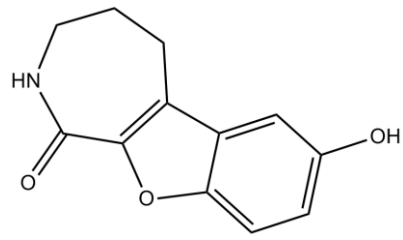
Product Name: CID 755673

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties



Product Name:	CID 755673
Cas No.:	521937-07-5
M.Wt:	217.22
Formula:	C12H11NO3
Chemical Name:	7-hydroxy-2,3,4,5-tetrahydro-[1]benzofuro[2,3-c]azepin-1-one
Canonical SMILES:	C1CC2=C(C(=O)NC1)OC3=C2C=C(C=C3)O
Solubility:	Soluble in DMSO > 10 mM
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: Selective protein kinase D (PKD) antagonist with the IC50 of 0.182, 0.280, 0.227, >10, 15.3, 20.3, 40.5 and >50 μM for PKD1, PKD2, PKD3, PKC, CAK, PLK1, CAMKIIα and Akt respectively. CID755673, benzoxoloazepinolone, is the first identified cell-active small molecule PKD antagonist. It inhibits the activity of PKD1 with an IC50 of 182 nM and demonstrates highest selectivity to PKD1 when compared with AKT, PLK1, CAK, CAMKIIα, PKD2 and PKD3. Moreover, it was not competitive with ATP for enzyme inhibition. [1]
In vitro: In cell based assays, CID755673 dose-dependently suppressed PKD1 activation induced by phorbol ester endogenous in LNCaP cells. It was also reported to reverse biological actions of

PKD1 including class IIa histone deacetylase 5 nuclear exclusion, vesicular stomatitis, virus glycoprotein delivery from the Golgi to the plasma membrane as well as the ilimaquinone-induced Golgi fragmentation. Moreover, CID755673 suppressed prostate cancer cell proliferation, cell migration, and invasion. [1]
In vivo: Experimental models of acute pancreatitis were developed to study the effect of CID755673 on acute pancreatitis in vivo. Results demonstrated that this compound suppressed PKD1/2 and therefore significantly offset necrosis and severity of pancreatitis. [2]
Clinical trial: So far, no clinical trial has been conducted.

***Reference**:*

[1]Sharlow ER, Giridhar KV, LaValle CR, Chen J, Leimgruber S, Barrett R, Altamirano KB, Wipf P, Lazo JS and Wang QJ. Potent and selective disruption of protein kinase D functionality by a benzoxoloazepinolone. J Biol Chem. 2008 Nov. 283(48): 3351246.

[2]Yuan JZ, Liu YN, Tan TY, Guha S, Gukovsky I, Gukovskaya A and Pandol SJ. Protein kinase D regulates cell death pathways in experimental pancreatitis. Front Physiol. 2012 Mar. 3: DOI: 10.3389/fphys.2012.00060.

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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