

Brexidol® - Uncloaked!
{piroxicam-beta-cyclodextrin}

1. **What is Brexidol®?** In short...sugar-coated piroxicam. Brexidol® contains piroxicam complexed with an inert oligosaccharide, beta-cyclodextrin. The beta-cyclodextrin acts like a molecular-size capsule that envelopes the poorly soluble piroxicam and improves its solubility and speeds absorption. In theory this offers the potential advantages of a more rapid onset of effect and better tolerability due to reduced contact time with the GI mucosa.¹

2. **How does piroxicam-beta-cyclodextrin (Brexidol®) compare to regular piroxicam (Feldene®)?** Any Star Trek fans out there? Think of Brexidol® as a "cloaked" version of piroxicam...once it is "uncloaked" and in the blood stream, it's the same old piroxicam. As far as its proposed advantages over the old piroxicam, it is no more effective and may not be that much less toxic. Brexidol® does have a more rapid onset after the first dose (Tmax of 1.67h and Cpmax of 2.94 ug/ml versus 2.25h and 2.61 ug/ml)² but this would be clinically relevant only within the first few hours after the initial dose since onset is essentially the same after multiple dosing.¹ Since this is not a serious consideration in chronic pain conditions requiring long-term therapy, Brexidol® in Canada has been approved for the treatment of mild to severe **acute pain** only. Even though Brexidol® spends less time in the stomach than piroxicam, 10% of patients still experience GI upset such as nausea, dyspepsia and epigastric pain¹ (this compares favorably with piroxicam at 20%³). With regard to GI toxicity, Brexidol® in comparative studies was equivalent to piroxicam or at best showed a trend toward less fecal blood loss and gastroduodenal ulcers; the differences however, did not reach statistical significance.¹ No data is available on long term GI effects, although one would suspect little difference compared to piroxicam as both would share the same systemic effects on prostaglandin production and resultant loss of GI protection. Precautions similar to piroxicam are advised for patients at risk of adverse GI events.

3. **What is its current role relative to other NSAIDs and CSIs?** Brexidol® offers no real advantage in analgesic efficacy over other drugs in this class and its "rapid onset" is comparable but not necessarily superior to many other of the short-acting NSAIDs (eg. ibuprofen) or rapid release formulations (eg. Voltaren® Rapide). From a safety viewpoint, it is still "piroxicam" and unlikely to rival the attractiveness of the new COX-2 Selective Inhibitors (CSIs) for patients at increased risk of GI toxicity. Finally, if this isn't enough of a sales deterrent, Brexidol® has positioned itself out of the price market as well...at a cost of over \$2.70 per tablet, a one-month prescription would cost \$96.50, almost twice the price of the CSIs and 3-4 times that of many older, genericized NSAIDs.

Thanks for the Question!

¹ Lee CR and Balfour JA. Piroxicam-beta-cyclodextrin. Drugs 1994; 48 (6): 907-22.

² Brexidol®, product monograph. Crystaal Corp.

³ Feldene®, product monograph. Pfizer.