

Oritinib mesylate Biochemical

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CATALOG #
AAA228198

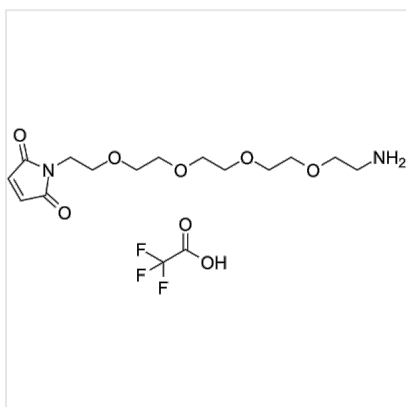
PRODUCT SYNONYMS

Oritinib mesylate; Oritinib mesylate biochemical

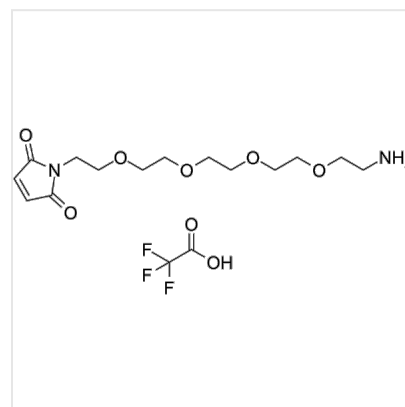
PRODUCT SPECIFICATIONS

Purity/Purification	98%
CAS	2180164-79-6
Targets&IC50	EGFR d746-750:1.4 nM (IC50), EGFR d746-750/T790M:0.89 nM (IC50), EGFR (wt):18 nM (IC50), EGFR L858R:0.7 nM (IC50), EGFR L861Q:4 nM (IC50), EGFR L858R/T790M:0.1 nM (IC50)
Solubility	<1mg/ml refers to the product slightly soluble or insoluble
In vitro	Oritinib (SH-1028)(p.o.; once daily for consecutive 14 days; 2.5-15 mg/kg) mesylate inhibits EGFR-mutant tumor progression but not wild-type EGFR in vivo[1]. Oritinib (SH-1028)(p.o.; once daily for consecutive 14 days; 2.5-15 mg/kg) mesylate only induces a moderate tumor growth inhibition in A431 (wild-type EGFR) tumor xenografts, while causes profound and sustained tumor shrinkage in both NCI-H1975 and PC-9 xenograft models with EGFR mutations with 5 mg/kg/day[1]. Oritinib (SH-1028)(p.o.; once daily for consecutive 14 days; 2.5-15 mg/kg) mesylate shows good bioavailability, and is distributed extensively from the plasma to the tissues with T max of 1.5-2 h, and AUC 0-t values of SH-1028 in plasma are 118, 300 and 931 ng × h/ml on Day 1, while 272, 308 and 993 ng × h/ml on Day 14[1]. Animal Model: Nu/Nu female nude mice (6-8 weeks) bearing human lung cancer cell lines[1]. Dosage: 2.5, 5, and 15 mg/kg (SH-1028) and control group (osimertinib, 5 mg/kg). Administration: p.o.; once daily for consecutive 14 days. Result: Only induced a moderate tumor growth inhibition in A431 (wild-type EGFR) tumor xenografts, while caused profound and sustained tumor shrinkage in both NCI-H1975 and PC-9 xenograft models with EGFR mutations with 5 mg/kg/day.
Preparation and Storage	Powder: -20 degree C for 3 years In solvent: -80 degree C for 2 years

IMAGES



Structure
Mal-PEG4-C2-NH2 TFA, CAS 2512227-13-1



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Mal-PEG4-C2-NH2 TFA, CAS 2512227-13-1

ADDITIONAL INFORMATION

Related Product Information for Oritinib mesylate biochemical	Oritinib (SH-1028) mesylate is a selective, orally active, and pyrimidine-based irreversible inhibitor of EGFR with an IC 50 of 18 nM. Oritinib (SH-1028) mesylate exhibits potent activity against EGFR sensitive and resistant (T790 M) mutations. Oritinib (SH-1028) mesylate significantly inhibits proliferation of tumor cells with EGFR sensitive and resistant mutation.
References	1. An S, et al. Small-molecule PROTACs: An emerging and promising approach for the development of targeted therapy drugs. EBioMedicine. 2018 Oct;36:553-562

For Research Use Only. Not for use in diagnostic or therapeutic procedures. Small volumes of product may become entrapped in the seal of the vial during shipment and storage; if necessary, briefly centrifuge the vial on a tabletop centrifuge to dislodge any liquid in the cap.

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Online datasheet: <https://www.aaabiotech.com/biochemical/oritinib-mesylate/228198>