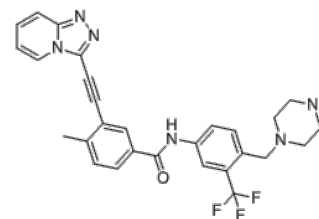


Product Name : PF-114
Cat. No. : PC-20215
CAS No. : 1416241-23-0
Molecular Formula : C₂₉H₂₇F₃N₆O
Molecular Weight : 532.57
Target : Bcr-Abl
Solubility : 10 mM in DMSO



Biological Activity

Vamotinib (PF-114) is a potent, selective and orally available inhibitor of native (IC₅₀=0.49 nM) and mutated **BCR/ABL** (IC₅₀=0.7-4 nM, ABL (T315I) IC₅₀=0.78 nM).

PF-114 potently inhibits ABL2, DDR1, DDR2, FMS, FRK, LCK, LYN and PDGFR kinases, but did not inhibit c-SRC, CSK, or c-KIT. PF-114 is a potent TKI with a more restricted selectivity profile as compared to ponatinib or dasatinib.

PF-114 inhibits the autophosphorylation of BCR/ABL and BCR/ABL-T315I and abolishes factor-independent growth of Ba/F3 cells mediated by BCR/ABL and its resistance mutants.

PF-114 potently inhibits Ph⁺ patient derived cell lines, abolishes tumor growth in a K562 nude-mouse xenograft model.

PF-114 suppresses growth of Ph⁺ PD-LTC with non mutational resistance as well as T315I mutation.

PF-114 prolongs the survival of mice with both BCR/ABL- and BCR/ABL-T315I-driven CMLlike disease.

References

A A Mian, et al. *Leukemia*. 2015 May;29(5):1104-14.

Ivanova ES, et al. *Int J Oncol*. 2019 Jul;55(1):289-297.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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