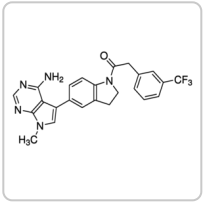


Toutes les photos (2)

Documents

FDS

COA/CoQ

Plus de documents

516535 Sigma-Aldrich

PERK Inhibitor I, GSK2606414 - CAS 1337531-89-1 - Calbiochem

(0)

GSK2606414 is a cell-permeable, highly potent inhibitor of EIF2AK3/PERK (IC_{50} = 0.4 nM; [ATP] = 5 µM). Targets PERK in its inactive DFG conformation at the ATP-binding region.

Synonyme(s):
PERK Inhibitor I, GSK2606414 - CAS 1337531-89-1 - Calbiochem, MERTK Inhibitor II, RP38 Inhibitor II, TAM Family RTK Inhibitor II, Double-stranded RNA-activated Protein Kinase Inhibitor II, Double-stranded RNA-dependent Protein Kinase Inhibitor II, EIF2AK3 Inhibitor I, PKR Inhibitor II, 7-Methyl-5-(1-((3-trifluoromethyl)phenyl)acetyl)-2,3-dihydro-1H-indol-5-yl)-7H-pyrrolo[2,3-d]pyrimidin-4-amine, 1-(5-(4-Amino-7-methyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)indolin-1-yl)-2-(3-(trifluoromethyl)phenyl)ethanone, DDR2 Inhibitor I, EIF2AK1 Inhibitor I, EIF2AK2 Inhibitor II, HRI Inhibitor I, MLCK Inhibitor VI, MLK2/MAP3K10 Inhibitor I, PKR-like ER Kinase Inhibitor I, Aurora Kinase Inhibitor IX, BRK Inhibitor I, c-Kit Inhibitor III, Mer RTK Inhibitor II

Empirical Formula (Hill Notation):
$C_{24}H_{20}F_3N_5O$

Numéro CAS: 1337531-89-1 **Poids moléculaire:** 451.44

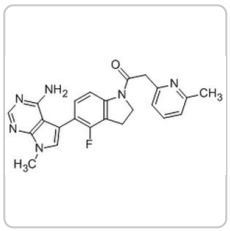
Numéro MDL: MFCD25976926

Référence	Conditionnement	Disponibilité	Prix	Quantité
516535-5MG	5 MG	Disponible pour expédition le 04 octobre 2022	239,00 €	− +

Demander une commande en gros

Ajouter au panier

PRODUITS RECOMMANDÉS



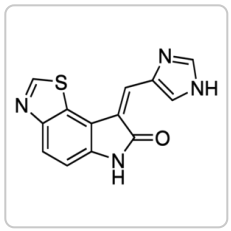
Sigma-Aldrich

5.04651

PERK Inhibitor II, GSK2656157 - Calbiochem

PERK Inhibitor II, GSK2656157, CAS 1337532-29-2 is a cell-permeable, potent, ATP-competitive inhibitor of…

Consulter le prix et la disponibilité



Sigma-Aldrich

I9785

Imidazolo-oxindole PKR inhibitor C16

≥98% (HPLC)

Consulter le prix et la disponibilité

PROPRIÉTÉS

Niveau de qualité	200
Essai/Dosage	≥99% (HPLC)
Forme	powder
manufacturer/tradename	Calbiochem®
Conditions de stockage	OK to freeze protect from light
Couleur	white

Solubilité	DMSO: 100 mg/mL
Expédié(e)(s) dans	ambient
Temp. de stockage	−20°C
SMILES string	O=C(CC1=CC=CC(C(F)(F)F)=C1)N2CCC3=C2C=CC(C4=CN(C)C5=C4C(N)=NC=N5)=C3

