

Product Datasheet

KPT-9274

Catalog No. **BP-02125** · CAS **1643913-93-2** · Form **free base** · Physical state **solid** · Color **white to light yellow** · Version **1.0** · Revision **2026-09-02**

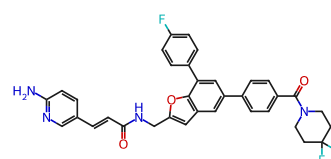
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Target PAK; NAMPT	Research area Cancer
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Molecular formula **C₃₅H₂₉F₃N₄O₃**

Molecular weight **610.6250**

STRUCTURE



DESCRIPTION

Padnarsertib (KPT-9274) is an orally bioavailable, dual PAK4/Nicotinamide phosphoribosyltransferase (Nampt) inhibitor, with IC₅₀s of <100 nM and 120 nM, respectively .

BIOLOGICAL ACTIVITY

In Vitro

Padnarsertib attenuates the PAK4/β-catenin pathway, results in NAD depletion, and attenuates viability, invasion, and migration in several RCC cell lines. Inhibition of NAMPT in a cell-free enzymatic assay using recombinant NAMPT shows an IC₅₀ of approximately 120 nM for Padnarsertib. Padnarsertib attenuates G2-M transit and induces apoptosis in RCC cell lines.

In Vivo

Padnarsertib demonstrates a decrement of xenograft growth comparable with that of Sunitinib (HY-10255A). There are minimal Padnarsertib effects on the normal human RPTECs and no apparent toxicity in vivo.

Padnarsertib is currently being investigated in a phase I human clinical trial of patients with advanced solid malignancies and NHL.

Padnarsertib (oral administration; 100mg/kg or 200 mg/kg; twice a day; 14 days) demonstrates a decrement of xenograft growth without no significant weight loss. 8 hours after, Padnarsertib are measured at the end of the experiment in mouse plasma and tumors with 10757 ng/mL and 10647 ng/mL, respectively.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. WO2015003166A1

[2]. Abu Aboud O, et al. Dual and Specific Inhibition of NAMPT and PAK4 By KPT-9274 Decreases Kidney Cancer Growth. Mol Cancer Ther. 2016 Sep;15(9):2119-29.

[3]. Abu Aboud O, et al. Dual and Specific Inhibition of NAMPT and PAK4 By KPT-9274 Decreases Kidney Cancer Growth. Mol Cancer Ther. 2016 Sep;15(9):2119-29.

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