Product Data Sheet

Product Name: K-Ras(G12C) inhibitor 6
Cat. No.: GC17388

Chemical Properties

Cas. No.	2060530-16-5		
SMILES	C1CN(CCC1NC(=O)CCCS)C(=O)COC2=C(C=C(C=C2)Cl)Cl		
Formula	$C_{17}H_{22}Cl_2N_2O_3S$	M.Wt	405.34
Solubility	≥ 20.25mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	K-Ras(G12C) inhibitor 6		

Background

K-Ras (G12C) inhibitor 6 is an allosterical inhibitor of oncogenic mutation K-Ras G12C [1].

K-Ras (G12C) inhibitor 6 is a cysteine-reactive small molecule. It irreversibly binds to the G12C mutantion of GTPase K-Ras but not the wild-type K-Ras with the relative potency value of 4.2. The binding pocket of K-Ras for K-Ras (G12C) inhibitor 6 is a new allosteric pocket, S-IIP. Binding of this pocket results in a change of the relative nucleotide affinity of Ras to favour GDP over GTP, leading to an accumulation of inactive Ras. Since the mutation of K-Ras is quite common in human cancers, the inhibitor of K-Ras G12C should exert suppression activities in tumor cells. It has been reported that, some of the K-Ras G12C inhibitors are indeed effective to decrease cell viability and increase apoptosis in lung cancer cell lines [1].

References:
[1] Ostrem J M, Peters U, Sos M L, et al. K-Ras (G12C) inhibitors allosterically control GTP affinity and effector interactions. Nature, 2013, 503(7477): 548-551.

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