

Product Datasheet

PF-05274857 hydrochloride

Catalog No. **BP-02143A** · CAS **1613439-62-5** · Form **salt · hydrochloride** · Physical state **solid** · Version **1.0** · Revision **2026-09-07**

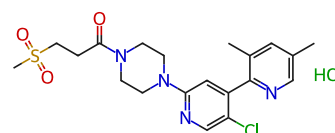
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Target Smo	Research area Cancer
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Molecular formula **C₂₀H₂₆Cl₂N₄O₃S**

Molecular weight **473.4160**

STRUCTURE



DESCRIPTION

PF-5274857 hydrochloride is a potent, selective, orally active and brain-penetrant antagonist of Smo, with an IC₅₀ of 5.8 nM and K_i of 4.6 nM. PF-5274857 hydrochloride has potential for research of tumor types including brain tumors and brain metastasis driven by an activated Hh pathway.

BIOLOGICAL ACTIVITY

In Vitro

PF-5274857 completely inhibits Shh-induced Hh pathway activity with an IC₅₀ of 2.7±1.4 nM measured by the transcriptional activity of Smo downstream gene Gli1 in MEF cells.

PF-5274857 shows less than 20% inhibition against a broad panel of protein kinases at 1 μM.

In Vivo

PF-5274857 (1-30 mg/kg; p.o. once daily for 6 days) shows robust antitumor efficacy and correlation between PK and PD in medulloblastoma allograft mice models.

PF-5274857 (10 mg/kg; i.h.) in the plasma is able to cross the blood-brain barrier in rats within 4 hours postdose.

PF-5274857 (10-100 mg/kg; p.o. once daily for 4 days) is able to target Smo in the brain leading to the downregulation of Hh pathway activity in the brain tumor.

PF-5274857 (30 mg/kg; p.o. once daily for 34 days) increases the survival rates of primary Ptch+/- p53-/- medulloblastoma mice.

PF-5274857 (5-30 mg/kg; p.o.) exhibits the apparent volume of distribution of 5.6±0.5 L/kg and the half-life (T_{1/2}) of 1.7±0.1 hours.

REFERENCES

[1]. Rohner A, et, al. Effective targeting of Hedgehog signaling in a medulloblastoma model with PF-5274857, a potent and selective Smoothened antagonist that penetrates the blood-brain barrier. *Mol Cancer Ther.* 2012 Jan;11(1):57-65.

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Lot CoA and SDS are separate documents.