonine kinases and are activated by the MAPK/ERK pathway. There are two known mammalian homologues of S6 Kinase: S6K1 and S6K2. Rsk is named for ribosomal protein s6, part of the translational machinery, but several other substrates have been identified, including other ribosomal proteins. Cytosolic substrates of p90rsk include protein phosphatase 1; glycogen synthase kinase 3 (GSK3); L1 CAM, a neural cell adhesion molecule, the Ras exchange factor; and Myt1, an inhibitor of cdc2. p90rsk also regulates transcription factors including cAMP response element-binding protein (CREB); estrogen receptor- $\alpha$  (ER $\alpha$ ); I $\kappa$ B $\alpha$ /NF- $\kappa$ B; and c-Fos.

## Ribosomal S6 Kinase (RSK) Inhibitors

<p><b>AD80</b></p> <p style="text-align: right;">Cat. No.: HY-101963</p>	<p><b>AT13148</b></p> <p style="text-align: right;">Cat. No.: HY-16071</p>
<p>AD80, a multikinase inhibitor, inhibits RET, RAF, SRC and S6K, with greatly reduced mTOR activity.</p>  <p><b>Purity:</b> 99.85%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>AT13148 is an orally active and ATP-competitive, multi-AGC kinase inhibitor with IC<sub>50</sub>s of 38 nM/402 nM/50 nM, 8 nM, 3 nM, and 6 nM/4 nM for Akt1/2/3, p70S6K, PKA, and ROCK1/II, respectively.</p>  <p><b>Purity:</b> 99.54%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>AT7867</b></p> <p style="text-align: right;">Cat. No.: HY-12059</p>	<p><b>AT7867 dihydrochloride</b></p> <p style="text-align: right;">Cat. No.: HY-12059A</p>
<p>AT7867 is a potent ATP-competitive inhibitor of Akt1/Akt2/Akt3 and p70S6K/PKA with IC<sub>50</sub>s of 32 nM/17 nM/47 nM and 85 nM/20 nM, respectively.</p>  <p><b>Purity:</b> 99.83%</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>AT7867 dihydrochloride is a potent ATP-competitive inhibitor of Akt1/Akt2/Akt3 and p70S6K/PKA with IC<sub>50</sub>s of 32 nM/17 nM/47 nM and 85 nM/20 nM, respectively.</p>  <p><b>Purity:</b> 99.17%</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>BI-D1870</b></p> <p style="text-align: right;">Cat. No.: HY-10510</p>	<p><b>BIX 02565</b></p> <p style="text-align: right;">Cat. No.: HY-16104</p>
<p>BI-D1870 is an ATP-competitive, cell permeable inhibitor of RSK isoforms, with IC<sub>50</sub>s of 31 nM/24 nM/18 nM/15 nM for RSK1/RSK2/RSK3/RSK4, respectively.</p>  <p><b>Purity:</b> 99.60%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg</p>	<p>BIX 02565 is a potent ribosomal S6 kinase 2 (RSK2) inhibitor with IC<sub>50</sub> of 1.1 nM.</p>  <p><b>Purity:</b> 99.33%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>BRD7389</b></p> <p style="text-align: right;">Cat. No.: HY-12185</p>	<p><b>Carnosol</b></p> <p style="text-align: right;">Cat. No.: HY-N0643</p>
<p>BRD7389 is a specific RSK family kinase inhibitor with IC<sub>50</sub>s of 1.5 μM, 2.4 μM, and 1.2 μM for RSK1, RSK2, and RSK3, respectively. BRD7389 is a small-molecule inducer of insulin expression in pancreatic α-cells.</p>  <p><b>Purity:</b> 98.05%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mg</p>	<p>Carnosol is a potent Ribosomal S6 Kinase (RSK2) inhibitor that could be useful for treating gastric cancer, with an IC<sub>50</sub> of ~5.5 μM. Carnosol, a Nrf2 activator, increases the nuclear levels of Nrf2 and can promote the expression of heme oxygenase 1 (HMOX1).</p>  <p><b>Purity:</b> 99.90%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg</p>
<p><b>CKI-7</b></p> <p style="text-align: right;">Cat. No.: HY-W011109</p>	<p><b>CKI-7 free base</b></p> <p style="text-align: right;">Cat. No.: HY-133028</p>
<p>CKI-7 is a potent and ATP-competitive casein kinase 1 (CK1) inhibitor with an IC<sub>50</sub> of 6 μM and a K<sub>i</sub> of 8.5 μM. CKI-7 is a selective Cdc7 kinase inhibitor. CKI-7 also inhibits SGK, ribosomal S6 kinase-1 (S6K1) and mitogen- and stress-activated protein kinase-1 (MSK1).</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>CKI-7 free base is a potent and ATP-competitive casein kinase 1 (CK1) inhibitor with an IC<sub>50</sub> of 6 μM and a K<sub>i</sub> of 8.5 μM. CKI-7 free base is a selective Cdc7 kinase inhibitor.</p>  <p><b>Purity:</b> 99.31%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

