

Data Sheet

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 Product Name
 :
 Lanraplenib

 Cat. No.
 :
 PC-61380

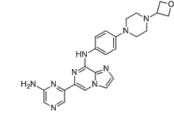
 CAS No.
 :
 1800046-95-0

 Molecular Formula
 :
 C₂₃H₂₅N₉O

 Molecular Weight
 :
 443.515

 Target
 :
 Syk

Solubility : 10 mM in DMSO



1. Peter Blomgren, et al. ACS Med Chem Lett.

Biological Activity

Lanraplenib (GS-9876, GS9876) is a potent, selective, orally active **Syk** kinase inhibitor with IC50 of 9.5 nM. Lanraplenib (GS-9876) displays high selectivity on a panel of 395 nonmutant kinases, with exception JAK2 to be the most potently inhibited off-target kinase with IC50 of 120 nM.

Lanraplenib (GS-9876) is a potent inhibitor (EC50 24-51 nM) of signaling downstream of the B-cell receptor and completely abrogates the expression of the cell-surface activation markers CD86 and CD69 with EC50 112-164 nM.

Lanraplenib (GS-9876) inhibits proliferation of B-cells following BCR stimulation with IC50 of 108 nM, also reduces immune-complex (IC) stimulated release of proinflammatory cytokines from human macrophages with TNF α and IL-1 β inhibited more potently than IL-6.

Lanraplenib (GS-9876) suppressed disease progression and improves survival in the NZB/W F1 murine lupus model.

References

2020 Feb 12;11(4):506-513.
2. Pohlmeyer CW, et al. *BMC Rheumatol.* 2021 Mar 30;5(1):15.