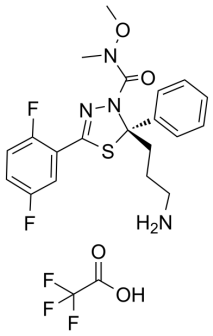
Filanesib TFA

Cat. No.:	HY-15187B
CAS No.:	1781834-99-8
Molecular Formula:	$C_{22}H_{23}F_5N_4O_4S$
Molecular Weight:	534.5
Target:	Kinesin
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Filanesib TFA (ARRY-520 TFA) is a selective kinesin spindle protein (KSP) inhibitor, with an IC_{50} of 6 nM for human KSP. Filanesib TFA induces cell death by apoptosis in vitro. Filanesib TFA has potent anti-proliferative activity[1].
IC_{50} & Target	IC50: 6 nM (KSP)[1]

In Vitro

Filanesib TFA inhibits human KSP with an IC_{50} of 6 nM by a mechanism demonstrated to be uncompetitive with respect to ATP and noncompetitive with respect to tubulin[1].
Filanesib TFA induces mitotic arrest in multiple cell lines[1].
Filanesib TFA exhibits anti-proliferative against a broad range of human and rodent tumor cell lines[1].
Filanesib TFA (0.001-0.1 nM; 36 hours) induces apoptosis, by a mechanism that is independent of p53 status, as defined by formation of nucleosomes and activation of caspases 3 and 7, as well as accumulation in SubG0/1 by FACS [1].
Filanesib TFA (0.1-100 nM; 18 hours) induces the accumulation of phospho-Histone H3 (a marker of mitosis, and an indicator of mitotic arrest) in HeLa cells[1].
Filanesib TFA (0.78-6.25 nM; 44 hours) treatment results in G2/M arrest[1].
Filanesib TFA (10 nM; 16 hours) treatment results in the formation of monopolar spindles[1].
Filanesib TFA potently induces cell cycle block and subsequent death in leukemic cells via the mitochondrial pathway and has potential to eradicate AML progenitor cells[2].
Filanesib TFA (3 μM; 6-24 hours) is able to induce caspase-2 activation[3].
Filanesib TFA (0.003-3 μM; 24-48 hours) is cytotoxic in Type II EOC cells[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	Hela cells
Concentration:	0.01-0.1 nM
Incubation Time:	36 hours
Result:	Induced cell death by apoptosis.

Cell Cycle Analysis[1]

Cell Line:	HeLa cells

Concentration:	44 hours
Incubation Time:	0.78 nM, 1.56 nM, 3.13 nM, 6.25 nM
Result:	Resulted in G2/M arrest.

Western Blot Analysis[3]

Cell Line:	Type II EOC cells
Concentration:	3 μM
Incubation Time:	6 hours, 12 hours, 24 hours
Result:	Induced caspase-2 activation in a time-dependent manner.

Cell Cytotoxicity Assay[3]

Cell Line:	Type II EOC cell lines (A2780, CP70, 01-28)
Concentration:	0.003 μM, 0.03 μM, 0.3μM, 3 μM
Incubation Time:	24 hours, 48 hours
Result:	Effectively decreased cell viability in a time-dependent manner in the Type II EOC cell lines.

In Vivo

Filanesib TFA (20 mg/kg, 30 mg/kg; i.p.; q4dx3) has anti-tumor activitiy in vivo[3].

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Animal Model:	Female nude mice, EOC mice xenograft model[3]
Dosage:	20 mg/kg, 30 mg/kg
Administration:	Intraperitoneal injection, q4dx3
Result:	Induced a decrease in tumor kinetics in a dose-dependent manner.

CUSTOMER VALIDATION

- Cancer Lett. 2021 Feb 27.
- Methods Mol Biol. 2018;1711:351-398.

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REFERENCES

[1]. BZ Carter, et al. Inhibition of KSP by ARRY-520 Induces Cell Cycle Block and Cell Death via the Mitochondrial Pathway in AML Cells.

[2]. Ki Hyung Kim, et al. KSP inhibitor ARRY-520 as a substitute for Paclitaxel in Type I ovarian cancer cells. J Transl Med. 2009; 7: 63.

[3]. Christine Lemieux, et al. ARRY-520, a Novel, Highly Selective KSP Inhibitor with Potent Anti-Proliferative Activity. AACR Annual Meeting. 2007.

Caution: Product has not been fully validated for medical applications. For research use only.

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