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Data Sheet

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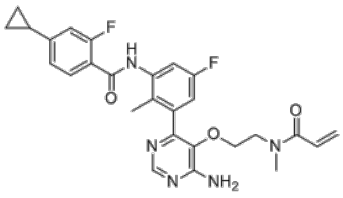
Product Name	:	Remibrutinib
Cat. No.	:	PC-38125
CAS No.	:	1787294-07-8
Molecular Formula	:	$C_{27}H_{27}F_2N_5O_3$
Molecular Weight	:	507.54
Target	:	BTK
Solubility	:	10 mM in DMSO



Biological Activity

Remibrutinib (LOU064) is a potent, highly selective covalent **BTK** inhibitor with IC50 of 1.3 nM.
LOU064 inhibits anti-IgM/IL-4 induced CD69 expression on human blood B cells with IC50 of 18 nM, inhibits FcγR induced IL8 with IC50 of 2.5 nM.
LOU064 shows very potent affinity to BTK with Kd of 0.63 nM and with a selectivity of 175 fold against TEC (Kd of 110 nM) and 857 fold against BMX (Kd 540 nM), not show binding to ITK, EGFR, ERBB2, ERBB4 and JAK3 at 10 uM. LOU064 exhibits IgM response inhibition in the rat model of B cell response to SRBC.
LOU064 demonstrates potent in vivo target occupancy with an EC90 of 1.6 mg/kg and dose-dependent efficacy in rat collagen-induced arthritis.

References

Angst D, et al. ***J Med Chem.*** 2020 May 28;63(10):5102-5118.

Kaul M, et al. ***Clin Transl Sci.*** 2021 Sep;14(5):1756-1768.

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