Eslicarbazepine Acetate (Aptiom)

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Manufacturer: Sunovion Pharmaceuticals Inc.

Chemical Name: (10S)-10-(Acyloxy)-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide; (S)-(-)-10-Acetoxy-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide.

Mol. Formula: C_{17}H_{16}N_{2}O_{3} Molecular weight: 296.32

CAS#: 236395-14-5


Dosage: Comes in 200, 400, 600, and 800 mg. The recommended initial dose is 400 mg to start, for one week, then 800 mg once per day. A maximum daily dose of 1200 mg per day may be used if necessary. The drug may be taken whole or crushed, with or without food.

Mechanism of action: Eslicarbazepine acetate (pro-drug) is largely converted to Eslicarbazepine (S-licarbazepine or 10-OH-carbazepine; also a metabolite of oxcarbazepine) which is considered responsible for the therapeutic effects. The drug is thought to inhibit voltage gated sodium channels.

Pharmakokinetics: The PK is linear and dose-proportional in the dose range of 400 mg-1200 mg once daily in healthy subjects and in patients. The T_{max} ~ 1-4 h. The drug is < 40% protein bound (fb).

T_{1/2}: 13-20 hours in epilepsy patients.

Metabolism: Eslicarbazepine does not induce its own metabolism nor has it been shown to have drug-drug interaction with gabapentin, valproate, lamotrigine, topiramate, or levateracetam. In vivo studies indicate eslicarbazepine can induce CYP3A4 possibly causing a decrease in plasma levels of drugs metabolized by that isozyme.

Neurological adverse reactions according to the manufacturer website: APTIOM causes dose-dependent increases in the following reactions (dizziness, disturbance in gait and coordination, somnolence, fatigue, cognitive dysfunction, and visual changes) compared to placebo. These events were more often serious in APTIOM-treated patients than placebo. There was an increased risk of dizziness, disturbance in gait and coordination, and visual changes during the titration period (compared to the maintenance period), and there
may be an increased risk of these adverse reactions in patients 60 years of age and older compared to younger adults. The incidences of dizziness and diplopia were greater with concomitant use of APTIOM and carbamazepine compared to the use of APTIOM without carbamazepine. Prescribers should advise patients against engaging in hazardous activities requiring mental alertness, such as operating motor vehicles or dangerous machinery, until the effect of APTIOM is known.

Driving warning by manufacturer: Do not drive, operate heavy machinery, or do dangerous activities until you know how APTIOM affects you. APTIOM may slow your thinking and motor skills.

Toxicology analysis: NMS Labs recently reported HPLC-MS-MS quantitation of 22 AEDs after a protein precipitation extraction of whole blood with methanol.²

References: