BUPROPION SR (Zyban) Fact Sheet [G]

Bottom Line:

Bupropion SR is somewhat less effective in smoking cessation than varenicline, but given the high rate of comorbidity between smoking and depression, it is an attractive option for many patients. It is also a particularly good choice for patients who are not able to set a guit date prior to initiating treatment.

FDA Indications:

Smoking cessation.

Off-Label Uses:

ADHD; sexual dysfunction; bipolar depression.

Dosage Forms:

SR tablets (G): 150 mg ER.

Dosage Guidance:

Start 150 mg QAM for three days, then 150 mg BID; separate doses by at least eight hours and administer last dose no later than 6 p.m. to minimize insomnia. Target smoking guit dates are generally in the second week of treatment.

Monitoring: No routine monitoring recommended unless clinical picture warrants.

Cost: S

Side Effects:

- Most common: Agitation, insomnia, headache, nausea, vomiting, tremor, tachycardia, dry mouth, weight loss.
- Serious but rare: Seizures; risk higher with rapid and large dose increases and in patients at risk for seizures. Anaphylactoid reactions (eg, pruritus, urticaria, angioedema, dyspnea) reported rarely; reports include Stevens-Johnson syndrome and anaphylactic shock. Class warning regarding suicide risk (see Antidepressants chapter).
- Pregnancy/breastfeeding: Considered relatively safe.

Mechanism, Pharmacokinetics, and Drug Interactions:

- Norepinephrine and dopamine reuptake inhibitor.
- Metabolized primarily through CYP2B6; may inhibit CYP2D6; t ½: 21 hours.
- Avoid use with MAOIs. Levels of drugs metabolized by CYP2D6 (eg, paroxetine, fluoxetine, aripiprazole, iloperidone, atomoxetine, beta blockers) may be increased. Successful cessation of smoking may alter pharmacokinetic properties of other medications (eq. clozapine, olanzapine, theophylline, warfarin, insulin).

Clinical Pearls:

- If patient successfully quits smoking after seven to 12 weeks, may consider maintenance therapy based on individual patient risk-benefit. Efficacy of maintenance therapy (150 mg BID) has been shown for up to six months. However, if patient has not made significant progress by the seventh week of therapy, success is unlikely and discontinuation should be considered.
- Bupropion slows the weight gain that often occurs in the initial weeks after smoking cessation, but with time, this effect becomes negligible.
- Bupropion and nicotine replacement therapy show similar quit rates: About 25% of patients, or double that seen with placebo, are abstinent at six months.
- Equally effective in smokers with or without history of depression.

Much of the initial direct-to-consumer advertising that was done for Zyban was via print ads in smoke-free places such as airports.

