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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

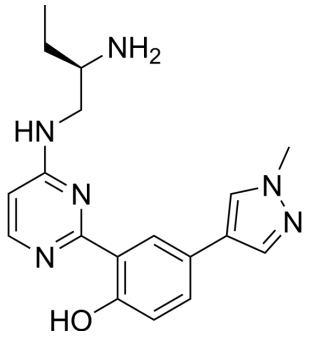
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

CRT0066101

Cat. No.:	HY-15698
CAS No.:	956123-34-5
Molecular Formula:	$C_{18}H_{22}N_6O$
Molecular Weight:	338.41
Target:	PKD; Apoptosis; Pim
Pathway:	Apoptosis; JAK/STAT Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (295.50 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9550 mL	14.7750 mL	29.5500 mL
5 mM	0.5910 mL	2.9550 mL	5.9100 mL
10 mM	0.2955 mL	1.4775 mL	2.9550 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.39 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.39 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CRT0066101 is a potent and orally active PKD inhibitor with IC_{50} values of 1 nM, 2.5 nM and 2 nM for PKD1, PKD2, and PKD3, respectively[1]. CRT0066101 is also a potent PIM2 inhibitor with an IC_{50} of ~135.7 nM. CRT0066101 has anticancer effects[2].

IC_{50} & Target

PKD1	PKD2	PKD3	PIM2
1 nM (IC_{50})	2.5 nM (IC_{50})	2 nM (IC_{50})	135.7 nM (IC_{50})

In Vitro

CRT0066101 (5 μM; 1 h) blockS both the basal and NT-induced pS916-PKD1/2 (activated PKD1/2) in Panc-1 and Panc-28 cells.

CRT0066101 abrogates NT-induced phosphorylation of Hsp27 (pS82-Hsp27), attenuates PKD1-mediated NF-κB activation, and abrogates expression of NF-κB-dependent-dependent proliferative and pro-survival proteins[1].
CRT0066101 significantly inhibits Panc-1 cell proliferation, with an IC_{50} value of 1 μM. CRT0066101 results in a 6-10 fold induction of apoptosis in Panc-1 cells. CRT0066101 significantly reduces cell proliferation of Colo357, Panc-1, MiaPaCa-2, and AsPC-1 cells but had a modest effect in Capan-2 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Panc-1 and Panc-28 cells stimulation with neurotensin (NT)
Concentration:	5 μM
Incubation Time:	1 h
Result:	Blocked both the basal and NT-induced pS916-PKD1/2 (activated PKD1/2).

In Vivo

CRT0066101 (80 mg/kg/day; oral gavage; once daily; for 21 days) in Panc-1 orthotopic model potently blocks tumor growth in vivo[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	CR-UK nu/nu mice injected with Panc-1 cells[1]
Dosage:	80 mg/kg/day
Administration:	Oral gavage; once daily; for 21 days
Result:	Potently blocked tumor growth in vivo.

CUSTOMER VALIDATION

- Exp Mol Med. 2022 Sep 21.
- Int Immunopharmacol. 2023 May 12;120:110240.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Harikumar KB, et al. A novel small-molecule inhibitor of protein kinase D blocks pancreatic cancer growth in vitro and in vivo. Mol Cancer Ther. 2010 May;9(5):1136-46.

[2]. Xi Chen, et al. Identification and assessment of new PIM2 inhibitors for treating hematologic cancers: A combined approach of energy-based virtual screening and machine learning evaluation. Arch Pharm (Weinheim). 2024 Jan 23:e2300516.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA