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LGK974

产品编号: D50779
CAS: 1243244-14-5
分子式: C23H20N6O
纯度: ≥98%
InChi: InChI=1S/C23H20N6O/c1-15-9-17(12-28-23(15)18-5-6-25-16(2)10-18)11-22(30)29-21-4-3-19(13-27-21)20-14-24-7-8-26-20/h3-10,12-14H,11H2,1-2H3,(H,27,29,30)
InChi Key: XXYGTCZJJLTAGH-UHFFFAOYSA-N
Smiles: CC1C=C(C=CN=1)C1=NC=C(CC(=O)NC2C=CC(=CN=2)C2C=NC=CN=2)C=C1C
外观: 固体粉末
作用通路: Porcupine
溶解性: DMSO up to 100 mM
保存条件: Store in dry, dark place for one year.
产品介绍: LGK974 is a highly potent, selective and orally bioavailable Porcupine inhibitor (Wnt signaling antagonist) with an IC50 ~0.4 nM. LGK974 potently inhibits Wnt signaling in vitro and in vivo, including reduction of the Wnt-dependent LRP6 phosphorylation and the expression of Wnt target genes, such as AXIN2. LGK974 is potent and efficacious in multiple tumor models at well-tolerated doses in vivo, including murine and rat mechanistic breast cancer models driven by MMTV–Wnt1 and a human head and neck squamouscell carcinoma model (HN30). We also show that head and neck cancer cell lines with loss-of-function mutations in the Notch signaling pathway have a high response rate to LGK974. All LGK974-sensitive pancreatic cancer cell lines carried inactivating mutations of RNF43. Currently LGK974 is in the Phase I study to treat cancers that are driven by the Wnt pathway in a Wnt ligand-dependent manner.LGK974 is a highly potent, selective and orally bioavailable Porcupine inhibitor (Wnt signaling antagonist) with an IC50 ~0.4 nM. LGK974 potently inhibits Wnt signaling in vitro and in vivo, including reduction of the Wnt-dependent LRP6 phosphorylation and the expression of Wnt target genes, such as AXIN2. LGK974 is potent and efficacious in multiple tumor models at well-tolerated doses in vivo, including murine and rat mechanistic breast cancer models driven by MMTV–Wnt1 and a human head and neck squamouscell carcinoma model (HN30). We also show that head and neck cancer cell lines with loss-of-function mutations in the Notch signaling pathway have a high response rate to LGK974. All LGK974-sensitive pancreatic cancer cell lines carried inactivating mutations of RNF43. Currently LGK974 is in the Phase I study to treat cancers that are driven by the Wnt pathway in a Wnt ligand-dependent manner.