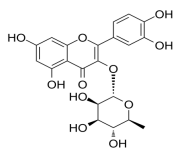
<p><b>CMK</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-52101</p> <p>CMK is a <b>RSK2</b> kinase inhibitor which exhibits similar potency but less chemical stability compared with FMK.</p>  <p><b>Purity:</b> 99.64%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg</p>	<p><b>Eudesmin</b> (-)-Eudesmin; Eudesmine; (-)-Eudesmine</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-N2357</p> <p>Eudesmin ((-)-Eudesmin) impairs adipogenic differentiation via inhibition of <b>S6K1</b> signaling pathway. Eudesmin possesses diverse therapeutic effects, including anti-tumor, anti-inflammatory, and anti-bacterial activities.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>FMK</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-52101A</p> <p>FMK is an irreversible <b>RSK2</b> kinase inhibitor, that covalently modifies the C-terminal kinase domain of RSK.</p>  <p><b>Purity:</b> 99.30%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg</p>	<p><b>GSK-25</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-14362</p> <p>GSK-25 is a potent, selective and orally bioavailable <b>ROCK1</b> inhibitor (<math>IC_{50}</math>=7 nM). GSK-25 maintains good selectivity against a panel of 31 kinases (&gt;100 fold), as well as RSK1 and p70S6K (RSK1: <math>IC_{50}</math>=398 nM, p70S6K: <math>IC_{50}</math>=1 μM).</p>  <p><b>Purity:</b> 99.68%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p><b>LJH685</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-19712</p> <p>LJH685 is a potent, specific and selective <b>RSK</b> inhibitor, inhibits RSK1, 2, and 3 biochemical activities with <math>IC_{50}</math>s of 6, 5, 4 nM, respectively.</p>  <p><b>Purity:</b> 99.99%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>LJ308</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-19713</p> <p>LJ308 is a new and potent pan-RSK inhibitor, with <math>IC_{50}</math> of 6 nM, 4 nM, and 13 nM for RSK1, RSK2, and RSK3, respectively.</p>  <p><b>Purity:</b> 99.82%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>LY-2584702 tosylate salt</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-12493A</p> <p>LY-2584702 tosylate salt is a selective ATP competitive inhibitor of <b>p70S6K</b> with an <math>IC_{50}</math> of 4 nM. In <b>S6K1</b> enzyme assay, the <math>IC_{50}</math> of LY-2584702 is 2 nM.</p>  <p><b>Purity:</b> 98.12%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>M2698</b> (MSC2363318A)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-100501</p> <p>M2698 (MSC2363318A) is an orally active, ATP competitive, selective <b>p70S6K</b> and <b>Akt</b> dual-inhibitor with <math>IC_{50}</math>s of 1 nM for p70S6K, Akt1 and Akt3. M2698 can cross the blood-brain barrier and has anti-cancer activity.</p>  <p><b>Purity:</b> &gt;99.0%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 5 mg</p>
<p><b>PF-4708671</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-15773</p> <p>PF-4708671 is a potent cell-permeable <b>S6K1</b> inhibitor with a <math>K_i</math> of 20 nM and <math>IC_{50}</math> of 160 nM.</p>  <p><b>Purity:</b> 99.94%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p><b>Pluripotin</b> (SC1)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-10579</p> <p>Pluripotin is a dual inhibitor of <b>ERK1</b> and <b>RasGAP</b> with <math>K_D</math>s of 98 nM and 212 nM, respectively. Pluripotin also inhibits <b>RSK1</b>, <b>RSK2</b>, <b>RSK3</b>, and <b>RSK4</b> with <math>IC_{50}</math>s of 0.5, 2.5, 3.3, and 10.0 μM, respectively.</p>  <p><b>Purity:</b> 98.86%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

### Quercitrin

(Quercetin 3-rhamnoside)

Cat. No.: HY-N0418

Quercitrin is a natural compound found in Tartary buckwheat with a potential anti-inflammation effect that is used to treat heart and vascular conditions.



**Purity:** 99.12%

**Clinical Data:** No Development Reported

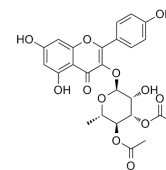
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### SL 0101-1

(SL0101)

Cat. No.: HY-15237

SL 0101-1 (SL0101), a kaempferol glycoside, isolated from the tropical plant *F. refracta*, is a cell-permeable, selective, reversible, ATP-competitive **p90 Ribosomal S6 Kinase (RSK)** inhibitor, with an  $IC_{50}$  of 89 nM.



**Purity:** >98.0%

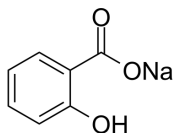
**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 1 mg, 5 mg

### Sodium Salicylate (Salicylic acid sodium salt; 2-Hydroxybenzoic acid sodium salt)

Cat. No.: HY-B0167A

Sodium Salicylate (Salicylic acid sodium salt) inhibits cyclo-oxygenase-2 (COX-2) activity independently of transcription factor (NF- $\kappa$ B) activation. Sodium Salicylate is also a **S6K** inhibitor.



**Purity:** 99.65%

**Clinical Data:** Launched

**Size:** 10 mM × 1 mL, 500 mg, 10 g, 50 g