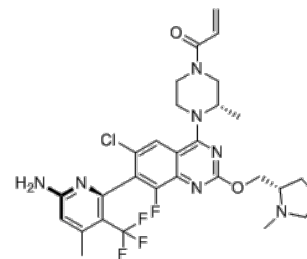


Product Name : GDC-6036
Cat. No. : PC-73323
CAS No. : 2417987-45-0
Molecular Formula : C₂₉H₃₂ClF₄N₇O₂
Molecular Weight : 622.066
Target : Ras
Solubility : 10 mM in DMSO

1. Hans Purkey. *Cancer Res* (2022) 82 (12_Supplement): ND11.



Biological Activity

GDC-6036 (Divarasib, RG6330) is a potent, selective, covalent and orally available **KRAS G12C** inhibitor with HTRF IC₅₀ of 2.9 pM.

GDC-6036 inhibits NCI-HCC1171 Alkylation with IC₅₀ of 0.32 nM.

GDC-6036 is more potent and selective in vitro than other clinical KRAS G12C inhibitors (Sotorasib, Adagrasib).

GDC-6036 irreversibly alkylates KRAS G12C and locks it in the inactive GDP-bound state.

GDC-6036 shows a median IC₅₀ in the sub-nanomolar range (IC₅₀=0.18 μM) and greater than 18,000-fold selectivity for G12C versus non-G12C cell lines.

GDC-6036 (5 mg/kg QD) demonstrates complete tumor growth inhibition in multiple KRAS G12C-positive cell lines and in xenograft mouse models.

GDC-6036 is in Phase 1 clinical development (NCT04449874) as monotherapy and in multiple combinations with other agents, including SHP2 inhibitor GDC-1971 (Cat# PC-20047).

References

