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Data Sheet

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Product Name	:	GLPG3970
Cat. No.	:	PC-20664
CAS No.	:	2403733-82-2
Molecular Formula	:	C ₂₅ H ₂₇ F ₃ N ₄ O ₄
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 TNFα 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! E-mail: tech@probechem.com