

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

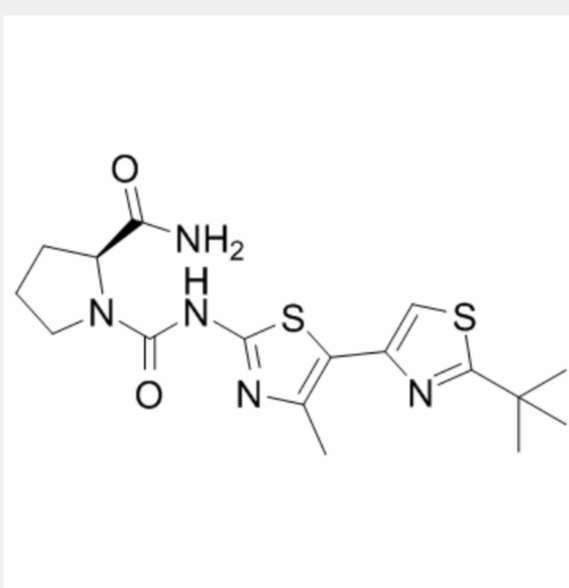
Product Description

A66 is a highly specific and selective **p110α** inhibitor with an **IC₅₀** of 32 nM.

IC50 & Target: IC50: 32 nM (p110 α), 30 nM (p110 α E545K), 43 nM (p110 α H1047R), 3480 nM (p110 γ)^[1]

In Vitro: A66 is a potent inhibitor of the wild-type and oncogenic forms of p110 α but not other class-I PI3K isoforms^[1]. The p110 α -specific inhibitor A66 (0.7 μ M) induces a 75-80% reduction in focus formation by the highly transforming iSH2 mutants KS459delN, DKRMNS560del, and K379E. The p110 α -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-inf} (area under the curve from zero time to infinity) (6809 nM \cdot h) is similar to that of BEZ-235 (7333 nM \cdot 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 $21.1 \pm 1.2 \mu$ M and $9.1 \pm 1.1 \mu$ M at 1 and 6 h after drug injection, whereas levels of A66 in the tumor are $22.7 \pm 2.1 \mu$ M and $16.0 \pm 1.3 \mu$ M at the same time points^[1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!