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Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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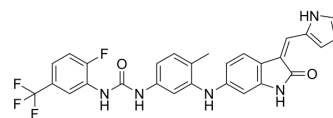
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GNF-5837

Cat. No.:	HY-13491
CAS No.:	1033769-28-6
Molecular Formula:	C ₂₈ H ₂₁ F ₄ N ₅ O ₂
Molecular Weight:	535.49
Target:	Trk Receptor
Pathway:	Neuronal Signaling; Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 32 mg/mL (59.76 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		1.8674 mL	9.3372 mL	18.6745 mL
	5 mM		0.3735 mL	1.8674 mL	3.7349 mL
	10 mM		0.1867 mL	0.9337 mL	1.8674 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: ≥ 2.5 mg/mL (4.67 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 2.5 mg/mL (4.67 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

GNF-5837 is a potent, selective, and orally bioavailable pan-tropomyosin receptor kinase (TRK) inhibitor which display antiproliferative effects in cellular Ba/F3 assays (IC₅₀ values of 7 nM, 9 nM and 11 nM for cells containing the fusion proteins Tel-TrkC, Tel-TrkB and Tel-TrkA, respectively) [1].

IC₅₀ & Target

TrkB 9 nM (IC ₅₀)	TrkC 7 nM (IC ₅₀)	TrkA 11 nM (IC ₅₀)
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In Vitro

GNF-5837 (0.1-500 nM; 72-144 hours; GOT1 cells) treatment decreases cell viability in a time- and dose-dependent manner in GOT1 cells [2].

?GNF-5837 (5-500 nM; 24 hours; GOT1 cells) causes downregulation of PI3K-Akt-mTOR signaling, Ras-Raf-MEK-ERK signaling [2].

?GNF-5837 (5-500 nM; 72 hours; GOT1 cells) treatment induces G1 cell cycle arrest^[2].

?GNF-5837 (500 nM; 144 hours; GOT1 cells) treatment increases apoptotic cell death^[2].

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

Cell Viability Assay^[2]

Cell Line:	GOT1 cells
Concentration:	0.1 nM , 0.5 nM , 1 nM , 5 nM , 10 nM , 50 nM , 100 nM and 500 nM
Incubation Time:	72 hours, 96 hours and 144 hours
Result:	Cell viability assay determined a clear decrease of GOT1 cell viability in a time- and dose-dependent manner.

Western Blot Analysis^[2]

Cell Line:	GOT1 cells
Concentration:	5 nM, 50 nM and 500 nM
Incubation Time:	24 hours
Result:	Significant levels of TrkA expression, faint TrkC expression and no TrkB expression.

Cell Cycle Analysis^[2]

Cell Line:	GOT1 cells
Concentration:	5 nM, 500 nM
Incubation Time:	72 hours
Result:	Induced G1 cell cycle arrest.

Apoptosis Analysis^[2]

Cell Line:	GOT1 cells
Concentration:	500 nM
Incubation Time:	144 hours
Result:	Induced apoptosis.

In Vivo

GNF-5837 (25-100 mg/kg; oral administration; once daily; for 10 days; mice) treatment inhibits tumor growth in a mouse xenograft model derived from RIE cells expressing both TRKA and NGF^[1].

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

Animal Model:	Mouse xenograft model ^[1]
Dosage:	25 mg/kg, 50 mg/kg, 100 mg/kg
Administration:	Oral administration; once daily; for 10 days
Result:	72 and 100% tumor regression was observed at 50 and 100 mg/kg, respectively. At 25

mg/kg, only partial tumor growth inhibition was achieved.

CUSTOMER VALIDATION

- J Hazard Mater. 2023 Sep 5, 457, 131831.
- BMC Complement Altern Med. 2017 Aug 12;17(1):401.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

- [1]. Albaugh, P. et al. Discovery of GNF-5837, a Selective TRK Inhibitor with Efficacy in Rodent Cancer Tumor Models. ACS MEDICINAL CHEMISTRY LETTERS, 2012; 3 (2): 140
- [2]. Aristizabal Prada ET, et al. Tropomyosin receptor kinase: a novel target in screened neuroendocrine tumors. Endocr Relat Cancer. 2018 May;25(5):547-560.

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

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