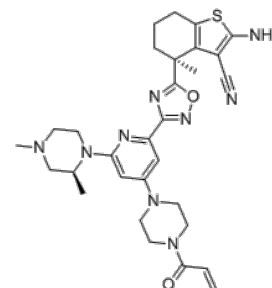


Product Name : BI-0474
Cat. No. : PC-49406
CAS No. : 2750570-55-7
Molecular Formula : C₃₀H₃₇N₉O₂S
Molecular Weight : 587.747
Target : Ras
Solubility : 10 mM in DMSO



Biological Activity

BI-0474 (BI 0474) is a potent, in vivo active **KRAS G12C** inhibitor with IC₅₀ of 7.0 nM in GDP-KRAS::SOS1 protein-protein interaction assay.

BI-0474 binds reversibly to the switch II pocket.

BI-0474 showed potent antiproliferative activity (IC₅₀=26 nM) on NCI-H358 cells carrying a G12C mutation, with no antiproliferative effect on cells carrying a KRASG12D mutation.

BI-0474 already showed a slightly higher second-order rate and lower KI, comparable kinact and IC₅₀ in the biochemical assay, compared with AMG-510.

BI-0474 (40 mg/kg, i.p.) shows efficacy in an NCI-H358 non-small cell lung cancer xenograft model.

References

Joachim Bröker, et al. *J Med Chem.* 2022 Oct 27. doi: 10.1021/acs.jmedchem.2c01120.

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

E-mail: tech@probechem.com