

Product Name : BI 882370

Synonyms : BI882370

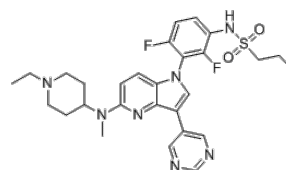
Cat No. : M11633

CAS Number : 1392429-79-6

Molecular Formula : C₂₈H₃₃F₂N₇O₂S

Formula Weight : 569.68

Chemical Name : Propane-1-sulfonic acid (3-{5-[(1-ethyl-piperidin-4-yl)-methyl-amino]-3-pyrimidin-5-yl-pyrrolo[3,2-b]pyridin-1-yl}-2,4-difluorophenyl)-amide



Description : BI 882370 is a highly potent, selective, orally active RAF inhibitor with IC₅₀ of 0.4, 0.8 and 0.6 nM for BRAF V600E, BRAF WT and CRAF, respectively; demonstrates excellent selectivity (>100-fold) against a panel of 253 kinases (The most sensitive kinase CSF1R IC₅₀=39 nM); binds to the DFG-out (inactive) conformation of the BRAF kinase, unlike vemurafenib and dabrafenib; 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); demonstrates in vivo anti-cancer activity against human melanoma xenografts in nude mice.

Pathway : MAPK/ERK Signaling

Target : Raf

Receptor : Raf

Solubility : —

SMILES : CCN1CCC(N(C)C2=CC=C(N(C3=C(F)C=CC(NS(CCC)(=O)=O)=C3F)C=C4C5=CN=CN=C5)C4=N2)CC1

Storage : (-20°C)

Stability : ≥ 2 years

Reference :

1. Waizenegger IC, et al. Mol Cancer Ther. 2016 Mar;15(3):354-65. | 2. Stadtmueller H, et al. New azyindolyphenyl sulfonamides as serine/threonine kinase inhibitors. WO2012/104388 A1. 2012.

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