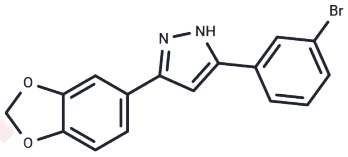
Data Sheet (Cat.No.T5447)

TargetMol

Anle138b

Chemical Properties



CAS No. : 882697-00-9

Formula: C16H11BrN2O2

Molecular Weight: 343.17

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Anle138b: a novel oligomer modulator for disease-modifying therapy of neurodegenerative diseases such as prion and Parkinson's disease
Targets(IC50)	Beta Amyloid
In vitro	In vitro, anle138b blocked the formation of pathological aggregates of prion protein (PrPSc) and of α-synuclein (α-syn), which is deposited in PD and other synucleinopathies such as dementia with Lewy bodies (DLB) and multiple system atrophy (MSA).
In vivo	Anle138b strongly inhibited all prion strains tested including BSE-derived and human prions.?Anle138b showed structure-dependent binding to pathological aggregates and strongly inhibited formation of pathological oligomers in vitro and in vivo both for prion protein and α-synuclein.?Both in mouse models of prion disease and in three different PD mouse models, anle138b strongly inhibited oligomer accumulation, neuronal degeneration, and disease progression in vivo.?Anle138b had no detectable toxicity at therapeutic doses and an excellent oral bioavailability and blood-brain-barrier penetration.
Animal Research	anle138b was administered orally in DMSO/peanut butter as described above.?In a first set of experiments, 5 mg anle138b were given once daily starting either at day 80 or day 120 post i.c. infection.?Animals of each treatment group were monitored daily for signs of disease by trained animal caretakers from day 80 post infection.?The animals were sacrificed, when they had reached the terminal stage of the disease based on clinical signs (ataxia, tremor, difficulty in righting up from a position lying on its back and tail stiffness).?Typically the disease progress through the terminal stage will lead to the death of the animal within 1 or 2 days.?In addition, groups of four mice per experimental group were sacrificed at predefined time points. From all animals, one brain hemisphere and one half of the spleen were freshly frozen at 80 C for biochemical analysis.?The other hemisphere and the remaining half of the spleen as well as all inner organs were fixed in 4 % formaldehyde solution for histological analysis.?In a further experiment, treatment with anle138b was started on the day of i.c. infection with a dose of 5 mg anle138b twice daily.

Solubility Information

Solubility	DMSO: 55 mg/mL (160.27 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2.5 mg/mL (7.29 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.914 mL	14.570 mL	29.1401 mL
5 mM	0.5828 mL	2.914 mL	5.828 mL
10 mM	0.2914 mL	1.457 mL	2.914 mL
50 mM	0.0583 mL	0.2914 mL	0.5828 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Wagner J, et al. Anle138b: a novel oligomer modulator for disease-modifying therapy of neurodegenerative diseases such as prion and Parkinson's disease. Acta Neuropathol. 2013 Jun;125(6):795-813.