DESCRIPTION

Description générale

A cell-permeable pyrrolopyrimidamine compound that acts as a highly potent EIF2AK3/PERK inhibitor (IC_{50} = 0.4 nM; [ATP] = 5 μM) by targeting PERK in its inactive DFG conformation at the ATP-binding region, while displaying ≥385-fold selectivity over c-Kit, Aurora B, BRK, HRI/EIF2AK1, MLK2/MAP3K10, c-MER, DDR2, PKR/EIF2AK2, and MLCK2/MYLK2 (IC_{50} = 154, 407, 412, 420, 452, 474, 524, 696, and 701 nM, respectively) and little activity against more than 280 other kinases (IC_{50} >1 μM). Shown to block ER stress-induced PERK autophosphorylation following Thapsigargin (Cat. No. **586005**) addition in A549 cultures *in vitro* (by 100% at ≤30 nM; 60 min preincubation) and effectively retard PxBC-3 tumor growth in mice *in vivo* (50 and 150 mg/kg/12 h p.o.). Also available as a 25 mM solution in DMSO (Cat. No. **508340**).

A cell-permeable pyrrolopyrimidinamine compound that acts as a highly potent inhibitor against EIF2AK3/PERK-catalyzed EIF2α Ser51 phosphorylation in kinase assays (IC_{50} = 0.4 nM; 30 min preincubation; [ATP] = 5 μM) as well as ER stress-induced PERK autophosphorylation following Thapsigargin (Cat. No. **586005**) addition in A549 cultures (by 100% with ≤30 nM inhibitor; 60 min preincubation) by targeting PERK in its inactive conformation at the ATP-binding region. Displays ≥385-fold selectivity over c-Kit, Aurora B, BRK, HRI/EIF2AK1, MLK2/MAP3K10, c-MER, DDR2, PKR/EIF2AK2, and MLCK2/MYLK2 (IC_{50} = 154, 407, 412, 420, 452, 474, 524, 696, and 701 nM, respectively) and exhibits much reduced or little activity against more than 280 other kinases (IC_{50} >1 μM). Reported to be orally available in dog, mouse, and rat with good pharmacokinetics and retard the growth of

established PxBC-3 tumor mass in mice *in vivo* (by 20% and 59% at the end of a 21 day treatment period with b.i.d. oral dosage of 50 and 150 mg/kg, respectively). The preincubation time-dependent inhibition, extremely slow dissociation rate, as well as the observed PERK selectivity, are all consistent with the inhibitor targeting PERK in its inactive DFG conformation.

Conditionnement

5 mg in Glass bottle

Packaged under inert gas

Actions biochimiques/physiologiques

Cell permeable: yes

Primary Target
Perk

Reversible: yes

Target IC_{50}: 0.4 nM against EIF2AK3/PERK-catalyzed EIF2&alpha

Avertissement

Toxicity: Standard Handling (A)

Reconstitution

Following reconstitution, aliquot and freeze (-20°C). Stock solutions are stable for up to 6 months at -20°C.

Autres remarques

Moreno, J.A., et al. 2013. *Sci Transl. Med.***5,** 1.
Axten, J.M., et al. 2012. *J. Med. Chem.***55,** 7193.

Informations légales

INFORMATIONS SUR LA SÉCURITÉ

Code de la classe de stockage	WGK	Flash Point(F)	Point d'éclair C
11 - Combustible Solids	WGK 3	Not applicable	Not applicable

DOCUMENTATION

Certificat d'analyse

Saisir un numéro de lot pour rechercher un certificat d'analyse (COA).

Numéro de lot

e.g. 023J5431

Comment saisir un numéro de lot (COA)

Rechercher

Certificat de qualité

Saisir un numéro de lot pour rechercher un certificat de qualité (CoQ).

