Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

A66

Catalog No: tcsc0477

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1166227-08-2

Formula:
$C_{17}H_{23}N_5O_2S_2$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
393.53

Product Description

A66 is a highly specific and selective **p110α** inhibitor with an **IC_{50}** of 32 nM.

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IC50 & Target: IC50: 32 nM (p110α), 30 nM (p110α E545K), 43 nM (p110α H1047R), 3480 nM (p110γ)[1]

In Vitro: A66 is a potent inhibitor of the wild-type and oncogenic forms of p110α but not other class-I PI3K isoforms[1]. The p110α-specific inhibitor A66 (0.7 μM) induces a 75-80% reduction in focus formation by the highly transforming iSH2 mutants KS459delN, DKRMNS560del, and K379E. The p110α-specific inhibitor A66 reduced phosphorylation of Akt on T308 by all p85 mutants[2].

In Vivo: The optimal dosing strategy for xenograft studies is determined by investigating the drug pharmacokinetics after a dose of 10 mg/kg of body weight by intraperitoneal injection in CD-1 mice. Despite a short half-life of only 0.42 h, the large C_{max} (8247 nM) of A66 S that is reached 30 min after dosing ensured that the $AUC_{0\text{-}inf}$ (area under the curve from zero time to infinity) (6809 nM•h) is similar to that of BEZ-235 (7333 nM•h), which has a longer half-life of 2.73 h. Furthermore, the A66 on SK-OV-3 tumour tissue is tested using a single dose of 100 mg/kg of body weight to determine whether a long-lasting effect of the drug could be achieved on target tissues. These studies show that A66 causes a profound reduction in the phosphorylation of Akt/PKB and p70 S6 kinase, but not of ERK (extracellular-signal-regulated kinase), at both 1 and 6 h after dosing. Levels of A66 in plasma are determined to be 21.1±1.2 μM and 9.1±1.1 μM at 1 and 6 h after drug injection, whereas levels of A66 in the tumor are 22.7±2.1 μM and 16.0±1.3 μM at the same time points[1].