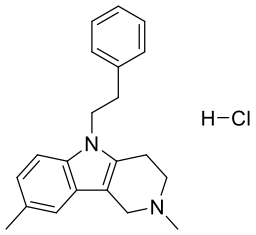
Product data sheet

MedKoo Cat#: 561401 Name: AVN-101 HCl CAS#: 1061354-48-0 (HCl) Chemical Formula: $C_{21}H_{25}ClN_2$ Molecular Weight: 340.9	
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:
AVN-101 is a very potent 5-HT7 receptor antagonist, with slightly lesser potency toward 5-HT6, 5-HT2A, and 5HT-2C receptors. It is a milti-target drug candidate for the treatment of CNS disorders.

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
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.93 mL	14.67 mL	29.33 mL
5 mM	0.59 mL	2.93 mL	5.87 mL
10 mM	0.29 mL	1.47 mL	2.93 mL
50 mM	0.06 mL	0.29 mL	0.59 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. Ivachtchenko AV, Lavrovsky Y, Okun I. AVN-101: A Multi-Target Drug Candidate for the Treatment of CNS Disorders. J Alzheimers Dis. 2016 May 25;53(2):583-620. doi: 10.3233/JAD-151146. PMID: 27232215; PMCID: PMC4969713.

In vivo study

1. Ivachtchenko AV, Lavrovsky Y, Okun I. AVN-101: A Multi-Target Drug Candidate for the Treatment of CNS Disorders. J Alzheimers Dis. 2016 May 25;53(2):583-620. doi: 10.3233/JAD-151146. PMID: 27232215; PMCID: PMC4969713.

7. Bioactivity
Biological target:

AVN-101 is a very potent 5-HT7 receptor antagonist, with slightly lesser potency toward 5-HT6, 5-HT2A, and 5HT-2C receptors.

In vitro activity

When tested at a concentration of 1μM, AVN-101 rapidly metabolized by both the human (HLM) and rat (RLM) microsomes (Fig. 7 and Table 5). Ten probable metabolites have been structurally identified, M1-M10 (Fig. 8). M1, M2, M4-M6, M9, and M10 were found in quantifiable amounts only in reactions with human microsomes. M7 was identified only with rat microsomes, and M3, M8, and a few other unidentifiable metabolites were found in both the rat and human microsomes. By the end of a 30-min incubation time, the remaining amount of AVN-101 comprised only 2% and <1% for human and rat microsomes, respectively (Table 6). However, at 2μM the decomposition AVN-101 in human microsomes was substantially diminished; only 57% of the original AVN-101 was

consumed by the end of 30-min incubation (Table 6). It seemed that at the higher concentration, AVN-101 might have inhibited some cytochromes(s) participating in the AVN-101metabolism.

Reference: J Alzheimers Dis. 2016 May 25;53(2):583-620. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/27232215/

In vivo activity

Similar to scopolamine, treatment of the Balb/c mice with MK-801, NMDA glutamate receptor antagonist, also produced anterograde amnesia (Fig. 25). Judging by the three measured parameters, latency to enter the dark compartment, time spent in the white compartment, and number of entries into the dark compartment, the AVN-101 dose-dependently prevented the MK-801-induced amnesic effect. It should be noted, that the MK-801-induced memory impairment model was less sensitive to AVN-101 than the scopolamine model, the anti-amnesic dose of AVN-101 being approximately 10-fold as high in the MK-801 model (0.5mg/kg) as in the scopolamine model (0.05mg/kg) of the amnesia. Memantine was not active in this model and the effect of the AVN-101was similar to that of SB-742457. It is reasonable to assume, that similar to the SB-742457, in the MK-801-induced amnesia model, it is 5-HT6 receptor blocking ability of the AVN-101, which is responsible for its efficacy. AVN-101 at a dose of 0.2mg/kg given 25min after the scopolamine, effectively reversed the scopolamine-induced amnesia manifested by increased time spent in the “platform”.

Reference: J Alzheimers Dis. 2016 May 25;53(2):583-620. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/27232215/