

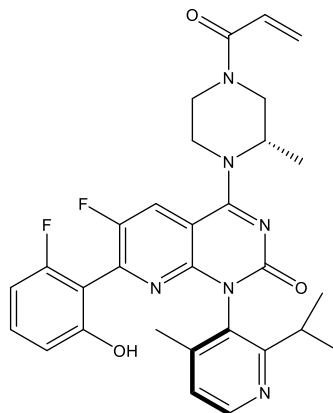
Catalog # 10-3930

AMG 510

CAS# 2296729-00-3

(1R)-6-Fluoro-7-(2-fluoro-6-hydroxyphenyl)-1-(4-methyl-2-propan-2-ylpyridin-3-yl)-4-[(2S)-2-methyl-4-prop-2-enoylpiperazin-1-yl]pyrido[2,3-d]pyrimidin-2-one; Sotorasib

Lot # FBS3068



AMG 510 is a potent (IC_{50} 's = 6 nM NCI-H358; 9 nM MIA PaCa-2) covalent KRAS^{G12C} inhibitor.^{1,2} It significantly inhibited tumor growth in NCI-H358 and MIA PaCa-2 cell lines as well as CT-26 tumors (mouse syngeneic model) and caused tumor regression at higher doses.¹ AMG 510 improved the efficacy of various other chemotherapeutic agents including inhibitors of HER kinases, EGFR, SHP2, PI3K, AKT, and MEK^{1,3} and synergized with immune checkpoint reagents¹. FDA approved for use in KRAS^{G12C}-positive non-small cell lung cancer. AMG 510 displayed efficacy alone^{4,5} and in combination⁵ with trametinib and/or buparlisib in pancreatic ductal adenocarcinoma cell lines.

- 1) Canon *et al.* (2019), *The clinical KRAS(G12C) inhibitor AMG 510 drives anti-tumor immunity*, Nature **575** 217
- 2) Lanman *et al.* (2020); *Discovery of a Covalent Inhibitor of KRAS^{G12C} (AMG 510) for the Treatment of Solid Tumors*, J. Med. Chem., **63** 52
- 3) Barrios-Bernal *et al.* (2023); *A Novel Combination of Sotorasib and Metformin Enhances Cytotoxicity and Apoptosis in KRAS-Mutated Non-Small Cell Lung Cancer Cell Lines through MAPK and P70S6K Inhibition*, Int. J. Mol. Sci., **24** 4331
- 4) Strickler *et al.* (2023); *Sotorasib in KRASp.G12C-Mutated Advanced Pancreatic Cancer*, N. Engl. J. Med., **388** 33
- 5) Ma *et al.* (2022); *Inhibition of KRAS, MEK1, and PI3K Demonstrate Synergistic Anti-Tumor Effects in Pancreatic Ductal Adenocarcinoma Cell Lines*, Cancers (Basel), **14** 4467

PHYSICAL DATA

Molecular Weight:	560.61
Molecular Formula:	C ₃₀ H ₃₀ F ₂ N ₆ O ₃
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.