Product Data Sheet

Product Name: KH CB19
Cat. No.: GC11774

Chemical Properties

Cas. No.	1354037-26-5		
Chemical Name	(E)-ethyl 3-(2-amino-1-cyanovinyl)-6,7-dichloro-1-methyl-1H-indole-2-carboxylate		
SMILES	ClC1=C2N(C)C(C(OCC)=O)=C(/C(C#N)=C\N)C2=CC=C1Cl		
Formula	$C_{15}H_{13}Cl_2N_3O_2$	M.Wt	338.19
Solubility	DMSO : ≥ 50 mg/mL (147.85 mM)	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	KH CB19		

Background

KH CB19 is a potent and selective inhibitor of CLK1 and CLK4 with IC50 values of 19.7 and 530 nM for CLK1 and CLK3, respectively [1] [2].

The cdc2-like kinases (CLKs) are dual specificity protein kinases that phosphorylate the serine- and arginine-rich (SR) proteins, which are involved in regulating the alternative pre-mRNA splicing process [1].

KH CB19 is a potent and selective inhibitor of CLK1 and CLK4. In endothelial cells, KH CB19 inhibited the phosphorylation of serine- and arginine-rich (SR) proteins stimulated by TNF-α and decreased the mRNA expression of tissue factor splice variants [1]. KH CB19 bound to the ATP binding site in CLK3 and CLK1. In enzyme kinetic assays, KH CB19 inhibited DYRK1A with IC50 value of 55.2 nM. In human microvascular endothelial cells (HMEC-1), KH-CB19 (10 μM) reduced the phosphorylation of SRp75, SRp55 and SRp20. However, in TNF-α stimulated HMEC-1, KH-CB19 (10 μM) inhibited the phosphorylation of SRp75, SRp55, SRp40, SC35, SF2/ASF and SRp20. In HMEC-1, KH

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CB19 (10 μM) significantly reduced the expression of the membrane bound full-length tissue factor (flTF) as well as the soluble asHTF in TNF-α-induced and TNF-α-non-induced cells [2].

References:

[1]. Grant SK, Lunney EA. Kinase inhibition that hinges on halogen bonds. Chem Biol, 2011, 18(1): 3-4.
[2]. Fedorov O, Huber K, Eisenreich A, et al. Specific CLK inhibitors from a novel chemotype for regulation of alternative splicing. Chem Biol, 2011, 18(1): 67-76.

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