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Inhibitors, Screening Libraries, Proteins

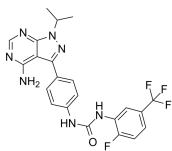
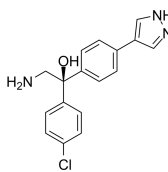
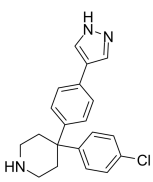
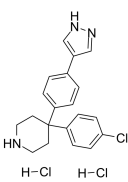
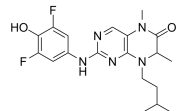
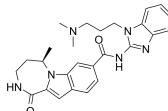
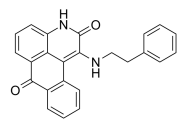
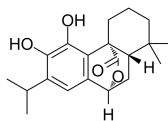
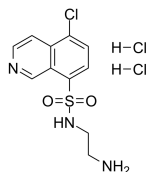
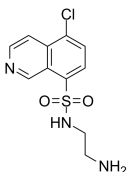
# Ribosomal S6 Kinase (RSK)

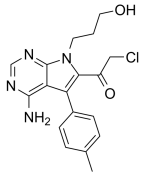
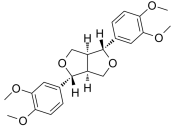
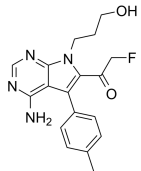
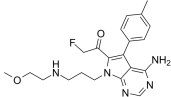
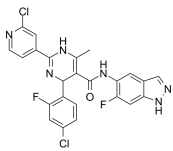
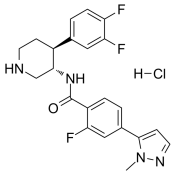
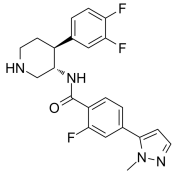
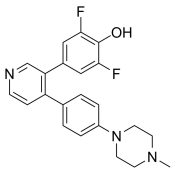
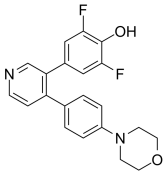
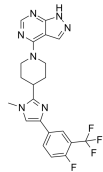
S6K

Ribosomal S6 Kinase (RSK) is a family of serine/threonine protein kinases involved in the regulation of cell viability. RSK is phosphorylated in response to mitogens by activation of one or more protein kinase cascades. Phosphorylation of S6 in vivo is catalyzed by (at least) two distinct mitogen-activated S6 kinase families distinguishable by size, the 70 kDa and 90 kDa S6 kinases. Both S6 kinases are activated by serine/threonine phosphorylation.

The p90 ribosomal s6 kinase family (1-4) is a group of highly conserved Ser/Thr kinases that act as downstream effectors of the Ras/Raf/MEK/ERK signaling pathway. They regulate diverse cellular processes, such as cell growth, cell motility, cell survival and cell proliferation. The p70 ribosomal protein S6 kinase, an important member of AGC family, is a kind of multifunctional Ser/Thr kinases, which plays an important role in mTOR signaling cascade. The p70 ribosomal protein S6 kinase is closely associated with diverse cellular processes such as protein synthesis, mRNA processing, glucose homeostasis, cell growth and apoptosis.

## Ribosomal S6 Kinase (RSK) Inhibitors

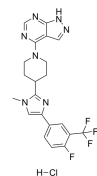
<p><b>AD80</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-101963</p> <p>AD80, a multikinase inhibitor, inhibits RET, RAF,SRCa and S6K, with greatly reduced mTOR activity.</p>  <p><b>Purity:</b> 99.85%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p><b>AT13148</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-16071</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> 99.42%  <b>Clinical Data:</b> Phase 1  <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;"><b>Cat. No.:</b> HY-12059</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%  <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>AT7867 dihydrochloride</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-12059A</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%  <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>BI-D1870</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-10510</p> <p>BI-D1870 is an ATP-competitive, cell permeable and brain penetrated 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> 99.14%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg</p>	<p><b>BIX 02565</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-16104</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> 99.30%  <b>Clinical Data:</b> No Development Reported  <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;"><b>Cat. No.:</b> HY-12185</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%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mg</p>	<p><b>Carnosol</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-N0643</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%  <b>Clinical Data:</b> No Development Reported  <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;"><b>Cat. No.:</b> HY-W011109</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%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>	<p><b>CKI-7 free base</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-133028</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%  <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>CMK</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-52101</p> <p>CMK is a <b>RSK2 kinase</b> 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> 99.19%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 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 kinase</b> 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>FMK-MEA</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-52101C</p> <p>FMK-MEA is a potent and selective <b>p90 Ribosomal S6 Kinase (RSK)</b> inhibitor.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 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 <math>\mu</math>M).</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>Hu7691</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-132302</p> <p>Hu7691 is an orally active, selective <b>Akt</b> inhibitor with <math>IC_{50}</math>s of 4.0 nM, 97.5 nM, 28 nM for Akt1, Akt2 and Akt3, respectively. Hu7691 inhibits tumor growth and enables decrease of cutaneous toxicity in mice.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>Hu7691 free base</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-132302A</p> <p>Hu7691 free base is an orally active, selective <b>Akt</b> inhibitor with <math>IC_{50}</math>s of 4.0 nM, 97.5 nM, 28 nM for Akt1, Akt2 and Akt3, respectively. Hu7691 free base inhibits tumor growth and enables decrease of cutaneous toxicity in mice.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>	<p><b>LJH685</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-19712</p> <p>LJH685 is a potent, ATP-competitive 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>LJI308</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-19713</p> <p>LJI308 is a potent pan-ribosomal <b>S6 kinase (RSK)</b> inhibitor, with <math>IC_{50}</math>s of 6 nM, 4 nM, and 13 nM for RSK1, RSK2, and RSK3, respectively. LJI308 inhibits the phosphorylation of RSK (T359/S363) and YB-1 (S102) after irradiation, treatment with EGF, and in cells expressing a KRAS mutation.</p>  <p><b>Purity:</b> 99.21%  <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 free base</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-12493</p> <p>LY-2584702 free base 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> 99.56%  <b>Clinical Data:</b> Phase 1  <b>Size:</b> 1 mg, 5 mg</p>

