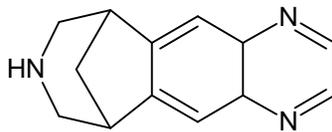


New Drugs

Varenicline (Chantix®)

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Varenicline is the active ingredient in Chantix®, the most recent anti smoking medications to be approved by the FDA (May, 2006). It is a partial nicotinic acetylcholine receptor agonist which binds to the nicotine receptors but stimulates the receptors less than nicotine. The agonist action helps to ease nicotine withdrawal symptoms. Varenicline is administered in 0.5 mg tablets, initially 1 tablet per day for 3 days followed by a maintenance dose of 0.5 mg twice a day for 4-7 days and then 1 mg tablet twice a day for the duration of cessation of smoking. The drug is not recommended for use with any other form of smoking cessation such as nicotine patches or bupropion. In regards to Pharmacology, 92% of Varenicline is excreted in the urine as unchanged parent drug. There is no evidence that Varenicline either inhibits or induces any of the cytochrome P450 system substrates.



General Information

Common Name:	Varenicline
Trade Names:	Chantix®
Manufacturer:	Pfizer
Chemical Name:	7,8,9,10-tetrahydro- 6,10-methano- 6H-pyrazino (2,3-h)(3) benzazepine
Chemical Formula:	C ₁₃ H ₁₃ N ₃
Molecular Weight:	211.26
CAS Number:	249296-44-4 & 375815-87-5
Administration:	Tablets – 0.5 and 1.0 mg tablets

Toxicology

Extraction: A 1 mg tablet was crushed and dissolved in 10 mL of methanol yielding an ~ 0.1 mg/mL solution. 2mL of blood was supplemented with 0.1 mL of ~0.1 mg/mL solution and 1 ug/mL alphaprodine as internal standard and then subjected to a basic butyl chloride/ ether (3:1) liquid/liquid extraction with acidic back extraction and hexane wash step. Extracts were split between GC-NPD and GC/MS for quantification and peak identification, respectively.

Detection: GC/MS (168, 127, 181, 44 m/z)
Elution Order (RT): Chlorpheniramine (11.16)
CHANTIX (11.45)
Venlafaxine (11.67)

