PRODUCT INFORMATION

KPT-9274
Item No. 22921

CAS Registry No.: 1643913-93-2
Formal Name: (2E)-3-(6-amino-3-pyridinyl)-N-[[5-[[4,4-difluoro-1-piperidinyl]carbonyl]phenyl]-7-(4-fluorophenyl)-2-benzofuranyl]methyl]-2-propenamide

MF: C_{35}H_{29}F_{3}N_{4}O_{3}
FW: 610.6
Purity: ≥98%
UV/Vis.: λ_{max}: 264 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

KPT-9274 is supplied as a crystalline solid. A stock solution may be made by dissolving the KPT-9274 in the solvent of choice. KPT-9274 is soluble in organic solvents DMSO and ethanol, which should be purged with an inert gas. The solubility of KPT-9274 in these solvents is approximately 1 mg/ml.

Description

KPT-9274 is a dual inhibitor of nicotinamide phosphoribosyltransferase (NAMPT; IC_{50} = 120 nM) and the serine/threonine protein kinase PAK4. It selectively inhibits the phosphorylation of PAK4 in renal cell carcinoma cells over normal renal tubular epithelium, and U2OS cells with a PAK4 knockout exhibit a 6-fold resistance to growth inhibition by KPT-9274. KPT-9274 inhibits growth and decreases invasion and migration of renal cell carcinoma cell lines in a dose-dependent manner. Oral administration of KPT-9274 (100 mg/kg) reduces tumor growth in a 786-O renal carcinoma mouse xenograft model comparable to sunitinib (Item No. 13159). It also reduces tumorigenesis in MDA-MB-231, MDA-MB-468, and SUM159 mouse xenograft models of triple-negative breast cancer.

References