

# 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

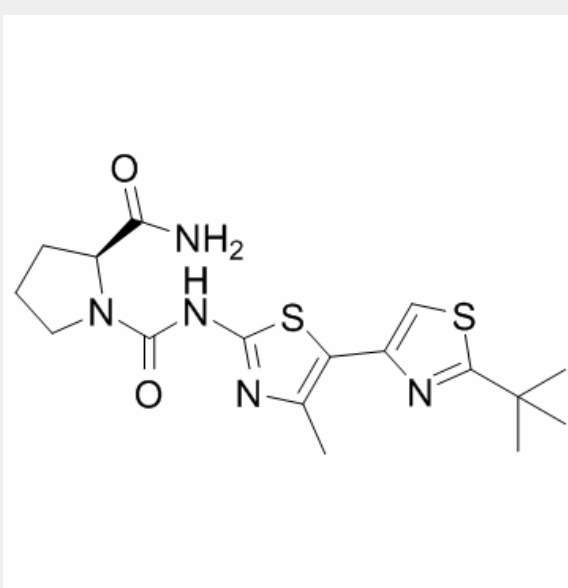
## Product Description

A66 is a highly specific and selective **p110α** inhibitor with an **IC<sub>50</sub>** of 32 nM.

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

**In Vitro:** A66 is a potent inhibitor of the wild-type and oncogenic forms of p110α but not other class-I PI3K isoforms<sup>[1]</sup>. 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<sup>[2]</sup>.

**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<sub>max</sub> (8247 nM) of A66 S that is reached 30 min after dosing ensured that the AUC<sub>0-inf</sub> (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<sup>[1]</sup>.



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