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Produktinformation

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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TC-N 1752

Cat. No.: HY-107405

CAS No.: 1211866-85-1

Molecular Formula: $C_{25}H_{27}F_3N_6O_3$

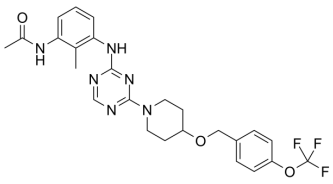
Molecular Weight: 516.52

Target: Sodium Channel

Pathway: Membrane Transporter/Ion Channel

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 (242.00 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9360 mL	9.6802 mL	19.3603 mL
	5 mM	0.3872 mL	1.9360 mL	3.8721 mL
	10 mM	0.1936 mL	0.9680 mL	1.9360 mL

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

BIOLOGICAL ACTIVITY

Description

TC-N 1752 is a potent and orally active inhibitor of Nav1.7, with IC_{50}s of 0.17 μM, 0.3 μM, 0.4 μM, 1.1 μM and 2.2 μM at hNav1.7, hNav1.3, hNav1.4, hNaV1.5 and rNav1.8, respectively. TC-N 1752 also inhibits tetrodotoxin-sensitive sodium channels. TC-N 1752 shows analgesic efficacy in the Formalin model of pain[1][2][3].

IC_{50} & Target

$hNa_V1.7$ 0.17 μM (IC_{50})	$hNa_V1.8$ 0.1 μM (IC_{50})	$hNa_V1.3$ 0.3 μM (IC_{50})	$hNa_V1.4$ 0.4 μM (IC_{50})
$hNa_V1.5$ 1.1 μM (IC_{50})			

In Vitro

TC-N 1752 (compound 52) state-dependently inhibits Nav1.7, with IC_{50} of 170 nM on channels that are 20% inactivated and IC_{50} of 3.6 μM on fully noninactivated channels[1].

TC-N 1752 inhibits hNav1.7, hNav1.8, hNav1.9, rNav1.9, and mNav1.9 with IC_{50}s of 0.2, 0.1, 1.6, 0.5 and 1.4 μM, respectively[2].

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

In Vivo

TC-N 1752 (compound 52) (3-30 mg/kg; p.o.) dose-dependently shows analgesic effect in the Formalin model[1].

TC-N 1752 (3-30 mg/kg; p.o.) decreases thermal hyperalgesia produced by inflammation[3].

TC-N 1752 (5 mg/mL; 500 μL; i.v.) attenuates complete Freund's adjuvant (CFA)-induced sensitization of C-fiber nociceptors [3].

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

Animal Model:	Rats were injected intraplantar with Formalin[1]
Dosage:	3, 10, 20, 30 mg/kg
Administration:	Administered p.o. 120 min prior to Formalin
Result:	Showed analgesic efficacy starting at the dose of 3 mg/kg, with full efficacy at 20 mg/kg dose.

REFERENCES

[1]. Bregman H, et, al. Identification of a potent, state-dependent inhibitor of Nav1.7 with oral efficacy in the formalin model of persistent pain. J Med Chem. 2011 Jul 14;54(13):4427-45.

[2]. Lin Z, et, al. Biophysical and Pharmacological Characterization of Nav1.9 Voltage Dependent Sodium Channels Stably Expressed in HEK-293 Cells. PLoS One. 2016 Aug 24;11(8):e0161450.

[3]. Matson DJ, et, al. Inhibition of Inactive States of Tetrodotoxin-Sensitive Sodium Channels Reduces Spontaneous Firing of C-Fiber Nociceptors and Produces Analgesia in Formalin and Complete Freund's Adjuvant Models of Pain. PLoS One. 2015 Sep 17;10(9):e0138140.

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