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

Vistusertib

Catalog No: tcsc0701

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1009298-59-2

Formula:
$C_{25}H_{30}N_6O_3$

Pathway:
PI3K/Akt/mTOR;Autophagy

Target:
mTOR;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 50 mg/mL (108.10 mM); H2O :

Alternative Names:
AZD2014

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Observed Molecular Weight:
462.54

Product Description

Vistusertib (AZD2014) is an ATP competitive **mTOR** inhibitor with an **IC_{50}** of 2.81 nM. AZD2014 inhibits both **mTORC1** and **mTORC2** complexes.

IC50 & Target: IC50: 2.81 nM (mTOR), 3.766 μM (PI3Kα)[1]

In Vitro: The inhibitory effects of Vistusertib (AZD2014) are measured against isolated recombinant mTOR enzyme (IC_{50} of 2.81 nM) as well as in cellular assays measuring both mTORC1 and mTORC2 activities. In MDAMB468 cells, Vistusertib (AZD2014) decreases the phosphorylation of the mTORC1 substrate ribosomal protein S6 (Ser235/236) with a mean IC_{50} value of 210 nM and the mTORC2 substrate AKT (Ser473) with a mean IC_{50} value of 78 nM[1].

In Vivo: Vistusertib (AZD2014) induces dose-dependent tumor growth inhibition in several xenograft and primary explant models. The antitumor activity of Vistusertib (AZD2014) is associated with modulation of both mTORC1 and mTORC2 substrates, consistent with its mechanism of action. The pharmacokinetics of Vistusertib (AZD2014) in mice is tested upon administration of doses between 7.5 and 15 mg/kg. A dose-dependent increase in C_{max} and AUC is observed following single dose and repeat dosing of AZD2014: C_{max} range from 1 to 16 μM and AUC range from 220 to 5,042 μM·h across this dose range. The pharmacodynamic effect of Vistusertib (AZD2014) against an mTORC1 biomarker (phosphorylation of S6) and an mTORC2 biomarker (phosphorylation of AKT) is assessed in SCID mice bearing MCF7 xenografts following administration of 3.75, 7.5, and 15 mg/kg AZD2014. There is a good relationship between the drug plasma concentrations and biomarker levels (estimated p-AKT IC_{50} of 0.119 μM total, 53% SE, and estimated p-S6 IC_{50} 0.392 μM, 28.8% SE)[1].