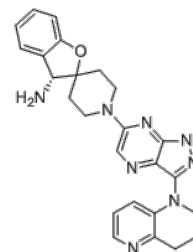


Product Name : GDC-1971
Cat. No. : PC-20047
CAS No. : 2377352-49-1
Molecular Formula : C₂₅H₂₆N₈O
Molecular Weight : 454.54
Target : Protein Phosphatase/PTP
Solubility : 10 mM in DMSO



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 μM).

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.

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

E-mail: tech@probechem.com