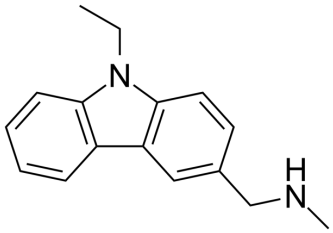
PhiKan 083

Cat. No.:	HY-108637
CAS No.:	880813-36-5
Molecular Formula:	$C_{16}H_{18}N_2$
Molecular Weight:	238.33
Target:	MDM-2/p53
Pathway:	Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (419.59 mM; Need ultrasonic)
Ethanol : 100 mg/mL (419.59 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.1959 mL	20.9793 mL	41.9586 mL
	5 mM	0.8392 mL	4.1959 mL	8.3917 mL
	10 mM	0.4196 mL	2.0979 mL	4.1959 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.49 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.17 mg/mL (9.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.17 mg/mL (9.11 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PhiKan 083 is a carbazole derivative, which binds to the surface cavity and stabilizes Y220C (a p53 mutant), with a K_d of 167 μM. PhiKan 083 can be used for cancer research[1].

IC_{50} & Target

Kd: 167 μM (p53-Y220C)[1], 150 μM ($p53^{Y220C}$, in Ln229 cells)[3]

In Vitro

PhiKan 083 is a carbazole derivative, which binds to the surface cavity and stabilizes Y220C (a p53 mutant), with a K_d of 167 μ

M[1], shows a relative binding affinity (K_d) of 150 μM for $p53^{Y220C}$ in Ln229 cells[3].

PhiKan 083 slows down its thermal denaturation rate[2].

PhiKan 083 (125 μM, 48 hours) reduces the cell viability of engineered variants of Ln229 cells[3].

PhiKan 083 (100 μM) in conbination with NSC 123127 (1 μM) enhances the pro-apoptotic activity in all variants of Ln229 cells ($p53^{wt}$, $p53^{Y220C}$, $p53^{G245S}$, $p53^{R282W}$)[3].

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

Cell Viability Assay[1]

Cell Line:	Ln229, Ln229-p53-wt, Ln229-p53-Y220C, Ln229-p53-G245S, Ln229-p53-R282W cells
Concentration:	125 μM
Incubation Time:	48 hours
Result:	Caused ⊠70 ± 5% reduction in cell viability of variants of Ln229 cells.

REFERENCES

[1]. Boeckler FM, et al. Targeted rescue of a destabilized mutant of p53 by an in silico screened drug. Proc Natl Acad Sci U S A. 2008 Jul 29;105(30):10360-5.

[2]. Rauf SM, et al. Effect of Y220C mutation on p53 and its rescue mechanism: a computer chemistry approach. Protein J. 2013 Jan;32(1):68-74.

[3]. Paulmurugan R, et al. A protein folding molecular imaging biosensor monitors the effects of drugs that restore mutant p53 structure and its downstream function in glioblastoma cells. Oncotarget. 2018 Apr 20;9(30):21495-21511.

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