PhiKan 083 hydrochloride

Cat. No.: HY-108637A

CAS No.: 1050480-30-2

Molecular Formula: $C_{16}H_{19}ClN_2$

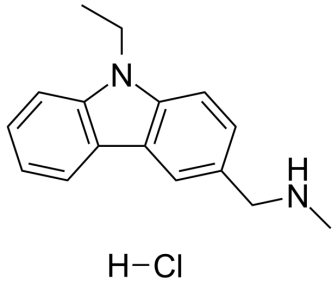
Molecular Weight: 274.79

Target: MDM-2/p53

Pathway: Apoptosis

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (227.45 mM; ultrasonic and warming and heat to 60°C)

H_2O : 2 mg/mL (7.28 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.6391 mL	18.1957 mL	36.3914 mL
5 mM	0.7278 mL	3.6391 mL	7.2783 mL
10 mM	0.3639 mL	1.8196 mL	3.6391 mL

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

BIOLOGICAL ACTIVITY

Description

PhiKan 083 hydrochloride is a carbazole derivative, which binds to the surface cavity and stabilizes Y220C (a p53 mutant), with a K_d of 167 μM[1], and a relative binding affinity (K_d) of 150 μM in Ln229 cells[3].

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 μM[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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