SIAIS164018 hydrochloride

Cat. No.: HY-147219A

Molecular Formula: $C_{43}H_{49}Cl_2N_{10}O_7P$

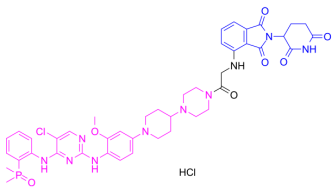
Molecular Weight: 919.79

Target: PROTACs; Anaplastic lymphoma kinase (ALK); EGFR; Apoptosis

Pathway: PROTAC; Protein Tyrosine Kinase/RTK; JAK/STAT Signaling; Apoptosis

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 75 mg/mL (81.54 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.0872 mL	5.4360 mL	10.8720 mL
	5 mM	0.2174 mL	1.0872 mL	2.1744 mL
	10 mM	0.1087 mL	0.5436 mL	1.0872 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

SIAIS164018 hydrochloride is a PROTAC-based ALK and EGFR degrader, with IC_{50} value of 2.5 nM and 6.6 nM for ALK and ALK G1202R, respectively. SIAIS164018 hydrochloride strongly inhibits cancer cells migration and invasion, causes G1 cell cycle arrest and induces apoptosis. SIAIS164018 hydrochloride exhibits better property than Brigatinib (HY-12857)[1].

In Vitro

SIAIS164018 (0-1 μM; 16 h) hydrochloride significantly inhibits SR cell proliferation[1].

SIAIS164018 (0-100 nM; 72 h) hydrochloride shows better cell proliferation inhibition than Brigatinib does in ALK (G1202R) over-expressing 293T and EGFR expressing H1975 cell lines[1].

SIAIS164018 (100 nM; 24 or 48 h) hydrochloride induces a significant G1 cell cycle arrest in ALK-negative Calu-1 and MDA-MB-231 cells[1].

SIAIS164018 (0.01-1000 nM; 16h) hydrochloride down-regulates the protein level of FAK, PYK2, FER, RSK1, and GAK in ALK-positive SR and ALK-negative Calu-1 cell lines[1].

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

Cell Proliferation Assay[1]

Cell Line:	SR cells

Concentration:	0-1 μM
Incubation Time:	16 h
Result:	Significantly inhibited SR cell proliferation with an IC_{50} value of 2 nM.

Cell Proliferation Assay[1]

Cell Line:	ALK (G1202R) over-expressing 293T and EGFR expressing H1975 cell lines
Concentration:	0-100 nM
Incubation Time:	72 h
Result:	Exhibited better cell proliferation inhibition than Brigatinib does in ALK (G1202R) over-expressing 293T and EGFR expressing H1975 cell lines with IC_{50}s 21 and 42 nM, respectively.

Cell Cycle Analysis[1]

Cell Line:	ALK-negative Calu-1 and MDA-MB-231 cells
Concentration:	100 nM
Incubation Time:	24 or 48 h
Result:	Induced a significant G1 cell cycle arrest in ALK-negative Calu-1 and MDA-MB-231 cells.

Western Blot Analysis[1]

Cell Line:	SR and Calu-1 cells
Concentration:	0.01, 0.1, 1, 10, 100 and 1000 nM
Incubation Time:	16 h
Result:	Down-regulated the protein level of FAK, PYK2, FER, RSK1, and GAK.

REFERENCES

[1]. Ren C, et al. Discovery of a Brigatinib Degrader SIAIS164018 with Destroying Metastasis-Related Oncoproteins and a Reshuffling Kinome Profile. J Med Chem. 2021 Jul 8;64(13):9152-9165.

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

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