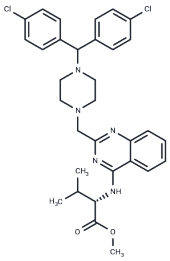
Data Sheet (Cat.No.T41068)

TargetMol

P53R3

Chemical Properties



CAS No. : 922150-12-7

Formula: C32H35Cl2N5O2

Molecular Weight: 592.56

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	P53R3 is a potent reactivator of p53, effectively restoring sequence-specific DNA binding to several p53 hot spot mutants, namely p53 R175H, p53 R248W, and p53 R273H. This compound exhibits p53-dependent antiproliferative effects with significantly higher specificity compared to PRIMA-1 and promotes the recruitment of both wild-type p53 and p53 M237I to various target gene promoters. Additionally, P53R3 markedly increases the mRNA, total protein, and cell surface expression of death receptor 5 (DR5), demonstrating its utility in cancer research.
Targets(IC50)	p53,MDM-2/p53
In vitro	P53R3, at a concentration of 10 μg/ml for 24 hours, reestablishes p53-specific DNA binding activity in WiDr colon tumor cells with p53 R273H and KLE cells with p53R175H, both in the absence or presence of the unlabelled p53 consensus oligonucleotide. Additionally, P53R3 displays a dose-dependent inhibition of proliferation in LN-308 sublines expressing mutant p53 plasmids, with a pronounced effect against p53 R175H across a wide concentration range (1-33 μg/ml; 24 hours), and a more selective efficacy against p53 R273H at higher doses. Notably, P53R3 induces a more significant anti-proliferative response through reactivation of p53 R248W compared to p53 R273H without exhibiting cytotoxicity up to its solubility limit (33 μg/ml). At a higher concentration of 33 μg/ml over 18 hours, P53R3 prompts a marked reduction in S phase cells and induces G0/G1 cell cycle arrest in LN-308 cells harboring p53 R175H and p53 R273H mutations, but does not affect the cell cycle distribution of LN-308 cells with p53 R248W. Through a cell viability assay on LN-308 human glioma cells carrying control, p53 R175H, p53 R248W, and p53 R273H plasmids, it induces both p53-dependent and -independent anti-proliferative and cytotoxic effects in vitro [1].

Solubility Information

Solubility	DMSO: 90 mg/mL (151.88 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6876 mL	8.438 mL	16.8759 mL
5 mM	0.3375 mL	1.6876 mL	3.3752 mL
10 mM	0.1688 mL	0.8438 mL	1.6876 mL
50 mM	0.0338 mL	0.1688 mL	0.3375 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Alejandro Parrales, et al. Targeting Oncogenic Mutant p53 for Cancer Therapy. Front Oncol