Voruciclib

Cat. No.: HY-12422

CAS No.: 1000023-04-0

Molecular Formula: $C_{22}H_{19}ClF_3NO_5$

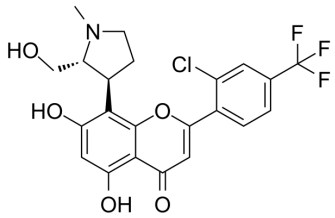
Molecular Weight: 469.84

Target: CDK

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (106.42 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1284 mL	10.6419 mL	21.2838 mL
	5 mM	0.4257 mL	2.1284 mL	4.2568 mL
	10 mM	0.2128 mL	1.0642 mL	2.1284 mL

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

BIOLOGICAL ACTIVITY

Description

Voruciclib is an orally active and selective CDK inhibitor with K_i values of 0.626 nM-9.1 nM. Voruciclib potently blocks CDK9, the transcriptional regulator of MCL-1. Voruciclib represses expression of MCL-1 in multiple models of diffuse large B-cell lymphoma (DLBCL)[1].

IC_{50} & Target

CDK9/cyc T2 0.626 nM (Ki)	CDK9/CycT1 1.68 nM (Ki)	CDK6/cycD1 2.92 nM (Ki)	CDK4/Cyc D1 3.96 nM (Ki)
CDK1/cycB 5.4 nM (Ki)	CDK1/cyc A 9.1 nM (Ki)		

In Vitro

Voruciclib (0.5-5 μM; 6 hours) shows targeted downregulation of MCL-1 in both ABC and GCB subtypes[1].

K_i values for each target such as CDK9/cyc T2, CDK9/cyc T1, CDK6/cyc D1, CDK4/cyc D1, CDK1/cyc B, and CDK1/cyc A for Voruciclib hydrochloride are 0.626 nM, 1.68 nM, 2.92 nM, 3.96 nM, 5.4 nM, 9.1 nM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	U2932, RIVA, OCI-LY10 cells (ABC subtype), NU-DHL-1, SU-DHL-4, SU-DHL-6 cells (GCB subtype)
Concentration:	0.5 μM, 1 μM, 2 μM, 3 μM, 4 μM, 5 μM
Incubation Time:	6 hours
Result:	Showed targeted downregulation of MCL-1 in both ABC and GCB subtypes.

In Vivo

Combination of Voruciclib hydrochloride (200 mpk; Oral gavage) and Venetoclax (10 mpk, 1 mpk, 50 mpk, 25 mpk in U2932, RIVA, SU-DHL-4 and NU-DHL-1, respectively) leads to enhance tumor growth inhibition compared to either drug alone in U2932, RIVA, SU-DHL-4 (six days per week for 4 weeks), and NU-DHL-1 models (five days per week for 3 weeks) of DLBCL[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	ABC subtypes (U2932, RIVA, OCI-LY10), GCB subtypes (SU-DHL-4, NU-DHL-1) xenografted in Female NOD.CB17-Prkdcscid/NCrHsd mice
Dosage:	200 mpk
Administration:	Oral gavage; U2932, RIVA, SU-DHL-4 (six days per week for 4 weeks), OCI-LY10 (six days per week for 2 weeks), NU-DHL-1 (five days per week for 3 weeks)
Result:	Enhanced tumor growth inhibition in U2932, RIVA, SU-DHL-4 and NU-DHL-1 models except in OCI-LY10 model.

REFERENCES

[1]. Dey J, et al. Voruciclib, a clinical stage oral CDK9 inhibitor, represses MCL-1 and sensitizes high-risk Diffuse Large B-cell Lymphoma to BCL2 inhibition. Sci Rep. 2017 Dec 21;7(1):18007.

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