

Product Datasheet

PF-5274857

Catalog No. **BP-02143** · CAS **1373615-35-0** · Form **free base** · Physical state **solid** · Color **Light yellow to yellow** · Version **1.0**
· Revision **2026-09-03**

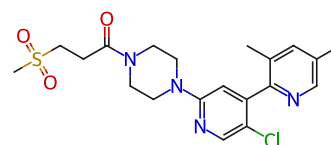
FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.

Target Smo	Research area Cancer
----------------------	--------------------------------

Molecular formula **C₂₀H₂₅ClN₄O₃S**

Molecular weight **436.9560**

STRUCTURE



DESCRIPTION

PF-5274857 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 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.

SOLUBILITY

Soluble in DMSO/H₂O

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, 11(1), 57-65.

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com

BiochemProbe is the catalog brand of Guangzhou Yuyuan Biotech Co., Ltd. · For research use only.

Lot CoA and SDS are separate documents.