

Product Name: Savolitinib
Cat. No.: GC19321

Cas. No. 1313725-88-0

SMILES CN1N=CC(C2=CN=C3C(N([C@H](C4=CN5C(C=C4)=NC=C5)C)N=N3)=N2)=C1

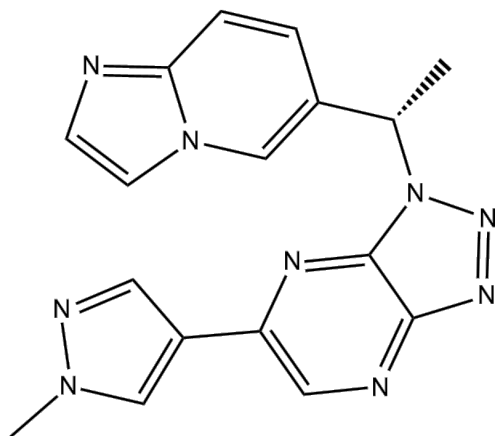
Formula	C ₁₇ H ₁₅ N ₉	M.Wt	345.36
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Solubility	DMSO : ≥ 34 mg/mL (98.45 mM);Water : < 0.1 mg/mL (insoluble)	Storage	Store at -20°C
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General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
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Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.
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Structure



Animal experiment [1]:

Animal models H1993 and EBC-1 tumor xenografts

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For H1993 and EBC-1 xenografts: 6-7 week-old female Balb/c nu/nu mice were maintained in a controlled, specific pathogen-free environment at 20-25°C, 40-70% humidity and a photoperiod of 12 hours light-to-dark. Each mouse was injected subcutaneously in the right lateral flank with 5×10^6 cells suspended in 0.2mL 1:1 matrigel and randomized based on tumor volume; dosing began when tumors reached 120-275mm³. Savolitinib was formulated in acidic CMC-Na 0.5% (pH = 2.1) and dosed orally once daily at the indicated concentrations for all studies.

Dosage form 0.25-30mg/kg/day; oral; 28 days

Applications Savolitinib treatment led to marked decreases in tumor growth relative to vehicle controls in both models, with savolitinib achieving a maximal response at doses as low as 0.3mg/kg and 2.5mg/kg in H1993 and EBC-1 tumors, respectively.

References:

[1]. Henry, Ryan E., et al. "Acquired savolitinib resistance in non-small cell lung cancer arises via multiple mechanisms that converge on MET-independent mTOR and MYC activation." *Oncotarget* 7.36 (2016): 57651.

Background

Savolitinib is a potent, selective, and orally bioavailable c-Met inhibitor with IC₅₀s of 5nM and 3nM for c-Met and p-Met, respectively^[1,2]. Savolitinib is commonly used in cancer research.

In vitro, Savolitinib displayed anti-proliferative activity against SNU-5, SNU-638, Hs746T, SNU-620, GTL16 and IM95m (EC₅₀ values of 0.6, 0.8, 1.3, 3.5, 5.6 and 14.7nM, respectively) which harbor aberrant cMet signaling^[2].

In vivo, Savolitinib (0.25-30mg/kg/day; oral; 28 days) treatment led to marked decreases in tumor growth of H1993 and EBC-1 tumor xenografts^[3]. Savolitinib (1, 10mg/kg/day; oral; 14–21 days) significantly reduced tumor weight in all 3 cMET-amplified gastric cancer PDX models^[2]. Savolitinib

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(1, 2.5 and 10.0mg/kg; oral; 21 days) dose-dependently reduced tumor growth in U87MG subcutaneous xenograft model^[1]. Savolitinib (15mg/kg; oral; 15 days) reduced tumor growth, resulting in approximately 84% tumor growth inhibition (TGI) in the EGFRm MET-amplified NSCLC PDX model^[4].

References:

- [1]. Jia, Hong, et al. "Discovery of (S)-1-(1-(Imidazo [1, 2-a] pyridin-6-yl) ethyl)-6-(1-methyl-1 H-pyrazol-4-yl)-1 H-[1, 2, 3] triazolo [4, 5-b] pyrazine (Volitinib) as a Highly Potent and Selective Mesenchymal–Epithelial Transition Factor (c-Met) Inhibitor in Clinical Development for Treatment of Cancer." *Journal of medicinal chemistry* 57.18 (2014): 7577-7589.
- [2]. Gavine, Paul R., et al. "Volitinib, a potent and highly selective c-Met inhibitor, effectively blocks c-Met signaling and growth in c-MET amplified gastric cancer patient-derived tumor xenograft models." *Molecular oncology* 9.1 (2015): 323-333.
- [3]. Henry, Ryan E., et al. "Acquired savolitinib resistance in non-small cell lung cancer arises via multiple mechanisms that converge on MET-independent mTOR and MYC activation." *Oncotarget* 7.36 (2016): 57651.
- [4]. Jones, Rhys DO, et al. "Pharmacokinetic/pharmacodynamic analysis of savolitinib plus osimertinib in an EGFR mutation–positive, MET-amplified non–small cell lung cancer model." *Molecular Cancer Therapeutics* 22.5 (2023): 679-690.

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