

Product Data Sheet

Product Name: AMG-510 racemate

Cat. No.: GC19546

Chemical Properties

Cas. No. 2252403-56-6

SMILES O=C(C=C)N1C[C@H](C)N(C2=NC(N(C3=C(C)C=CN=C3C(C)C)C4=C2C=C(F)C(C5=C(O)C=CC=C5F)=N4)=O)CC1

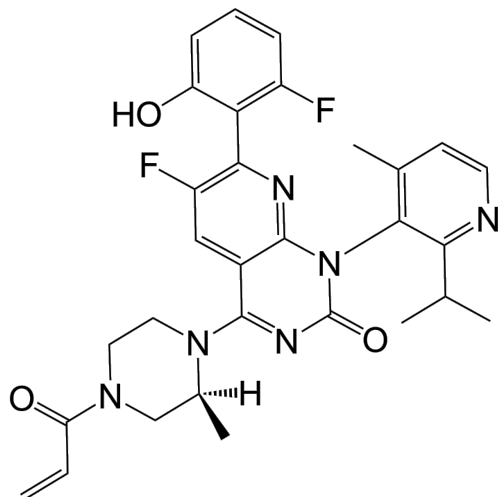
Formula $C_{30}H_{30}F_2N_6O_3$ M.Wt 560.59

Solubility 50 mg/ml in DMSO (Need ultrasonic); Insoluble in Water Storage Store at -20°C, stored under nitrogen

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



Protocol

Cell experiment [1]:

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Cell lines	MIA PaCa-2 cells (human pancreatic ductal adenocarcinoma cell line), NCI-H358 cells (human non-small cell lung cancer cell line)
Preparation Method	MIA PaCa-2 and NCI-H358 cells were maintained in DMEM or RPMI1640 supplemented with 10% FBS at 37°C, 5% CO ₂ . Cells were treated with AMG-510 racemate at 50nM for 24 hours or 25nM for 72 hours. After treatment, MTT assay, RT-qPCR and Western blot were performed.
Reaction Conditions	25-50nM; 24-72h
Applications	AMG-510 racemate reduced CDK4, CDK6, Cyclin D1 and β -catenin mRNA levels in NCI-H358 cells. AMG-510 racemate reduced MIA PaCa-2 and NCI-H358 cell viability in MTT assay.
Animal experiment [2]:	
Animal models	Athymic nude mice subcutaneously implanted with MIA PaCa-2 T2 human tumor cells (homozygous KRAS p.G12C)
Preparation Method	Mice were orally administered AMG-510 racemate ((R)-38) once daily at 10-100mg/kg for 2 weeks. Serum and tumor samples were collected 2h postdosing for PK/PD analysis, and tumor volumes were measured during the dosing period.
Dosage form	10-100mg/kg; p.o.; 2 weeks
Applications	AMG-510 racemate suppressed tumor growth and elicited tumor regression. AMG-510 racemate reduced ERK phosphorylation in tumor tissue.

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References:

- [1] Brismar J, Ozand PT. CT and MR of the brain in disorders of the propionate and methylmalonate metabolism. AJNR Am J Neuroradiol. 1994 Sep;15(8):1459-73.
- [2] Lanman BA, Allen JR, Allen JG, et al. Discovery of a Covalent Inhibitor of KRASG12C Treatment of Solid Tumors. J Med Chem. 2020;63(1):52-65.

Background

AMG-510 racemate is a covalent inhibitor of KRAS G12C. AMG-510 racemate selectively and irreversibly binds to cysteine residue 12 of mutant KRAS G12C protein. This binding locks KRAS in an inactive GDP-bound state. AMG-510 racemate thereby blocks downstream RAF-MEK-ERK signaling pathway. AMG-510 racemate suppresses tumor cell proliferation and induces apoptosis. AMG-510 racemate can be used for research on KRAS G12C-mutant non-small cell lung cancer and colorectal cancer^[1-3].

In vitro, treatment of NCI-H358 cells with 25-50nM AMG-510 racemate for 24-72 hours reduced mRNA expression levels of CDK4, CDK6, Cyclin D1 and β -catenin^[4].

In vivo, oral administration of 10-100mg/kg AMG-510 racemate to athymic nude mice subcutaneously implanted with MIA PaCa-2 T2 cells for 2 weeks inhibited tumor growth and caused tumor regression. AMG-510 racemate reduced ERK phosphorylation levels in tumor tissues^[5].

References:

- [1] Pantsar T. KRAS(G12C)-AMG 510 interaction dynamics revealed by all-atom molecular dynamics simulations. Sci Rep. 2020 Jul 20;10(1):11992.
- [2] Xia Y, Ji X, Jang IS, et al. Genetic and pharmacological interrogation of cancer vulnerability using a multiplexed cell line screening platform. Commun Biol. 2021 Jul 2;4:834.
- [3] Jiang Y, Zhou K, Wei X, et al. RAC1 directly phosphorylates both PKM2 and FBP1 to promote radioresistance in hepatocellular carcinoma. Mol Ther. 2026 Feb;34(2):1201-1214.

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[4] Khan HY, Nagasaka M, Nagasaka M, et al. Anticancer Efficacy of KRASG12C Inhibitors Is Potentiated by PAK4 Inhibitor KPT9274 in Preclinical Models of KRASG12C-Mutant Pancreatic and Lung Cancers. *Mol Cancer Ther.* 2023 Dec;22(12):1422-33.

[5] Lanman BA, Allen JR, Allen JG, et al. Discovery of a Covalent Inhibitor of KRASG12C Treatment of Solid Tumors. *J Med Chem.* 2020;63(1):52-65.

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