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Data Sheet

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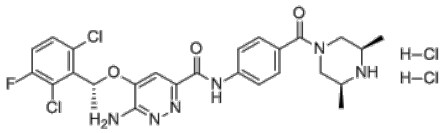
Product Name	:	Ensartinib dihydrochloride
Cat. No.	:	PC-21789
CAS No.	:	2137030-98-7
Molecular Formula	:	$C_{26}H_{29}Cl_4FN_6O_3$
Molecular Weight	:	634.36
Target	:	Anaplastic Lymphoma Kinase (ALK)
Solubility	:	10 mM in DMSO



CAS: 2137030-98-7

Biological Activity

Ensartinib (X-396) dihydrochloride is a potent, selective and second-generation anaplastic lymphoma kinase (ALK) tyrosine kinase inhibitor (TKI) with biochemical IC50 of <0.4 nM, inhibits MET with IC50 of 0.74 nM.
Ensartinib (X-396) is more potent ALK inhibitors than PF-02341066 (PF-1066).
Ensartinib (X-396) potently inhibits H3122 lung cancer cells harboring EML4-ALK E13;A20 (variant 1) with IC50 of 15 nM, 10-fold more potent than PF-02341066.
Ensartinib (X-396) display less activity against MET than PF-1066.
Ensartinib (X-396) (25mg/kg bid) inhibits tumore growth against H3122 xenografts.
Ensartinib (X-396) is effective against multiple ALK variants found in NSCLC, including ALK mutations associated with acquired resistance to PF-1066.
Ensartinib (X-396) is synergistic with mTOR inhibitor rapamycin against ALK fusion positive lung cancer cell lines.

References

Horn L, et al. Clin Cancer Res. 2018 Jun 15;24(12):2771-2779.

Christine M Lovly, et al. Cancer Res. 2011 Jul 15;71(14):4920-31.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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