
Product Data Sheet

Product Name: GSK-114
Cat. No.: GC63917

Chemical Properties

Cas. No. 1301761-96-5

Formula C₁₉H₂₃N₅O₄S M.Wt 417.48

Solubility 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

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].

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

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 Fu=20.2%)[1].

[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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