PERK

Protein kinase R-like endoplasmic reticulum kinase; PKR-like endoplasmic reticulum kinase

Protein kinase R (PKR)-like endoplasmic reticulum kinase (PERK) is one of four known kinases that respond to cellular stress by deactivating the eukaryotic initiation factor 2 α (eIF2α) or other signal transduction cascades. PERK is highly expressed in pancreatic beta-cells and is essential in the beta-cell's development, differentiation and function.

PERK is a type I ER membrane protein containing a stress-sensing domain facing the ER lumen, a transmembrane segment, and a cytosolic kinase domain. Increase in unfolded proteins in the ER causes release of ER chaperones from the stress-sensing domain of PERK, which results in its activation via oligomerization and autophosphorylation at multiple serine, threonine, and tyrosine residues. Upon activation, PERK phosphorylates eIF2α at serine 51, rendering it an inhibitor of the ribosome translation initiation complex, consequently reducing overall protein synthesis. The reduction in translation reduces the ER burden, providing time for the cell to process or degrade the accumulated unfolded proteins to restore ER homeostasis. Although global protein synthesis is decreased, there is specific increased translation of certain mRNAs, such as ATF4, which modulate cellular survival pathways and enhance UPR function. Interfering with PERK function in cancer cells may limit their ability to thrive under hypoxia or nutrient deprived conditions and lead to apoptosis or tumor growth inhibition.

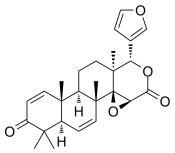
PERK Inhibitors, Agonists, Activators & Inducers

7DG

(7-Desacetoxy-6,7-dehydrogedunin)

Cat. No.: HY-124857

7DG (7-Desacetoxy-6,7-dehydrogedunin) is a **protein kinase R (PKR)** inhibitor. 7DG protects macrophages from lethal toxin-induced pyroptosis.

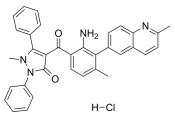


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AMG PERK 44

Cat. No.: HY-12661A

AMG PERK 44 is an orally active and highly selective **PERK** inhibitor with an IC_{50} of 6 nM. AMG PERK 44 has 1000-fold and 160-fold selectivity over GCN2 (IC_{50}=7300 nM) and B-Raf (IC_{50} >1000 nM), respectively. AMG PERK 44 induces **autophagy**.

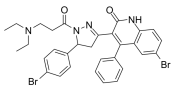


Purity: 99.17%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

CCT020312

Cat. No.: HY-119240

CCT020312 is a selective **EIF2AK3/PERK** activator. CCT020312 elicits EIF2A phosphorylation in cells.

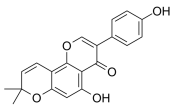


Purity: 98.97%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Derrone

Cat. No.: HY-N3737

Derrone, a prenylated isoflavones, is an **Aurora kinase** inhibitor, with IC_{50} values of 6 and 22.3 μM against **Aurora B** and **Aurora A**, respectively. Derrone shows anti-tumor activity.

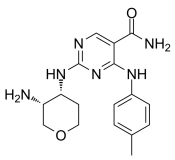


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK143

Cat. No.: HY-12736

GSK143 is an orally active and highly selective **spleen tyrosine kinase (SYK)** inhibitor with a pIC_{50} of 7.5. GSK143 inhibits phosphorylated Erk (pErk: pIC_{50}=7.1). GSK143 reduces inflammation and prevents recruitment of immune cells in the intestinal muscularis in mice.

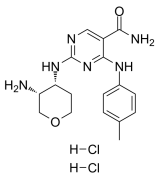


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK143 dihydrochloride

Cat. No.: HY-12736A

GSK143 dihydrochloride is an orally active and highly selective **spleen tyrosine kinase (SYK)** inhibitor with a pIC_{50} of 7.5. GSK143 dihydrochloride inhibits phosphorylated Erk (pErk: pIC_{50}=7.1).

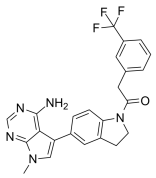


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK2606414

Cat. No.: HY-18072

GSK2606414 is a cell-permeable and orally available **protein kinase R-like endoplasmic reticulum (ER) kinase (PERK)** inhibitor with an IC_{50} of 0.4 nM.

