GSK2646264

Cat. No.: HY-112809

CAS No.: 1398695-47-0

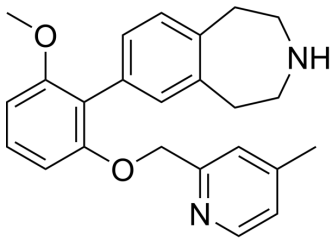
Molecular Formula: $C_{24}H_{26}N_2O_2$

Molecular Weight: 374.48

Target: Syk; Src; LRRK2; GSK-3; JAK; VEGFR; Aurora Kinase

Pathway: Protein Tyrosine Kinase/RTK; Autophagy; PI3K/Akt/mTOR; Stem Cell/Wnt; Epigenetics; JAK/STAT Signaling; Cell Cycle/DNA Damage

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (133.52 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6704 mL	13.3518 mL	26.7037 mL
	5 mM	0.5341 mL	2.6704 mL	5.3407 mL
	10 mM	0.2670 mL	1.3352 mL	2.6704 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (3.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.34 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK2646264 (Compound 44) is a potent and selective spleen tyrosine kinase (SYK) inhibitor with a pIC_{50} of 7.1. GSK2646264 also inhibits other kinases with pIC_{50} values of 5.4, 5.4, 5.3, 5, 4.5, <4.6 and <4.3 against LCK, LRRK2, GSK3β, JAK2, VEGFR2, Aurora B and Aurora A, respectively. GSK2646264 is penetrable into the epidermis and dermis of the skin[1].

IC_{50} & Target

SYK 7.1 (pIC_{50})	LCK 5.4 (pIC_{50})	LRRK2 5.4 (pIC_{50})	GSK3β 5.3 (pIC_{50})
JAK2 5 (pIC_{50})	VEGFR2 4.5 (pIC_{50})	Aurora B <4.6 (pIC_{50})	Aurora A <4.3 (pIC_{50})

In Vitro	GSK2646264 (0.01-10 μM; 1 h) significantly inhibits anti‐IgE (but not C5a)‐induced histamine release from skin mast cells in a concentration‐dependent manner. The IC_{50} is 0.7 μM and the IC_{90} is 6.8 μM[2]. GSK2646264 cream (0.5, 1, and 3% [wt/wt]; 1 cm^2; 4 and 24 h) inhibits anti‐IgE‐induced histamine release from mast cells in ex vivo human skin[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Barker MD, et al. Discovery of potent and selective Spleen Tyrosine Kinase inhibitors for the topical treatment of inflammatory skin disease. Bioorg Med Chem Lett. 2018 Nov 15;28(21):3458-3462.

[2]. Ramirez Molina C, et al. GSK2646264, a spleen tyrosine kinase inhibitor, attenuates the release of histamine in ex vivo human skin. Br J Pharmacol. 2019 Apr;176(8):1135-1142.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA