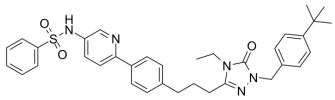
NXT629

Cat. No.:	HY-114263
CAS No.:	1454925-59-7
Molecular Formula:	$C_{35}H_{39}N_5O_3S$
Molecular Weight:	609.78
Target:	PPAR
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (204.99 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6399 mL	8.1997 mL	16.3994 mL
	5 mM	0.3280 mL	1.6399 mL	3.2799 mL
	10 mM	0.1640 mL	0.8200 mL	1.6399 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 (3.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.41 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NXT629 is a potent, selective, and competitive PPAR-α antagonist, with an IC_{50} of 77 nM for human PPARα, shows high selectivity over other nuclear hormone receptor, such as PPARδ, PPARγ, ERβ, GR and TRβ, IC_{50}s are 6.0, 15, 15.2, 32.5 and >100 μM, respectively[1]. NXT629 has potent anti-tumor activity and inhibits experimental metastasis of cancer cell in animal models[2].

IC_{50} & Target

hPPARα 77 nM (IC_{50})	hPPARδ 6 μM (IC_{50})	hPPARγ 15 μM (IC_{50})	ERβ 15.2 μM (IC_{50})
GR			

	32.5 μM (IC_{50})
In Vitro	NXT629 (Compound 33) is a potent, selective PPAR-α antagonist, with an IC_{50} of 77 nM for human PPARα, shows high selectivity over other nuclear hormone receptor, such as PPARδ, PPARγ, Erβ, GR and TRβ, IC_{50}s are 6.0, 15, 15.2, 32.5 and >100 μM, respectively[1]. NXT629 also competitively inhibits mousse PPARα, PPARβ/δ and PPARγ, with IC_{50}s of 2.3, 35.1, 6.9 μM, resepctively[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	NXT629 (Compound 33; 30 mg/kg, i.p.) exhibits good pharmacokinetics in mouse, and significantly decreases Fgf21 (Fibroblast growth factor 21), a PPARα target gene in fasted mice[1]. NXT629 has poor oral bioavailability in mice and rats. NXT629 (30 mg/kg, i.p., daily for 6 weeks) delays growth of subcutaneous SKOV-3 tumors in nude mice, inhibits growth of subcutaneous B16F10 tumors in C57Bl/6 mice. NXT629 (30 mg/kg, i.p.) is weakly anti-angiogenic against FGF-induced angiogenesis. NXT629 (3, 30 mg/kg, i.p.) inhibits experimental metastasis of B16F10 melanoma cells to the mouse lung[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2021 Dec 2;12(1):7031.
- Cells. 2022 May 10;11(10):1597.
- Arch Physiol Biochem. 2022 Jan 21;1-18.

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REFERENCES

[1]. Bravo Y, et al. Identification of the first potent, selective and bioavailable PPARα antagonist. Bioorg Med Chem Lett. 2014 May 15;24(10):2267-72.

[2]. Stebbins KJ, et al. In vitro and in vivo pharmacology of NXT629, a novel and selective PPARα antagonist. Eur J Pharmacol. 2017 Aug 15;809:130-140.

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