

Data Sheet

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Product Name : CRT0066101 dihydrochloride

CAS No. : PC-60928 **CAS No.** : 1883545-60-5 **Molecular Formula** : C₁₈H₂₂N₆O_{·2}HCl

Molecular Weight : 411.33 Target : PKD

Solubility : 10 mM in DMSO

-NN NN 2HCI

Biological Activity

CRT0066101 dihydrochloride is a potent, specific, orally active **pan-PKD** (protein kinase D) inhibitor with biochemical IC50 of 1, 2.5 and 2 nM for PKD1, 2, and 3 respectively.

CRT0066101 shows selectivity against a panel of > 90 protein kinases including PKC α /PKB α /MEK/ERK/c-Raf/c-Src/c-Abl. CRT0066101 reduces bromodeoxyuridine incorporation, increases apoptosis, blocks neurotensin-induced PKD1/2 activation and reduces neurotensin-induced, PKD-mediated Hsp27 phosphorylation, attenuated PKD1-mediated NF-kappaB activation in pancreatic cancer cells.

CRT0066101 potently blocks tumor growth in vivo.

References

Harikumar KB, et al. *Mol Cancer Ther.* 2010 May;9(5):1136-46.

Thrower EC, et al. *Am J Physiol Gastrointest Liver Physiol.* 2011 Jan;300(1):G120-9.

Borges S, et al. *Mol Cancer Ther.* 2015 Jun;14(6):1306-16.

Coughlan KA, et al. *J Biol Chem*. 2016 Mar 11;291(11):5664-75.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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