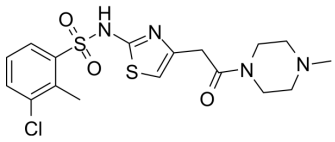
BVT 2733

Cat. No.:	HY-18054
CAS No.:	376640-41-4
Molecular Formula:	$C_{17}H_{21}ClN_4O_3S_2$
Molecular Weight:	428.96
Target:	11β-HSD
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (116.56 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3312 mL	11.6561 mL	23.3122 mL
	5 mM	0.4662 mL	2.3312 mL	4.6624 mL
	10 mM	0.2331 mL	1.1656 mL	2.3312 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: ≥ 2.5 mg/mL (5.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BVT 2733 is a potent, selective, and orally active non-steroidal 11β-hydroxydehydrogenase 1 (11β-HSD1) inhibitor. BVT 2733 is potently against the mouse enzyme (IC_{50}=96 nM) over the human enzyme (IC_{50}=3341 nM). BVT 2733 has the potential for the study of arthritis and obesity related disease[1].

IC_{50} & Target

IC50: 96 nM (mouse 11β-HSD1)
IC50: 3341 nM (human 11β-HSD1)[1]

In Vitro

BVT 2733 (100 μM; 24 hours) co-treatment with PA (100 μM) reduces MCP-1 expression in fully differentiation adipocytes[3].
BVT 2733 (50-100 μM; 24 hours) reduces the inflammation protein levels (MCP-1, IL-6) in medium in J774.1 macrophages by Elisa[3].

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

RT-PCR[3]

Cell Line:	Differentiation adipocytes
Concentration:	100 μM
Incubation Time:	24 hours
Result:	Down-regulated MCP-1 mRNA level.

In Vivo

BVT-2733 (oral administration; 100 mg/kg; twice daily; 2 weeks) attenuates the arthritis severity and anti-CII level and decreases the levels of serum TNF-α, IL-1β, IL-6 and IL-17 in CIA mice[2].
BVT 2733 (oral administration; 100 mg/kg; dosed (09.00 and 17.00 h); last 4 weeks) exhibits decreased body weight and enhanced glucose tolerance and insulin sensitivity when it compares to control mice. It also down-regulated the expression of inflammation-related genes including monocyte chemoattractant protein 1 (MCP-1), tumor necrosis factor alpha (TNF-α) and the number of infiltrated macrophages within the adipose tissue in vivo[3].

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

Animal Model:	Collagen-induced arthritis (CIA) mice[2]
Dosage:	100 mg/kg
Administration:	Oral administration; twice daily; 2 weeks
Result:	Reduced synovial inflammation and joint destruction.

Animal Model:	C57BL/6J mice[3]
Dosage:	100 mg/kg
Administration:	Oral administration; dosed (09.00 and 17.00 h); last 4 weeks
Result:	Improved metabolic homeostasis and suppressed the inflammation of adipose tissue in diet-induced obese mice.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 15 January 2022.
- Int J Biol Sci. 2022 Apr 30;18(8):3107-3121.
- Mol Med Rep. 2020 Oct;22(4):3191-3200.

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REFERENCES

[1]. Zhang L, et al. 11β-Hydroxysteroid dehydrogenase 1 inhibition attenuates collagen-induced arthritis. Int Immunopharmacol. 2013 Nov;17(3):489-94.

[2]. Wang L, et al. BVT.2733, a selective 11β-hydroxysteroid dehydrogenase type 1 inhibitor, attenuates obesity and inflammation in diet-induced obese mice. PLoS One. 2012;7(7):e40056.

[3]. 11β-hydroxydehydrogenase 1 (11β-HSD1) Inhibitor in Development

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