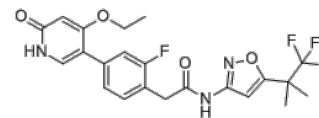


Product Name : GSK3179106
Cat. No. : PC-35286
CAS No. : 1627856-64-7
Molecular Formula : C₂₂H₂₁F₄N₃O₄
Molecular Weight : 467.421
Target : RET Tyrosine Kinase (c-RET)
Solubility : 10 mM in DMSO



Biological Activity

GSK3179106 (GSK-3179106, GSK 3179106) is a potent, selective, first-in-class and gut-restricted **RET kinase inhibitor** with IC₅₀ of 0.4 and 11 nM in the biochemical assay and cellular assay respectively, 273-fold selectivity over KDR. GSK3179106 possesses good kinase selectivity, only 26 out of a set of >300 recombinant kinases were found to be inhibited at 1 μM.

GSK3179106 dosed orally at 10 mg/kg for 3.5 days BID reduced the visceromotor response to colorectal distension in comparison to rats given an acetic acid enema and dosed with vehicle.

GSK3179106 has been developed for the treatment of IBS in a clinical setting.

References

Hilary Schenck Eidam, et al. Discovery of a First-in-Class Gut-Restricted RET Kinase Inhibitor as a Clinical Candidate for the Treatment of IBS. *ACS Med. Chem. Lett.* DOI: 10.1021/acsmmedchemlett.8b00035.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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