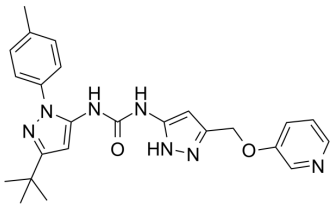
PF-4618433

Cat. No.:	HY-18312
CAS No.:	1166393-85-6
Molecular Formula:	$C_{24}H_{27}N_7O_2$
Molecular Weight:	445.52
Target:	Pyk2
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (224.46 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2446 mL	11.2228 mL	22.4457 mL
	5 mM	0.4489 mL	2.2446 mL	4.4891 mL
	10 mM	0.2245 mL	1.1223 mL	2.2446 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 (5.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-4618433 is a potent and selective PYK2 inhibitor, with an IC_{50} of 637 nM. PF-4618433 may be suitable for the research of osteoporosis, craniofacial and appendicular skeletal defects and for targeted bone regeneration[1][2].

IC_{50} & Target

IC50: 637 nM (PYK2)[1]

In Vitro

PF-4618433 (0.1-1.0 μM; 7 days) promotes osteogenesis of hMSC cultures. PF-4618433 increases in both alkaline phosphatase (ALP) activity and mineralization in a dependent manner[1].

PF-4618433 (0.1-0.3 μM; 24 hours) enhances osteoblast proliferation[2].
PF-4618433 (0.0125-0.3 μM; 14 or 21 days) enhances calcium deposition at the concentrations of 0.1 and 0.3 μM[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	Murine bone marrow-derived mesenchymal stem cells (BMSC)
Concentration:	0.1, 0.3 μM
Incubation Time:	24 hours
Result:	Increased cell proliferation activity significantly when compared to the untreated or control group.

REFERENCES

[1]. Seungil H, et, al. Structural Characterization of Proline-Rich Tyrosine Kinase 2 (PYK2) Reveals a Unique (DFG-out) Conformation and Enables Inhibitor Design. J Biol Chem. 2009 May 8; 284(19): 13193-201.

[2]. Sumana P, et, al. A Pyk2 Inhibitor Incorporated Into a PEGDA-gelatin Hydrogel Promotes Osteoblast Activity and Mineral Deposition. Biomed Mater. 2019 Feb 27; 14(2): 025015.

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