Chemical Properties

Product Name: GSK461364
Cas No.: 929095-18-1
M.Wt.: 543.6
Formula: C27H28F3N5O2S
Chemical Name: 5-[(6-[(4-methylpiperazin-1-yl)methyl]benzimidazol-1-yl]-3-[(1R)-1-[(2-(trifluoromethyl)phenyl]ethoxy]thiophene-2-carboxamide
Canonical SMILES: CC(C1=CC=CC1C(F)(F)F)OC2=C(SC(=C2)N3C=NC4=C3C=C(C=C4)CN5CCN(CC5)C(=O)N
Solubility: Soluble in DMSO > 10 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.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: PLK
Pathways: Cell Cycle/Checkpoint >> PLK
Description:
GSK461364 is a potent and reversible ATP competitive Plk1 inhibitor. Polo-like kinases (Plk) are a family of serine threonine kinases that are critical regulators of DNA damage response and cell cycle progression.
In vitro: GSK461364 showed at least 390-fold greater selectivity for Plk1 than for Plk2 and Plk3 and 1,000-fold greater than for 48 other kinases. The drug showed antiproliferative activity against multiple (>120) tumor cell lines and potently inhibited the proliferation of greater than
83% and 91% of these cell lines [1].
In vivo: Intraperitoneal administration of GSK461364 caused regression or tumor growth delay in different xenograft models. In vivo suppression of Plk1 by using GSK461364 resulted in mitotic arrest with aberrant mitotic figures consisting of monopolar or collapsed mitotic spindles [1].
Clinical trial: The final recommended phase II dose for GSK461364 was 225 mg administered intravenously. Moreover, GSK461364 was suggested to involve coadministration of prophylactic anticoagulation for further clinical evaluation [1].

Reference: