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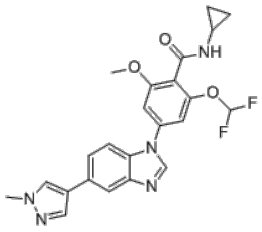
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GLPG3312
Cat. No.	:	PC-21587
CAS No.	:	2340388-72-7
Molecular Formula	:	$C_{23}H_{21}F_2N_5O_3$
Molecular Weight	:	453.45
Target	:	Salt Inducible Kinase (SIK)
Solubility	:	10 mM in DMSO



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Biological Activity

GLPG3312 is a potent and selective pan-SIK inhibitor with IC50 of 2.0/0.7/0.6 nM for **SIK1/SIK2/SIK3**, respectively.
GLPG3312 displays high selectivity against a panel of 380 kinases, RIPK2 is the most potent off-target with IC50 of 19.7 nM, which is 10-fold less potent than that on SIK1 and 30-fold less potent than those on SIK2 and SIK3.
GLPG3312 dose-dependently inhibits TNFα release in vitro cell assays using primary human monocytes and monocyte-derived macrophages (MdM) stimulated with LPS, with IC50 of 17 nM and 34 nM, respectively, also enhances the release of IL-10 at higher concentrations.
GLPG3312 displays both anti-inflammatory and immunoregulatory activities in vitro, inhibits the production of TNFα and increased the release of IL-10 in mice stimulated with LPS.

References

Temal-Laib T, et al. ***J Med Chem.*** 2023 Dec 26. doi: 10.1021/acs.jmedchem.3c01428.

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