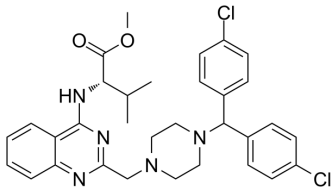
P53R3

Cat. No.:	HY-122578
CAS No.:	922150-12-7
Molecular Formula:	$C_{32}H_{35}Cl_2N_5O_2$
Molecular Weight:	592.56
Target:	MDM-2/p53
Pathway:	Apoptosis
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (168.76 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6876 mL	8.4380 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

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

BIOLOGICAL ACTIVITY

Description

P53R3 is a potent p53 reactivator and restores sequence-specific DNA binding of p53 hot spot mutants, including $p53^{R175H}$, $p53^{R248W}$ and $p53^{R273H}$. P53R3 induces p53-dependent antiproliferative effects with much higher specificity than PRIMA-1. P53R3 enhances the recruitment of wild-type p53 and $p53^{M237I}$ to several target gene promoters. P53R3 strongly enhances the mRNA, total protein and cell surface expression of the death receptor death receptor 5 (DR5). P53R3 is used for cancer research[1].

In Vitro

P53R3 (10 μg/ml; 24 hours; in the absence or presence of the unlabelled p53 consensus oligonucleotide) restores p53-specific DNA binding activity to $p53^{R273H}$ (a DNA contact mutant) and p53R175H (a structural mutant) in WiDr colon tumour cells harbouring $p53^{R273H}$ and KLE cells with p53R175H[1].

P53R3 (1-33 μg/ml; 24 hours) inhibits the proliferation of the LN-308 sublines expressing mutant p53 plasmids in a p53-dependent manner. The $p53^{R175H}$-dependent effects are strong over a broad range of concentrations, but $p53^{R273H}$-dependent effects are weaker and requires high concentrations of P53R3[1].

P53R3 induces $p53^{R248W}$ reactivation is more pronounced proliferation inhibition than observed with $p53^{R273H}$. P53R3 does not exhibit cytotoxic effects even at concentrations close to its solubility limit (33 μg/ml)[1].

P53R3 (33 μg/ml; 18 hours) induces a strong decrease in S phase cells and a G0/G1 cell cycle arrest in LN-308 $p53^{R175H}$ and LN-308 $p53^{R273H}$ cells. But it does not affect cell cycle distribution of LN-308 $p53^{R248W}$ cells[1].

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

Cell Viability Assay[1]

Cell Line:	p53 null LN-308 human glioma cells with a control plasmid or plasmids encoding the mutants p53R175H, p53R248W and p53R273H
Concentration:	1-33 μg/mL
Incubation Time:	24 hours
Result:	Induced p53-dependent and -independent antiproliferative and cytotoxic effects in vitro.

REFERENCES

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

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