
Product Data Sheet

Product Name: K-Ras(G12C) inhibitor 9

Cat. No.: GC17702

Chemical Properties

Cas. No. 1469337-91-4

Chemical Name N-(1-(2-((4-chloro-5-iodo-2-methoxyphenyl)amino)acetyl)piperidin-4-yl)ethanesulfonamide

SMILES C=CS(=O)(=O)CC1(CCN(C(C(=O)C=C(Cl)C(I)=C2)OC)C2)N1Formula $C_{16}H_{21}ClIN_3O_4S$ M.Wt 513.78

Solubility DMF: 14 mg/ml, DMF:PBS(pH 7.2)(1:1): 0.5 mg/ml, DMSO: 12 mg/ml 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 sizes: ship with RT, or blue ice upon request.

Structure **Background**

Target: K-Ras(G12C)

IC50: N/A

K-Ras(G12C) inhibitor 9 is an allosteric inhibitor of oncogenic K-Ras(G12C) [1]. Ras proteins belong to the large family of GTPase enzymes which are essential to transduce extracellular signals into diverse cellular responses including proliferation, differentiation, and apoptosis. About 30% of all human cancers contain activating Ras mutations, making them one of the most common known genetic molecular drivers of cancer [2]. Therefore, K-Ras signaling has potential therapeutic advantages in cancer. K-Ras(G12C) is present in roughly 10–20% of Ras-driven cancers and in an estimated 50% of Ras-mutated lung adenocarcinomas [3].

In vitro: K-Ras(G12C) inhibitor 9 belongs to a series of small molecules, which

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irreversibly compete with GTP and GDP for binding to a common oncogenic K-Ras(G12C) mutant and blocked the association of B-Raf and C-Raf with K-Ras(G12C). K-Ras(G12C) inhibitor 9 (10 μ M) decreased viability and increased apoptosis of G12C mutations-containing lung cancer cell lines (H1792, Calu-1, H358, and H23) [1].

In vivo: N/A

References:

1. Ostrem JM, Peters U, Sos ML, Wells JA, Shokat KM. K-Ras(G12C) inhibitors allosterically control GTP affinity and effector interactions. *Nature*. 2013;503(7477):548-51.
2. Hunter JC, Gurbani D, Ficarro SB, Carrasco MA, Lim SM, Choi HG, et al. In situ selectivity profiling and crystal structure of SML-8-73-1, an active site inhibitor of oncogenic K-Ras G12C. *Proc Natl Acad Sci U S A*. 2014;111(24):8895-900.
3. Lim SM, Westover KD, Ficarro SB, Harrison RA, Choi HG, Pacold ME, et al. Therapeutic targeting of oncogenic K-Ras by a covalent catalytic site inhibitor. *Angew Chem Int Ed Engl*. 2014;53(1):199-204.

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