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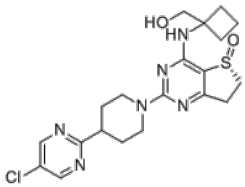
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BI 1015550
Cat. No.	:	PC-49676
CAS No.	:	1423719-30-5
Molecular Formula	:	$C_{20}H_{25}ClN_6O_2S$
Molecular Weight	:	448.97
Target	:	Phosphodiesterase (PDE)
Solubility	:	10 mM in DMSO



1. Herrmann FE, et al. ***Front Pharmacol***. 2022 Apr 20;13:838449.

Biological Activity

BI 1015550 (Nerandomilast, VRN024219) is a potent, selective **PDE4B** inhibitor that preferentially inhibits hydrolysis of cAMP by PDE4B with IC50 of 10 nM, weakly inhibits PDE4A/4C/4D (IC50=248/8700/91 nM, respectively).
BI 1015550 displays no significant activity agianst human PDE7A and human PDE3A, and PDE1C, PDE9A, human PDE2A and PDE5 at 10 uM.
BI 1015550 inhibited LPS-induced TNF- α release with an IC50 35 nM in human PBMCs, inhibited phytohemagglutinin P-induced IL-2 release with IC50 of 9 nM.
BI 1015550 completely inhibited LPS-stimulated TNF-α release with an IC50 in rat whole blood, inhibited TNF-α release up to 70–80% with an IC50 of 670 nM human whole blood.
BI 1015550 (0.01, 0.1, and 1 mg/kg, p.o.) inhibited LPS-stimulated TNF-α release in whole blood ex vivo in mice with ED50 of 0.04 mg/kg.
BI 1015550 (0.01, 0.1, and 1 mg/kg, p.o.) inhibited LPS-induced lung neutrophil influx in male Wistar rats with an ED50 of 0.1 mg/kg.
BI 1015550 inhibited α-SMA protein expression of TGF-β-stimulated IPF-LF with an IC50 of 210 nM, showed a synergistic effect in combination with Nintedanib on fibroblast proliferation.
BI 1015550 is a promising oral clinical candidate for the treatment of idiopathic pulmonary fibrosis (IPF) and other fibro-proliferative diseases.

References