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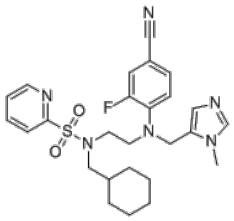
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	FGTI-2734
Cat. No.	:	PC-73014
CAS No.	:	1247018-19-4
Molecular Formula	:	$C_{26}H_{31}FN_6O_2S$
Molecular Weight	:	510.632
Target	:	Farnesyl transferase (FTase)
Solubility	:	10 mM in DMSO



Biological Activity

FGTI-2734 (FGTI2734) is a potent, CAAX tetrapeptide RAS C-terminal mimetic as dual **farnesyltransferase** (FT) and **geranylgeranyltransferase-1** (GGT-1) inhibitor with IC50 of 250 and 520 nM, respectively.
FGTI-2734 inhibits both protein prenylation and membrane localization of KRAS and NRAS in RAS-transformed murine NIH3T3 cells and in mutant KRAS human cancer cells, but not the highly selective FTI-2148 or GGTI-2418.
FGTI-2734 inhibits the prenylation of both HDJ2 and RAP1A.
FGTI-2734 inhibits RAF-1 kinase activity although cytosolic KRAS binds RAF-1, it requires KSR and the membrane environment to fully activate RAF-1.
FGTI-2734 induces apoptosis in mutant KRAS-dependent, but not mutant KRAS-independent human cancer cells.
FGTI-2734 inhibits the in vivo growth of mutant KRAS-dependent but not mutant KRAS–independent human tumors in mouse xenograft models (100 mg/kg, i.p.), also inhibits the growth of mutant KRAS PDXs from patients with pancreatic cancer.

References

Sebti SM, et al. ***Clin Cancer Res.*** 2019 Jun 21. pii: clincanres.3399.2018. doi: 10.1158/1078-0432.CCR-18-3399.

E-mail: tech@probechem.com