

Product Data Sheet

Product Name: AMG 337

Cat. No.: GC15655

Chemical Properties

Cas. No. 1173699-31-4

Chemical Name (R)-6-(1-(8-fluoro-6-(1-methyl-1H-pyrazol-4-yl)-[1,2,4]triazolo[4,3-a]pyridin-3-yl)ethyl)-3-(2-methoxyethoxy)-1,6-naphthyridin-5(6H)-one

SMILES CN1N=CC(C2=CN3C([C@@H](C)N4C=CC(N=CC(OCCOC)=C5)=C5C4=O)=NN=C3C(F)=C2)=C1

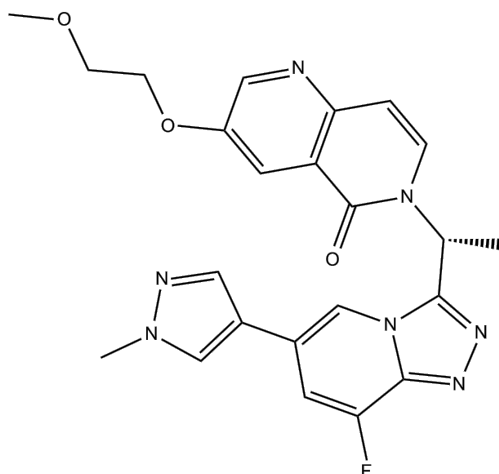
Formula $C_{23}H_{22}FN_7O_3$ M.Wt 463.46

Solubility $\geq 46.3\text{mg/mL}$ in DMSO Storage Store at -20°C

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

IC₅₀: < 5 nM in enzymatic assays

AMG 337 is a MET inhibitor.

Caution: Product has not been fully validated for medical applications. For research use only.

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MET receptor with its ligand can promote cell survival, proliferation, and invasion. The activation of MET signaling is a common regulator of various human cancer types, and thus, inhibition of MET signaling is an promising therapeutic opportunity for the treatment of cancer.

In vitro: AMG 337 could effectively inhibit MET kinase activity, and AMG 337 also showed great selectivity for MET when tested against a panel of over 400 protein as well as lipid kinases. In addition, AMG 337 was found to inhibit HGF-dependent MET phosphorylation. Furthermore, AMG 337 could only affect the viability of two gastric cancer cell lines with amplification of the MET gene. The IC₅₀ values of AMG 337 for the two sensitive cell lines was less than 50 nM, whereas over 10 μ M in all other tested cell lines [1].

In vivo: In anima study, it was found that the oral administration of AMG 337 led to the dose-dependent anti-tumor efficacy in MET amplified gastric cancer xenograft models, which was quite consistent with the PD modulation of MET signaling [1].

Clinical trial: A phase 1 clinical study is currently investigating the safety, tolerability and PK of AMG 337 in patients with solid tumors [2].

References:

[1] Paul E. Hughes, et al. AMG 337, a novel, potent and selective MET kinase inhibitor, has robust growth inhibitory activity in MET-dependent cancer models. Cancer Res October 1, 2014 74; 728

[2] <https://clinicaltrials.gov/ct2/show/NCT01253707> term=AMG+337&rank=1

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