

ASENAPINE IS DRUG OF CHOICE FOR SCHIZOPHRENIA

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INTRODUCTION

Schizophrenia is a chronic mental disorder caused by genetic, social, and psychological factors.¹In schizophrenia people interpret reality abnormally. This condition may result in some combination of hallucinations, delusions, and other disordered thinking and behaviour that affect daily life functioning. People who are suffering from schizophrenia require lifelong treatment. Early treatment may help to control symptoms before serious complications arise and may help to improve the long-term outlook.² Asenapine was approved by FDA on august 13,2009 and is currently indicated for the treatment of schizophrenia and bipolar I disorder.It is formulated in two strength (5mg or 10mg)and It has a least propensity to cause metabolic disturbances and weight gain. It is forecast from animal studies to be effective in treatment resistant cases and if this is shows in trials, then this drug anchor its own place in the treatment of schizophrenia.³Probably, antipsychotics

drugs are more effective for the schizophrenia treatment. Although, it is well known that about 30 percent of schizophrenia patients do not respond adequately to antipsychotics. Treatment are ordinarily targeted to positive psychotic symptoms such as hallucinations or delusions.⁴

MECHANISM OF ACTION

Asenapine is the only one antipsychotic drug used by the sublingual route, and asenapine has a particular pharmacological profile: it shows the result high binding affinity for dopamine receptors (D_1 , D_2 , D_3 , and D_4), adrenalin receptors (α_1 , α_{2A} , α_{2B} , and α_{2C}), and histamine receptors (H_1 and H_2), serotonin receptors ($5-HT_{1A}$, $5-HT_{1B}$, $5-HT_{2A}$, $5-HT_{2B}$, $5-HT_{2C}$, $5-HT_5$, $5-HT_6$, and $5-HT_7$). Although, asenapine shows little binding affinity for muscarinic receptors.⁵ So, asenapine is also called a serotonin spectrum dopamine modulator because of its high binding affinity for 5-HT receptors.⁶ Asenapine has no activity at muscarinic receptors in the therapeutic dose range. So asenapine does not cause any anticholinergic side effects and metabolic syndrome, which is look like other atypical antipsychotics such as olanzapine and clozapine. asenapine is also an antagonist at histamine H_1 receptors and hence may causes sedation. By its activity at H_1 receptors, it was assumed to cause weight gain and has also done so in clinical trials⁷

PHARMACOKINETICS

Asenapine has a high hepatic 1st pass metabolism and its oral bioavailability is <2%. So it was starting investigated for intravenous route, but after that it was successfully formulated as a sublingual fast dissolving tablet. After food and water intake immediately sublingual dose can affect the bioavailability, when food intake can increase the hepatic blood flow leading to raise clearance of asenapine by liver. Hence food and water should be avoided for 10-12 minutes after its sublingual administration.⁸

The incidence of extrapyramidal symptoms is comparable with other atypical antipsychotics. It has a favourable weight gain profile and less propensity to cause

metabolic disturbance. It is predicted from animal studies to be effective in treatment resistant case⁹

ADVERSE EFFECT

Common adverse effect of asenapine of orthostatic hypotension and the patient should be follow non-pharmacological measures like sitting on the bed for occasionally before getting up and slowly getting up from chair to avoid above adverse effect. Asenapine has a mostadvantageous weight gain profile than the other atypical antipsychotics such as olanzepine. It has less tendency to cause metabolic syndrome.¹⁰The long term safety is not yet set up for this drug. Effects at toxic doses are also not yet known. Oral hypoesthesia is a peculiar adverse effect of asenapine.¹¹

CONCLUSION

Schizophrenia is most common disorder that affect the mental status of person. Person who having schizophrenia may need life long treatment. This article suggested as per the literature the drug Asenapine proved that it has less metabolic side effects compared with other antipsychotic drug.

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