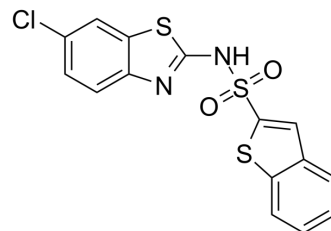


PDK1-IN-RS2

Cat. No.:	HY-114645		
CAS No.:	1643958-89-7		
Molecular Formula:	C ₁₅ H ₉ ClN ₂ O ₂ S ₃		
Molecular Weight:	380.89		
Target:	PDK-1		
Pathway:	PI3K/Akt/mTOR		
Storage:	Powder	-20°C	3 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (328.18 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.6254 mL	13.1271 mL	26.2543 mL
	5 mM	0.5251 mL	2.6254 mL	5.2509 mL
	10 mM	0.2625 mL	1.3127 mL	2.6254 mL

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

BIOLOGICAL ACTIVITY

Description

PDK1-IN-RS2 is a mimic of peptide docking motif (PIFtide) and is a substrate-selective PDK1 inhibitor with a K_d of 9 μM. PDK1-IN-RS2 suppresses the activation of the downstream kinases S6K1 by PDK1^[1].

IC₅₀ & Target

Kd: 9 μM (PDK1)^[1]

In Vitro

PDK1-IN-RS2 stimulates the catalytic activity of PDK1 toward a peptide substrate by sixfold. The sulfonyl group of PDK1-IN-RS2 interacts with Arg131 through a salt bridge, because the sulfonamide is likely ionized under the crystallization conditions^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Rettenmaier TJ, et al. A small-molecule mimic of a peptide docking motif inhibits the protein kinase PDK1. Proc Natl Acad Sci U S A. 2014 Dec 30;111(52):18590-5.

Caution: Product has not been fully validated for medical applications. For research use only.

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