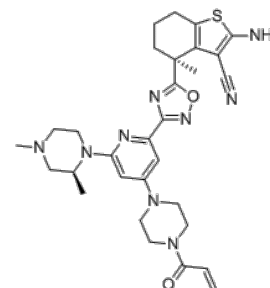


**Product Name** : BI-0474  
**Cat. No.** : PC-49406  
**CAS No.** : 2750570-55-7  
**Molecular Formula** : C<sub>30</sub>H<sub>37</sub>N<sub>9</sub>O<sub>2</sub>S  
**Molecular Weight** : 587.747  
**Target** : Ras  
**Solubility** : 10 mM in DMSO



## Biological Activity

BI-0474 (BI 0474) is a potent, in vivo active **KRAS G12C** inhibitor with IC<sub>50</sub> 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 (IC<sub>50</sub>=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 IC<sub>50</sub> 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