

Product Data Sheet

Product Name: CRT0066101 dihydrochloride

Cat. No.: GC35750

Chemical Properties

Cas. No. 1883545-60-5

SMILES N[C@H](CC)CNC1=NC(C2=C(O)C=CC(C3=CN(C)N=C3)=C2)=NC=C1.Cl.Cl

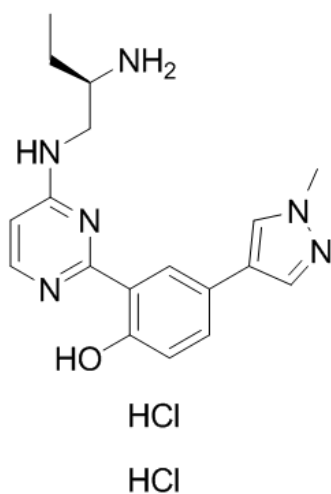
Formula $C_{18}H_{22}N_6O \cdot 2HCl$ M.Wt 411.33

Solubility Water: 50 mg/mL (133.38 mM); Storage Store at -20°C
DMSO: ≥ 25 mg/mL (66.69 mM)

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

CRT0066101 dihydrochloride is a potent and specific PKD inhibitor with IC₅₀ values of 1, 2.5 and 2 nM for PKD1, 2, and 3 respectively. PKD1|1 nM (IC₅₀)|PKD3|2 nM (IC₅₀)|PKD2|2.5 nM (IC₅₀)

Caution: Product has not been fully validated for medical applications. For research use only.

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CRT0066101 specifically blocks PKD1/2 activity and does not suppress PKC α /PKC β /PKC ϵ activity in multiple cancer cell types including A549 (lung) and MiaPaCa-2 (pancreas). CRT0066101 significantly inhibits Panc-1 cell proliferation with an IC₅₀ value of 1 μ M. Treatment with 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 has a modest effect in Capan-2 cells. CRT0066101 (5 μ M) blocks both the basal and NT-induced pS916-PKD1/2 (activated PKD1/2) in Panc-1 and Panc-28 cells. CRT0066101 reduces PKD-dependent NF- κ B activation and NF- κ B-dependent gene expressions in Panc-1[1].

Optimal therapeutic concentrations (8 μ M) of CRT0066101 are detectable 6 h after oral administration of this drug. CRT0066101 given orally (80 mg/kg/day) for 28 days significantly abrogates PaCa growth in Panc-1 subcutaneous xenograft model. Activated PKD1/2 expression in the treated tumor-explants is significantly inhibited with peak tumor concentration (12 μ M) of CRT0066101 achieved within 2 h after oral administration. Further, CRT0066101 given orally (80 mg/kg/day) for 21 days in Panc-1 orthotopic model potently blocks tumor growth in vivo. CRT0066101 significantly reduces Ki-67+ proliferation index, increases TUNEL+ apoptotic cells ($p < 0.05$), and abrogates expression of NF- κ B-dependent proteins including cyclin D1, survivin, and cIAP-1[1].

[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.

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