NV-5138 hydrochloride

Cat. No.: HY-114384B

CAS No.: 2639392-70-2

Molecular Formula: $C_7H_{14}ClF_2NO_2$

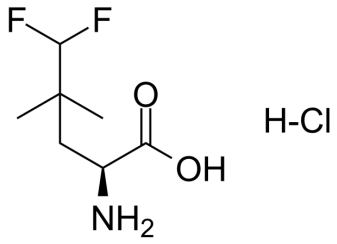
Molecular Weight: 217.64

Target: mTOR

Pathway: PI3K/Akt/mTOR

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (1148.69 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.5947 mL	22.9737 mL	45.9474 mL
	5 mM	0.9189 mL	4.5947 mL	9.1895 mL
	10 mM	0.4595 mL	2.2974 mL	4.5947 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 (9.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (9.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (9.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NV-5138 hydrochloride, a leucine analog, is the first selective and orally active brain mTORC1 activator, binding to Sestrin2. NV-5138 hydrochloride is used for antidepressant studies[1][2].

IC_{50} & Target

mTORC1[1].

In Vivo

NV-5138 is found to be essentially 100% orally bioavailable with an elimination half-life in plasma of ~ 3 h determined following intravenous and oral dosing in rats[1].

?NV-5138 (160 mg/kg, po, single dose) rapidly increases levels of phospho-mTOR as well as the downstream targets, phospho-p70S6K1, and phosphor-4EB-P1, in synaptosomal preparations of PFC[2].

?NV-5138 (80 mg/kg, po, daily for a total of 7 days) also produces antidepressant effects[2].

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

Animal Model:	Male Sprague-Dawley rats weighing 250-260 g[2].
Dosage:	40, 80, 160 mg/kg.
Administration:	PO, single dose (160 mg/kg) or daily for a total of 7 days (40, 80 mg/kg).
Result:	Produced antidepressant effects.

Animal Model:	Male Sprague-Dawley (SD) rats weighed 250-400 g[1].
Dosage:	1 mg/kg, 5 mg/kg (Pharmacokinetic Design).
Administration:	I.V at 1 mg/kg and PO at 5 mg/kg.
Result:	Essentially 100% orally bioavailable with an elimination half-life in plasma of ~ 3 h.

CUSTOMER VALIDATION

- McGill University. 2023 Jul 5.
- bioRxiv. 2023 Apr 24.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Sengupta S, et al. Discovery of NV-5138, the first selective Brain mTORC1 activator. Sci Rep. 2019 Mar 11;9(1):4107.

[2]. Kato T, et al. Sestrin modulator NV-5138 produces rapid antidepressant effects via direct mTORC1 activation. J Clin Invest. 2019 Apr 16;129(6):2542-2554.

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