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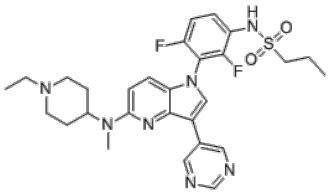
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BI 882370
Cat. No.	:	PC-63557
CAS No.	:	1392429-79-6
Molecular Formula	:	$C_{28}H_{33}F_2N_7O_2S$
Molecular Weight	:	569.676
Target	:	Raf
Solubility	:	10 mM in DMSO



Biological Activity

BI 882370 is a highly potent, selective, orally active **pan-RAF** inhibitor with IC50 of 0.4, 0.8 and 0.6 nM for BRAF V600E, BRAF WT and CRAF, respectively.
BI 882370 demonstrates excellent selectivity (>100-fold) against a panel of 253 kinases (The most sensitive kinase CSF1R IC50=39 nM).
BI 882370 binds to the DFG-out (inactive) conformation of the BRAF kinase, unlike vemurafenib and dabrafenib.
BI 882370 reduces p-MEK1/2 and p-ERK1/2 signals in BRAFV600E mutation A375 cells (EC50=0.3 nM), inhibits cell proliferation of a panel BRAF-mutant human melanoma and colorectal cancer cell lines (EC50=1-10 nM).
BI 882370 demonstrates in vivo anti-cancer activity against human melanoma xenografts in nude mice.

References

Waizenegger IC, et al. ***Mol Cancer Ther.*** 2016 Mar;15(3):354-65.

Stadtmueller H, et al. New azyindolylphenyl sulfonamides as serine/threonine kinase inhibitors). WO2012/104388 A1. 2012.

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