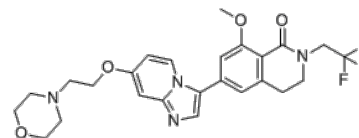


Product Name : GLPG3970
Cat. No. : PC-20664
CAS No. : 2403733-82-2
Molecular Formula : C₂₅H₂₇F₃N₄O₄
Molecular Weight : 504.51
Target : Salt Inducible Kinase (SIK)
Solubility : 10 mM in DMSO



CAS: 2403733-82-2

Biological Activity

GLPG3970 (GLPG 3970) is a potent, selective first-in-class salt inducible kinase **SIK2/SIK3** inhibitor with IC₅₀ of 7.8/3.8 nM, respectively.

GLPG3970 exhibits high selectivity against a panel of 372 kinases, weakly inhibits SIK1 with IC₅₀ of 282 nM.

GLPG3970 displays IC₅₀ of >17,500 nM, 254 nM, and 79 nM on SIK1, SIK2, and SIK3, respectively in NanoBRET binding assays in HEK293 cells.

GLPG3970 inhibits the production of TNF α and IL-12, and increases the release of IL-10 by primary human myeloid cells and in human whole blood stimulated by LPS.

GLPG3970 (3, 10, or 30 mg/kg twice daily, po) shows concordant results on TNF α and IL-10 in vivo in mice challenged with LPS, displays significant efficacy in a mouse DSS colitis model.

References

Peixoto C, et al. *J Med Chem*. 2024 Mar 29. doi: 10.1021/acs.jmedchem.3c02246.

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

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