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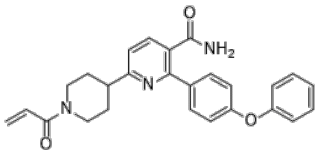
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Orelabrutinib
Cat. No.	:	PC-23189
CAS No.	:	1655504-04-3
Molecular Formula	:	$C_{26}H_{25}N_3O_3$
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 μM 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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