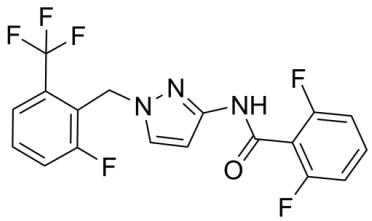
Data Sheet

Product Name:	GSK-5498A
Cat. No.:	CS-3631
CAS No.:	1253186-49-0
Molecular Formula:	$C_{18}H_{11}F_6N_3O$
Molecular Weight:	399.29
Target:	CRAC Channel
Pathway:	Membrane Transporter/Ion Channel
Solubility:	DMSO : ≥ 100 mg/mL



BIOLOGICAL ACTIVITY:

GSK-5498A is a selective **CARC channel** inhibitor (**IC_{50}**: 1 μM). GSK-5498A inhibits mediators release from mast cells and pro-inflammatory cytokines release from T cells. GSK-5498A can be used in the research of inflammatory disorders[1]. IC50 & Target: CRAC channel[1] ***In Vitro:*** GSK-5498A (1 and 10 μM) inhibits calcium influx through CRAC channels in human embryonic kidney cells [1].

GSK-5498A (1 nM-10 μM) inhibits the thapsigargin-evoked fluorescence signal (pIC_{50}: 6.3) in Jurkat cells, measured using the calcium sensitive dye: Fluo4-AM[1].

GSK-5498A (1 nM-10 μM) evokes concentration-dependent inhibition of Cytostim-evoked interferon-γ and IL-5 production in PBMCs [1].

GSK-5498A (1 μM-10 μM) inhibits degranulation of rat tissue-resident mast cells[1].

GSK-5498A (10 nM-10 μM) inhibits mouse and rat T-cell cytokine (IL-2) release[1].

GSK-5498A (0-10 μM) shows high selectivity for CRAC channels over other ion channels, enzymes and G-protein coupled receptors [1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal administration [1]: Spleens from male ovalbumin-sensitized BrownNorway Rats (250–600 g) were removed and placed in assay buffer; RPMI-1640 supplemented with 10% Foetal Bovine Serum,100 U/ml Penicillin,100 mg/ml Streptomycin, 2mM L-glutamine. Spleens were cut and cells gently squeezed through a 40 mm sieve, assisted by adding 1ml assay buffer. Red cells were removed by adding 1.5 ml of alysis buffer containing (mM); NH4Cl (0.15), KHCO3 (0.01), EDTA(0.001) for 1 min.Cells were then centrifuged (350g, 5 min) before being resuspended in assay buffer at a cell density of 10 7 cells/ml. Cells were pre-incubated with compound or vehicle for 1h prior to a challenge with 4 mg/ml Staphylococcus aureus entertoxin B. After 24h incubation supernatants were removed, and IL-2 levels determined using Quantikine Rat IL-2 Immnoassay to the manufacturer'sinstructions. Results expressed as a percentage inhibitionof the SEB challenged cells pre-treated with vehicle.

References:

[1]. Rice LV, et al. Characterization of selective Calcium-Release Activated Calcium channel blockers in mast cells and T-cells from human, rat, mouse and guinea-pig preparations. Eur J Pharmacol. 2013 Mar 15;704(1-3):49-57.

CAIndexNames:

Benzamide, 2,6-difluoro-N-[1-[[2-fluoro-6-(trifluoromethyl)phenyl]methyl]-1H-pyrazol-3-yl]-

SMILES:

O=C(NC1=NN(CC2=C(F)C=CC=C2C(F)(F)F)C=C1)C3=C(F)C=CC=C3F

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