Web: www.taiclone.com
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Akt1 and Akt2-IN-1

Catalog No: tcsc5181

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
893422-47-4

Formula:
$C_{33}H_{29}N_7O$

Pathway:
PI3K/Akt/mTOR

Target:
Akt

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 35 mg/mL (64.86 mM)

Observed Molecular Weight:
539.63

Product Description

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

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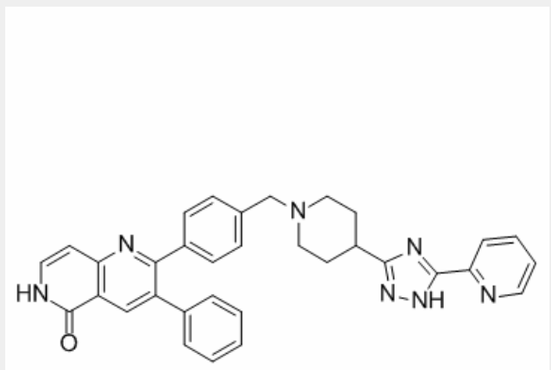
IC50 & Target: IC50: 3.5 nM (Akt1), 42 nM (Akt2)[1]

In Vitro: Consistent with the allosteric mode of inhibition, Akt1 and 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 and Akt2-IN-1 (Compound 17) has moderate activity in an hERG binding assay (IC_{50}=5610 nM) and is a substrate for human P-glycoprotein[1].

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) has also been shown to inhibit the growth of A2780 tumors in vivo when used as monotherapy. Akt1 and Akt2-IN-1 (Compound 17) has potent inhibitory activity against Akt1 and 2 in vivo in a mouse lung and efficacy in a tumor xenograft model. 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. 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].



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