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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
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Suzetrigine

Cat. No.: HY-148800

CAS No.: 2649467-58-1

Molecular Formula: $C_{21}H_{20}F_5N_3O_4$

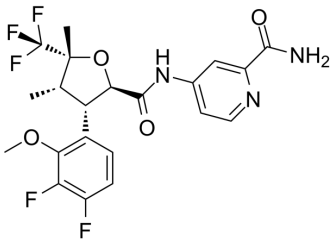
Molecular Weight: 473.39

Target: Sodium Channel

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 116.67 mg/mL (246.46 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1124 mL	10.5621 mL	21.1242 mL
	5 mM	0.4225 mL	2.1124 mL	4.2248 mL
	10 mM	0.2112 mL	1.0562 mL	2.1124 mL

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

BIOLOGICAL ACTIVITY

Description

Suzetrigine (VX-548) is an orally active and highly selective $Na_V1.8$ inhibitor that acts as an analgesic. Suzetrigine is also a blocker of sodium channel protein type 10 subunit alpha. Suzetrigine is promising for research of acute pain after abdominoplasty and bunionectomy[1][2][3][4][5].

In Vivo

Pharmacokinetic parameters of Suzetrigine in monkey plasma after oral and intravenous administrations[3]

Parameters	AUC_{0-t} (ng·h/mL)	C_{max} (ng/mL)	T_{max} (h)	$T_{1/2}$ (h)	CL (mL/min/kg)	V_d (L/kg)	MRT_{0-t} (h)	F (%)
Intravenous(1 mg/kg, n = 3)	2843.2 ± 350.2	-	-	4.6 ± 0.2	5.8 ± 0.6	2.3 ± 0.4	5.7 ± 0.2	71
Oral (2	4040.8 ±	533.3 ± 10.6	1	5.0 ± 0.9	7.2 ± 0.5	3.5 ± 0.6	7.6 ± 0.7	

mg/kg, n = 3) 212.5

Pharmacokinetic parameters of Suzetrigine rats after intravenous administration at a single dose of 1 mg/kg[4]

Parameters	AUC_{0-t} (ng·h/mL)	$T_{1/2}$ (h)	CL (mL/min/kg)	V_{ss} (L/kg)	MRT_{0-t} (h)
Female (n = 3)	1505.8 ± 47.3	3.7 ± 0.4	12.5 ± 0.8	5.0 ± 1.0	6.4 ± 0.3
Male (n = 3)	253.8 ± 6.3	1.9 ± 0.2	65.1 ± 1.7	7.2 ± 0.8	1.7 ± 0.2

Pharmacokinetic parameters of Suzetrigine rats after oral administration at a single dose of 2 mg/kg[4]

Parameters	AUC_{0-t} (ng·h/mL)	$T_{1/2}$ (h)	C_{max} (ng/mL)	T_{max} (h)	F (%)
Female (n = 3)	2905.2 ± 98.6	4.9 ± 0.5	369.6 ± 62.2	0.5-1	96
Male (n = 3)	56.9 ± 18.5	2.5 ±0.2	31.7 ± 12.5	0.5-1	11

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

CUSTOMER VALIDATION

- Biopharm Drug Dispos. 2024 Apr;45(2):107-114.

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REFERENCES

[1]. WHO Drug Information-World Health Organization (WHO).

[2]. Jones J, et al. Selective Inhibition of NaV1.8 with VX-548 for Acute Pain. N Engl J Med. 2023 Aug 3;389(5):393-405.

[3]. Zhang H, et al. A simple and sensitive ultra-high performance liquid chromatography tandem mass spectrometry method for the quantitative analysis of VX-548 in monkey plasma: Method validation and application to pharmacokinetic study. Biomed Chromatogr. 2024 Jul;38(7):e5907.

[4]. Yu G, et al. Gender difference in the pharmacokinetics and metabolism of VX-548 in rats. Biopharm Drug Dispos. 2024 Apr;45(2):107-114.

[5]. Qin H, et al. Discovery of selective NaV1.8 inhibitors based on 5-chloro-2-(4,4-difluoroazepan-1-yl)-6-methyl nicotinamide scaffold for the treatment of pain. Eur J Med Chem. 2023 Jun 5;254:115371.

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

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