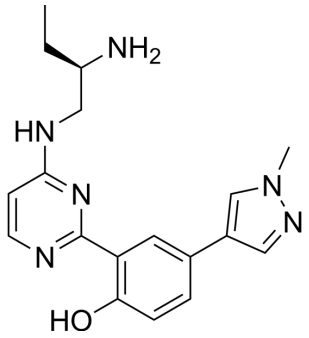
CRT0066101

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:	Please store the product under the recommended conditions in the Certificate of Analysis.



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.

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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.

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