上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：Mps1-IN-2
产品别名：Mps1-IN-2

生物活性：

Description

Mps1-IN-2 is a potent, selective and ATP-competitive dual Mps1/Plk1 inhibitor, with an IC_{50} and a K_d of 145 nM and 12 nM for Mps1 and a K_d of 61 nM for Plk1.

IC_{50} & Target

Mps1	GAK	PLK1	PLK3	PLK4	STK33
12 nM (Kd)	140 nM (Kd)	61 nM (Kd)	1600 nM (Kd)	3100 nM (Kd)	5000 nM (Kd)

In Vitro

Mps1-IN-2 is a potent, selective and ATP-competitive Mps1 kinase inhibitor, with an IC_{50} and a K_d of 145 nM and 12 nM. Mps1-IN-2 also shows high affinity for PLK1 and GAK with K_ds of 61 and 140 nM, respectively, but shows little or no inhibition on other 352 member kinases. Mps1-IN-2 can induces bypass of a checkpoint-mediated mitotic arrest in U2OS cells[1].

Solvent&Solubility

In Vitro:

DMSO : 14.3 mg/mL (29.75 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0807 mL	10.4037 mL	20.8073 mL
	5 mM	0.4161 mL	2.0807 mL	4.1615 mL
	10 mM	0.2081 mL	1.0404 mL	2.0807 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Kwiatkowski N, et al. Small-molecule kinase inhibitors provide insight into Mps1 cell cycle function. Nat Chem Biol. 2010 May;6(5):359-68.

实验参考：

Kinase Assay

The kinase binding assay is used to assess compound binding to TTK by monitoring displacement of a fluorescently labeled, ATP site-directed kinase inhibitor (Kinase Tracer 236) from the kinase active site. Each 15 µL assay contains 5 nM TTK, variable amounts of test compound (Mps1-IN-2), 30 nM Kinase Tracer 236, 2 nM Eu-anti-GST Antibody, and 1% DMSO (residual from compound dilution) in Kinase Buffer A (50 mM HEPES pH 7.5, 10 mM $MgCl_2$, 1 mM EGTA, 0.01% Brij-35). Binding assays are initiated by addition of 5 µL of test compound (from 2-fold dilution series) to 5 µL of a kinase/antibody mixture, followed by addition of 5 µL of antibody. Assay plates are read using using standard Eu-based TR-FRET settings with excitation at 340 nm and emission monitored at 615 nm (donor) and 665 nm (acceptor). Emission intensities are measured over a 200 µs window following a 100 µs post-excitation delay[1].

References

[1]. Kwiatkowski N, et al. Small-molecule kinase inhibitors provide insight into Mps1 cell cycle function. Nat Chem Biol. 2010 May;6(5):359-68.