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

Pimasertib

Catalog No: tcsc0198

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1236699-92-5

Formula:
$C_{15}H_{15}FIN_3O_3$

Pathway:
MAPK/ERK Pathway

Target:
MEK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (231.91 mM)

Alternative Names:
AS703026;MSC1936369B

Observed Molecular Weight:
431.2

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Product Description

Pimasertib (AS703026) is a highly selective, potent, ATP non-competitive allosteric inhibitor of **MEK1/2**, used for cancer treatment.

In Vitro: Pimasertib (5, 0.5, and 0.1 μM) specifically blocks ERK1/2 activation in MM cells, cultured alone or with BMSCs. Pimasertib inhibits the growth of MM cell lines in a dose-dependent manner, with IC_{50}s ranging from 0.005 to 2 μM. The IC_{50}s of Pimasertib against INA-6, U266, H929 cells are 10 nM, 5 nM, 200 nM respectively. Pimasertib induces apoptosis and modulates the cell cycle profile. Pimasertib targets MM cells in the BM microenvironment[1]. Pimasertib (10 μmol/L) inhibits ERK pathway, proliferation, and transformation in cetuximab-resistant D-MUT cells[2]. Pimasertib in combination with PLX4032 significantly induces apoptosis of RPMI-7951 cells, whereas each drug used alone does not. Pimasertib synergizes with small interfering RNA-mediated downregulation of BRAF to produce results similar to those of combined treatment with PLX4032 and Pimasertib[3].

In Vivo: Pimasertib (15, 30 mg/kg) significantly inhibits the growth of tumor in the human H929 MM xenograft model in CB17 SCID mice[1]. Pimasertib (10 mg/kg, p.o.) inhibits tumor growth of cetuximab-resistant tumor attributed by K-ras mutation[2].