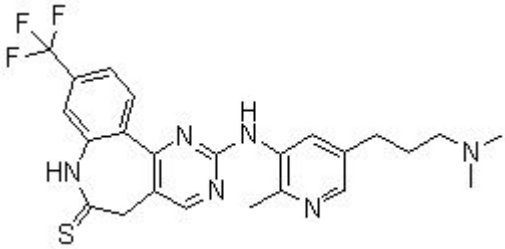


Product Introduction

MLN0905

MLN0905 is a potent inhibitor of **PLK1** with **IC50** of 2 nM.

Technical Data:

Molecular Weight (MW):	486.56	
Formula:	C ₂₄ H ₂₅ F ₃ N ₆ S	
Solubility (25°C)	DMSO 97 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder	
	6 months-80°C in DMSO	
CAS No.:	1228960-69-7	

Biological Activity

MLN0905 is a potent inhibitor of PLK1 with IC₅₀ of 2 nM. MLN0905 inhibits cell mitosis with EC₅₀ of 9 nM. MLN0905 inhibits Cdc25C-T96 phosphorylation, a direct readout of PLK1 inhibition with EC₅₀ of 29 nM. MLN0905 inhibits HT-29 viability with LD₅₀ of 22 nM. MLN0905 possesses reasonable selectivity against a panel of 359 kinases. ^[1] MLN0905 inhibits a panel of lymphoma cells viability with IC₅₀ of 3 – 24 nM. ^[2] Nude mice bearing HT-29 xenografts administered with MLN0905 (6.25 mg/Kg - 50 mg/Kg, p.o.) shows dose-dependent pharmacodynamic responses (48 hours after treatment). OCI LY-19-Luc tumor bearing mice administered with MLN0905 (3.12 mg/Kg – 6.25 mg/Kg, p.o.) shows significant pharmacodynamic

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responses and peaks at 8 hours after treatment. ^[1] MLN0905 shows antitumor efficacy in treatment with OCI LY-19-Luc xenografts bearing scid mice for 21 days. The T/C of 6.25 mg/Kg daily group is 0.15. ^[2]



References

[1] Duffey MO, et al. J Med Chem, 2012, 55(1), 197-208.

[2] Shi JQ, et al. Mol Cancer Ther, 2012, 11(9), 2045-2053.

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