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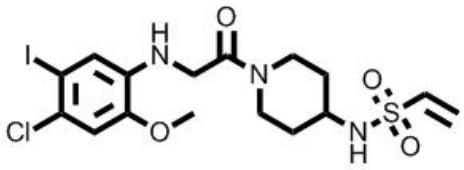
K-Ras(G12C) Inhibitor KRAS-C9

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



Molecular Weight:	513.78
Formula:	$C_{16}H_{21}ClN_3O_4S$
Purity:	≥98%
CAS#:	1469337-91-4
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

KRAS-C9 is a potent and selective allosteric inhibitor of oncogenic K-Ras(G12C). It irreversibly binds to a common oncogenic mutant K-Ras(G12C) and blocks K-Ras(G12C) interactions, therefore does not affect the wild-type protein. Binding of KRAS-C9 to K-Ras(G12C) disrupts both switch-I and switch-II, subverting the native nucleotide preference to favour GDP over GTP and impairing binding to Raf. It can decrease viability and increase apoptosis of K-Ras(G12C)-containing cancer cell lines. KRAS-C9 provides structure-based validation of a new allosteric regulatory site on Ras that is targetable in a mutant-specific manner.

How to Use:

In vitro: KRAS-C9 was used at 10 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Ostrem JM, et al. K-Ras(G12C) inhibitors allosterically control GTP affinity and effector interactions. (2013) Nature. 503(7477):548-51.