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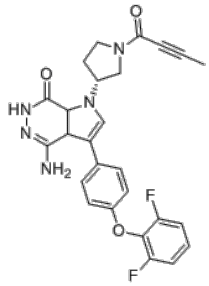
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TG-1701
Cat. No.	:	PC-72430
CAS No.	:	1858206-58-2
Molecular Formula	:	$C_{26}H_{23}F_2N_5O_3$
Molecular Weight	:	491.499
Target	:	BTK
Solubility	:	10 mM in DMSO



Biological Activity

TG-1701 (Edralbrutinib, TG1701) is a irreversible, orally available, potent and highly specific **BTK** inhibitor with Kd of 3 nM, IC50 of 6.7 nM, more selective than ibrutinib.
TG-1701 exerts similar activity than the first-in-class BTKi ibrutinib, although with greater selectivity, in in vitro and in vivo models of B-NHL.
TG-1701 impaired BCR downstream signaling in a concentration-dependent manner in IgM-stimulated cells, with maximal effects observed at 100 nM for TG-1701.
TG-1701 shows mean GI50 of 6.4 uM in a set of 10 parental B-NHL cell lines (MCL cell lines GI50=4.3 uM).
TG-1701 blunts Ikaros gene signature, including YES1 and MYC, in early-responder patients as well as in BTKi-sensitive B-NHL cell lines and xenografts.

References

Ribeiro ML, et al. ***Clin Cancer Res.*** 2021 Dec 1;27(23):6591-6601.

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