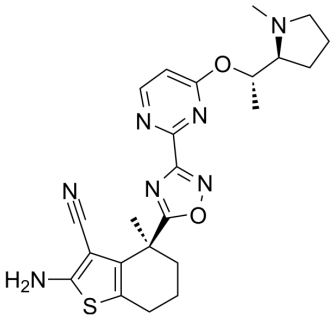
BI-2865

Cat. No.:	HY-153724
CAS No.:	2937327-93-8
Molecular Formula:	$C_{23}H_{27}N_7O_2S$
Molecular Weight:	466
Target:	Ras
Pathway:	GPCR/G Protein; MAPK/ERK Pathway
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (536.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1459 mL	10.7296 mL	21.4592 mL
	5 mM	0.4292 mL	2.1459 mL	4.2918 mL
	10 mM	0.2146 mL	1.0730 mL	2.1459 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

BI-2865 is a none-covalent pan-KRAS Inhibitor. BI-2865 binds to WT, G12C, G12D, G12V and G13D mutant KRAS with K_Ds of 6.9, 4.5, 32, 26, 4.3 nM respectively. BI-2865 inhibits the proliferation of G12C, G12D or G12V mutant KRAS expressing BaF3 cells (mean IC_{50}: roughly 140 nM)[1].

In Vitro

BI-2865 is a derivative with a prolinol substituent and a pyrimidine linker. BI-2865 has direct ionic interaction with E62, and a water-mediated hydrogen bond network with the side chain of R68 and the main chain carbonyl of Q61, as shown in Co-crystal structures of BI-2865 bound to KRAS[1].

BI-2865 (5 days) inhibits the proliferation of G12C, G12D or G12V mutant KRAS expressing BaF3 cells in the presence of IL-13, with a mean IC_{50} of roughly 140 nM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Kim D, et al. Pan-KRAS inhibitor disables oncogenic signalling and tumour growth. Nature. 2023 May 31.

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