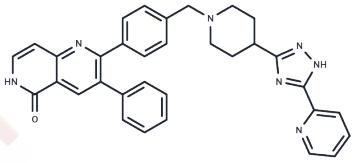
# Data Sheet (Cat.No.T14152)

TargetMol

## Akt1 and Akt2-IN-1

### Chemical Properties



CAS No. : 893422-47-4

Formula: C33H29N7O

Molecular Weight: 539.63

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

### Biological Description

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|---|---|
| Description | Akt1 and Akt2-IN-1 is an allosteric inhibitor of Akt1 (IC50=3.5 nM) and Akt2 (IC50=42 nM). It has potent and balanced activity. |
| Targets(IC50) | Akt |
| In vitro | Akt1 and Akt2-IN-1 (Compound 17) has moderate activity in an hERG binding assay (IC50=5610 nM) and is a substrate for human P-glycoprotein[1]. Consistent with the allosteric mode of inhibition, Akt1 and Akt2-IN-1 (Compound 17) is dependent on the PH-domain for Akt inhibition. Akt1 and Akt2-IN-1 (Compound 17) is selective for Akt1/2 over Akt3 (IC50=1900 nM), and is highly selective over other members of the AGC family of kinases (>50 μM vs PKA, PKC, SGK). |
| In vivo | Akt1 and Akt2-IN-1 (Compound 17) is well tolerated in at exposures that provide high levels of Akt1 and 2 inhibition in vivo. Akt1 and Akt2-IN-1 (Compound 17) 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 and Akt2-IN-1 (Compound 17), tolerability and Akt inhibition are assessed in mice. Akt1 and Akt2-IN-1 (Compound 17) has also been shown to inhibit the growth of A2780 tumors in vivo when used as monotherapy and has potent inhibitory activity against Akt1 and 2 in vivo in a mouse lung and efficacy in a tumor xenograft model. Using an acute dosing schedule (IP dosing of 50 mg/kg at times 0, 3, and 8 h), administration of Akt1 and Akt2-IN-1 (Compound 17) is well tolerated in mice and shows high levels of Akt inhibition in mouse lung[1]. |

### Solubility Information

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|---|---|
| Solubility | DMSO: 35 mg/mL (64.86 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 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 |
| 50 mM | 0.0371 mL | 0.1853 mL | 0.3706 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.