

# AT13148

**Catalog No: tcsc3136**



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

1056901-62-2

**Formula:**

$C_{17}H_{16}ClN_3O$

**Pathway:**

Stem Cell/Wnt;Protein Tyrosine Kinase/RTK;TGF-beta/Smad;Stem Cell/Wnt;Cell Cycle/DNA Damage;PI3K/Akt/mTOR;MAPK/ERK Pathway

**Target:**

PKA;PKA;ROCK;ROCK;ROCK;Akt;Ribosomal S6 Kinase (RSK)

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Observed Molecular Weight:**

313.78

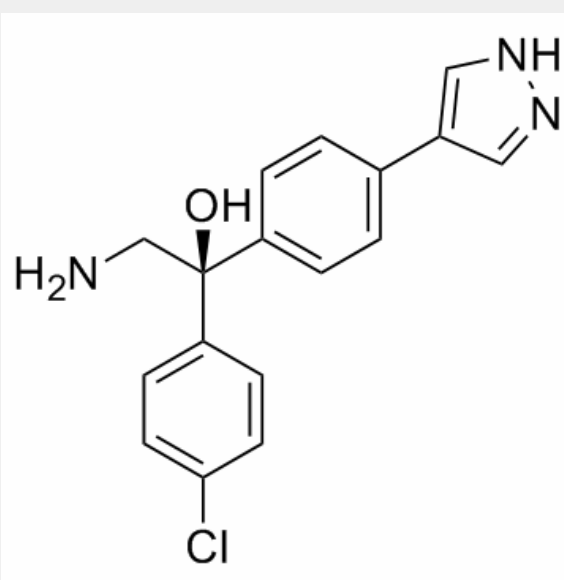
## Product Description

AT13148 is an orally active and ATP-competitive, multi-**AGC kinase** inhibitor with **IC<sub>50</sub>**s of 38 nM/402 nM/50 nM, 8 nM, 3 nM, and 6 nM/4 nM for Akt1/2/3, p70S6K, PKA, and ROCKI/II, respectively.

IC50 & Target: IC50: 38 nM (Akt1), 402 nM (Akt2), 50 nM (Akt3), 8 nM (p70S6K), 3 nM (PKA), 6 nM (ROCKI), 4 nM (ROCKII)

**In Vitro:** AT13148 inhibits a panel of kinases at 10  $\mu$ M, and the IC<sub>50</sub> values for p70S6K, PKA, ROCKI, and ROCKII are all less than 10 nM and those for AKT1, 2, and 3 are 38, 402, and 50 nM, respectively. For the related AGC kinases RSK1 and SGK3, the IC<sub>50</sub> values are 85 and 63 nM, respectively. In contrast, IC<sub>50</sub> values for the non-AGC kinases CHK2 and Aurora B are both greater than 800 nM. AT13148 potently inhibits proliferation with GI<sub>50</sub> values of 1.5 to 3.8  $\mu$ M across a selected panel of cancer cell lines<sup>[1]</sup>. AT13148 treatment in gastric cancer cells dramatically suppresses activation of multiple AGC kinases, including Akt (at p-Thr-308), p70S6 kinase (p70S6K), glycogen synthase kinase 3 $\beta$  (GSK-3 $\beta$ ) and p90 ribosomal S6 kinase (RSK)<sup>[2]</sup>.

**In Vivo:** Oral drug administration of 5 mg/kg of AT13148 results in complete bioavailability. Clear inhibition of phosphorylation of the AKT substrates GSK3 $\beta$ , tuberin, and the p70S6K target S6RP are also observed in PTEN-deficient MES-SA human uterine tumor xenografts after treatment with 40 and 50 mg/kg p.o. of AT13148<sup>[1]</sup>. Oral gavage of AT13148 at well-tolerated doses significantly inhibits HGC27 xenograft tumor growth in nude mice. AGC activity is also dramatically decreased in AT13148-administrated HGC27 tumors<sup>[2]</sup>.



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