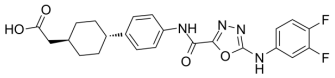
AZD3988

Cat. No.:	HY-50861
CAS No.:	892489-52-0
Molecular Formula:	$C_{23}H_{22}F_2N_4O_4$
Molecular Weight:	456.44
Target:	Acyltransferase
Pathway:	Metabolic Enzyme/Protease
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 : 16.67 mg/mL (36.52 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1909 mL	10.9543 mL	21.9087 mL
5 mM	0.4382 mL	2.1909 mL	4.3817 mL
10 mM	0.2191 mL	1.0954 mL	2.1909 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (3.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (3.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AZD3988 is an orally active diacylglycerol acyl transferase-1 (DGAT-1) inhibitor. AZD3988 has excellent DGAT-1 (human) potency with an IC_{50} value of 0. 6 nM. AZD3988 can be used for the research of metabolic diseases such as diabetes, obesity [1].

IC_{50} & Target

IC50: 0.6 nM (h DGAT-1), 0.5 nM (Rat DGAT-1), 1.1 nM (Mouse DGAT-1)[1]

In Vitro

AZD3988 (compound 53) (10 μM) can inhibit DGAT-1 with IC_{50} values of 0. 6 nM (human), 0. 5nM (rat), 1.1 nM (mouse) and 0.5 nM (HuTu 80 cell), respectively[1].

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

In Vivo

AZD3988 (compound 53) shows (i.v., p.o.; 0.5, 1, 2, 5 mg/kg) has good pharmacokinetics in vivo efficacy[1].

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

Animal Model:	Mouse, Rats and Dog[1]
Dosage:	0.5, 1, 2, 5 mg/kg
Administration:	IV at 0.5 mg/kg (mouse), 2 mg/kg (rat) and 1 mg/kg (dog) and po at 1 mg/kg (mouse), 5 mg/kg(rat) and 1 mg/kg (dog)

Result:

Species	Clp (mL/min/kg)	V_{dss}(L/kg)	IV half-life (h)	po half-life (h)	po C_{max}(IM)	Bioavailability (%)
Mouse	4.6	0.99	4.9	4.7	1.9	>100
Rat	1.1	0.35	3.4	5.8	20	>100
Dog	2.5	0.36	1.8	5.7	1.5	32

REFERENCES

[1]. McCoull W, et al. Identification, optimisation and in vivo evaluation of oxadiazole DGAT-1 inhibitors for the treatment of obesity and diabetes. Bioorg Med Chem Lett. 2012 Jun 15;22(12):3873-8.

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