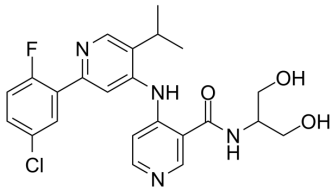
PF-06952229

Cat. No.:	HY-136244
CAS No.:	1801333-55-0
Molecular Formula:	$C_{23}H_{24}ClFN_4O_3$
Molecular Weight:	458.91
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (108.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1791 mL	10.8954 mL	21.7908 mL
	5 mM	0.4358 mL	2.1791 mL	4.3582 mL
	10 mM	0.2179 mL	1.0895 mL	2.1791 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-06952229 is a potent, selective and orally active TGFbR1 inhibitor. PF-06952229 specifically binds to TGFbR1 and prevents TGFbR1-mediated signal transduction. PF-06952229 is a promising antineoplastic agent for the study solid tumors, especifically metastatic breast cancer[1].

IC_{50} & Target

IC50: transforming growth factor-beta receptor 1 (TGFbR1)[1]

In Vivo

PF-06952229 (oral gavage; 30 mg/kg; twice daily; 21 days) combines with Palbociclib 21 days results in an improved inhibition of pSMAD2 in the MCF7 ER^+ xenograft breast cancer tumor model. This combination also leads to a significant increase in survival relative to PF-06952229 monotherapy[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MCF-7 ER^+ HER2-xenograft breast cancer tumor model[1]
Dosage:	30 mg/kg
Administration:	Oral gavage; twice daily; 44 days
Result:	Resulted in an increase in tumor growth inhibition when combined with Palbociclib.

CUSTOMER VALIDATION

- Cell Discov. 2022 Sep 20;8(1):94.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Flavia Mercer Pernasetti, et al. Combinations of tgfb inhibitors and cdk inhibitors for the treatment of breast cancer. Patent WO2020058820A1.

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