ROCK

Rho-associated protein kinase; Rho-associated kinase; Rho-kinase; ROK

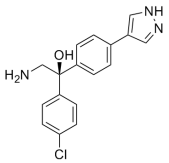
ROCK (Rho-associated protein kinase) is a kinase belonging to the AGC (PKA/ PKG/PKC) family of serine-threonine kinases. ROCKs (ROCK1 and ROCK2) occur in mammals, zebrafish, Xenopus, invertebrates and chicken. Human ROCK1 has a molecular mass of 158 kDa and is a major downstream effector of the small GTPase RhoA. Mammalian ROCK consists of a kinase domain, acoiled-coil region and a Pleckstrin homology (PH) domain, which reduces the kinase activity of ROCKs by an autoinhibitory intramolecular fold if RhoA-GTP is not present. ROCK plays a role in a wide range of different cellular phenomena, as ROCK is a downstream effector protein of the small GTPase Rho, which is one of the major regulators of the cytoskeleton.

ROCK Inhibitors & Activators

AT13148

Cat. No.: HY-16071

AT13148 is an orally active and ATP-competitive, multi-**AGC kinase** inhibitor with **IC_{50}**s of 38 nM/402 nM/50 nM, 8 nM, 3 nM, and 6 nM/4 nM for Akt1/2/3, p70S6K, PKA, and ROCKI/II, respectively.



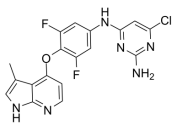
Purity: 99.54%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Azaindole 1

(TC-S 7001)

Cat. No.: HY-10319

Azaindole 1 is an orally active and ATP-competitive **ROCK** inhibitor with **IC_{50}**s of 0.6 and 1.1nM for human **ROCK-1** and **ROCK-2**, respectively.

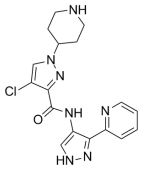


Purity: 99.46%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BDP5290

Cat. No.: HY-12437

BDP5290 is a potent inhibitor of both **ROCK** and **MRCK** with **IC_{50}**s of 5 nM, 50 nM, 10 nM and 100 nM for **ROCK1**, **ROCK2**, **MRCKα** and **MRCKβ**, respectively.

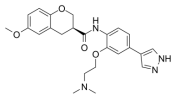


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Chroman 1

Cat. No.: HY-15392

Chroman 1 is a highly potent **ROCK2** inhibitor, with an **IC_{50}** of 1 nM.



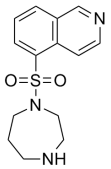
Purity: 99.76%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Fasudil

(HA-1077; AT877)

Cat. No.: HY-10341A

Fasudil (HA-1077; AT877), a potent inhibitor of **ROCK** with a **K_i** of 0.33 μM for ROCK1, which is also a potent **Ca^{2+} channel** antagonist and vasodilator.



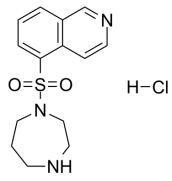
Purity: >98%
Clinical Data: Launched
Size: 100 mg, 200 mg, 500 mg

Fasudil Hydrochloride

(HA-1077 (Hydrochloride); AT-877 (Hydrochloride))

Cat. No.: HY-10341

Fasudil Hydrochloride (HA-1077 Hydrochloride; AT-877 Hydrochloride), a potent inhibitor of **ROCK** with a **K_i** of 0.33 μM for ROCK1, which is also a potent **Ca^{2+} channel** antagonist and vasodilator.

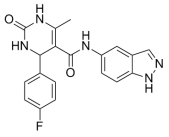


Purity: 99.91%
Clinical Data: Launched
Size: 10 mM × 1 mL, 200 mg, 500 mg

GSK180736A

Cat. No.: HY-18990

GSK180736A is a G protein-coupled receptor kinase 2 (**GRK2**) inhibitor with an **IC_{50}** of 0.77 μM.



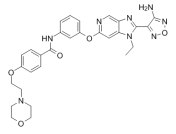
Purity: 98.05%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

GSK269962A

(GSK 269962)

Cat. No.: HY-15556

GSK269962A is a potent **ROCK** inhibitor with **IC_{50}**s of 1.6 and 4 nM for recombinant human **ROCK1** and **ROCK2** respectively.

