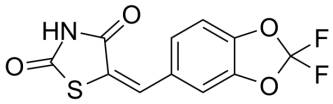
AS-604850

Cat. No.:	HY-13531
CAS No.:	648449-76-7
Molecular Formula:	$C_{11}H_5F_2NO_4S$
Molecular Weight:	285.22
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 (876.52 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5061 mL	17.5303 mL	35.0607 mL
5 mM	0.7012 mL	3.5061 mL	7.0121 mL
10 mM	0.3506 mL	1.7530 mL	3.5061 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 (7.29 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.29 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AS-604850 is a potent, selective and ATP-competitive PI3Kγ inhibitor with an IC_{50} value of 0.25 μM and a K_i value of 0.18 μM. AS-604850 shows isoform selective inhibitor of PI3Kγ with over 30-fold selectivity for PI3Kδ and β, and 18-fold selectivity over PI3Kα, respectively[1].

IC_{50} & Target

PI3Kγ	PI3Kγ	PI3Kα
0.25 μM (IC_{50})	0.18 μM (Ki)	4.5 μM (IC_{50})

In Vitro

AS-604850 inhibits C5a-mediated PKB phosphorylation with an IC_{50} of 10 μM in RAW264 mouse macrophages[1].
AS-604850 blocks PKB phosphorylation induced by MCP-1 and has little or no effect after stimulation with CSF-1 in in

primary monocytes from Pik3cg$^{+/+}$ or Pik3cg$^{-/-}$ mice[1].
AS-604850 (0-30 μM; 15 minutes; primary monocytes from Pik3cg$^{+/+}$ mice) treatment inhibits MCP-1-mediated phosphorylation of PKB and its downstream substrates GSK3α andβ in a concentration-dependent manner. MCP-1-induced phosphorylation of p44/42 ERK (ERK1/2) MAPKs is also reduced, in a concentration dependent manner in primary monocytes from Pik3cg$^{+/+}$ mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Primary monocytes from Pik3cg$^{+/+}$ mice
Concentration:	0 μM, 1 μM, 3 μM, 10 μM, 30 μM
Incubation Time:	15 minutes
Result:	Inhibited MCP-1-mediated phosphorylation of PKB and its downstream substrates GSK3α andβ in a concentration-dependent manner. MCP-1-induced phosphorylation of p44/42 ERK (ERK1/2) MAPKs was also reduced, in a concentrationdependent manner in primary monocytes from Pik3cg$^{+/+}$ mice.

In Vivo

AS-604850 (10-100 mg/kg; oral administration; for 4.5 or 4.25 hours; Balb/C or C3H mice) treatment reduces RANTES-induced peritoneal neutrophil recruitment with an ED_{50} value of 42.4 mg/kg. In the thioglycollate-induced peritonitis model, oral administration of 10 mg/kg AS-604850 results in a 31% reduction of neutrophil recruitment[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Balb/C or C3H mice with human recombinant RANTES or thioglycollate[1]
Dosage:	10 mg/kg, 30 mg/kg or 100 mg/kg
Administration:	Oral administration; for 4.5 or 4.25 hours
Result:	Reduced RANTES-induced peritoneal neutrophil recruitment with an ED_{50} value of 42.4 mg/kg. In the thioglycollate-induced peritonitis model, resulted in a 31% reduction of neutrophil recruitment.

CUSTOMER VALIDATION

- Molecules. 2020 Apr 23;25(8):1980.

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REFERENCES

[1]. Camps M, et al. Blockade of PI3Kgamma suppresses joint inflammation and damage in mouse models of rheumatoid arthritis. Nat Med. 2005 Sep;11(9):936-43.

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