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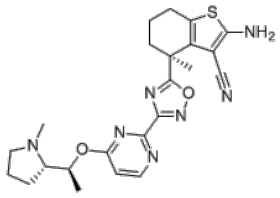
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BI-2865
Cat. No.	:	PC-20877
CAS No.	:	2937327-93-8
Molecular Formula	:	$C_{23}H_{27}N_7O_2S$
Molecular Weight	:	465.58
Target	:	Ras
Solubility	:	10 mM in DMSO



Biological Activity

BI-2865 is a potent, inactive state selective, non-covalent **pan KRAS** inhibitor, binds to the GDP-loaded state of WT, G12C, G12D, G12V and G13D KRAS with high affinity (ITC Kd values,10-40 nM), inactivates common cancer-causing KRAS mutants.
BI-2865 inhibits the proliferation of isogenic G12C, G12D or G12V mutant KRAS expressing BaF3 cells with mean IC50 of 140 nM.
BI-2865 shows comparable activity against KRAS G12C-expressing BaF3 cells to that of covalent KRAS G12C inhibitors BI-0474 and sotorasib.
BI-2865 inhibits the activation of KRAS splice variants 4A and 4B with an IC50 of less than 10 nM, with little effect against NRAS and HRAS (IC50=5-10 uM).
BI-2865 treatment inhibited ERK and RSK phosphorylation predominantly in KRAS mutant models (mean IC50 roughly 150 nM for pERK and roughly 70 nM for pRSK), with only a small effect in WT or UAWT models.
BI-2865 suppresses MAPK output more potently in KRAS mutant models correlated, on average, with a more potent antiproliferative effect in a panel of 274 cancer cell lines.

References

Dongsung Kim, et al. ***Nature.*** 2023 May 31. doi: 10.1038/s41586-023-06123-3.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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