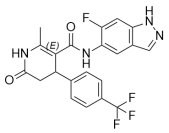


Purity: 98.01%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

GSK429286A

Cat. No.: HY-11000

GSK429286A is a selective inhibitor of **ROCK1** with an **IC_{50}** value of 14 nM.

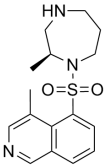


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

H-1152

Cat. No.: HY-15720

H-1152 is a membrane-permeable and selective **ROCK** inhibitor, with a **K_i** value of 1.6 nM, and an **IC_{50}** value of 12 nM for **ROCK2**.



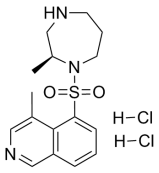
Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

H-1152 dihydrochloride

Cat. No.: HY-15720A

H-1152 dihydrochloride is a membrane-permeable and selective **ROCK** inhibitor, with a K_i value of 1.6 nM, and an IC_{50} value of 12 nM for **ROCK2**.



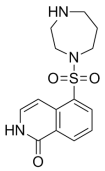
Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Hydroxyfasudil

(HA-1100)

Cat. No.: HY-13911

Hydroxyfasudil is a **ROCK** inhibitor, with IC_{50}s of 0.73 and 0.72 μM for **ROCK1** and **ROCK2**, respectively.

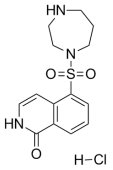


Purity: 98.13%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Hydroxyfasudil hydrochloride (HA-1100 hydrochloride; HA 1100 hydrochloride; HA1100 hydrochloride)

Cat. No.: HY-13911A

Hydroxyfasudil hydrochloride is a **ROCK** inhibitor, with IC_{50}s of 0.73 and 0.72 μM for **ROCK1** and **ROCK2**, respectively.

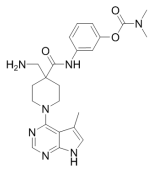


Purity: 99.40%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

LX7101

Cat. No.: HY-12659

LX7101 is a potent inhibitor of **LIMK** and **ROCK2** with IC_{50} values of 24, 1.6 and 10 nM for LIMK1, LIMK2 and ROCK2, respectively; also inhibits **PKA** with an IC_{50} less than 1 nM.



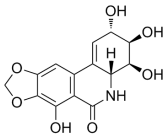
Purity: 99.29%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Narciclasine

(Lycoricidinol)

Cat. No.: HY-16563

Narciclasine is a plant growth modulator. Narciclasine modulates the Rho/Rho kinase/LIM kinase/cofilin signaling pathway, greatly increasing GTPase RhoA activity as well as inducing actin stress fiber formation in a RhoA-dependent manner.

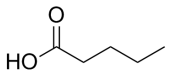


Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

Pentanoic acid

Cat. No.: HY-N6056

Pentanoic acid, a short-chain fatty acid, is a product of bacterial metabolism and are associated with allergic skin disorders. Pentanoic acid activates **ROCK** signaling pathway.



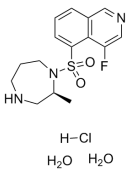
Purity: >98%
Clinical Data:
Size: 10 mg

Ripasudil

(K-115)

Cat. No.: HY-15685

Ripasudil (K-115) is a specific inhibitor of **ROCK**, with IC_{50}s of 19 and 51 nM for **ROCK2** and **ROCK1**, respectively.



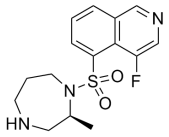
Purity: 99.75%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Ripasudil free base

(K-115 (free base))

Cat. No.: HY-15685A

Ripasudil free base (K-115 free base) is a specific inhibitor of **ROCK**, with IC_{50}s of 19 and 51 nM for **ROCK2** and **ROCK1**, respectively.

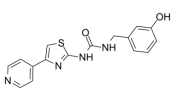


Purity: >98%
Clinical Data: Launched
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

RKI-1447

Cat. No.: HY-15755

RKI-1447 is a potent small molecule inhibitor of **ROCK1** and **ROCK2** with IC_{50} values of 14.5 nM and 6.2 nM, respectively.

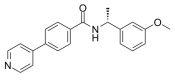


Purity: 97.26%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

ROCK inhibitor-2

Cat. No.: HY-119937

ROCK inhibitor-2 is a selective dual **ROCK1** and **ROCK2** inhibitor with IC_{50}s of 17 nM and 2 nM, respectively.

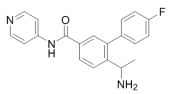


Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg, 500 mg

ROCK-IN-1

Cat. No.: HY-U00351

ROCK-IN-1 is a potent inhibitor of **ROCK**, with an IC_{50} of 1.2 nM for ROCK2.

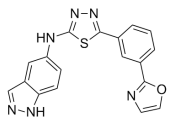


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

ROCK2-IN-2

Cat. No.: HY-103620

ROCK2-IN-2 is a selective **ROCK2** inhibitor extracted from patent US20180093978A1, Compound A-30, has an IC_{50} of <1 μM.

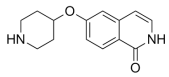


Purity: >98%
Clinical Data: No Development Reported
Size: 250 mg, 500 mg

SAR407899

Cat. No.: HY-15687A

SAR407899 is a selective, potent and ATP-competitive **ROCK** inhibitor, with an IC_{50} of 135 nM for **ROCK-2**, and K_is of 36 nM and 41 nM for human and rat **ROCK-2**, respectively.

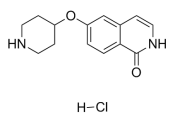


Purity: 99.97%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

SAR407899 hydrochloride

Cat. No.: HY-15687

SAR407899 hydrochloride is a selective, potent and ATP-competitive **ROCK** inhibitor, with an IC_{50} of 135 nM for **ROCK-2**, and K_is of 36 nM and 41 nM for human and rat **ROCK-2**, respectively.

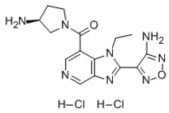


Purity: 99.81%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

SB-772077B dihydrochloride

Cat. No.: HY-108518

SB-772077B dihydrochloride is an aminofurazan-based **Rho kinase(ROCK)** inhibitor with IC_{50}s of 5.6 nM and 6 nM toward ROCK1 and ROCK2, respevtively.



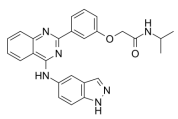
Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg

SLx-2119

(KD-025)

Cat. No.: HY-15307

SLx-2119 (KD-025) is a selective inhibitor of **ROCK2** with an IC_{50} of 105 nM.

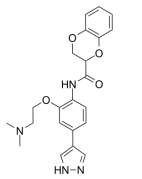


Purity: 99.59%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

SR-3677

Cat. No.: HY-13300

SR-3677 is a potent and selective **ROCK-II** inhibitor with an IC_{50} of ~3 nM.

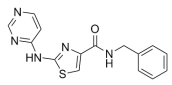


Purity: 99.46%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Thiazovivin

Cat. No.: HY-13257

Thiazovivin is a potent **ROCK** inhibitor, which can protect human embryonic stem cells.

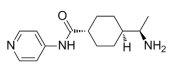


Purity: 99.32%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Y-27632

Cat. No.: HY-10071

Y-27632 is an ATP-competitive inhibitor of **ROCK-I** and **ROCK-II**, with K_i of 220 nM and 300 nM for **ROCK-I** and **ROCK-II**, respectively, which primes human induced pluripotent stem cells (hIPSCs) to selectively differentiate towards mesendodermal lineage via epithelial-mesenchymal...

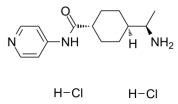


Purity: 99.65%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Y-27632 dihydrochloride

Cat. No.: HY-10583

Y-27632 dihydrochloride is a cell-permeable, ATP-competitive inhibitor of **ROCK-I** and **ROCK-II**, with K_is of 220 and 300 nM, respectively, which primes human induced pluripotent stem cells (hIPSCs) to selectively differentiate towards mesendodermal lineage via...



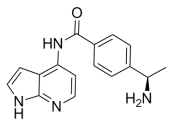
Purity: 99.83%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Y-33075

(Y 39983)

Cat. No.: HY-10067

Y-33075 is a selective **ROCK** inhibitor derived from Y-27632, and is more potent than Y-27632, with an IC_{50} of 3.6 nM.

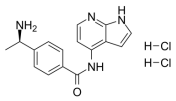


Purity: 98.98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

Y-33075 dihydrochloride

Cat. No.: HY-10069

Y-33075 dihydrochloride is a selective **ROCK** inhibitor with an IC_{50} of 3.6 nM.

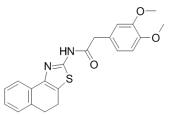


Purity: 97.92%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

ZINC00881524

Cat. No.: HY-101244

ZINC00881524 is a **ROCK** inhibitor.



Purity: 99.23%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg