

Data Sheet

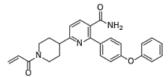
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Product Name : Orrelabrutinib
Cat. No. : PC-23189
CAS No. : 1655504-04-3
Molecular Formula : C₂₆H₂₅N₃O₃
Molecular Weight : 427.50

Target : BTK

Solubility : 10 mM in DMSO



Biological Activity

Orelabrutinib (ICP-022) is a potent, selective, orally active, and irreversible Bruton's tyrosine kinase (BTK) inhibitor with IC50 of 1.6 nM.

Orelabrutinib (ICP-022) displays high selectivity at 1 μ M against a panel of 456 kinases, only targets BTK with >90% inhibition lacking inhibition on many additional kinases, including EGFR, TEC, and bone marrow tyrosine kinase. Orelabrutinib (ICP-022) inhibited B cell lymphoma cell proliferation in vitro (TMD8 cell, IC50=0.08 uM).

Orelabrutinib (ICP-022) lacked inhibition on cellular ITK compared with ibrutinib.

Orelabrutinib (ICP-022) preserved rituximab-mediated cytotoxicity, the combination of orelabrutinib and rituximab treatment enhanced NK-cell-mediated ADCC.

Orelabrutinib (ICP-022) combined with rituximab effectively inhibited tumor growth in animal models.

References

Yu H, et al. Mol Ther Oncolytics. 2021 Apr 3;21:158-170.

Wu JJ, et al. Invest New Drugs. 2022 Jun;40(3):650-659.

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

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