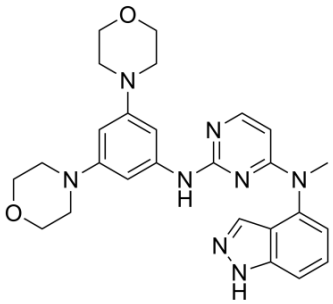
AZ12672857

Cat. No.:	HY-136895
CAS No.:	945396-55-4
Molecular Formula:	$C_{26}H_{30}N_8O_2$
Molecular Weight:	486.57
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
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 : 25 mg/mL (51.38 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0552 mL	10.2760 mL	20.5520 mL
	5 mM	0.4110 mL	2.0552 mL	4.1104 mL
	10 mM	0.2055 mL	1.0276 mL	2.0552 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 (4.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZ12672857 is an orally active inhibitor of EphB4 (IC_{50}=1.3 nM) and Src kinases. AZ12672857 shows good inhibition of proliferation of c-Src transfected 3T3 cells (IC_{50}=2 nM) as well as autophosphorylation of EphB4 in transfected CHO-K1 cells (IC_{50}=9 nM)[1].

IC_{50} & Target		
	EP4	Src
	1.3 nM (IC_{50})	

In Vitro

AZ12672857 shows only modest inhibition of CYP P450 (IC_{50}=5 μM against 2C9 and 3A4, >10 μM against 1A4, 2D6 and 2C19). AZ12672857 inhibits p-KDR in HUVEC with an IC_{50} of 240 nM and inhibits p-PDGFR-βin MG63 cell line with an IC_{50} of 58 nM[1].

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

REFERENCES

[1]. Bardelle C, et al. Inhibitors of the tyrosine kinase EphB4. Part 3: identification of non-benzodioxole-based kinase inhibitors. Bioorg Med Chem Lett. 2010;20(21):6242-6245.

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