Référence

e.g. T1503

Numéro de lot

e.g. 023J5431

Comment saisir un numéro de lot (CoQ)

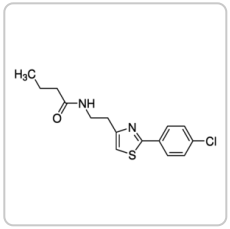
Rechercher

Plus De Documents

Structure Search

FDS

LES CLIENTS ONT ÉGALEMENT CONSULTÉ



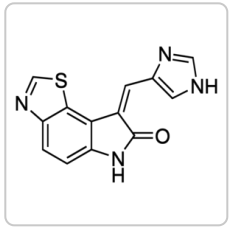
Sigma-Aldrich

SML1560

Azoramide

≥98% (HPLC)

Consulter le prix et la disponibilité



Sigma-Aldrich

527450

PKR Inhibitor - CAS 608512-97-6 - Calbiochem

The PKR Inhibitor, also referenced under CAS 608512-97-6, controls the biological activity of PKR. This small…

Consulter le prix et la disponibilité

ARTICLES REVUS PAR DES PAIRS

EGR1 upregulation following Venezuelan equine encephalitis virus infection is regulated by ERK and PERK pathways contributing to cell death.

Bibha Dahal et al.
Virology, 539, 121-128 (2019-11-17)

Venezuelan equine encephalitis virus (VEEV) is a neurotropic virus that causes significant disease in both humans and equines. Here we characterized the impact of VEEV on signaling pathways regulating cell death in human primary astrocytes. VEEV productively infected primary astrocytes

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The Prolyl-tRNA Synthetase Inhibitor Halofuginone Inhibits SARS-CoV-2 Infection.

Daniel R Sandoval et al.
bioRxiv : the preprint server for biology (2021-04-02)

We identify the prolyl-tRNA synthetase (PRS) inhibitor halofuginone 1 , a compound in clinical trials for anti-fibrotic and anti-inflammatory applications 2 , as a potent inhibitor of SARS-CoV-2 infection and replication. The interaction of SARS-CoV-2 spike protein with cell surface

---

KSHV infection skews macrophage polarisation towards M2-like/TAM and activates Ire1 α-XBP1 axis up-regulating pro-tumorigenic cytokine release and PD-L1 expression.

Maria Saveria Gilardini Montani et al.
British journal of cancer, 123(2), 298-306 (2020-05-19)

Kaposi's Sarcoma Herpesvirus (KSHV) is a gammaherpesvirus strongly linked to human cancer. The virus is also able to induce immune suppression, effect that contributes to onset/progression of the viral-associated malignancies. As KSHV may infect macrophages and these cells abundantly infiltrate

---

Nirwana Fitriani Walenna et al.
The Journal of biological chemistry, 295(9), 2713-2723 (2020-01-30)

Fatty acid-binding protein 4 (FABP4) is predominantly expressed in adipocytes and macrophages and regulates metabolic and inflammatory pathways. FABP4 is secreted from adipocytes during lipolysis, and elevated circulating FABP4 levels are associated with obesity, metabolic disease, and cardiac dysfunction. We

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Brain-specific suppression of AMPKα2 isoform impairs cognition and hippocampal LTP by PERK-mediated eIF2α phosphorylation.

Wenzhong Yang et al.
Molecular psychiatry, 26(6), 1880-1897 (2020-05-06)

The AMP-activated protein kinase (AMPK) is a molecular sensor to maintain energy homeostasis. The two isoforms of the AMPK catalytic subunit (AMPKα1 and α2) are both expressed in brains, but their roles in cognition are unknown. We generated conditional knockout

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PRODUITS CONSULTÉS RÉCEMMENT

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516481-M

Pepstatin A, Synthetic - CAS 26305-03-3 - Calbiochem

Pepstatin A, Synthetic, CAS 26305-03-3, is a reversible inhibitor of aspartic proteases. Inhibits cathepsin D,...

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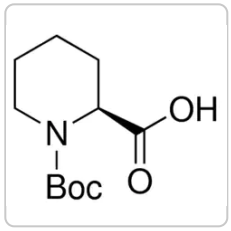

Sigma-Aldrich

516368

Boc-Pip-OH

98%

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Évaluations

★★★★★

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