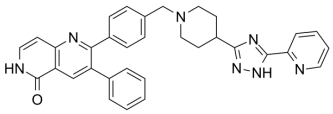
Akt1/Akt2-IN-1

Cat. No.:	HY-50862
CAS No.:	893422-47-4
Molecular Formula:	$C_{33}H_{29}N_7O$
Molecular Weight:	539.63
Target:	Akt
Pathway:	PI3K/Akt/mTOR
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 35 mg/mL (64.86 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8531 mL	9.2656 mL	18.5312 mL
5 mM	0.3706 mL	1.8531 mL	3.7062 mL
10 mM	0.1853 mL	0.9266 mL	1.8531 mL

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

BIOLOGICAL ACTIVITY

Description

Akt1/Akt2-IN-1 (Compound 17) is an allosteric inhibitor of Akt1 (IC_{50}=3.5 nM) and Akt2 (IC_{50}=42 nM), with potent and balanced activity[1].

IC_{50} & Target

Akt1	Akt2
3.5 nM (IC_{50})	42 nM (IC_{50})

In Vitro

Consistent with the allosteric mode of inhibition, Akt1/Akt2-IN-1 (Compound 17) is dependent on the PH-domain for Akt inhibition, is selective for Akt1/2 over Akt3 (IC_{50}=1900 nM), and is highly selective over other members of the AGC family of kinases (>50 μM vs PKA, PKC, SGK). Akt1/Akt2-IN-1 has moderate activity in an hERG binding assay (IC_{50}=5610 nM) and is a substrate for human P-glycoprotein[1].

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

In Vivo

Akt1/Akt2-IN-1 (Compound 17) is well tolerated in at exposures that provide high levels of Akt1 and 2 inhibition in vivo. Akt1/Akt2-IN-1 has also been shown to inhibit the growth of A2780 tumors in vivo when used as monotherapy. Akt1/Akt2-IN-

	1 has potent inhibitory activity against Akt1 and 2 in vivo in a mouse lung and efficacy in a tumor xenograft model. Akt1/Akt2-IN-1 shows good pharmacokinetics in rat with a low clearance of 4.6 mL/min/kg and a half-life of 3.8 h. Due to the improved cell potency, physical properties, and rodent pharmacokinetics of Akt1/Akt2-IN-1, tolerability and Akt inhibition are assessed in mice. Using an acute dosing schedule (IP dosing of 50 mg/kg at times 0, 3, and 8 h), administration of Akt1/Akt2-IN-1 is well tolerated in mice and shows high levels of Akt inhibition in mouse lung[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bilodeau MT, Allosteric inhibitors of Akt1 and Akt2: a naphthyridinone with efficacy in an A2780 tumor xenograft model. Bioorg Med Chem Lett. 2008 Jun 1;18(11):3178-82.

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