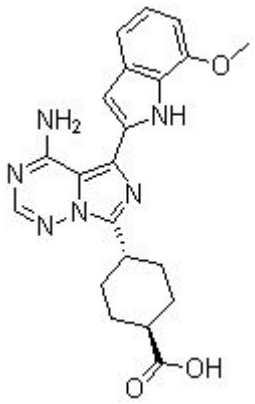
Product Introduction

OSI-027

OSI-027 is a selective and potent dual inhibitor of **mTORC1** and **mTORC2** with **IC50** of 22 nM and 65 nM, and more than 100-fold selectivity observed for mTOR than PI3Kα, PI3Kβ, PI3Kγ or DNA-PK.

Technical Data:

Molecular Weight (MW):	406.44	
Formula:	$C_{21}H_{22}N_6O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 18 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	936890-98-1	

Biological Activity

OSI-027 shows the selective and ATP competitive inhibition activities against mTORC1 and mTORC2 with IC50 of 22 nM and 65 nM, respectively. In addition, OSI-027 inhibits mTOR signaling of phospho-4E-BP1 with an IC50 of 1 μM in cell-based assays. [1] OSI-027 exhibits anti-proliferative activities against several acute leukemia cell lines of myeloid/megakaryocytic origin in a dose-dependent manner, including U937, KG-1, KBM-3B, ML-1, HL-60, and MEG-01 cells. [2] A recent study shows that inhibition of mTORC1/2 by OSI-027 effectively suppresses phosphorylation of Akt (S473) and cell proliferation in breast cancer cells.

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[3]

In GEO colorectal xenograft, OSI-027 (65 mg/kg) inhibits both mTORC1 and mTORC2 effectors, including 4E-BP1, Akt, and S6 phosphorylation. Furthermore, mTORC1 and mTORC2 inhibition together by OSI-027 potently inhibits tumor growth more than mTORC1 inhibition by rapamycin. [1]

References

[1] Falcon BL, et al. Cancer Res, 2011, 71(5), 1573-1583.

[2] Altman JK, et al. Clin Cancer Res, 2011, 17(13), 4378-4388.

[3] Li H, et al. Breast Cancer Res Treat, 2012, 134(3), 1057-1066.

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