

Ensartinib hydrochloride

Catalog No: tcsc0043455



Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg



Specifications

CAS No:

2137030-98-7

Formula:

$C_{26}H_{29}Cl_4FN_6O_3$

Pathway:

Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:

c-Met/HGFR;ALK

Purity / Grade:

>98%

Solubility:

H2O : 5 mg/mL (7.88 mM; Need ultrasonic and warming)

Alternative Names:

X-396 hydrochloride

Observed Molecular Weight:

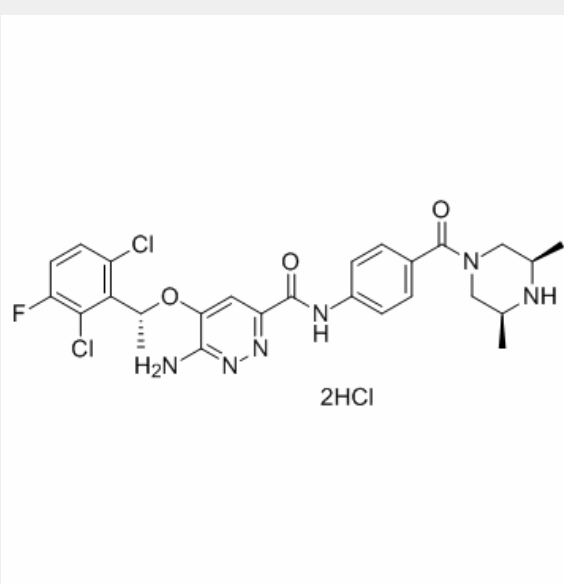
634.36

Product Description

Ensartinib hydrochloride (X-396 hydrochloride) is a potent and dual **ALK/MET** inhibitor with **IC₅₀**s of IC50 & Target: IC50: [1]

In Vitro: Ensartinib (X-396) is a potent and dual ALK/MET inhibitor with IC₅₀s of EML4-ALK E13;A20 (IC₅₀: 15 nM). Ensartinib is also potent in H2228 lung cancer cells harboring *EML4-ALK* E6a/b; A20 (IC₅₀: 45 nM). Furthermore, X-376 is potent in SUDHL-1 lymphoma cells harboring *NPM-ALK* (IC₅₀: 9 nM)^[1].

In Vivo: Ensartinib (X-396) shows substantial bioavailability and moderate half-lives in vivo. Nude mice harboring H3122 xenografts are treated with Ensartinib at 25 mg/kg bid. Ensartinib significantly delays the growth of tumors compared to vehicle alone. In the xenograft experiments, Ensartinib appears well-tolerated in vivo. Mouse weight is unaffected by Ensartinib treatment. Drug-treated mice appear healthy and do not display any signs of compound related toxicity. To further assess potential side effects of Ensartinib, additional systemic toxicity and toxico-kinetic studies are performed in Sprague Dawley (SD) rats. Following 10 days of repeated oral administration of Ensartinib at 20, 40, 80 mg/kg in SD rats, all animals survive to study termination. The no significant toxicity (NST) levels are determined to be 80 mg/kg for Ensartinib. At NST levels, Ensartinib achieves an AUC of 66 µM×hr and a C_{max} of 7.19 µM^[1].



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