Product Data Sheet

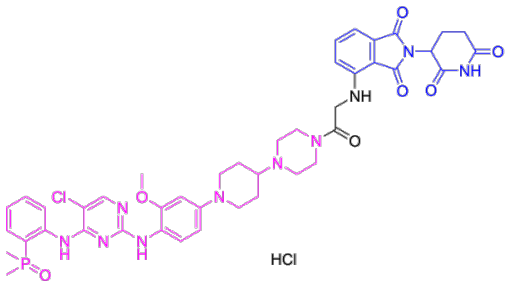
Product Name: SIAIS164018 hydrochloride
Cat. No.: GC73129

Chemical Properties

Cas. No.

Formula	$C_{43}H_{49}Cl_2N_{10}O_7P$	M.Wt	919.79
Solubility	DMSO : 75 mg/mL (81.54 mM; Need ultrasonic)	Storage	4°C, sealed storage, away from moisture
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

Product Data Sheet

SIAIS164018 drochloride is a PROTAC-based ALK and EGFR degrader, with IC50 value of 2.5 nM and 6.6 nM for ALK and ALK G1202R, respectively. SIAIS164018 drochloride strongly inhibits cancer cells migration and invasion, causes G1 cell cycle arrest and induces apoptosis. SIAIS164018 drochloride exhibits better property than Brigatinib .

SIAIS164018 (0-1 μM; 16 h) drochloride significantly inhibits SR cell proliferation[1].SIAIS164018 (0-100 nM; 72 h) drochloride 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) drochloride induces a significant G1 cell cycle arrest in ALK-negative Calu-1 and MDA-MB-231 cells[1].SIAIS164018 (0.01-1000 nM; 16h) drochloride down-regulates the protein level of FAK, PYK2, FER, RSK1, and GAK in ALK-positive SR and ALK-negative Calu-1 cell lines[1].

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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