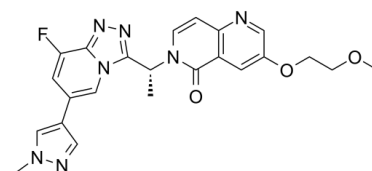


Data Sheet

Product Name:	AMG-337
Cat. No.:	CS-5281
CAS No.:	1173699-31-4
Molecular Formula:	C ₂₃ H ₂₂ N ₇ O ₃
Molecular Weight:	463.46
Target:	c-Met/HGFR
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : ≥ 30 mg/mL (64.73 mM)



BIOLOGICAL ACTIVITY:

AMG-337 is a potent and highly selective small molecule ATP-competitive MET kinase inhibitor. AMG 337 inhibits MET kinase activity with an IC₅₀ of < 5nM in enzymatic assays. IC₅₀ value: < 5nM [1] Target: MET in vitro: AMG-337 demonstrates exquisite selectivity for MET when profiled against a diverse panel of over 400 protein and lipid kinases in a competitive binding assay. In cellular assays, AMG 337 inhibits HGF-dependent MET phosphorylation with an IC₅₀ of < 10 nM. [1] AMG 337 is a selective inhibitor of Met, which inhibits multiple mechanisms of Met activation. [2] in vivo: AMG-337 demonstrates robust activity in MET-dependent cancer models. Oral administration of AMG 337 results in robust dose-dependent anti-tumor efficacy in MET amplified gastric cancer xenograft models, with inhibition of tumor growth consistent with the pharmacodynamic modulation of MET signaling.[1]

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]. Cecchi F, et al. Targeting the HGF/Met signaling pathway in cancer therapy. Expert Opin Ther Targets. 2012 Jun;16(6):553-572.

CAIndexNames:

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

SMILES:

O=C1C2=C(N=CC(OCCOC)=C2)C=CN1[C@@H](C3=NN=C4C(F)=CC(C5=CN(C)N=C5)=CN43)C

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

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