

Product Data Sheet

Product Name: Lanraplenib succinate

Cat. No.: GC38630

Chemical Properties

Cas. No. 1800047-00-0

SMILES NC1=CN=CC(C2=CN3C(C(NC4=CC=C(N5CCN(C6COC6)CC5)C=C4)=N2)=NC=C3)=N1.O=C(O)CCC(O)=O.[3/2]

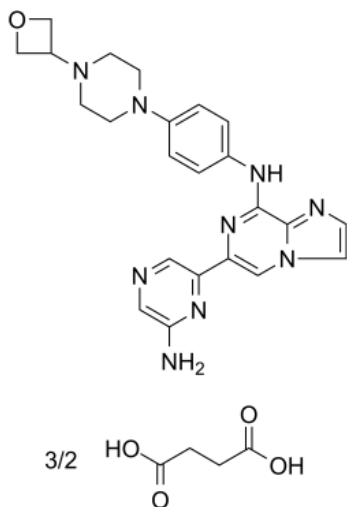
Formula $C_{23}H_{25}N_9O \cdot 3/2 C_4H_6O_4$ M.Wt 620.64

Solubility DMSO: 83.33 mg/mL (134.26 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Lanraplenib succinate (GS-9876 succinate) is a highly selective and orally active SYK inhibitor (IC₅₀=9.5 nM) in development for the treatment of inflammatory diseases. Lanraplenib succinate (GS-9876 succinate) inhibits SYK activity in platelets via the glycoprotein VI (GPVI) receptor without prolonging bleeding time (BT) in monkeys or humans[1][2][3].

Lanraplenib succinate (GS-9876 succinate) inhibits anti-IgM stimulated phosphorylation of AKT,

Caution: Product has not been fully validated for medical applications. For research use only.

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BLNK, BTK, ERK, MEK, and PKC δ in human B cells with EC₅₀ values of 24-51 nM. Lanraplenib monosuccinate inhibits anti-IgM mediated CD69 and CD86 expression on B-cells (EC₅₀=112 $\pm$ 10 nM and 164 $\pm$ 15 nM, respectively) and anti-IgM /anti-CD40 co-stimulated B cell proliferation (EC₅₀=108 $\pm$ 55 nM). In human macrophages, Lanraplenib succinate inhibits IC-stimulated TNF α and IL-1 β release (EC₅₀=121 $\pm$ 77 nM and 9 $\pm$ 17 nM, respectively)[1]. Lanraplenib succinate (GS-9876 succinate) inhibits glycoprotein VI (GPVI)-induced phosphorylation of linker for activation of T cells and phospholipase C γ 2, platelet activation and aggregation in human whole blood, and platelet binding to collagen under arterial flow[2].

[1]. Di Paolo J, et al. FRI0049 Preclinical Characterization of GS-9876, A Novel, Oral SYK Inhibitor That Shows Efficacy in Multiple Established Rat Models of Collagen-Induced Arthritis. *Annals of the Rheumatic Diseases* 2016;75:443-444. [2]. Clarke AS, et al. Effects of GS-9876, a novel spleen tyrosine kinase inhibitor, on platelet function and systemic hemostasis. *Thromb Res.* 2018 Oct;170:109-118. [3]. Kivitz AJ, et al. GS-9876, a Novel, Highly Selective, SYK Inhibitor in Patients with Active Rheumatoid Arthritis: Safety, Tolerability and Efficacy Results of a Phase 2 Study [abstract]. *Arthritis Rheumatol.* 2018; 70 (suppl 10).

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