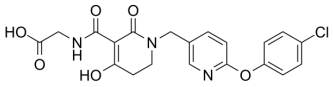
TP0463518

Cat. No.:	HY-112144
CAS No.:	1558021-37-6
Molecular Formula:	$C_{20}H_{18}ClN_3O_6$
Molecular Weight:	431.83
Target:	HIF/HIF Prolyl-Hydroxylase
Pathway:	Metabolic Enzyme/Protease
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 : ≥ 125 mg/mL (289.47 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3157 mL	11.5786 mL	23.1573 mL
	5 mM	0.4631 mL	2.3157 mL	4.6315 mL
	10 mM	0.2316 mL	1.1579 mL	2.3157 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: ≥ 2.08 mg/mL (4.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.82 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TP0463518 is a potent hypoxia-inducible factor prolyl hydroxylases (PHDs) inhibitor with a K_i value of 5.3 nM for human PHD2. TP0463518 also inhibits human PHD1/PHD3 with IC_{50}s of 18 and 63 nM as well as monkey PHD2 with an IC_{50} value of 22 nM[1].

REFERENCES

[1]. Kato S, et al. TP0463518, a novel inhibitor for hypoxia-inducible factor prolyl hydroxylases, increases erythropoietin in rodents and monkeys with a good pharmacokinetics-pharmacodynamics correlation. Eur J Pharmacol. 2018 Nov 5;838:138-144.

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