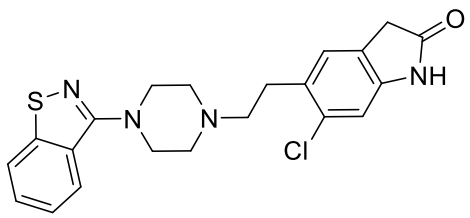
Product data sheet

MedKoo Cat#: 318996 Name: Ziprasidone free base CAS#: 146939-27-7 (free base) Chemical Formula: $C_{21}H_{21}ClN_4OS$ Exact Mass: 412.11246 Molecular Weight: 412.94	
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:
Ziprazidone is approved for the treatment of schizophrenia, and acute mania and mixed states associated with bipolar disorder. Its intramuscular injection form is approved for acute agitation in schizophrenic patients for whom treatment with just ziprasidone is appropriate. The mechanism of action of ziprasidone, as with other drugs having efficacy in schizophrenia, is unknown. However, it has been proposed that this drug's efficacy in schizophrenia is mediated through a combination of dopamine type 2 (D2) and serotonin type 2 (5HT2) antagonism. As with other drugs having efficacy in bipolar disorder, the mechanism of action of ziprasidone in bipolar disorder is unknown.

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	13.5	32.69

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.42 mL	12.11 mL	24.22 mL
5 mM	0.48 mL	2.42 mL	4.84 mL
10 mM	0.24 mL	1.21 mL	2.42 mL
50 mM	0.05 mL	0.24 mL	0.48 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. An JR, Seo MS, Jung HS, Heo R, Kang M, Ha KS, Park H, Park WS. The inhibitory effect of ziprasidone on voltage-dependent K+ channels in coronary arterial smooth muscle cells. Biochem Biophys Res Commun. 2020 Aug 20;529(2):191-197. doi: 10.1016/j.bbrc.2020.06.038. Epub 2020 Jun 22. PMID: 32703410.

In vivo study

1. Park S, Kim MS, Namkoong C, Park MH, Hong JP. The effect of ziprasidone on body weight and energy expenditure in female rats. Metabolism. 2012 Jun;61(6):787-93. doi: 10.1016/j.metabol.2011.10.011. Epub 2011 Dec 28. PMID: 22209671.

7. Bioactivity
Biological target:

Ziprasidone, an antipsychotic agent, is a combined 5-HT (serotonin) and dopamine receptor antagonist. Ziprasidone has high affinity for rat (Ki: 3.4 nM)/human (2.5 nM) 5-HT1A receptors, 5-HT2A (0.42 nM), and dopamine D2 receptors (4.8 nM).

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In vitro activity

The effect of ziprasidone, a widely used treatment for schizophrenia, on voltage-dependent K+ (Kv) channels of coronary arterial smooth muscle cells was investigated using the patch-clamp technique. Ziprasidone dose-dependently inhibited Kv channels with an IC50 value of 0.39 ± 0.06 μM and a Hill coefficient of 0.62 ± 0.03. Although ziprasidone had no effect on the steady-state inactivation kinetics of the Kv channels, the steady-state activation curve shifted towards a more positive potential. These results suggest that ziprasidone inhibits Kv channels by targeting their voltage sensors. The recovery time constant of Kv channel inactivation was increased in the presence of ziprasidone. Furthermore, application of train steps (of 1 and 2 Hz) in the presence of ziprasidone led to a progressive increase in the blockade of Kv currents, suggesting that ziprasidone-induced inhibition of Kv channels is use (state)-dependent. Pretreatment with Kv1.5, Kv2.1, and Kv7 subtype inhibitors partially suppressed the ziprasidone-induced inhibition of Kv currents. These results suggest that ziprasidone inhibits vascular Kv channels through its effect on gating properties. The Kv channel-inhibiting action of ziprasidone is concentration- and use (state)-depedent.

Reference: Biochem Biophys Res Commun. 2020 Aug 20;529(2):191-197. https://linkinghub.elsevier.com/retrieve/pii/S0006-291X(20)31245-6

In vivo activity

The effects of ziprasidone on resting energy expenditure, physical activity, thermogenesis, food intake, and weight gain was examined in female Sprague-Dawley rats. Ziprasidone (20 mg/kg) or vehicle was administered once daily for 7 weeks; and body weight, food intake, resting energy expenditure, locomotor activity, colonic temperature on cold exposure, and abdominal fat were measured. Compared with control animals, ziprasidone-treated rats gained significantly less weight ($P = .031$), had a lower level of physical activity ($P = .016$), showed a higher resting energy expenditure ($P < .001$), and displayed a greater capacity for thermogenesis when subjected to cold ($P < .001$). In addition, ziprasidone-treated rats had a lower level of abdominal fat than did controls, although the difference was not significant. Ziprasidone had no effect on food intake. These results indicate that, in female Sprague-Dawley rats, a 7-week treatment regimen of ziprasidone induces a significant decrease in weight gain by increasing resting energy expenditure without decreasing food intake and even with a lower level of physical activity. Further studies are needed to elucidate the precise mechanism of lower propensity of weight gain of ziprasidone.

Reference: Metabolism. 2012 Jun;61(6):787-93. https://linkinghub.elsevier.com/retrieve/pii/S0026-0495(11)00350-7

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.