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Diagnostik & molekulare Diagnostik



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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
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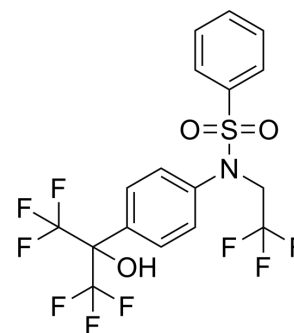
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T0901317

Cat. No.:	HY-10626		
CAS No.:	293754-55-9		
Molecular Formula:	C ₁₇ H ₁₂ F ₉ NO ₃ S		
Molecular Weight:	481.33		
Target:	FXR; LXR; ROR; Apoptosis		
Pathway:	Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor; Apoptosis		
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 : 100 mg/mL (207.76 mM; Need ultrasonic)
Ethanol : 100 mg/mL (207.76 mM; Need ultrasonic)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.0776 mL	10.3879 mL	20.7758 mL
	5 mM		0.4155 mL	2.0776 mL	4.1552 mL
	10 mM		0.2078 mL	1.0388 mL	2.0776 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 3 mg/mL (6.23 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 3 mg/mL (6.23 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 3 mg/mL (6.23 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
Solubility: 2.5 mg/mL (5.19 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

T0901317 is an orally active and highly selective LXR agonist with an EC₅₀ of 20 nM for LXRα^[1]. T0901317 activates FXR with an EC₅₀ of 5 μM^[2]. T0901317 is RORα and RORγ dual inverse agonist with K_i values of 132 nM and 51 nM, respectively^[3].

	T0901317 induces apoptosis and inhibits the development of atherosclerosis in low-density lipoprotein (LDL) receptor-deficient mice ^{[4][5]} .																																
IC ₅₀ & Target	EC ₅₀ : 20 nM (LXRα) and 5 μM (FXR) ^{[1][2]} Ki: 132 nM (RORα) and 51 nM (RORγ) ^[3]																																
In Vitro	rate and the T0901317 (5-50 μM; 72 hours) significantly inhibits cellular proliferation in CaOV3, SKOV3, A2780 (human ovarian carcinoma cell lines) in a dose-dependent and time-dependent manner^[5]. T0901317 (10 μM; 24-72 hours) decreases the percentage of cells in S phase and increases the percentage of cells in the G0/G1 phase, indicating a cell cycle arrest at the G1-S checkpoint. The percentage of cells in G0/G1 phase increases in a time-dependent manner^[5]. T0901317 (10-40 μM; 24 hours) results in a significant increase of cells in early apoptosis^[5]. T0901317 (5-40 μM; 48 hours) results in an increase of p21 and p27 protein expression in a dose-dependent manner after 48 hours^[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Proliferation Assay^[5] <table> <tr> <td>Cell Line:</td><td>A2780, CaOV3 and SKOV3 ovarian cancer cell lines</td></tr> <tr> <td>Concentration:</td><td>5, 10, 20, 40 or 50 μM</td></tr> <tr> <td>Incubation Time:</td><td>72 hours</td></tr> <tr> <td>Result:</td><td>Inhibited cellular proliferation in all cell lines in a dose-dependent and time-dependent manner.</td></tr> </table> Cell Cycle Analysis^[5] <table> <tr> <td>Cell Line:</td><td>A2780, CaOV3 and SKOV3 cells</td></tr> <tr> <td>Concentration:</td><td>10 μM</td></tr> <tr> <td>Incubation Time:</td><td>24, 48 or 72 hours</td></tr> <tr> <td>Result:</td><td>Decreased the percentage of cells in S phase and increased the percentage of cells in the G0/G1 phase.</td></tr> </table> Apoptosis Analysis^[5] <table> <tr> <td>Cell Line:</td><td>CaOV3 cells</td></tr> <tr> <td>Concentration:</td><td>10 to 40 μM</td></tr> <tr> <td>Incubation Time:</td><td>24 hours</td></tr> <tr> <td>Result:</td><td>Resulted in a significant increase of cells in early apoptosis.</td></tr> </table> Western Blot Analysis^[5] <table> <tr> <td>Cell Line:</td><td>CaOV3 cells</td></tr> <tr> <td>Concentration:</td><td>5 to 40 μM</td></tr> <tr> <td>Incubation Time:</td><td>48 hours</td></tr> <tr> <td>Result:</td><td>Resulted in an increase of p21 and p27 protein expression in a dose-dependent manner.</td></tr> </table>	Cell Line:	A2780, CaOV3 and SKOV3 ovarian cancer cell lines	Concentration:	5, 10, 20, 40 or 50 μM	Incubation Time:	72 hours	Result:	Inhibited cellular proliferation in all cell lines in a dose-dependent and time-dependent manner.	Cell Line:	A2780, CaOV3 and SKOV3 cells	Concentration:	10 μM	Incubation Time:	24, 48 or 72 hours	Result:	Decreased the percentage of cells in S phase and increased the percentage of cells in the G0/G1 phase.	Cell Line:	CaOV3 cells	Concentration:	10 to 40 μM	Incubation Time:	24 hours	Result:	Resulted in a significant increase of cells in early apoptosis.	Cell Line:	CaOV3 cells	Concentration:	5 to 40 μM	Incubation Time:	48 hours	Result:	Resulted in an increase of p21 and p27 protein expression in a dose-dependent manner.
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In Vivo	T0901317 (10 mg/kg/day; orally; for 12 weeks) inhibits the progression of atherosclerosis ^[5] .																																

T0901317 (i.p.; 50 mg/kg; twice weekly for 7 days) can protect male C57BL/6 mice from high fat diet-induced obesity and insulin resistance^[6].

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

Animal Model:	8- to 10-week-old LDL receptor null mice ^[5]
Dosage:	10 mg/kg
Administration:	Orally; daily; for 12 weeks
Result:	Inhibited the progression of atherosclerosis.

CUSTOMER VALIDATION

- Anal Chem. 2019 Jan 15;91(2):1501-1506.
- J Med Chem. 2022 Jan 21.
- Ecotoxicol Environ Saf. 2023 Oct 19;266:115605.
- Biol Res. 2019 Dec 17;52(1):60.
- Neural Regen Res. 2023 Jun;18(6):1339-1346.

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REFERENCES

- [1]. J R Schultz, et al. Role of LXRs in Control of Lipogenesis. Genes Dev. 2000 Nov 15;14(22):2831-8.
- [2]. Rough JJ, et al. Anti-proliferative effect of LXR agonist T0901317 in ovarian carcinoma cells. J Ovarian Res. 2010 May 26;3:13.
- [3]. Todd G Kirchgessner, et al. Beneficial and Adverse Effects of an LXR Agonist on Human Lipid and Lipoprotein Metabolism and Circulating Neutrophils. Cell Metab. 2016 Aug 9;24(2):223-33.
- [4]. Keith A Houck, et al. T0901317 Is a Dual LXR/FXR Agonist. Mol Genet Metab. Sep-Oct 2004;83(1-2):184-7.
- [5]. Naresh Kumar, et al. The Benzenesulfoamide T0901317 [N-(2,2,2-trifluoroethyl)-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-benzenesulfonamide] Is a Novel Retinoic Acid Receptor-Related Orphan Receptor-Alpha/Gamma Inverse Agonist. Mol Pharmacol. 2010 Feb;77(2):228-36.
- [6]. Mingming Gao, et al. The Liver X Receptor Agonist T0901317 Protects Mice From High Fat Diet-Induced Obesity and Insulin Resistance. AAPS J. 2013 Jan;15(1):258-66.

Caution: Product has not been fully validated for medical applications. For research use only.

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