Product Data Sheet

Product Name: HLI373 dihydrochloride
Cat. No.: GC61608

Chemical Properties

Cas. No.	1782531-99-0		
SMILES	O=C1N=C2N(C)C3=C(C=CC=C3)C(NCCCN(C)C)=C2C(N1C)=O.[H]Cl.[H]Cl		
Formula	$C_{18}H_{25}Cl_2N_5O_2$	M.Wt	414.33
Solubility	H_2O : 38 mg/mL (91.71 mM; Need ultrasonic and warming); DMSO : 4 mg/mL (9.65 mM; Need ultrasonic and warming)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	HLI373 dihydrochloride		

Background

HLI373 dihydrochloride is an efficacious Hdm2 inhibitor. HLI373 dihydrochloride inhibits the ubiquitin ligase activity of Hdm2. HLI373 dihydrochloride is effective in inducing apoptosis of several tumor cells that are sensitive to DNA-damaging agents[1]. Antimalarial activity[2].

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 IC50 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-

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terminus functioning as an E3 ubiquitin ligase[3]. Cell Viability Assay[1] Cell Line: Wild type p53 mouse embryo fibroblasts (MEFs), and p53-deficient MEFs

[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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