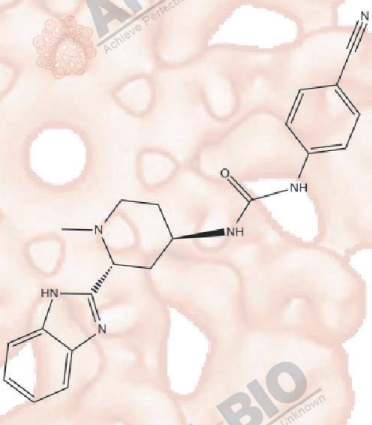
Product Data Sheet

PF-04449913



Cat. No.:	B3283
CAS No.:	1095173-27-5
Formula:	C21H22N6O
M.Wt:	374.44
Synonyms:	
Target:	Stem Cell
Pathway:	Smoothened
Storage:	Store at -20°C

Solvent & Solubility

≥20.15 mg/mL in DMSO; insoluble in H2O; ≥9.36 mg/mL in EtOH

In Vitro — Preparing Stock Solutions

Solvent / Mass / Concentration	1mg	5mg	10mg
1 mM	2.6707 mL	13.3533 mL	26.7065 mL
5 mM	0.5341 mL	2.6707 mL	5.3413 mL
10 mM	0.2671 mL	1.3353 mL	2.6707 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Antagonist of smoothened
IC_{50} & Target	

In Vitro

Cell Viability Assay

Preparation method：	

In Vivo

Animal experiment

Animal models:	Rats and dogs
Dosage form:	1 mg/kg and 0.5 mg/kg, Oral dosing
Applications:	In rats and dogs, PF-04449913 had high clearance in rat and low clearance in

	dog with CL of 31 and 3.9 mL/min/kg, respectively. The oral bioavailabilities of PF-04449913 in rat and dog were 33 and 68%, respectively. PF-04449913 had moderate volume distribution of 4.8 and 4.3 L/kg and t1/2 of 1.4 and 2.9 h, respectively.
Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1] Munchhof MJ, Li Q, Shavnya A, Borzillo GV, Boyden TL, Jones CS, LaGreca SD, Martinez-Alsina L, Patel N, Pelletier K, Reiter LA, Robbins MD, Tkalcevic GT. Discovery of PF-04449913, a Potent and Orally Bioavailable Inhibitor of Smoothened. ACS Med Chem Lett. 2011 Dec 21;3(2):106-11.

[2]. Wagner AJ1, Messersmith WA2, Shaik MN3, et al. A phase I study of PF-04449913, an oral hedgehog inhibitor, in patients with advanced solid tumors. Clin Cancer Res. 2015 Mar 1;21(5):1044-51.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.