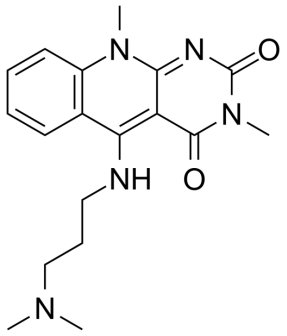
HLI373

Cat. No.:	HY-108640
CAS No.:	502137-98-6
Molecular Formula:	$C_{18}H_{23}N_5O_2$
Molecular Weight:	341.41
Target:	MDM-2/p53; Parasite; Apoptosis
Pathway:	Apoptosis; Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	HLI373 is an efficacious Hdm2 inhibitor. HLI373 inhibits the ubiquitin ligase activity of Hdm2. HLI373 is effective in inducing apoptosis of several tumor cells that are sensitive to DNA-damaging agents[1]. Antimalarial activity[2].
IC_{50} & Target	Hdm2[1]; Apoptosis[1]; Antimalarial[2]
In Vitro	HLI373 (3-15 μM; 15 hours) selectively kills tumor cells harboring wild type p53[1]. HLI373 (10-50 μM) stabilizes cellular Hdm2 in a dose-dependent manner. HLI373 (3 μM) activates p53 transcription[1]. HLI373 selectively inhibits auto-ubiquitylation of Hdm2[1]. Co-transfection with plasmids encoding p53 and Hdm2 results in degradation of p53. Incubation with HLI373 (5-10 μM; 8 hours) blocks p53 degradation. HLI373 increases p53 and Hdm2 protein levels in cells[1]. HLI 373 also shows lower IC_{50} values (below 6 μM) against both chloroquine-sensitive P. falciparum D6 strain (PfD6) and chloroquine-resistant P. falciparum W2 strain (PfW2) and exhibits early growth inhibition[2]. HLI-373 is a MDM2 inhibitor interrupting its ubiquitin E3 ligase activity, could abolish the ubiquitylation of its substrate protein p53. HLI-373 targets the C-terminus functioning as an E3 ubiquitin ligase[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Wild type p53 mouse embryo fibroblasts (MEFs), and p53-deficient MEFs
Concentration:	3, 10, 15 μM
Incubation Time:	15 hours
Result:	Increased cell death in wild type p53 MEFs in a dose-dependent manner, p53-deficient MEFs were relatively resistant.

Western Blot Analysis[1]

Cell Line:	U2OS cells
Concentration:	5, 10 μM
Incubation Time:	8 hours

Result:	Blocked p53 degradation caused by co-transfection with plasmids encoding p53 and Hdm2.

REFERENCES

[1]. Jirouta Kitagaki, et al. Targeting Tumor Cells Expressing p53 With a Water-Soluble Inhibitor of Hdm2. Mol Cancer Ther. 2008 Aug;7(8):2445-54.

[2]. Jagrati Jain, et al. Inhibitors of Ubiquitin E3 Ligase as Potential New Antimalarial Drug Leads. BMC Pharmacol Toxicol. 2017 Jun 2;18(1):40.

[3]. Ying Chen, et al. MDM2 Promotes Epithelial-Mesenchymal Transition and Metastasis of Ovarian Cancer SKOV3 Cells. Br J Cancer. 2017 Oct 10;117(8):1192-1201.

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