PRODUCT INFORMATION



MLN0905

Item No. 31115

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CAS Registry No.:	1228960-69-7	
Formal Name:	2-[[5-[3-(dimethylamino)propyl]-2-	
	methyl-3-pyridinyl]amino]-5,7-dihydro-	() _ / H
	9-(trifluoromethyl)-6H-pyrimido[5,4-d]	N
	[1]benzazepine-6-thione	N
MF:	$C_{24}H_{25}F_3N_6S$	N N
FW:	486.6	
Purity:	≥98%	$\int $
Supplied as:	A solid	F
Storage:	-20°C	F S
Stability:	≥4 years	F H

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

MLN0905 is supplied as a solid. A stock solution may be made by dissolving the MLN0905 in the solvent of choice, which should be purged with an inert gas. MLN0905 is soluble in DMSO.

Description

MLN0905 is an inhibitor of polo-like kinase 1 (Plk1; $IC_{50} = 2 \text{ nM}$).¹ It inhibits 95% of Plk1 activity but also inhibits the activity of 10 additional kinases by greater than or equal to 90% in a panel of 359 kinases at $1 \,\mu$ M. MLN0905 reduces phosphorylation of histone H3 at serine 10 (H3S10Ph), a marker of cell cycle arrest at prometaphase, in HT-29 colorectal cancer cells (EC₃₀ = 9 nM). It inhibits proliferation in a panel of six human lymphoma cell lines with IC₅₀ values ranging from 3.2 to 24 nM.² MLN0905, alone or in combination with rituximab, increases survival in an OCI LY-19 metastatic lymphoma mouse xenograft model.

References

- 1. Duffey, M.O., Vos, T.J., Adams, R., et al. Discovery of a potent and orally bioavailable benzolactam-derived inhibitor of polo-like kinase 1 (MLN0905). J. Med. Chem. 55(1), 197-208 (2012).
- 2. Shi, J.Q., Lasky, K., Shinde, V., et al. MLN0905, a small-molecule PLK1 inhibitor, induces antitumor responses in human models of diffuse large B-cell lymphoma. Mol. Cancer Ther. 11(9), 2045-2053 (2012).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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