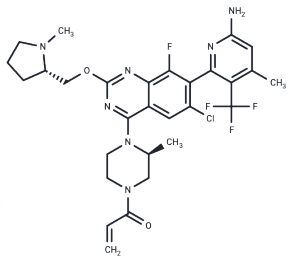
Data Sheet (Cat.No.T9972)

TargetMol

Divarasib

Chemical Properties



CAS No. : 2417987-45-0

Formula: C29H32ClF4N7O2

Molecular Weight: 622.06

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Divarasib (GDC-6036) is an investigational, oral, high-potency and selective KRAS G12C inhibitor with an IC50 of <0.01 μM. Divarasib irreversibly locks KRAS G12C oncoprotein in an inactive state and inhibits tumor cell growth. It is being investigated for use in solid tumors, including non-small cell lung and colorectal cancers and other types of cancer.
Targets(IC50)	Ras,Kras
In vitro	Divarasib exhibits a potency with an EC50 value of 2 nM in K-Ras G12C-alkylation HCC1171 cells.[2]
In vivo	Administration of Divarasib (10-100 mg/kg/day; PO for 7 days) results in a reduction in the ratio of free KRAS G12C.[1]

Solubility Information

Solubility	DMSO: 90 mg/mL (144.68 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (5.3 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6076 mL	8.0378 mL	16.0756 mL
5 mM	0.3215 mL	1.6076 mL	3.2151 mL
10 mM	0.1608 mL	0.8038 mL	1.6076 mL
50 mM	0.0322 mL	0.1608 mL	0.3215 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Meng L, et al. Assessment of KRAS G12C Target Engagement by a Covalent Inhibitor in Tumor Biopsies Using an Ultra-Sensitive Immunoaffinity 2D-LC-MS/MS Approach. Anal Chem. 2022;94(37):12927-12933.
Sushant Malhotra, et al. Fused ring compounds. WO2020097537A2.
Tran JC, et al. Quantifying KRAS G12C Covalent Drug Inhibitor Activity in Mouse Tumors Using Mass Spectrometry. Anal Chem. 2023;95(11):4834-4839.