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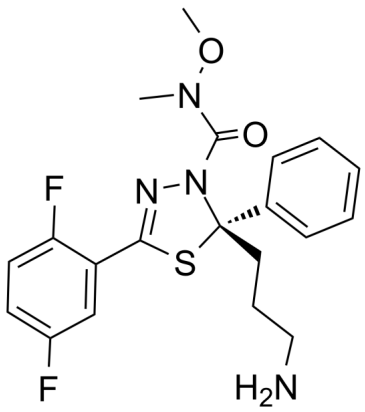
Certificate of Analysis

Catalog Number	BP13022
Product Name	Filanesib

Physical and Chemical Properties

Synonyms	ARRY 520
CAS No.	885060-09-3
Chemical Formula	C20H22F2N4O2S
Molecular Weight	420.48
Solubility	DMSO: 95 mg/mL (225.93 mM)
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

Product Information

Description	ARRY-520 (Filanesib) is a synthetic kinesin spindle protein (KSP) inhibitor (IC50: 6 nM).

Targets&IC50	KSP:6 nM
In vitro	ARRY-520 retains activity in multidrug-resistant cell lines. The EC50s of 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. ARRY-520 (10 nM) blocks a majority of cells in mitosis with the monopolar spindle structure typical of KSP inhibition . ARRY-520 (10 nM) induces mitotic arrest as judged by both increased phosphorylation of histone H3 and the accumulation of cyclin B1 in four cells . ARRY-520 and Paclitaxel exhibit the same cytotoxic effect on Type I and II cells. The GI50 at 48 h for Type II EOC cells is 0.0015 μM for ARRY-520. For Type I EOC cells, the GI50 at 48 h is > 3 μM for ARRY-520. ARRY-520 (1 nM) induces a significant G2M cell cycle block in OCI-AML3 cells at 24 hours .
In vivo	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 . RPMI 8226 tumor xenografts are particularly sensitive to low doses of ARRY-520 (12.5 mg/kg, i.p.) . 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 .

Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.

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