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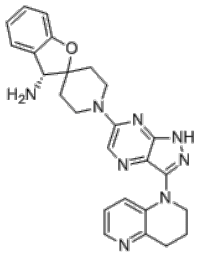
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GDC-1971
Cat. No.	:	PC-20047
CAS No.	:	2377352-49-1
Molecular Formula	:	$C_{25}H_{26}N_8O$
Molecular Weight	:	454.54
Target	:	Protein Phosphatase/PTP
Solubility	:	10 mM in DMSO



Biological Activity

Migoprotafib (GDC-1971, RLY-1971) is a potent, selective, allosteric and orally bioavailable inhibitor of the non-receptor protein tyrosine phosphatase **SHP2** (**PTPN11**), potently inhibits both wild-type SHP2 (IC50 <1 nM) and E76K activating mutant (IC50 < 250nM) in biochemical assays.
GDC-1971 inhibits cellular proliferation in models harboring receptor tyrosine kinases (RTKs), SHP2, NF1, KRAS, or BRAF mutations in a dose-dependent manner.
GDC-1971 potently inhibits the proliferation of cellular models harboring KRAS G12C or G12A mutations (median IC50 <80 nM) compared to models harboring other KRAS G12, G13 or Q61 mutations (median IC50 >1 uM).
GDC-1971 demonstrates dose-dependent RAS/MAPK pathway inhibition and induces significant tumor-growth inhibition in human xenograft models harboring EGFR and KRAS alterations.
GDC-1971 demonstrates increased suppression of the MAPK signaling cascade and anti-proliferation synergy when combining with EGFR, ALK, and KRAS G12C inhibitors in vitro.
GDC-1971 exhibits dramatic synergic anti-tumor growth effects in vivo, when combining with the KRAS G12C covalent inhibitor GDC-6036 (Cat# PC-73323).

References

Bret Williams, et al. Discovery and characterization of the potent, allosteric SHP2 inhibitor GDC-1971 for the treatment of RTK/RAS driven tumors. ***Cancer Res*** (2022) 82 (12_Supplement): 3327.

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