Product Data Sheet

Product Name: CRT5
Cat. No.: GC14355

Chemical Properties

Cas. No.	1034297-58-9		
Chemical Name	3-[6-amino-5-(6-ethoxy-2-naphthalenyl)-3-pyridinyl]-N-[2-(dimethylamino)ethyl]-benzamide		
SMILES	CCOC1=CC=C2C(C=CC(C3=CC(C4=CC(C(NCCN(C)C)=O)=CC=C4)=CN=C3N)=C2)=C1		
Formula	$C_{28}H_{30}N_4O_2$	M.Wt	454.6
Solubility	≤2.5mg/ml in DMSO;0.25mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	CRT5		

Background

CRT5 is a novel and specific PKD inhibitor [1]. PKDs (Protein kinase Ds) are DAG (diacylglycerol)-stimulated serine/threonine protein kinases [1]. Three known PKD isoforms have been identified: PKD1–PKD3. PKD acted as an mediator implicated in diverse cellular functions, including proliferation, cellular trafficking, survival and regulation of transcription [1].

In vitro: The non-linear regression analysis revealed that LD50 value of CRT5 was 17 μM. The biochemical IC50 value of CRT5 for PKD1, PKD2 and PKD3 were 1, 2 and 1.5 nM, respectively [1]. CRT5 (1 μM) completely inhibited PKD1 and PKD2, but showed little inhibitory effect on the PKC isoforms. CRT5 significantly reduced VEGF-induced phosphorylation of HSP27 at the position Ser82. CRT5 significantly reduced the migratory response towards VEGF by 42–51%. CRT5 decreased the proliferation of control cells not treated with VEGF to a less extent. VEGF increased HUVEC tubule formation in a collagen-based assay. CRT5 markedly inhibited VEGF-induced tubulogenesis [1].

Reference:
[1] Evans I M, Bagherzadeh A, Charles M, et al. Characterization of the biological effects of a novel protein kinase D inhibitor in endothelial cells[J]. Biochemical Journal, 2010, 429(3): 565-572.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA