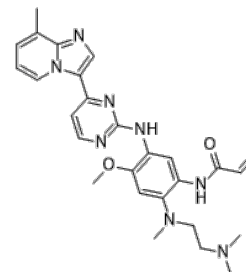


Product Name : YK-029A
Cat. No. : PC-24172
CAS No. : 2064269-82-3
Molecular Formula : C₂₇H₃₂N₈O₂
Molecular Weight : 500.61
Target : EGFR
Solubility : 10 mM in DMSO



CAS: 2064269-82-3

Biological Activity

Pruvonertinib (YK-029A) is potent, wild-type sparing and mutant-selective inhibitor of EGFR T790 M and exon 20 insertion mutations with IC₅₀ of 0.37 nM (EGFR L858R/T790 M), 29-fold selective over EGFR wt.

YK-029A exhibits superior antiproliferation effects in PC-9 (EGFRdel19), HCC827 (EGFRdel19), H1975 (EGFR LR/TM), and Ba/F3 (EGFRex20ins) cell lines

YK-029A also shows potent antiproliferation effects in EGFRex20ins expressing Ba/F3 cells with relatively good wt-EGFR selectivity (4-78 fold) in contrast to osimertinib.

YK-029A reduces pEGFR levels in the presence of EGF stimulation in H1975 cells, with reduction of pAKT levels led to the concomitant reduction in the downstream pERK levels.

YK-029A is effective with oral administration in vivo.

YK-029A (80 mg/kg, oral) exhibited significant antitumor activity in EGFRex20ins-driven patients-derived xenograft (PDX) models, preventing tumor progression or causing tumor regression.

References

Liu B, et al. Eur J Med Chem. 2023 Oct 5;258:115590.

Duan J, et al. J Thorac Oncol. 2024 Feb;19(2):314-324.

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

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