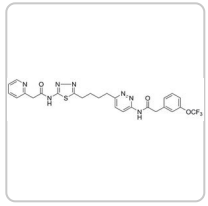


Toutes les photos (1)

Documents

FDS

COA/CoQ

5.33717 Sigma-Aldrich.

GLS1 Inhibitor III, CB-839 - CAS 1439399-58-2 - Calbiochem

★★★★★ (0)

Cell-permeable, orally available, potent, selective & non-competitive GLS1 inhibitor. Reduces glutamine consumption & glutamate secretion, and induces apoptosis.

Synonyme(s):
GLS1 Inhibitor III, CB-839 - CAS 1439399-58-2 - Calbiochem, N-(6-(4-(5-((2-Pyridin-2-ylacetyl)amino)-1,3,4-thiadiazol-2-yl)butyl)pyridazin-3-yl)-2-(3-(trifluoromethoxy)phenyl)acetamide, KGA Inhibitor III, 2-(Pyridin-2-yl)-N-(5-(4-(6-(2-(3-(trifluoromethoxy)phenyl)acetamido)pyridazin-3-yl)butyl)-1,3,4-thiadiazol-2

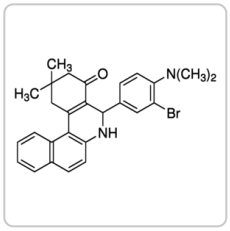
Empirical Formula (Hill Notation):
$C_{26}H_{24}F_3N_7O_3S$

Numéro CAS: 1439399-58-2 **Poids moléculaire:** 571.57

Référence	Conditionnement	Disponibilité	Prix	Quantité
5337170001	10 MG	Disponible pour expédition le 04 octobre 2022	229,00 €	− +

Demander une commande en gros | Ajouter au panier

PRODUITS RECOMMANDES



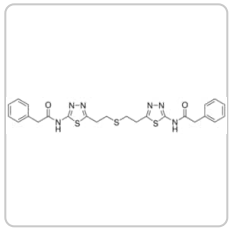
Sigma-Aldrich

352010

Glutaminase Inhibitor, Compound 968 - Calbiochem

Glutaminase Inhibitor, Compd 968, is a cell-permeable, reversible inhibitor of mitochondrial glutaminase....

Consulter le prix et la disponibilité



Sigma-Aldrich

SML0601

BPTES

≥95% (HPLC)

Consulter le prix et la disponibilité

PROPRIÉTÉS

Essai/Dosage	≥97% (HPLC)
Niveau de qualité	100
Forme	solid
manufacturer/tradename	Calbiochem®
Conditions de stockage	OK to freeze protect from light
Couleur	yellow

DESCRIPTION

Description générale

A cell-permeable thiadiazolyl-butyl-pyridazinyl compound that selectively inhibits GLS1 (IC_{50} = 23 nM & 28 nM, respectively, using murine kidney and brain homogenates), but not GLS2/LGA (up to 5 μM using murine liver homogenates), glutaminase activity in a non-competitive manner, being more potent than the uncompetitive GLS1 inhibitor BPTES, but with much slower inhibition kinetics (IC_{50}/preincubation time ~300 nM/1 min & & 50 nM/1 h for CB-839; 630 nM/1 min & 700 nM/1 h for BPTES; 2 nM rhGAC aa 126-598) & reversibility (Activity recovery $t_{1/2}$ after free drug removal = 45 min/CB-839 & <3 min/BPTES). CB-839 also displays higher antiproliferation potency than BPTES against triple negative breast cancer (TNBC) cultures (GI_{50} against MDA-MB-231 in 72 h = 19 nM vs. 2.4 μM with BPTES; GI_{50} against HCC1806 in 72 h = 55 nM vs. 2.0 μM with BPTES), while neither drug is effective against GLS1-independent growth of estrogen receptor-positive T47D even at 1 μM concentration. Despite a fast clearance in mice (Plasma $t_{1/2}$<2 h post 50 mg/kg p.o.), good drug exposure is reported in tumor and major organs (>1 nmol/g) 4 h post single 200 mg/10 mL/kg oral dosage among HCC1806 xenograft mice (Drug conc. = 2.1 μM in Plasma & 1.5 nmol/g in 500 mm^3 tumor) except brain (~0.2 nmol/g) with most pronounced Glutamine buildup observed in tumor (5-fold) when compared to normal tissues (1- to 2.28-fold of of no treatment controls). Twice daily oral administration (200 mg/kg/12 h) is efficacious in suppressing the expansion of established tumor derived from HIMT-1 (by 54% in 35 d) and patient TNBC (by 59% in 28 d) and complete suppression of JIMT-1 tumor is seen when combined with 5 daily doses of Paclitaxel (10 mg/kg i.v.; Cat. Nos. **580555** & **580556**) in the first 5 d of the treatment period.

