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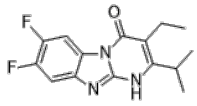
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PSB-172656
Cat. No.	:	PC-24413
CAS No.	:	2641397-91-1
Molecular Formula	:	$C_{15}H_{15}F_2N_3O$
Molecular Weight	:	291.30
Target	:	
Solubility	:	10 mM in DMSO



CAS: 2641397-91-1

Biological Activity

PSB-172656 is a highly potent, selective non-cytotoxic MAS-related G protein-coupled receptor-X2 (**MRGPRX2**) antagonist with Ki of 0.142 nM, does not block any of the other MRGPRX subtypes at 10 uM.
PSB-172656 not only inhibited MRGPRX2 activation induced by the peptide CST-14 (Ki 6.81nM), but also by all other investigated agonists including the peptide substance P (SP; Ki 8.82 nM.
PSB-172656 (100 nM) completely inhibited MRGPRX2-induced Gαq as well as Gαi1 protein activation.
PSB-172656 (100 nM, 300 nM) inhibits MRGPRX2-mediated MC activation utilizing the rat MC line RBL-2H3 recombinantly expressing the human MRGPRX2, completely abolished MC degranulation in response to C48/80 and SP, inhibited degranulation in response to all of the investigated MRGPRX2 agonists in a concentration-dependent manner with similarly high IC50 values: C48/80 (3.79 nM), SP (15.5 nM), PAMP-12 (11.7nM), and LL-37 (8.12 nM).
PSB-172656 inhibited MRGPRX2-mediated Ca2+ mobilization in LAD2 cells, caused a dose-dependent inhibition of β-hexosaminidase release in LAD2 cells in response to SP with IC50 of 5.26 nM in recombinant RBL-MRGPRX2 MC line.
PSB-172656 additionally blocks the putative mouse ortholog of MRGPRX2, MRGPRB2, as determined in Ca2+ mobilization assays (Ki 0.302 nM).
PSB-172656 prevents mouse tracheal contractions, local allergic reactions, and systemic anaphylactic symptoms.

References

Al Hamwi G, et al. ***Signal Transduct Target Ther.*** 2025 Apr 21;10(1):128.

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