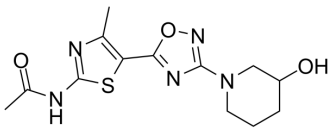
TASP0415914

Cat. No.:	HY-120438
CAS No.:	1292300-75-4
Molecular Formula:	$C_{13}H_{17}N_5O_3S$
Molecular Weight:	323.37
Target:	PI3K; Akt
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 : 125 mg/mL (386.55 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0924 mL	15.4622 mL	30.9243 mL
	5 mM	0.6185 mL	3.0924 mL	6.1849 mL
	10 mM	0.3092 mL	1.5462 mL	3.0924 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 (6.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TASP0415914 is a potent and orally active PI3Kγ inhibitor with an IC_{50} of 29 nM. TASP0415914 also shows potent Akt inhibitory activities with an IC_{50} of 294 nM. TASP0415914 can be used for inflammatory diseases research[1].

IC_{50} & Target		
	PI3Kγ	Akt
	29 nM (IC_{50})	294 nM (IC_{50})

In Vitro

TASP0415914 (compound 8j) shows high metabolic stability in rat/human liver microsomes. TASP0415914 has no CYP

	inhibition up to 10 μM for CYP1A2, 2C9, 2C19, 2D6 and 3A4[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TASP0415914 (compound 8j; 10-100 mg/kg; orally administration; twice daily; for 14 days) treatment suppressed the progression of the disease in a dose-dependent manner in a mouse collagen-induced arthritis (CIA) model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Collagen-primed DBA/1 mice[1]
Dosage:	10 mg/kg; 30 mg/kg; 100 mg/kg
Administration:	Orally administration; twice daily; for 14 days
Result:	Suppressed the progression of the disease in a dose-dependent manner.

REFERENCES

[1]. Yusuke Oka, et al. Discovery of N-{5-[3-(3-hydroxypiperidin-1-yl)-1,2,4-oxadiazol-5-yl]-4-methyl-1,3-thiazol-2-yl}acetamide (TASP0415914) as an orally potent phosphoinositide 3-kinase γ inhibitor for the treatment of inflammatory diseases. Bioorg Med Chem. 2013 Dec 15;21(24):7578-83.

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