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

AST 487

Catalog No: tcsc0779

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
630124-46-8

Formula:
$C_{26}H_{30}F_3N_7O_2$

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

Target:
VEGFR;Bcr-Abl;FLT3;c-Kit

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (188.84 mM)

Alternative Names:
NVP-AST 487

Observed Molecular Weight:
529.56

Product Description

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AST 487 is a **RET** kinase inhibitor with **IC_{50}** of 880 nM, inhibits RET autophosphorylation and activation of downstream effectors, also inhibits **Flt-3** with **IC_{50}** of 520 nM.

IC50 & Target: IC50: 880 nM (RET), 170 nM (KDR), 790 nM (Flt-4), 500 nM (c-Kit), 520 nM (Flt-3), 20 nM (Abl)[1]

In Vitro: A number of other kinases are also similarly inhibited by AST 487 (NVP-AST487) in the in vitro kinase assays, including KDR (IC_{50}=170 nM), Flt-4 (IC_{50}=790 nM), Flt-3 (IC_{50}=520 nM), c-Kit (IC_{50}=500 nM), and c-Abl (IC_{50}=20 nM). AST 487 potently inhibits the growth of human thyroid cancer cell lines with activating mutations of *RET* but not of lines without *RET* mutations. Both GDNF/GFRα1 and persephin-induced calcitonin mRNA are markedly inhibited by coincubation with 100 nM of AST 487 in MTC-M cells[1]. AST 487 is a novel, mutant FLT3 inhibitor. AST 487 is tested in biochemical assays for inhibition of Flt-3 kinase activity. The K_i is determined to be 0.12 μM. Besides Flt-3, NVP-AST487 inhibits RET, KDR, c-Kit, and c-Abl kinase with IC_{50} values below 1 μM. Treatment of FLT3-ITD-Ba/F3 cells and D835Y-Ba/F3 cells with AST 487 potently inhibits cellular proliferation (IC_{50}[2].

In Vivo: After a single oral administration of 15 mg/kg of AST 487 to OF1 mice, a mean peak plasma level (C_{max}) of 0.505±0.078 μM SE is achieved after 0.5 h. Similar levels of AST 487 are found in the plasma of mice up to 6 h after oral administration, with a C_{last} of 21±4 nM at 24 h. The oral bioavailability is calculated to be 9.7% with a $t_{1/2}$ terminal elimination of 1.5 h[1].