A cell-permeable, orally available thiadiazolyl-butyl-pyridazinyl compound that acts as a potent, selective, time-dependent, and slowly-reversible ($t_{1/2}$ = 45 min at 25 °C) inhibitor of glutaminase (IC_{50} = 45, 23 and 28 nM for rec hu-GAC, KGA and GAC, respectively). The inhibition appears to be non-competitive and allosteric. Exhibits anti-proliferative effects in HCC1806 and MDA-MB-231 triple-negative breast cancer cell lines (IC_{50} = 49 and 26 nM, respectively), but does not affect the viability of

Please note that the molecular weight for this compound is batch-specific due to variable water content. Please refer to the vial label or the certificate of analysis for the batch-specific molecular weight. The molecular weight provided represents the baseline molecular weight without water.

Actions biochimiques/physiologiques

Cell permeable: yes

Primary Target
GLS1

Reversible: yes

Target IC_{50}: 23 nM & 28 nM, respectively, using murine kidney and brain homogenates

Conditionnement

Packaged under inert gas

Avertissement

Toxicity: Standard Handling (A)

Reconstitution

Following reconstitution, aliquot and freeze (-20°C). Stock solutions are stable for up to 3 months at -20°C.

Autres remarques

Gross, M.I., et al. 2014. *Mol. Cancer Ther.***13,** 890.

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).

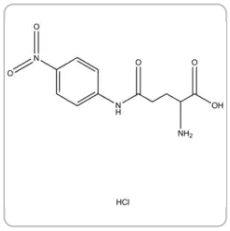
Référence

e.g. 023J5431

Comment saisir un numéro de lot (CoQ)

Rechercher

LES CLIENTS ONT ÉGALEMENT CONSULTÉ



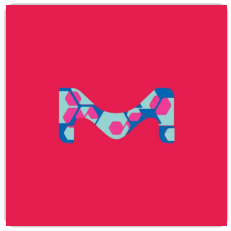
Sigma-Aldrich

G6133

L-Glutamic acid γ-(p-nitroanilide) hydrochloride

γ-glutamyl transpeptidase substrate

Consulter le prix et la disponibilité



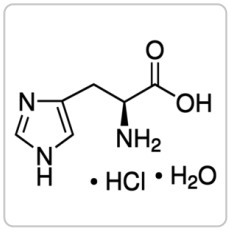
Sigma-Aldrich

5.04817

Mitochondrial Pyruvate Carrier Inhibitor, UK5099 - CAS 56396-35-1 - Calbiochem

Consulter le prix et la disponibilité

PRODUITS CONSULTÉS RÉCEMMENT

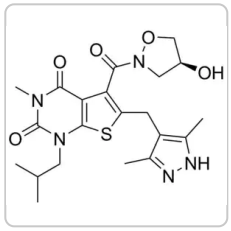


Sigma-Aldrich

53370

L-Histidine monohydrochloride monohydrate

≥99.0% (AT)



Sigma-Aldrich

5.33436

Monocarboxylate Transport Inhibitor, AR-C155858 - CAS 496791-37-8 - Calbiochem

Monocarboxylate Transport Inhibitor, AR-C155858, CAS 496791-37-8, is a cell-permeable, potent inhibitor of...

Évaluations

★★★★★

Soyez le premier à donner votre avis sur ce produit

Questions

Soyez le premier à poser une question

SERVICE TECHNIQUE

Notre équipe de scientifiques dispose d'une expérience dans tous les secteurs de la recherche, notamment en sciences de la vie, science des matériaux, synthèse chimique, chromatographie, analyse et dans de nombreux autres domaines..

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