## LY-2584702 hydrochloride

Cat. No.: HY-12493B

LY-2584702 hydrochloride is a selective ATP competitive inhibitor of p70S6K with an  $IC_{50}$  of 4 nM. In S6K1 enzyme assay, the  $IC_{50}$  of LY-2584702 is 2 nM.

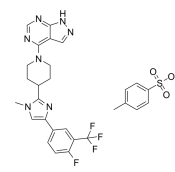


**Purity:** >98%  
**Clinical Data:** Phase 1  
**Size:** 1 mg, 5 mg

## LY-2584702 tosylate salt

Cat. No.: HY-12493A

LY-2584702 tosylate salt is a selective ATP competitive inhibitor of p70S6K with an  $IC_{50}$  of 4 nM. In S6K1 enzyme assay, the  $IC_{50}$  of LY-2584702 is 2 nM.



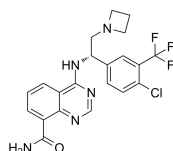
**Purity:** 98.12%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## M2698

(MSC2363318A)

Cat. No.: HY-100501

M2698 (MSC2363318A) is an orally active, ATP competitive, selective p70S6K and Akt dual-inhibitor with  $IC_{50}$ s of 1 nM for p70S6K, Akt1 and Akt3. M2698 can cross the blood-brain barrier and has anti-cancer activity.

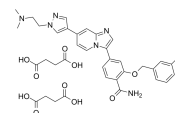


**Purity:** 99.74%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg

## MBM-55S

Cat. No.: HY-101029A

MBM-55S is a potent NIMA-related kinase 2 (Nek2) inhibitor with an  $IC_{50}$  of 1 nM. MBM-55S shows a 20-fold or greater selectivity in most kinases with the exception of RSK1 ( $IC_{50}$ =5.4 nM) and DYRK1a ( $IC_{50}$ =6.5 nM).

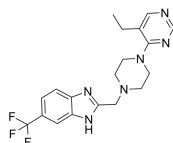


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

## PF-4708671

Cat. No.: HY-15773

PF-4708671 is a potent cell-permeable S6K1 inhibitor with a  $K_i$  of 20 nM and  $IC_{50}$  of 160 nM.

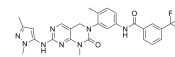


**Purity:** 99.94%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg

## Pluripotin (SC1)

Cat. No.: HY-10579

Pluripotin is a dual inhibitor of ERK1 and RasGAP with  $K_i$ s of 98 nM and 212 nM, respectively. Pluripotin also inhibits RSK1, RSK2, RSK3, and RSK4 with  $IC_{50}$ s of 0.5, 2.5, 3.3, and 10.0  $\mu$ M, respectively.



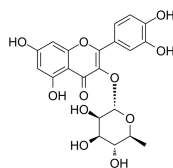
**Purity:** 98.86%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## 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.

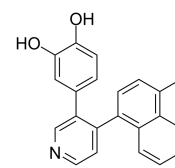


**Purity:** 99.80%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## RSK-IN-1

Cat. No.: HY-144434

RSK-IN-1 (compound 7d) is a RSK inhibitor that inhibits the YB-1 phosphorylation. RSK-IN-1 has anti-tumor effects.

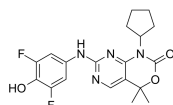


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

## RSK4-IN-1

Cat. No.: HY-132891

RSK4-IN-1 is identified with potent RSK4 inhibitory activity with an  $IC_{50}$  value of 9.5 nM.



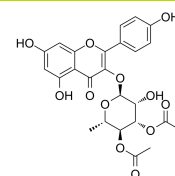
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

## 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.

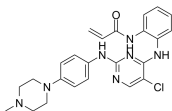


**Purity:** ≥98.0%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 1 mg, 5 mg

**SM1-71**

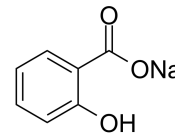
Cat. No.: HY-136848

SM1-71 (compound 5) is a potent **TAK1** inhibitor, with a  $K_i$  of 160 nM, it also can covalently inhibit **MKNK2**, **MAP2K1/2/3/4/6/7**, **GAK**, **AAK1**, **BMP2K**, **MAP3K7**, **MAPKAPK5**, **GSK3A/B**, **MAPK1/3**, **SRC**, **YES1**, **FGFR1**, **ZAK (MLTK)**, **MAP3K1**, **LIMK1** and **RSK2**.

**Purity:** 96.00%**Clinical Data:** No Development Reported**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 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-κB**) activation. Sodium Salicylate is also a **S6K** inhibitor.

**Purity:** 99.88%**Clinical Data:** Launched**Size:** 10 mM × 1 mL, 500 mg, 10 g, 50 g