Product Data Sheet

Product Name: PhiKan 083 hydrochloride
Cat. No.: GC36896

Chemical Properties

Cas. No.	1050480-30-2		
SMILES	CNCC1=CC2=C(C=C1)N(CC)C3=C2C=CC=C3.[H]Cl		
Formula	$C_{16}H_{19}ClN_2$	M.Wt	274.79
Solubility	Water: 2 mg/mL (7.28 mM and warming)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Caution: Product has not been fully validated for medical applications. For research use only.
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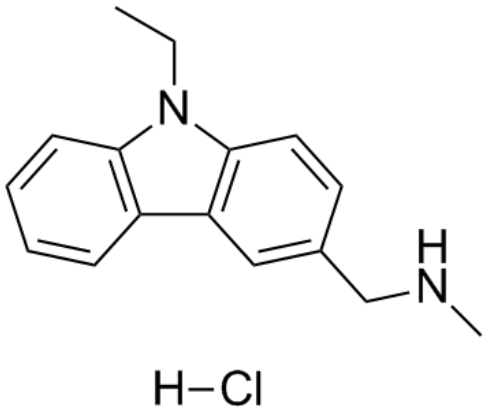
Structure



Background

PhiKan 083 hydrochloride is a carbazole derivative, which binds to the surface cavity and stabilizes Y220C (a p53 mutant), with a Kd of 167 μM[1], and a relative binding affinity (Kd) of 150 μM in Ln229 cells[3]. Kd: 167 μM (p53-Y220C)[1], 150 μM (p53Y220C, in Ln229 cells)[3]

PhiKan 083 is a carbazole derivative, which binds to the surface cavity and stabilizes Y220C (a p53 mutant), with a Kd of 167 μM[1], shows a relative binding affinity (Kd) of 150 μM for p53Y220C 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 doxorubicin (1 μM) enhances the pro-apoptotic activity in all variants of Ln229 cells (p53wt, p53Y220C, p53G245S, p53R282W)[3]. Cell Viability Assay[1] Cell Line: Ln229, Ln229-p53-wt, Ln229-p53-Y220C, Ln229-p53-G245S, Ln229-p53-R282W cells

[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.