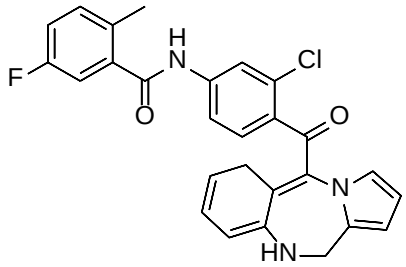
Product data sheet

MedKoo Cat#: 319564 Name: Lixivaptan CAS: 168079-32-1 Chemical Formula: $C_{27}H_{21}ClFN_3O_2$ Exact Mass: 473.1306 Molecular Weight: 473.9324	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Lixivaptan, also known as CRTX-080; VPA-985; WAY-VPA-985, is a potent, orally active, non-peptide, selective vasopressin 2 receptor antagonist. Lixivaptan works by reducing the action of the hormone vasopressin that blocks fluid excretion. Lixivaptan acts by blocking vasopressin, an anti-diuretic hormone that causes the kidneys to retain water. When the body needs to remain hydrated under certain conditions, vasopressin can have protective effects.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	30.0	63.30
DMSO	91.67	193.42
DMSO:PBS (pH 7.2) (1:2)	0.33	0.70
Ethanol	8.5	17.94

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.11 mL	10.55 mL	21.10 mL
5 mM	0.42 mL	2.11 mL	4.22 mL
10 mM	0.21 mL	1.06 mL	2.11 mL
50 mM	0.04 mL	0.21 mL	0.42 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Di Mise A, Venneri M, Ranieri M, Centrone M, Pellegrini L, Tamma G, Valenti G. Lixivaptan, a New Generation Diuretic, Counteracts Vasopressin-Induced Aquaporin-2 Trafficking and Function in Renal Collecting Duct Cells. Int J Mol Sci. 2019 Dec 26;21(1):183. doi: 10.3390/ijms21010183. PMID: 31888044; PMCID: PMC6981680.

In vivo study

1. Di Mise A, Wang X, Ye H, Pellegrini L, Torres VE, Valenti G. Pre-clinical evaluation of dual targeting of the GPCRs CaSR and V2R as therapeutic strategy for autosomal dominant polycystic kidney disease. FASEB J. 2021 Oct;35(10):e21874. doi: 10.1096/fj.202100774R. PMID: 34486176; PMCID: PMC9290345.
2. Wang X, Constans MM, Chebib FT, Torres VE, Pellegrini L. Effect of a Vasopressin V2 Receptor Antagonist on Polycystic Kidney Disease Development in a Rat Model. Am J Nephrol. 2019;49(6):487-493. doi: 10.1159/000500667. Epub 2019 May 22. PMID: 31117065; PMCID: PMC6647848.

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7. Bioactivity

Biological target:

Lixivaptan (VPA-985, WAY-VPA 985) is an orally active and selective vasopressin receptor V2 antagonist, with IC_{50} values of 1.2 and 2.3 nM for human and rat V2, respectively.

In vitro activity

Based on preliminary experiments, 100 nM lixivaptan was chosen as the appropriate minimal concentration displaying a clear inhibitory effect on dDAVP-induced increase in cAMP levels in MCD4 cells (data not shown). Treatment with lixivaptan completely abolished the effect of dDAVP on cAMP (dDAVP+LXV = 1.013 ± 0.015, n = 250 cells) (Figure 1B).

Reference: Int J Mol Sci. 2019 Dec 26;21(1):183. https://pubmed.ncbi.nlm.nih.gov/31888044/

In vivo activity

Lixivaptan is expected to have a safer liver profile compared to tolvaptan, the only drug approved to delay PKD progression, based on computational model results and initial clinical evidence. PCK rat and $Pkd1^{RC/RC}$ mouse littermates were fed without or with lixivaptan (0.5%) and R-568 (0.025% for rats and 0.04% for mice), alone or in combination, for 7 (rats) or 13 (mice) weeks. In PCK rats, the combined treatment strongly decreased kidney weight, cyst and fibrosis volumes by 20%, 49%, and 73%, respectively, compared to untreated animals. In $Pkd1^{RC/RC}$ mice, the same parameters were reduced by 20%, 56%, and 69%, respectively.

Reference: FASEB J. 2021 Oct;35(10):e21874. https://pubmed.ncbi.nlm.nih.gov/34486176/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.