3-IN-PP1

Cat. No.: HY-151374

CAS No.: 2227110-54-3

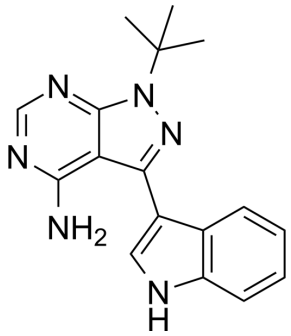
Molecular Formula: $C_{17}H_{18}N_6$

Molecular Weight: 306.37

Target: PKD

Pathway: Apoptosis

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (326.40 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2640 mL	16.3201 mL	32.6403 mL
	5 mM	0.6528 mL	3.2640 mL	6.5281 mL
	10 mM	0.3264 mL	1.6320 mL	3.2640 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.16 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.16 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.16 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

3-IN-PP1 is a protein kinase D (PKD) inhibitor. 3-IN-PP1 has potent pan-PKD inhibitory activity for PKD1, PKD2 and PKD3 with IC_{50} values of 108, 94 and 108 nM, respectively. 3-IN-PP1 also is a broad spectrum anticancer agent and has inhibition of several tumor cells growth. 3-IN-PP1 can be used for the research of cancer[1].

IC_{50} & Target			
	PKD1 108 nM (IC_{50})	Cellular PKD2 94 nM (IC_{50})	PKD3 108 nM (IC_{50})

In Vitro

3-IN-PP1 has potent pan-PKD inhibitory activity for PKD1, PKD2 and PKD3 with IC_{50} values of 108, 94 and 108 nM,

respectively[1].
3-IN-PP1 (5 μM, 0-114 h) displays potent anti-proliferative activity against PANC-1 cells[1].
3-IN-PP1 (20 μM, 1 h) potently blocks PKD-dependent cortactin phosphorylation in PANC-1 cells[1].
3-IN-PP1 has inhibition of different tumor cell growth with IC_{50} values range from 1.6 to 39.2μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	PANC-1 cell
Concentration:	5 μM
Incubation Time:	0-114 h
Result:	Significantly inhibited PANC-1 cell proliferation after incubation for 96 and 144 hours.

Western Blot Analysis[1]

Cell Line:	PANC-1 cells
Concentration:	20 μM
Incubation Time:	1 h
Result:	Reduced cortactin phosphorylation in PANC-1 cells.

REFERENCES

[1]. Philippe Gilles, et al. Design, synthesis and biological evaluation of pyrazolo[3,4-d]pyrimidine-based protein kinase D inhibitors. Eur J Med Chem

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