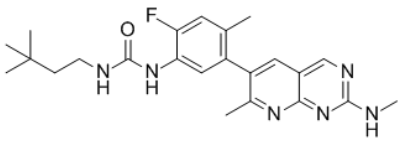
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	LY3009120
Cat. No.	:	PC-43107
CAS No.	:	1454682-72-4
Molecular Formula	:	$C_{23}H_{29}FN_6O$
Molecular Weight	:	424.5144
Target	:	Raf
Solubility	:	DMSO: ≥ 38 mg/mL



Biological Activity

LY3009120 (DP-4978) is a potent **pan-RAF** and RAF dimer inhibitor with IC50 of 5.8, 9.1 and 15 nM for BRAF V600E, BRAF WT and CRAF WT, respectively.
LY3009120 (DP-4978) inhibits ARAF, BRAF, and CRAF isoforms with similar affinity (4, 31-47 and 42 nM for ARAF, BRAF and CRAF), induces BRAF-CRAF dimerization but inhibits the phosphorylation of downstream MEK and ERK, also inhibits various forms of RAF dimers including BRAF or CRAF homodimers.
LY3009120 (DP-4978) exhibits anti-proliferative effects on cell lines harboring BRAF V600E, KRAS G13 and KRAS G12 mutations, inhibits cell growth of H2405, BxPC-3, and OV-90 cells (IC50=0.04, 0.087, and 0.007 uM).
LY3009120 (DP-4978) displays significant activity in in vivo BRAF mut and KRAS mut CRC xenograft models.

References

Henry JR, et al. ***J Med Chem.*** 2015 May 28;58(10):4165-79.

Peng SB, et al. ***Cancer Cell.*** 2015 Sep 14;28(3):384-98.

Chen SH, et al. ***Cancer Discov.*** 2016 Mar;6(3):300-15.

Vakana E, et al. ***Oncotarget.*** 2017 Feb 7;8(6):9251-9266.

E-mail: tech@probechem.com