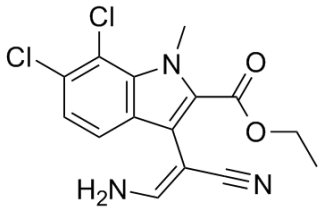
KH-CB19

Cat. No.:	HY-12828
CAS No.:	1354037-26-5
Molecular Formula:	$C_{15}H_{13}Cl_2N_3O_2$
Molecular Weight:	338.19
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (**147.85 mM**)
H_2O : < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9569 mL	14.7846 mL	29.5692 mL
5 mM	0.5914 mL	2.9569 mL	5.9138 mL
10 mM	0.2957 mL	1.4785 mL	2.9569 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.5 mg/mL (7.39 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.5 mg/mL (7.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KH-CB19 is a potent and highly specific inhibitor of the CDC2-like kinase isoforms 1 and 4 (CLK1/CLK4).IC50 value: 20 nM (CLK1) [1]Target: CLK1/4 inhibitorin vitro: KH-CB19 showed potent inhibition of CLK1 with an IC50 of 20 nM, and for the pure isomer KH-CB19, almost 100-fold selectivity against the CLK3 isoform. Pretreatment of cells with KH-CB19 or TG003 led to a reduction of the TNF-α-induced increase in phosphorylation of all analyzed SR proteins compared with TNF-α-stimulated controls.Treatment of resting cells with 10 μM KH-CB19 significantly reduced the basal expression of flTF as well as asHTF [1].

IC_{50} & Target	CLK1 19.7 nM (IC_{50})	CLK3 530 nM (IC_{50})	DYRK1A 55.2 nM (IC_{50})

REFERENCES

[1]. Fedorov O, et al. Specific CLK inhibitors from a novel chemotype for regulation of alternative splicing. Chem Biol. 2011 Jan 28;18(1):67-76.

[2]. Grant SK, et al. Kinase inhibition that hinges on halogen bonds. Chem Biol. 2011 Jan 28;18(1):3-4.

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