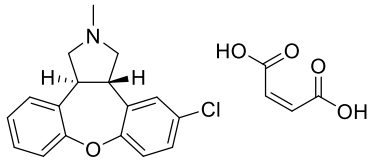
Product data sheet

MedKoo Cat#: 326708 Name: Asenapine maleate CAS#: 85650-56-2 (maleate) Chemical Formula: $C_{21}H_{20}ClNO_5$ Molecular Weight: 401.843	
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:
Asenapine, also known as Org 5222 and HSDB 8061, is an atypical antipsychotic developed for the treatment of schizophrenia and acute mania associated with bipolar disorder. Preliminary data indicate that it has minimal anticholinergic and cardiovascular side effects, as well as minimal weight gain. FDA approved this drug in August 2009.

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
DMSO	48.39	120.42
Ethanol	20.09	49.99
Water	6.25	15.55

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.49 mL	12.44 mL	24.89 mL
5 mM	0.50 mL	2.49 mL	4.98 mL
10 mM	0.25 mL	1.24 mL	2.49 mL
50 mM	0.05 mL	0.25 mL	0.50 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. Wu HH, Meng TT, Chen JM, Meng FL, Wang SY, Liu RH, Chen JN, Ning B, Li Y, Su GH. Asenapine maleate inhibits angiotensin II-induced proliferation and activation of cardiac fibroblasts via the ROS/TGFβ1/MAPK signaling pathway. Biochem Biophys Res Commun. 2021 May 14;553:172-179. doi: 10.1016/j.bbrc.2021.03.042. Epub 2021 Mar 24. PMID: 33773140.
2. Grossini E, Gramaglia C, Farruggio S, Camillo L, Mary D, Vacca G, Zeppegno P. Asenapine modulates nitric oxide release and calcium movements in cardiomyoblasts. J Pharmacol Pharmacother. 2016 Jan-Mar;7(1):6-14. doi: 10.4103/0976-500X.179358. PMID: 27127388; PMCID: PMC4831496.

In vivo study

1. Foute Nelong T, Manduca JD, Zonneveld PM, Perreault ML. Asenapine maleate normalizes low frequency oscillatory deficits in a neurodevelopmental model of schizophrenia. Neurosci Lett. 2019 Oct 15;711:134404. doi: 10.1016/j.neulet.2019.134404. Epub 2019 Jul 26. PMID: 31356843.
2. Delcourte S, Abrial E, Etiévant A, Rovera R, Arnt J, Didriksen M, Haddjeri N. Asenapine modulates mood-related behaviors and 5-HT1A/7 receptors-mediated neurotransmission. CNS Neurosci Ther. 2017 Jun;23(6):518-525. doi: 10.1111/cns.12698. Epub 2017 Apr 17. PMID: 28417559; PMCID: PMC6492759.

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7. Bioactivity

Biological target:

Asenapine maleate is a 5-HT (1A, 1B, 2A, 2B, 2C, 5A, 6, 7) and D2 antagonist with Ki values of 0.03-4.0 nM, 1.3nM, respectively, and an antipsychotic.

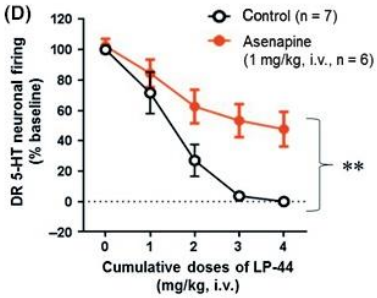
In vitro activity

To further determine whether AM (Asenapine maleate) act through ROS mediated signaling pathway, CFs were pretreated with or without AM followed by Ang II stimulation. The results demonstrated that AM could reduce the levels of ROS induced by Ang II (Fig. 4A). After pretreatment with 10 μM AM, the Ang II-induced activation of the TGFβ1/MAPK signal pathway was suppressed. Treatment with AM also reduced the expression of TGFβ1 and decreased the phosphorylation levels of ERK, JNK, and p38MAPK. Therefore, this study confirmed that AM can inhibit Ang II-induced cardiac fibrosis through the ROS/TGFβ1/MAPK signaling pathway (Fig. 4B and C).

Reference: Biochem Biophys Res Commun. 2021 May 14;553:172-179. https://pubmed.ncbi.nlm.nih.gov/33773140/

In vivo activity

As expected, administration of cumulative doses of the 5-HT_7 agonist LP-44 (1-4 mg/kg, i.v.) in control rats induced a dose-dependent decrease in the firing activity of DR 5-HT neurons with ED_{50}=1.45 mg/kg (n=7; Figure 3A,B). Two-way repeated-measures ANOVA revealed a significant effect of SB 269970 pretreatment ($F_{1,40}$=6.98, P<.05) on the potency of LP-44 ($F_{4,40}$=54.13, P<.001), but no SB 269970 x LP-44 interaction. Similarly, an injection of Asenapine (1 mg/kg, i.v.) reduced the suppressant effect of LP-44 on the firing activity of DR 5-HT neurons, with ED_{50}=3.54 mg/kg (n=7, Figure 3C,D). Two-way repeated-measures ANOVA indicated a significant effect of Asenapine ($F_{1,55}$= 0.17, P<.01) on the potency of LP-44 ($F_{5,55}$=61.90, P<.001), and a significant interaction effect ($F_{5,55}$=5.38, P<.001).



Reference: CNS Neurosci Ther. 2017 Jun; 23(6): 518–525. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6492759/

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.