Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

LGK974 (NVP-LGK974; WNT974)

Catalog No: tcsc2807

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1243244-14-5

Formula:
$C_{23}H_{20}N_6O$

Pathway:
Stem Cell/Wnt

Target:
Porcupine

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 32 mg/mL (80.72 mM)

Alternative Names:
WNT974

Observed Molecular Weight:
396.44

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Product Description

LGK974 (WNT974) is a potent and specific **Porcupine** (**PORCN**) inhibitor with an **IC_{50}** of 0.1 nM.

IC50 & Target: Porcupine[1]

In Vitro: LGK974 effectively displaces [^{3}H]-GNF-1331 with an IC_{50} of 1 nM in the PORCN radioligand binding assay. LGK974 potently reduces Wnt-dependent *AXIN2* mRNA levels in HN30 cells with an IC_{50} of 0.3 nM[1].

In Vivo: LGK974, a drug that targets Porcupine, a Wnt-specific acyltransferase. LGK974 potently inhibits Wnt signaling, has strong efficacy in rodent tumor models, and is well-tolerated. Toxicology studies are performed on nontumor bearing rats at 3 and 20 mg/kg. At the efficacious dose of 3 mg/kg per day for 14 d, LGK974 is well-tolerated without abnormal histopathological findings in Wnt-dependent tissues, including the intestine, stomach, and skin. When rats are administrated a very high dose of 20 mg/kg per day for 14 d, loss of intestinal epithelium is observed, consistent with the concept that Wnt is required for intestinal tissue homeostasis[1].