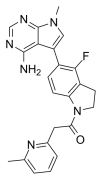


Purity: 99.89%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

GSK2656157

Cat. No.: HY-13820

GSK2656157 is a selective and ATP-competitive inhibitor of protein kinase R (PKR)-like endoplasmic reticulum kinase (**PERK**) with an IC_{50} of 0.9 nM.

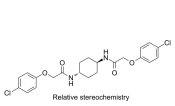


Purity: 99.66%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

ISRIB (trans-isomer)

Cat. No.: HY-12495

ISRIB (trans-isomer) is a potent inhibitor of **PERK** with an IC_{50} of 5 nM. ISRIB potently reverses the effects of eIF2α phosphorylation (IC_{50}=5 nM).

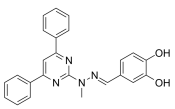


Purity: 99.37%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

MK-28

Cat. No.: HY-137207

MK-28 is a potent and selective **PERK** activator. MK-28 exhibits remarkable pharmacokinetic properties and high BBB penetration in mice.



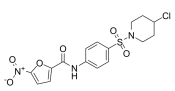
Purity: 99.50%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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

ML291

Cat. No.: HY-101991

ML291 is a UPR (unfolded protein response)-inducing sulfonamidebenzamide. ML291 overwhelms the adaptive capacity of the UPR and induces **apoptosis** in a variety of solid cancer models.

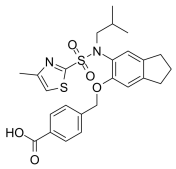


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ONO-8130

Cat. No.: HY-110198

ONO-8130 is an orally active and selective prostanoid **EP1 receptor** antagonist. ONO-8130 blocks phosphorylation of **ERK** in the L6 spinal cord. ONO-8130 relieves bladder pain in mice with cyclophosphamide-induced cystitis. ONO-8130 can be used for interstitial cystitis research.

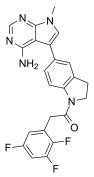


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PERK-IN-2

Cat. No.: HY-135220

PERK-IN-2 is a potent **PERK** inhibitor with an IC_{50} of 0.2 nM.

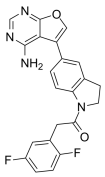


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PERK-IN-3

Cat. No.: HY-130643

PERK-IN-3 is a potent **PERK** inhibitor with an IC_{50} of 7.4 nM.

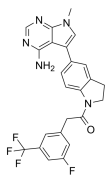


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PERK-IN-4

Cat. No.: HY-137813

PERK-IN-4 is a potent and selective **PERK** (protein kinase R (PKR)-like endoplasmic reticulum kinase) inhibitor with an IC_{50} of 0.3 nM. **PERK** is activated in response to a variety of endoplasmic reticulum stresses implicated in numerous disease states.

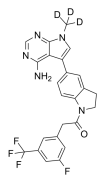


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PERK-IN-4-d3

Cat. No.: HY-137813S

PERK-IN-4-d3 is the deuterium labeled PERK-IN-4. PERK-IN-4 is a potent and selective **PERK** (protein kinase R (PKR)-like endoplasmic reticulum kinase) inhibitor with an IC_{50} of 0.3 nM.

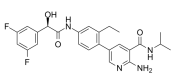


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PERK-IN-5

Cat. No.: HY-145835

PERK-IN-5 is a highly potent, selectively and orally bioavailable **PERK** inhibitor (IC_{50}s of 2 and 9 nM for PERK and p-eIF2α, respectively). PERK-IN-5 can significantly inhibit tumor growth in the 786-O renal cell carcinoma xenograft tumor model.

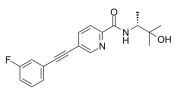


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

VU0424465

Cat. No.: HY-114978

VU0424465 is a potent and partial PAM (positive allosteric modulator)-agonist for **$mGlu_5$** mediated iCa^{2+} mobilization. VU0424465 exhibits high affinity at MPEP allosteric binding site, with a K_i value of 11.8 nM. VU0424465 is also a agonist for pERK1/2 in cortical neurons.

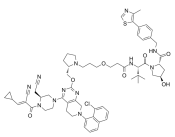


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

YF135

Cat. No.: HY-144323

YF135 is an efficient and reversible-covalent **$KRAS^{G12C}$** PROTAC. YF135 is designed and synthesized by tethering KRAS G12C inhibitor 48 (compound 6d) as the ligand, and basing on the scaffold of MRTX849 linkage VHL ligand.



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