Product Name: CRT 0066101

Revision Date: 6/30/2016

Product Data Sheet

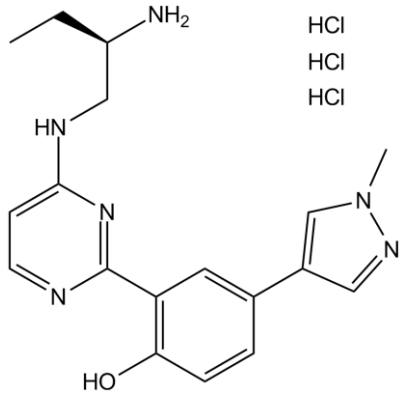
Chemical Properties

Product Name: CRT 0066101

Cas No.:

M.Wt: 447.79

Formula: C18H22N6O.3HCl



Chemical Name: (R)-2-(4-((2-aminobutyl)amino)pyrimidin-2-yl)-4-(1-methyl-1H-pyrazol-4-yl)phenol trihydrochloride

Canonical SMILES: CN1N=CC(C2=CC(C3=NC(NC[C@H](N)CC)=CC=N3)=C(O)C=C2)=C1.Cl.Cl.Cl

Solubility: >15.65mg/mL in DMSO

Storage: Store at -20°C

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.

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

Biological Activity

Targets : Apoptosis

Pathways: PKD

Description:

IC50: A potent protein kinase D (PKD) antagonist with the IC50 of 1, 2.5 and 2 nM for PKD1, PKD2, PKD3 respectively.
CRT 0066101 is a specific inhibitor of all PKD isoforms. Increasingly studies reveals that PKD family members play an important role in regulating several cellular processes and activities,

including chromatin organization, Golgi function, gene expression, cell survival, adhesion, motility, differentiation, DNA synthesis and proliferation. By suppressing PKD, CRT 0066101 is supposed to ameliorate symptoms of pancreatic cancer. [1]
In vitro: In Panc-1 cell line based assays, CRT0066101 was reported to reduce bromodeoxyuridine incorporation; increase cell apoptosis; suppress neurotensin-induced PKD1/2 activation; block neurotensin-induced Hsp27 phosphorylation; interrupt PKD1-mediated NF-κB activation as well as down-regulate expression of NF-κB-dependent proliferative and pro-survival proteins. [1]
In vivo: In Panc-1 subcutaneous xenograft model, orally administration of CRT0066101 at the dosage of 80 mg/kg/d for 24 days significantly suppressed pancreatic cancer growth. Moreover, when CRT0066101 reached its peak concentration (12 μmol/L) in tumor model, the expression of activated PKD1/2 in the treated tumor explants was substantially decreased. It was concluded that CRT0066101 given orally at 80 mg/kg/d for 21 days in Panc-1 orthotropic model suppressed tumor growth potently in vivo. [1]
Clinical trial: So far, no clinical trial has been conducted.

***Reference**:*

[1]Harikumar KB, Kunnumakkara1 AB, Ochi N, Tong Z, Deorukhkar A, Sung B, Kelland L, Jamieson S, Sutherland R, Raynham T, Charles M, Bagherzadeh A, Foxton C, Boakes A, Farooq M, Maru D, Diagaradjane P, Matsuo Y, Smith J, Gelovani J, Krishnan S, Aggarwal BB, Rozengurt E, Ireson CR, and Guha S. 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): 113646.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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