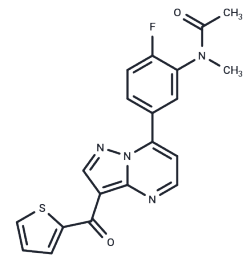


## Lorediplon

## Chemical Properties

|                   |   |
|-------------------|---|
| CAS No. :         | 917393-39-6   |
| Formula:          | C <sub>20</sub> H <sub>15</sub> N <sub>4</sub> O <sub>2</sub> S   |
| Molecular Weight: | 394.42  |
| Storage:          | Keep away from moisture, Store at low temperature<br>Powder: -20°C for 3 years   In solvent: -80°C for 1 year<br><i>Actual storage temperature shall be subject to the COA.</i> |



## Biological Description

|               |  |
|---------------|--|
| Description   | Lorediplon is a novel hypnotic drug acting as a GABAA receptor modulator, differentially active at the $\alpha$ 1-subunit, associated with promoting sleep.  |
| Targets(IC50) | GABA Receptor  |
| In vivo       | In vivo, Lorediplon inhibits spontaneous motor activity and increases the duration of sleep in mice (ED50s = 0.13 and 1.2 mg/kg, respectively). It selectively inhibits spontaneous motor activity, which is driven by $\alpha$ 1 subunit-containing GABAA receptors, over modification of muscular tone in mice, an $\alpha$ 2 subunit-containing GABAA receptor-stimulated activity [1]. Lorediplon (0.13 and 1.2 mg/kg) also decreases latency to slow-wave sleep (SWS) and paradoxical sleep (PS) in mice [2]. |

## Solubility Information

|                     |  |
|---------------------|--|
| Solubility          | DMSO: 100 mg/mL (253.54 mM), Sonication is recommended.<br>( $< 1$ 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 (10.14 mM), Sonication is recommended.<br><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

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|       | 1mg       | 5mg        | 10mg       |
|-------|-----------|------------|------------|
| 1 mM  | 2.5354 mL | 12.6768 mL | 25.3537 mL |
| 5 mM  | 0.5071 mL | 2.5354 mL  | 5.0707 mL  |
| 10 mM | 0.2535 mL | 1.2677 mL  | 2.5354 mL  |
| 50 mM | 0.0507 mL | 0.2535 mL  | 0.5071 mL  |

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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

Horoszok L, et al. A single-dose, randomized, double-blind, double dummy, placebo and positive-controlled, five-way cross-over study to assess the pharmacodynamic effects of lorediplon in a phase advance model of insomnia in healthy Caucasian adult male subjects. *Hum Psychopharmacol.* 2014 May;29(3):266-73.

Anaclet C, et al. Effects of GF-015535-00, a novel  $\alpha 1$  GABA A receptor ligand, on the sleep-wake cycle in mice, with reference to zolpidem. *Sleep.* 2012 Jan 1;35(1):103-11.

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