

Data Sheet

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 Product Name
 :
 GLPG3312

 Cat. No.
 :
 PC-21587

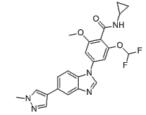
 CAS No.
 :
 2340388-72-7

 Molecular Formula
 :
 C₂₃H₂₁F₂N₅O₃

 Molecular Weight
 :
 453.45

Target : Salt Inducible Kinase (SIK)

Solubility : 10 mM in DMSO



CAS: 2340388-72-7

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 TNF α 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 TNF α 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.

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

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