

Fluralaner

Chemical Properties

CAS No. : 864731-61-3

Formula: C₂₂H₁₇Cl₂F₆N₃O₃

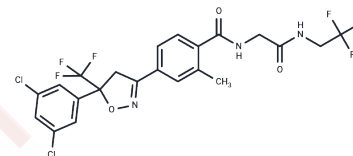
Molecular Weight: 556.29

Keep away from direct sunlight, Keep away from moisture

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	Fluralaner (A1443) is an isoxazoline ectoparasiticide. It potently and selectively inhibits binding of the GABA receptor channel blocker EBOB to housefly head membranes (IC ₅₀ : 455 pM).
Targets(IC ₅₀)	GABA Receptor,Parasite
In vitro	A1443 inhibits specific binding of the gamma-aminobutyric acid (GABA) receptor channel blocker EBOB to housefly-head membranes, with an IC ₅₀ value of 455pM. In contrast, the IC ₅₀ value in rat-brain membranes is >10µM. A1443 blocks GABA- and glutamate-induced chloride currents in Xenopus oocytes expressing MdGβCl or MdGluCl channels, with IC ₅₀ values of 5.32 and 79.9 nM, respectively [1]. Fluralaner potently inhibited flea reproduction capacity in vitro. Oviposition ceased completely at concentrations as low as 25.0 ng/mL. While no ovicidal effect was observed, fluralaner exerted a larvicidal effect at exceptionally low concentrations (6.25 ng/mL) [2].
In vivo	In the simulated flea-infested home environment, flea-control efficacy on fluralaner-treated dogs was >99% at every time point measured for 12 weeks. No adverse events were observed in fluralaner-treated dogs [2]. No adverse events were observed subsequent to fluralaner treatment of MDR1(-/-) Collies at three times the highest expected clinical dose [3].

Solubility Information

Solubility	DMSO: 250 mg/mL (449.41 mM),Sonication is recommended. H ₂ O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (7.19 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7976 mL	8.9881 mL	17.9762 mL
5 mM	0.3595 mL	1.7976 mL	3.5952 mL
10 mM	0.1798 mL	0.8988 mL	1.7976 mL
50 mM	0.036 mL	0.1798 mL	0.3595 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

- Ozoe Y, et al. The antiparasitic isoxazoline A1443 is a potent blocker of insect ligand-gated chloride channels. *Biochem Biophys Res Commun*. 2010 Jan 1;391(1):744-9.
- Gastaldi M S, Felsztyna I, Miguel V, et al. Theoretical and Experimental Study of Molecular Interactions of Fluralaner with Lipid Membranes. *Journal of Agricultural and Food Chemistry*. 2023
- Li B J, Wang K K, Yu Y, et al. PxRdl2 dsRNA increased the insecticidal activities of GABAR-targeting compounds against *Plutella xylostella*. *Pesticide Biochemistry and Physiology*. 2023: 105548.
- Williams H, et al. Fluralaner, a novel isoxazoline, prevents flea (*Ctenocephalides felis*) reproduction in vitro and in a simulated home environment. *Parasit Vectors*. 2014 Jun 19;7:275.
- Wang K, Li B, Yu Y, et al. Bacterial Rdl2 dsRNA increased the insecticidal activity of GABAR blockers and allosteric modulators against *Plutella xylostella* [J]. *bioRxiv*. 2021
- Rodriguez M A, Felsztyna I, García D A, et al. Interaction of fluralaner with binary model membranes. Potential implications in the selectivity for invertebrates/vertebrates. *Journal of Molecular Liquids*. 2024: 124891.
- Zahran F, El-Din H M E, Shehata M A S. Study on the effect of an ion channel inhibitor "Fluralaner" on *Echinococcus granulosus* protoscolices and metacestode layers in vitro [J]. *Journal of Parasitic Diseases*. 2020, 44 (2): 411-419.
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