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

# Apatinib

## Catalog No: tcsc0694

### Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg

### Specifications

**CAS No:**
1218779-75-9

**Formula:**
$C_{25}H_{27}N_5O_4S$

**Pathway:**
Protein Tyrosine Kinase/RTK;Autophagy

**Target:**
VEGFR;Autophagy

**Purity / Grade:**
>98%

**Solubility:**
DMSO : ≥ 32 mg/mL (64.83 mM)

**Alternative Names:**
YN968D1

**Observed Molecular Weight:**
493.58

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## Product Description

Apatinib is a selective **VEGFR2** inhibitor with **$IC_{50}$** of 1 nM. Apatinib also potently suppresses the activities of Ret, c-Kit and c-Src with $IC_{50}$s of 13, 429 and 530 nM, respectively. Apatinib has no significant effects on EGFR, Her-2 or FGFR1 in concentrations up to 10 μM.

IC50 & Target: IC50: 1 nM (VEGFR2), 13 nM (Ret), 429 nM (c-Kit), 530 nM (c-Src)[1]

***In Vitro:*** Apatinib (YN968D1) slightly inhibits proliferation of HUVEC stimulated by 20% FBS ($IC_{50}$=23.4 μM), whereas Apatinib significantly inhibits proliferation stimulated by 20 ng/mL VEGF ($IC_{50}$=0.17 μM). The $IC_{50}$ values of Sunitinib are lower under the same conditions (7.4 μM and 0.034 μM, respectively). 1 μM Apatinib significantly inhibits the migration of HUVEC induced by FBS, but does not affect proliferation of HUVEC, indicating that the inhibitory effect of Apatinib on FBS-induced migration is not due to the suppression of proliferation. At a concentration of 1 μM, Sunitinib also inhibits the migration of HUVEC[1].

***In Vivo:*** The antitumor potential of Apatinib (YN968D1) is evaluated in six human tumor xenografts in immunodeficient mice. Once-daily oral administration of Apatinib produces a dose-dependent inhibition of tumor growth in all tumor models examined. Statistically significant growth inhibition is obtained with 50 mg/kg per day Apatinib in three of five tumor xenografts tested. Each tumor xenograft model is significantly growth inhibited by Apatinib at the dose of 100 kg/day. Similar tumor growth inhibition is observed (T/C%, 8% to 18%) in mice following treatment with Apatinib at the dose of 200 kg/day. Full growth inhibition profiles are shown for three of the xenografts. Compared with the control animals, no effect of Apatinib treatment on bodyweight is observed at any dose level, which suggested that Apatinib is well tolerated[1].