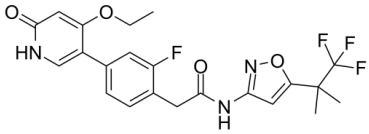
Data Sheet

Product Name:	GSK3179106
Cat. No.:	CS-7799
CAS No.:	1627856-64-7
Molecular Formula:	C22H21F4N3O4
Molecular Weight:	467.41
Target:	RET
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : ≥ 100 mg/mL (213.94 mM)



BIOLOGICAL ACTIVITY:

GSK3179106 is a potent and selective **RET kinase** inhibitor with an **IC_{50}** of 0.4 nM[1]. IC50 & Target: IC50: 0.4±0.2 nM (Human RET), 0.2±0.1 nM (Rat RET)[1] **In Vitro:** GSK3179106 (10 nM-100 μM; 8 days for TT cells, 3 days for SK-N-AS and A549 cells) inhibits the proliferation of the RET-dependent TT cell line with a mean IC_{50} value of 25.5 nM however has no effect on the proliferation of the RET-independent SK-NAS and A549 cell lines (mean IC_{50}>10 μM and IC_{30}>17 μM, respectively)[1].
GSK3179106 inhibits RET phosphorylation in SK-N-AS cells and TT cells with mean IC_{50}s of 4.6 nM and 11.1 nM, respectively[1]. **In Vivo:** GSK3179106 (3 or 10 mg/kg; orally; for 3.5 days BID) reduces the visceromotor response (VMR) in comparison to rats given an acetic acid enema and dosed with vehicle[1].

References:

[1]. Russell JP, et al. Exploring the Potential of RET Kinase Inhibition for Irritable Bowel Syndrome: A Preclinical Investigation in Rodent Models of Colonic Hypersensitivity. J Pharmacol Exp Ther. 2019 Feb;368(2):299-307.

[2]. Russell JP, et al. Enteric RET inhibition attenuates gastrointestinal secretion and motility via cholinergic signaling in rat colonic mucosal preparations. Neurogastroenterol Motil. 2019 Apr;31(4):e13479.

CAIndexNames:

Benzeneacetamide, 4-(4-ethoxy-1,6-dihydro-6-oxo-3-pyridinyl)-2-fluoro-N-[5-(2,2,2-trifluoro-1,1-dimethylethyl)-3-isoxazolyl]-

SMILES:

O=C(NC1=NOC(C(C)(C)C(F)(F)F)=C1)CC2=CC=C(C(C(OCC)=C3)=CNC3=O)C=C2F

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