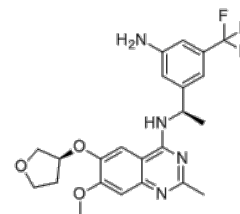


Product Name : BI-3406
Cat. No. : PC-38296
CAS No. : 2230836-55-0
Molecular Formula : C₂₃H₂₅F₃N₄O₃
Molecular Weight : 462.473
Target : Ras
Solubility : 10 mM in DMSO



Biological Activity

BI-3406 (BI 3406) is a highly potent and selective **SOS1-KRAS** interaction inhibitor with IC₅₀ of 5 nM, binds to the catalytic domain of SOS1 with K_d of 9.7 nM.

BI-3406 selectively disrupted the protein-protein interaction between K-RasG12C or K-RasG12D with SOS1 over SOS2, inhibited RAS-GTP levels with an IC₅₀ of 83–231 nM in SOS1/KRAS-dependent NCI-H358 (KRAS G12C) and A549 (KRAS G12S) cell, reduces pERK levels in RAS-mutated cell lines with IC₅₀ of 17-57 nM.

BI-3406 reduces formation of GTP-loaded RAS and limits cellular proliferation of a broad range of KRAS-driven cancers.

BI-3406 attenuates feedback reactivation induced by MEK inhibitors and enhances sensitivity of KRAS-dependent cancers to MEK inhibition.

Combined SOS1 and MEK inhibition represents a novel and effective therapeutic concept to address KRAS-driven tumors.

References

Hofmann MH, et al. *Cancer Discov.* 2021 Jan;11(1):142-157.

HRamharter J, et al. *J Med Chem.* 2021 May 27;64(10):6569-6580.

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

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