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产品名称：Anle138b
产品别名：Anle138b

生物活性：

Description	Anle138b is an oligomeric aggregation inhibitor. Anle138b is an oligomer modulator for neurodegenerative diseases such Parkinson's disease[1].
In Vitro	Oligomeric aggregates are presumed to be the key neurotoxic agent. Anle138b blocksthe formation of pathological aggregates of prion protein and of α-synuclein, which is deposited in Parkinson's disease and other synucleinopathies such as dementia with Lewy bodies and multiple system atrophy. Anle138b strongly inhibits all prion strains tested including BSE-derived and human prions. Anle138b shows structure-dependent binding to pathological aggregates and strongly inhibits formation of pathological oligomers both for prion protein and α-synuclein[1].
In Vivo	Anle138b has no detectable toxicity at therapeutic doses and an excellent oral bioavailability and blood–brain-barrier penetration. In mouse models of prion disease and in three different PD mouse models, anle138b strongly inhibits oligomer accumulation, neuronal degeneration, and disease progression[1]. Di-phenyl-pyrazole anle138b binds to aggregated tau and inhibits tau aggregation. Anle138b treatment effectively ameliorates disease symptoms, increases survival time and improves cognition of tau transgenic PS19 mice[2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (145.70 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9140 mL	14.5700 mL	29.1401 mL
5 mM	0.5828 mL	2.9140 mL	5.8280 mL
10 mM	0.2914 mL	1.4570 mL	2.9140 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (7.29 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.29 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；

	向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.29 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.29 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. 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. [2]. Wagner J, et al. Reducing tau aggregates with anle138b delays disease progression in a mouse model of tauopathies. Acta Neuropathol. 2015 Nov;130(5):619-31.

实验参考：

Animal Administration	Mice: During the first 2 weeks of treatment, 2 mg of anle138b dissolved in 10 μL DMSO mixed with 200 μL peanut butter are given. After 2 weeks of treatment, the dose is increased to 5 mg in 10 μL DMSO/200 μL peanut butter. At the age of 33 weeks, the dose is increased to 2×5 mg per day. All mice are monitored daily for signs of disease[1].
Kinase Assay	Compounds (Anle138b) are diluted into the assay mixture from 10-fold stock solutions containing 10 % DMSO (v/v), resulting in a final concentration of 1 % DMSO in all samples. Experiments are started by diluting the 5-fold stock solution of fluorescently labelled α-syn in 50 mM Tris-buffer, pH 7.0, containing 1 % DMSO, 10 μM FeCl3 and compounds (Anle138b) in concentrations ranging from 1-10 μM. Aggregation is monitored at room temperature for at least 2.5 h in 3-4 independent samples for each experimental group[1].
References	[1]. 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. [2]. Wagner J, et al. Reducing tau aggregates with anle138b delays disease progression in a mouse model of tauopathies. Acta Neuropathol. 2015 Nov;130(5):619-31.