

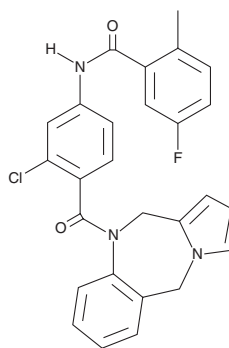
# PRODUCT INFORMATION



## Lixivaptan

Item No. 21511

**CAS Registry No.:** 168079-32-1  
**Formal Name:** N-[3-chloro-4-(5H-pyrrolo[2,1-c][1,4]benzodiazepin-10(11H)-ylcarbonyl)phenyl]-5-fluoro-2-methyl-benzamide  
**Synonyms:** VPA-985, WAY-VPA-985  
**MF:** C<sub>27</sub>H<sub>21</sub>ClFN<sub>3</sub>O<sub>2</sub>  
**FW:** 473.9  
**Purity:** ≥97%  
**UV/Vis.:** λ<sub>max</sub>: 268 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

Lixivaptan is supplied as a crystalline solid. A stock solution may be made by dissolving the lixivaptan in the solvent of choice. Lixivaptan is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of lixivaptan in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Lixivaptan is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lixivaptan should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Lixivaptan has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Lixivaptan is a nonpeptide antagonist of vasopressin V<sub>2</sub> receptors (K<sub>i</sub> = 2.3 nM).<sup>1</sup> It is 100-fold selective for V<sub>2</sub> over V<sub>1a</sub>.<sup>2</sup> Formulations containing lixivaptan decrease urinary excretion of aquaporin-2 in patients with chronic heart failure and increase serum sodium levels in patients with hyponatremia due to congestive heart failure.<sup>3,4</sup>

### References

1. Matthews, J.M., Hoekstra, W.J., Dyatkin, A.B., *et al.* Potent nonpeptide vasopressin receptor antagonists based on oxazino- and thiazinobenzodiazepine templates. *Bioorg. Med. Chem. Lett.* **14(11)**, 2747-2752 (2004).
2. Izumi, Y., Miura, K., and Iwao, H. Therapeutic potential of vasopressin-receptor antagonists in heart failure. *J. Pharmacol. Sci.* **124(1)**, 1-6 (2014).
3. Bowman, B.T. and Rosner, M.H. Lixivaptan - an evidence-based review of its clinical potential in the treatment of hyponatremia. *Core Evid.* **8**, 47-56 (2013).
4. Martin, P.-Y., Abraham, W.T., Lieming, X., *et al.* Selective V<sub>2</sub>-receptor vasopressin antagonism decreases urinary aquaporin-2 excretion in patients with chronic heart failure. *J. Am. Soc. Nephrol.* **10(10)**, 2165-2170 (1999).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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