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

Filanesib

Catalog No: tcsc0867

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
885060-09-3

Formula:
$C_{20}H_{22}F_2N_4O_2S$

Pathway:
Cytoskeleton;Cell Cycle/DNA Damage

Target:
Kinesin;Kinesin

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
ARRY-520

Observed Molecular Weight:
420.48

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Product Description

Filanesib (ARRY-520) is a synthetic kinesin spindle protein (**KSP**) inhibitor with **IC_{50}** of 6 nM.

IC50 & Target: IC50: 6 nM (KSP)[1]

In Vitro: Filanesib (ARRY-520) retains activity in multidrug-resistant cell lines. The EC_{50}s of Filanesib (ARRY-520) for inhibition of proliferation of HCT-15, NCI/ADR-RES and K562/ADR cells are 3.7, 14 and 4.2 nM respectively. Filanesib (ARRY-520) (10 nM) blocks a majority of cells in mitosis with the monopolar spindle structure typical of KSP inhibition[1]. Filanesib (ARRY-520) (10 nM) induces mitotic arrest as judged by both increased phosphorylation of histone H3 (pHH3) and accumulation of cyclin B1 in four cells[2]. Filanesib (ARRY-520) and Paclitaxel exhibit the same cytotoxic effect on Type I and II cells. The GI_{50} at 48 h for Type II EOC cells is 0.0015 μM for ARRY-520. For Type I EOC cells, the GI_{50} at 48 h is > 3 μM for ARRY-520[3]. Filanesib (ARRY-520) (1 nM) induces significant G2M cell cycle block in OCI-AML3 cells at 24 hours[4].

In Vivo: Filanesib (ARRY-520) (10, 15, 20, 30 mg/kg, i.p.) is active in UISO-BCA-1 xenograft, and also superior to paclitaxel in mice bearing subcutaneous HT-29, HCT-116, MDA-MB-231 and A2780 xenografts. ARRY-520 is superior to docetaxel in the androgen receptor-negative prostate cancer xenograft model PC-3, and is also superior to docetaxel in the DU145 prostate xenograft model[1]. RPMI 8226 tumor xenografts are particularly sensitive to low doses of ARRY-520 (12.5 mg/kg, i.p.)[2]. ARRY-520 significantly inhibits tumor growth in HL60 and MV4-11 xenografts of SCID mice at concentrations of 27 mg/kg and 20 mg/kg, respectively[4].