

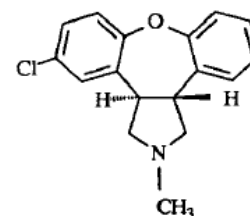
# NEW DRUG: Asenapine (Saphris®)

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Asenapine (Saphris®) is a new atypical antipsychotic, second generation drug developed for the treatment of schizophrenia and acute mania associated with bipolar disorder. The drug is similar to other FDA approved atypical antipsychotic drugs currently in use such as Aripiprazole, Paliperidone, Ziprasidone, Clozapine, Quetiapine, Olanzapine, and Risperidone. Asenapine, initially approved in the United States in August 2009, is manufactured by Merck (formerly Schering-Plough) as 5- and 10-mg sublingual tablets that are to be taken twice a day.

## General Information

IUPAC Name: (3a*S*,12b*S*)-5-Chloro-2,3,3a,12b-tetrahydro-2-methyl-1*H*-dibenz[2,3:6,7]oxepino[4,5-*c*]pyrrole  
Chemical Formula: C<sub>17</sub>H<sub>16</sub>ClNO  
Molecular Weight: 285.77 g/mol  
Available: Sigma-Aldrich®  
Catalog A-7861: Asenapine Maleate,  
C<sub>17</sub>H<sub>16</sub>ClNO · C<sub>4</sub>H<sub>4</sub>O<sub>4</sub>, FW=401.84 g/mol  
CAS Number: 65576-45-6



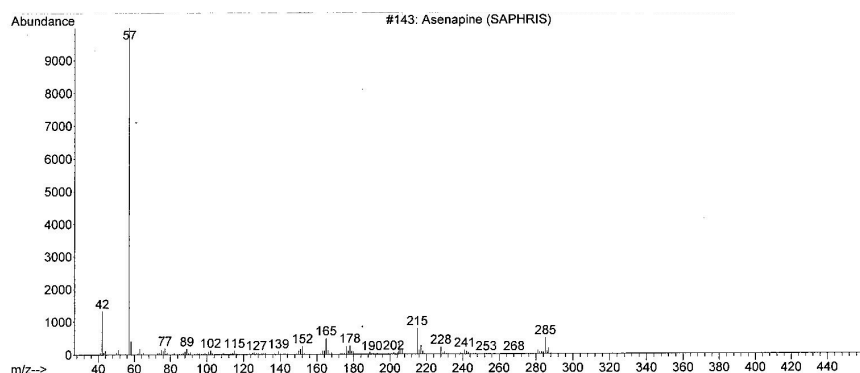
**Saphris®** (asenapine)

## Pharmacology

Half-Life: ~ 24 hrs  
C<sub>max</sub>: ~ 4 ng/mL @ ave T<sub>max</sub> 1 hour, peak plasma 0.5 -1.5 hours, steady-state attained ~ 3 days  
V<sub>d</sub>: ~20 - 25 L/kg  
Bioavailability: Sublingual: 35%, highly plasma proteins bound (95%)  
If swallowed, low bioavailability (<2%) caused by extensive first-pass metabolism  
Metabolism: Glucuronidase- N<sup>+</sup>-glucuronide; others included N-desmethylenapine, N-desmethylenapine N-carbamoyl glucuronide  
Elimination: 90% of the dose was recovered ~50% in urine and 40% in feces  
Drug Interactions: Paroxetine, Fluvoxamine

## Toxicology

Extraction: Recovered by routine n-butyl chloride liquid:liquid basic drug extraction, including an acid back extraction.  
Detection: GC/NPD: Limit of detection ~ 20 ng/ml / GC/MS SIM: ~5.0 ng/ml  
GC/MS: Ions 57, 215, 285 m/z  
Elution order: Nortriptyline, Cyclobenzaprine, **ASENAPINE**, Sertraline, Citalopram



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NMS Labs<sup>2</sup>:            Analysis performed on Serum/Plasma and Urine, since April 2010.  
                                 Detection by LC/MS/MS with a calibration range 0.10-15 ng/ml.  
                                 15 cases were analyzed, 0.71-5.6 ng/ml (n=9)

## **References**

1. Saphris® (Asenapine) Package Insert, November 2010.
2. Personal Communication with Laura Labay, NMS Labs.