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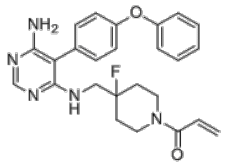
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TL-895
Cat. No.	:	PC-21379
CAS No.	:	1415823-49-2
Molecular Formula	:	$C_{25}H_{26}FN_5O_2$
Molecular Weight	:	447.51
Target	:	BTK
Solubility	:	10 mM in DMSO



Biological Activity

TL-895 (M7583) is a potent, highly selective, ATP-competitive, second-generation, irreversible inhibitor of Bruton's tyrosine kinase (BTK) with biochemical IC50 of 1.5 nM.
TL-895 (M7583) has an average IC50 of 18.5 nM for BTK against Millipore Kinase Profiler screening panel, profiling across 270 protein kinases at 1 μM, only 3 additional kinases are also inhibited by TL-895 (Blk, BMX, and Txk) with IC50 values of 77, 5, and 62 nM, respectively.
TL-895 (M7583) inhibits BTK auto-phosphorylation at Y223 after BCR stimulation with anti-IgM in the human Burkitt's lymphoma B-cell line Ramos with IC50 of 1-10 nM.
TL-895 (M7583) inhibits growth of primary CLL blasts in vitro with IC50 of 0.2 uM, similar to ibrutinib and acalabrutinib.
TL-895 (M7583) inhibits the ADCC mechanism of therapeutic antibodies only at supra-clinical exposure levels.
TL-895 (M7583) significantly inhibits tumor growth in the Mino MCL xenograft model and in 5/21 DLBCL PDX models relative to vehicle controls.

References

Goodstal SM, et al. Sci Rep. 2023 Nov 21;13(1):20412.

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