AZD3458

Cat. No.: HY-112443

CAS No.: 2132961-46-5

Molecular Formula: $C_{20}H_{23}N_3O_4S_2$

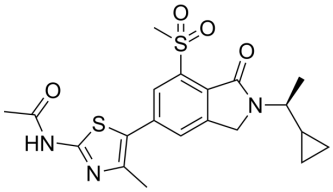
Molecular Weight: 433.54

Target: PI3K

Pathway: PI3K/Akt/mTOR

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 : 250 mg/mL (576.65 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3066 mL	11.5330 mL	23.0659 mL
	5 mM	0.4613 mL	2.3066 mL	4.6132 mL
	10 mM	0.2307 mL	1.1533 mL	2.3066 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 (4.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.80 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZD3458 is a potent and remarkably selective PI3Kγ inhibitor with pIC_{50}s of 9.1, 5.1, <4.5, and 6.5 for PI3Kγ, PI3Kα, PI3Kβ, and PI3Kδ, respectively[1].

IC_{50} & Target

PI3Kγ 9.1 (pIC_{50})	PI3Kα 5.1 (pIC_{50})	PI3Kβ 4.5 (pIC_{50})	PI3Kδ 6.5 (pIC_{50})
PI3KC2α 5 (pIC_{50})	PI3KC2β 7.5 (pIC_{50})	PI3KC2γ 5.5 (pIC_{50})	PI3KC3 5.1 (pIC_{50})

In Vitro	AZD3458 (Compound 15) also inhibits PI3KC2α, PI3KC2β, PI3KC2γ, and PI3KC3 with pIC_{50}s of <5, 7.5, 5.5, and 5.1, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Pemberton N, et al. Discovery of Highly Isoform Selective Orally Bioavailable Phosphoinositide 3-Kinase (PI3K)-γ Inhibitors. J Med Chem. 2018 Jun 28;61(12):5435-5441.

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