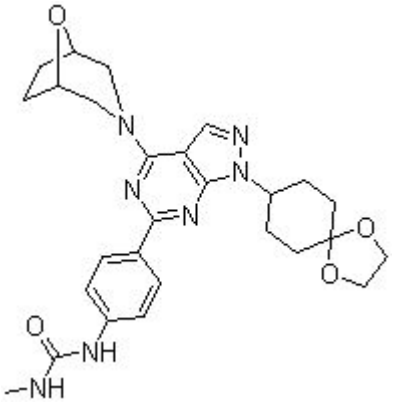
Product Introduction

WYE-125132 (WYE-132)

WYE-125132 is a highly potent, ATP-competitive **mTOR** inhibitor with **IC50** of 0.19 nM; highly selective for mTOR versus PI3Ks or PI3K-related kinases hSMG1 and ATR.

Technical Data:

Molecular Weight (MW):	519.6	
Formula:	$C_{27}H_{33}N_7O_4$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 104 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1144068-46-1	

Biological Activity

WYE-125132 potently and ATP-competitively inhibits recombinant mTOR kinase with IC50 of 0.19 nM and also shows the high selectivity over various PI3Ks and a panel of 230 protein kinases. [1] In vitro, WYE-125132 exhibits a significant anti-proliferative activity against a panel of tumor cell lines with IC50 ranging from 2 nM (LNCap) to 380 nM (HTC116). Besides, WYE-125132 also causes cell cycle progression, induction of apoptosis, and inhibition of protein synthesis and cell size. [1] WYE-125132 results in a significant reduction in the synthesis of pre-$tRNA^{Leu}$ by 72%, 80%, and 53% in actively proliferating cells

of MG63, MDA361, and HEK293, respectively by inhibiting mTORC1. Moreover, WYE-125132 is also found to induce the dephosphorylation of Maf1 (negative regulator of Pol III transcription) and its accumulation in the nucleus. [2]

WYE-125132 (5 mg/kg p.o.) produces significant antitumor activity and causes dose-dependent tumor growth delay in the PI3K/mTOR- and HER2-hyperactive MDA361 tumor model. In addition, WYE-125132 also shows potent antitumor efficacy in the PTEN-null glioma U87MG, non-small cell lung cancer H1975 and A549 models. [1]

References

[1] Yu K, et al. Cancer Res. 2010, 70(2), 621-631.
[2] Shor B, et al. J Biol Chem. 2010, 285(20), 15380-15392.

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