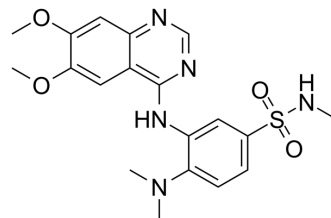


GSK-114

Cat. No.:	HY-117658		
CAS No.:	1301761-96-5		
Molecular Formula:	C ₁₉ H ₂₃ N ₅ O ₄ S		
Molecular Weight:	417.48		
Target:	Others		
Pathway:	Others		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5.95 mg/mL (14.25 mM; ultrasonic and warming and heat to 60°C)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.3953 mL	11.9766 mL	23.9532 mL
	5 mM	0.4791 mL	2.3953 mL	4.7906 mL
	10 mM	0.2395 mL	1.1977 mL	2.3953 mL

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

BIOLOGICAL ACTIVITY

Description

GSK-114 is a highly selective, orally active TNNI3K inhibitor (IC₅₀= 25 nM). GSK-114 shows a 40-fold selectivity for TNNI3K over B-Raf kinase (IC₅₀= 1 μM). Cardiac troponin I-interacting kinase (TNNI3K or CARK) is a member of the tyrosine-like kinase family that is selectively expressed in heart tissue^[1].

In Vitro

At a concentration of 1 μM, GSK114 demonstrated an affinity for seven other kinases: ACK1, B-Raf, GAK, MEK5, PDGFRB, STK36, and ZAK^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GSK-114 affords the best balance of specificity and pharmacokinetics, demonstrating useful oral exposure (poDNAUC=0.18, C_{max}=130 ng/ml @ 2 mg/kg, t_{1/2}=3.6 hr, rat F_u=20.2%)^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lawhorn BG, et al. GSK114: A selective inhibitor for elucidating the biological role of TNNi3K. *Bioorg Med Chem Lett.* 2016;26(14):3355-3358.

[2]. Asquith CRM, et al. New Insights into 4-Anilinoquinazolines as Inhibitors of Cardiac Troponin I-Interacting Kinase (TNNi3K). *Molecules.* 2020;25(7):1697. Published 2020 Apr 7.

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

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