

Product data sheet



MedKoo Cat#: 408135 Name: CRT0066101 free base CAS#: 956121-30-5 (free base) Chemical Formula: C ₁₈ H ₁₉ ClN ₄ O Exact Mass: 342.1247 Molecular Weight: 342.827		
Product supplied as:	Powder	
Purity (by HPLC):	≥ 98%	
Shipping conditions	Ambient temperature	
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

1. Product description:

CRT0066101 is a PRKDs inhibitor. CRT0066101 suppressed the proliferation and migration of four bladder cancer cell lines in vitro. CRT0066101 blocked tumor growth in a mouse flank xenograft model of bladder cancer. CRT0066101 treatment or PKD2 silencing arrested bladder cancer cells at the G2/M phase, the arrest being accompanied by decreases in the levels of cyclin B1, CDK1 and phospho-CDK1 (Thr161) and increases in the levels of p27Kip1 and phospho-CDK1 (Thr14/Tyr15). CRT0066101 suppresses bladder cancer growth by inhibiting PKD2 through induction of G2/M cell cycle arrest, leading to the blockade of cell cycle progression.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.92 mL	14.58 mL	29.17 mL
5 mM	0.58 mL	2.92 mL	5.83 mL
10 mM	0.29 mL	1.46 mL	2.92 mL
50 mM	0.06 mL	0.29 mL	0.58 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

- Liu Y, Wang Y, Yu S, Zhou Y, Ma X, Su Q, An L, Wang F, Shi A, Zhang J, Chen L. The Role and Mechanism of CRT0066101 as an Effective Drug for Treatment of Triple-Negative Breast Cancer. *Cell Physiol Biochem*. 2019;52(3):382-396. doi: 10.33594/000000027. Epub 2019 Mar 8. PMID: 30845378.
- Li QQ, Hsu I, Sanford T, Railkar R, Balaji N, Sourbier C, Vocke C, Balaji KC, Agarwal PK. Protein kinase D inhibitor CRT0066101 suppresses bladder cancer growth in vitro and xenografts via blockade of the cell cycle at G2/M. *Cell Mol Life Sci*. 2018 Mar;75(5):939-963. doi: 10.1007/s00018-017-2681-z. Epub 2017 Oct 25. PMID: 29071385; PMCID: PMC7984729.

In vivo study

- Li QQ, Hsu I, Sanford T, Railkar R, Balaji N, Sourbier C, Vocke C, Balaji KC, Agarwal PK. Protein kinase D inhibitor CRT0066101 suppresses bladder cancer growth in vitro and xenografts via blockade of the cell cycle at G2/M. *Cell Mol Life Sci*. 2018 Mar;75(5):939-963. doi: 10.1007/s00018-017-2681-z. Epub 2017 Oct 25. PMID: 29071385; PMCID: PMC7984729.
- Borges S, Perez EA, Thompson EA, Radisky DC, Geiger XJ, Storz P. Effective Targeting of Estrogen Receptor-Negative Breast Cancers with the Protein Kinase D Inhibitor CRT0066101. *Mol Cancer Ther*. 2015 Jun;14(6):1306-16. doi: 10.1158/1535-7163.MCT-14-0945. Epub 2015 Apr 7. PMID: 25852060; PMCID: PMC4458391.

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7. Bioactivity

Biological target:

CRT0066101 is a potent and specific PKD inhibitor with IC50 values of 1, 2.5 and 2 nM for PKD1, 2, and 3 respectively.

In vitro activity

The time-course of CRT0066101 suppression of the proliferation of the four cell lines was characterized in vitro using the MTT assay. All of the four cell lines examined showed a maximal inhibitory effect at day 4 following CRT0066101 treatment (Fig. 2a).

Reference: Cell Mol Life Sci. 2018 Mar; 75(5): 939–963. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7984729/>

In vivo activity

Treatment of mice with CRT0066101 did result in a significant decrease of primary tumor size and weight (Fig. 5A, Supplemental Fig. S9), associated with an approximately 50% decrease in tumor cell proliferation (Fig. 5B), and an increase of apoptosis (Fig. 5C).

Reference: Mol Cancer Ther. 2015 Jun; 14(6): 1306–1316. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4458391/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.