

# 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 :  $\geq 100$  mg/mL (231.91 mM)

**Alternative Names:**

AS703026;MSC1936369B

**Observed Molecular Weight:**

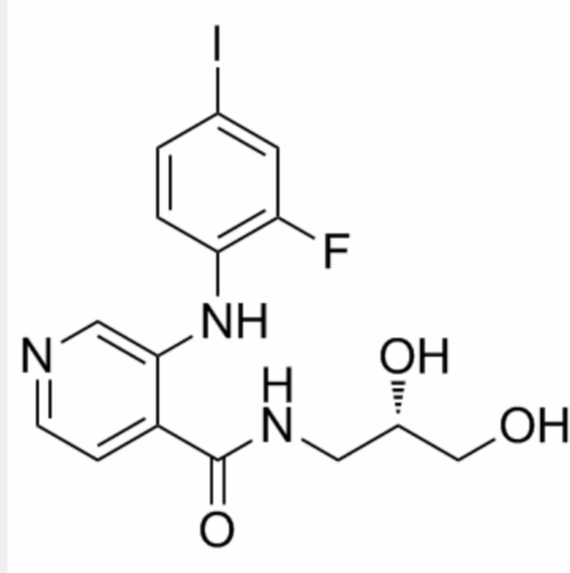
431.2

## 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  $\mu\text{M}$ ) 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  $\text{IC}_{50}$ s ranging from 0.005 to 2  $\mu\text{M}$ . The  $\text{IC}_{50}$ 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<sup>[1]</sup>. Pimasertib (10  $\mu\text{mol/L}$ ) inhibits ERK pathway, proliferation, and transformation in cetuximab-resistant D-MUT cells<sup>[2]</sup>. 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<sup>[3]</sup>.

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



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