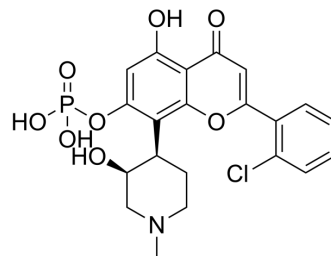


TP-1287

Cat. No.:	HY-153260
CAS No.:	2044686-42-0
Molecular Formula:	C ₂₁ H ₂₁ ClNO ₈ P
Molecular Weight:	481.82
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

Methanol : 125 mg/mL (259.43 mM; Need ultrasonic)
DMSO : 10 mg/mL (20.75 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.0755 mL	10.3773 mL	20.7546 mL
	5 mM	0.4151 mL	2.0755 mL	4.1509 mL
	10 mM	0.2075 mL	1.0377 mL	2.0755 mL

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

BIOLOGICAL ACTIVITY

Description

TP-1287, a prodrug of Alvocidib (HY-10005), is an orally active CDK9 inhibitor^[1].

IC₅₀ & Target

CDK9

In Vitro

TP-1287 suppresses MCL-1 expression via CDK9-mediated regulation of RNA polymerase II^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

TP-1287 (2.5-15 mg/kg; oral) suppresses tumor growth in models of multiple myeloma^[1].
TP-1287 is highly soluble over a broader pH range than Alvocidib (HY-10005) and is efficiently metabolized to the parent compound in vivo, following oral administration^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	RPMI-8226 xenograft model for multiple myeloma ^[1]
Dosage:	2.5, 7.5, and 15 mg/kg

Administration:	Oral
Result:	Achieved tumor growth inhibition (%TGI) of 56.0, 76.6, and 93.9% at doses of 2.5, 7.5, and 15 mg/kg, respectively.

REFERENCES

- [1]. Tyagi E, et al. The Oral CDK9 Inhibitor, TP-1287, Is Active in Non-Clinical Models of Multiple Myeloma. *Blood*, 2018, 132: 3269.
- [2]. Kim W, et al. TP-1287, an oral prodrug of the cyclin-dependent kinase-9 inhibitor alvocidib. *Cancer Research*, 2017, 77(13_Supplement): 5133-5133.
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Caution: Product has not been fully validated for medical applications. For research use only.

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