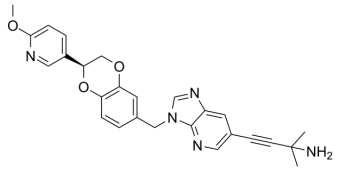
GENZ-882706

Cat. No.:	HY-101526
CAS No.:	2070864-35-4
Molecular Formula:	$C_{26}H_{25}N_5O_3$
Molecular Weight:	455.51
Target:	c-Fms
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GENZ-882706 is a potent colony stimulating factor-1 receptor (CSF-1R) Inhibitor extracted from patent WO 2017015267A1.
IC_{50} & Target	Target: CSF-1R[1]
In Vitro	Genz-882706 induces an increased level of proliferative activity on unstimulated cells 48 hours post treatment and the reason for this effect is unclear[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Daily treatment with Genz-882706 significantly reduces experimental autoimmune encephalomyelitis. Treatment with Genz-882706 in experimental autoimmune encephalomyelitis (EAE) mice results in significant decreases in MCP-1, IL-6, IL-Iβ and IP-10 levels in spinal cord homogenates when compared to Vehicle treated animals. Treatment with Genz-882706 shows a significant increase in TNF-a levels in the spinal cord when compared to the vehicle treated group. Genz-882706 at both the 30 mg/kg and the 100 mg/kg dose significantly reduces the number of microglia and monocytes/macrophages in the brain and spinal cord compared to the vehicle and LPS controls. Treatment with Genz-882706 modestly reduces CD80 expression on monocytes/macrophages in the brain[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	To determine the effect of GENZ-882706 on the proliferation of primary murine microglial cells following LPS or CSF-1 stimulation, GENZ-882706 (500 nM) is added to appropriate assay wells. 25 µL medium are also added to all cells only wells at this time. 25 µL of LPS at 10 ng/mL or 100 ng/mL or CSF-1 at 100 ng/mL are then added to appropriate wells. 25 µL of medium are added to wells not receiving LPS or CSF-1 to bring the final volume of all assay wells to 150uL[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] Mycobacterium tuberculosis is induced in a secondary progressive experimental autoimmune encephalomyelitis (EAE) model in NOD mice with an emulsion of MOG 35-55 and CFA. Therapeutic treatment with Genz-882706 (25mg/kg/day) or vehicle control is started on Day 27 post-disease induction when mice began to enter the progressive stage of disease. Inflammatory/neurotoxic mediators in the CNS are measured through protein analysis in homogenate and gene expression

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

REFERENCES

[1]. Kane, et al. Colony Stimulating Factor-1 Receptor (CSF-1R) Inhibitors. WO 2017015267A1

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