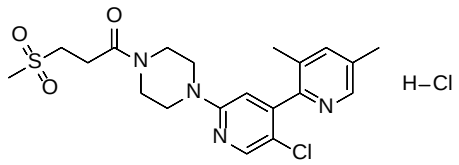
Product data sheet

MedKoo Cat#: 563691 Name: PF-5274857 HCl CAS: 613439-62-5 (HCl) Chemical Formula: $C_{20}H_{26}Cl_2N_4O_3S$ Exact Mass: 472.1103 Molecular Weight: 473.413	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
PF-5274857 HCl is a potent and selective smoothened (Smo) receptor antagonist.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.11 mL	10.56 mL	21.12 mL
5 mM	0.42 mL	2.11 mL	4.22 mL
10 mM	0.21 mL	1.06 mL	2.11 mL
50 mM	0.04 mL	0.21 mL	0.42 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Zhou WJ, Chen J, Feng Y, Fan YP, Li Q, Fu J, Zhang P. [Inhibition of Cigarettes Smoke-induced Epithelial to Mesenchymal Transition by the SMO Inhibitor PF-5274857 in Beas-2b Epithelial Cells]. Sichuan Da Xue Xue Bao Yi Xue Ban. 2016 Jul;47(4):485-490. Chinese. PMID: 28591947.

In vivo study

1. Liu Y, Yuan Q, Wang Z, Ding L, Kong N, Liu J, Hu Y, Zhang Y, Li C, Yan G, Jiang Y, Sun H. A high level of KLF12 causes folic acid-resistant neural tube defects by activating the Shh signaling pathway in mice†. Biol Reprod. 2021 Oct 11;105(4):837-845. doi: 10.1093/biolre/ioab111. PMID: 34104947.

2. Rohner A, Spilker ME, Lam JL, Pascual B, Bartkowski D, Li QJ, Yang AH, Stevens G, Xu M, Wells PA, Planken S, Nair S, Sun S. 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. doi: 10.1158/1535-7163.MCT-11-0691. Epub 2011 Nov 14. PMID: 22084163.

7. Bioactivity
Biological target:

PF-5274857 HCl is a potent and selective smoothened (Smo) receptor antagonist.

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In vitro activity

Pretreat Beas-2b cells with PF-5274857 for 2 h can prevent the CS-induced EMT for epithelial and mesenchymal markers, as well as migration capacity. Up regulated E-cadherin and down regulated vimentin and α-SMA were observed by Western blot. Furthermore Beas-2b cells induced by CS that underwent EMT showed increased E-cadherin and decreased vimentin and α-SMA after treatment with PF-5274857 for 4 d.

Reference: Sichuan Da Xue Xue Bao Yi Xue Ban. 2016 Jul;47(4):485-490. https://pubmed.ncbi.nlm.nih.gov/28591947/

In vivo activity

This study observed that KLF12-overexpressing mice showed disturbed neural tube development. KLF12-overexpressing fetuses died in utero at approximately 10.5 days post-coitus, with 100% presenting cranial NTDs. PF-5274857, an antagonist of the Shh signaling pathway, significantly promoted dorsolateral hinge point formation and partially rescued the NTDs.

Reference: Biol Reprod. 2021 Oct 11;105(4):837-845. https://pubmed.ncbi.nlm.nih.gov/34104947/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.