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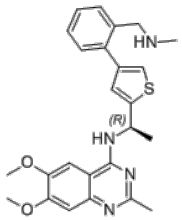
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BAY-293
Cat. No.	:	PC-36030
CAS No.	:	2244904-70-7
Molecular Formula	:	$C_{25}H_{28}N_4O_2S$
Molecular Weight	:	448.585
Target	:	Ras
Solubility	:	10 mM in DMSO



Biological Activity

BAY-293 (BAY293, BAY 293) is a potent, cell-active **SOS1** inhibitor that disrupts the KRAS-SOS1 interaction with IC50 of 21 nM.
BAY-293 displays no significant activity against KRAS WT-CRAF RBD, CDC42 and EGFR (>20 uM).
BAY-293 blocks reloading of KRAS with GTP, does not stabilize KRASWT or KRASG12C.
BAY-293 inhibits the activation of RAS in HeLa cells with IC50 of 410 nM, efficiently inhibits pERK levels in K562 cells after incubation for 60 min without affecting total protein levels of ERK (IC50=180 nM).
BAY-293 shows antiproliferative activity against wild-type KRAS cell lines (K562, MOLM-13; IC50~1 uM) and cell lines with KRASG12C mutation (NCI-H358, Calu-; IC50~3 uM) by preventing formation of the KRAS-SOS1 complex, also shows synergic antiproliferative effect with covalent KRASG12C inhibitor ARS-853.
BAY-293 is a valuable chemical probe for investigation of the activation of KRAS by SOS1.

References

Hillig RC, et al. ***Proc Natl Acad Sci U S A.*** 2019 Jan 25. pii: 201812963. doi: 10.1073/pnas.1812963116.

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