

## **New Drug Bulletin: Varenicline (Chantix™ - Pfizer)**

October 12, 2006

Written by Jennifer Blake, PharmD Student  
Edited by Erin Fox, PharmD, Drug Information Specialist

Varenicline is a new pharmacologic smoking cessation aid approved by the FDA on May 11, 2006. It is a partial agonist of the alpha-4 beta-2 nicotinic acetylcholine receptor. It blocks nicotine binding and produces a constant, low-level receptor activation which releases dopamine to prevent the symptoms of nicotine withdrawal.

Varenicline displays nearly 100% absorption after oral administration and bioavailability is independent of administration time or meals. Peak plasma concentrations occur 3-4 hours after oral ingestion. Dose ranging studies indicate linear pharmacokinetics after single or multiple dose administration. Less than 20% of varenicline is bound to plasma proteins regardless of renal function or age. Renal elimination is the primary mechanism of varenicline clearance with 92% percent excreted unchanged in the urine. The half-life after oral administration is estimated to be 24 hours.

Initial studies report that more patients were able to achieve smoking cessation after 12 weeks of treatment with varenicline (44-51%) than with bupropion SR (30%), or with placebo (12-18%). The percent of patients with sustained abstinence 1 year after treatment initiation was also higher in the varenicline group (19-23%) than bupropion SR (14-16%), or placebo (4-10%) groups. Patients who achieved smoking cessation after an initial 12 weeks of varenicline were able to maintain a higher rate of smoking abstinence over 28 treatment-free weeks when they were continued on varenicline for an additional 12 weeks (54%) compared to patients who received no additional treatment (39%).

Nausea is the most common adverse effect associated with varenicline (16-30% vs. 10% in placebo group). Nausea is often transient and not severe enough to discontinue treatment. The dose of varenicline is titrated up over 7 days to minimize the incidence of nausea. Other adverse effects include insomnia (18-19%), abnormal dreams (9-13%), constipation (5-8%), flatulence (6-9%), and vomiting (1-5%).

Initiate treatment one week before the patients selected stop date. Titrate up the dose starting with 0.5 mg daily for three days and then 0.5 mg twice daily for the next 4 days. Maintain treatment with 1 mg twice daily over the next 11 weeks. Patients who achieve smoking abstinence by the end of the initial 12 week treatment may benefit from an additional 12 weeks of therapy.

Varenicline is available as 0.5 mg off-white tablets and 1 mg light-blue tablets in weekly dose packs (11 of the 0.5 mg tablets or 14 of the 1 mg tablets) or in bottles of 56 tablets. Store at 25°C (77°F).

**Table 1. FDA Approved Pharmacologic Smoking Cessation Aids.**

Agent	Duration (weeks)	Cost per week	
		AWP	WAC
Varenicline (Chantix™)	12-24	\$28.00	\$22.40
Bupropion SR (Zyban™)	12	\$40.13	\$32.10
Nicotine patch (NicoDerm CQ)	10	\$23.45-\$27.36	\$19.54-\$22.80
Nicotine gum (Nicorette®)	12	\$71.63-\$102.89	\$59.66-\$85.75
Nicotine lozenge (Commit®)	12	\$76.55-\$81.75	\$61.93-\$66.12
Nicotine oral inhaler (Nicotrol®)	≤ 24	\$35.27-\$94.04	\$20.57-\$54.84
Nicotine nasal spray (Nicotrol NS®)	12 -24	\$16.46-\$98.76	\$16.46-\$82.29

In summary, varenicline is a partial agonist of the alpha-4 beta-2 nicotinic acetylcholine receptor approved as a smoking cessation aid. It increases the percent of patients able to achieve long-term abstinence compared to bupropion SR or placebo.

Chantix (varenicline) tablets package insert. New York City, NY: Pfizer Labs;2006.  
Murray S, ed. 2006 Red Book. Montvale, NJ: Thomsom PDR; 2006.

©2006, Department of Pharmacy Services, University of Utah Hospital, Salt Lake City, Utah.  
For more information, contact the Drug Information Service at 801-581-2073 or  
drug.info@hsc.utah.edu.