

Product Datasheet

CRT-0066101

Catalog No. **BP-02133** · CAS **956123-34-5** · Form **free base** · Physical state **solid** · Color **White to light yellow** · Version **1.0** · Revision **2026-09-04**

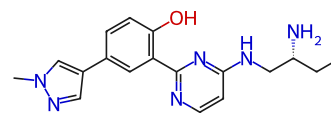
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Target PKD; Pim; Apoptosis	Research area Inflammation/Immunology; Cancer
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Molecular formula **C₁₈H₂₂N₆O**

Molecular weight **338.4069**

STRUCTURE



DESCRIPTION

CRT0066101 is a potent and orally active PKD inhibitor with IC₅₀ values of 1 nM, 2.5 nM and 2 nM for PKD1, PKD2, and PKD3, respectively. CRT0066101 is also a potent PIM2 inhibitor with an IC₅₀ of ~135.7 nM. CRT0066101 exhibits anti-inflammatory activity in mice LPS-induced lung injury models, and has anticancer effects.

BIOLOGICAL ACTIVITY

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 proliferative and pro-survival proteins.

CRT0066101 significantly inhibits Panc-1 cell proliferation, with an IC₅₀ 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.

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.

CRT0066101 (10 mg/kg, i.p., every two days for 3 times) exhibits a protective effect against LPS-induced pneumonia and alleviates lung damage in C57BL/6J mice.

SOLUBILITY

soluble in DMSO

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.
- [3]. Cui B, et al., Small molecule inhibitor CRT0066101 inhibits cytokine storm syndrome in a mouse model of lung injury. *Int Immunopharmacol.* 2023 Jul;120:110240.
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