

## OTC Treatment of Cholesterol

What works, What doesn't,  
At What Risk?



## Case 1

- John -64 yo CAD s/p CABG & CEA, HTN, GERD, OA and Hyperlipidemia
- Meds include: Benicar, ASA, Nexium, Imdur, Lipitor 80mg and Zetia 10mg
- FLP:Chol 167, Trig 135, HDL 38, LDL 106



## Case 2

- Jan - 66 yo Type 2 DM, HTN, Obesity, Hyperlipidemia
- Meds include: Metformin, Lisinopril, HCTZ, Glucosamine, ASA
- Intolerant to all statins trialed
- Can't afford Zetia or other brand agents
- FLP:Chol 228, Trig 165, HDL 37, LDL 134



## What Do You Do with Patients Who Aren't at Lipid Goals?

- On Prescription Drug therapy but not at goal and can't or won't increase Rx meds
- Resistant to Drug Therapy For Lipid abnormalities
  - Statin intolerant
  - Personal preference
  - Cost



## OTC Agents Reported to Improve Cholesterol Panels: Plant Sterols

- Sitosterol (Take Control® spread)
- Sitostanol (Benechol® spread)
- Cholest Off-450mg Plant Sterols/Stanoles
- Inhibit absorption of cholesterol in the small intestine
- Unsuitable for cooking
- Dosage: 1-2 tablespoonsful on food daily can ↓ LDL up to 10% or 2 Cholest Off® caps daily
- Zetia® can inhibit the LDL lowering effects of Sterols
- Cost:
  - Spread \$12-16/mo
  - Capsules \$20/mo



## Soy Products

- Tablets containing extracted soy isoflavones: NO significant effect on total cholesterol reduction (cost \$19.95).
- Contrary to the FDA approved labeling guideline that a diet containing 25 grams of soy protein and 50 to 60mg of soy isoflavones per day can reduce LDL cholesterol levels by about 10%, the American Heart Assoc Science Advisory Committee analysis of 22 randomized trials found an LDL lowering effect of about 3% with soy protein intake averaging 50 grams.

Am J Clin Nutr. 2005 Feb;81(2):397-408  
FDA Consumer Report May-June 2000  
Circulation. 2006; 113: 1034-1044



## Fiber

- Best form for cholesterol reduction appears to be soluble fibers
- Foods high in soluble fibers are Oats, lentils, pinto beans, citrus, black beans and barley.
- Binds with cholesterol rich bile & prevents its reabsorption.
- 5-10 gm soluble fiber can ↓ LDL 5%
  - 1.5 cups of cooked oatmeal =4.5gm of fiber
- Pharmaceutical products:
  - Psyllium (Metamucil®)
  - Guar gum (Benefiber®)



## Red Rice Yeast

- 2,400 mg daily delivers 9.6 mg of HMG-CoA reductase inhibitors, including 7.2 mg of lovastatin equiv.
- Red yeast rice typically comes in 600 milligram capsules -Usual dose 2,400 mg daily.
- That dose has the LDL lowering capability of around 18-20%.
- Cautions:
  - Same drug interaction as Lovastatin (3A4 inhibitors)
  - No FDA regulation on purity
  - Variability in lovastatin content
- Cost: \$20/month



## Policosanol

- A mixture of fatty alcohols derived from waxes of sugar cane yams, and beeswax.
- The main ingredient of policosanol is octanosol.
- A placebo-controlled randomized trial using genuine Cuban policosanol published in *JAMA* May 17, 2006 found **no evidence of a lipid-lowering benefit.**
- Cost: \$6/month



## Niacin

- Can ↓Cholesterol & LDL 5-20%, ↓Triglycerides 20-50%, ↑HDL 15-25%.
- Inhibits mobilization of free fatty acids from adipose tissue to liver ↓ hepatic formation of LDL, ↑ production of HDL.
- ↑↑ variability in dissolution profiles of SR Niacin
  - ranges from 41.7% to 95.9% at 4hrs
  - Products are not interchangeable
- AE: flushing, itching, rashes, hyperuricemia, hyperglycemia, dizziness, migraines, hepatotoxicity
- Can combine with statins but in lipid-lowering doses (greater than 1 gram daily) has resulted in reversible myopathy and rhabdomyolysis.
- Dose: Gradually titrated to 1000-2000mg/day



## Niacin

Product	Advantages	Disadvantages	Risk	Cost
Niacin I.R.	OTC, Inexpensive, Low risk of hepatotoxicity	Flushing, 10-50% dropout rate	low @ doses <3gm/day	\$7-15/mo
Niacin SR -OTC (SLO Niacin, Endur-Acin etc)	OTC, reduced flushing	Increased risk of hepatotoxicity	Mod @doses<2gm, High@doses >2gm	\$5-40/mo
Inositol Nicotinate (No-Flush)	OTC, No flushing	Most products do not achieve therapeutic concentrations	Low-but few studies comparing brands	\$20-30/mo
Niaspan ER	Reduced risk of Hepatotoxicity, less flushing	Rx only, expensive	Low @ doses <2gm/day	\$90-100/mo



## Fish Oil

- 2-4 grams of EPA + DHA per can lower triglycerides 20-50%, LDL no effect or slight ↑
- A typical 1000mg fish oil capsule contains 180mg of EPA and 120mg of DHA (can vary depending on brand) →30% active ingredient
- OMACOR is 90% omega-3 acids (84%EPA/DHA)
- OTC doses of 6-10 capsules per day are not unusual when treating high triglycerides.
- Side effects: Nausea, diarrhea, fishy taste, transient increase in blood sugars and rarely increased bleeding time. Potential risk of uncertain purity.
- Cost: \$7-12 / month OTC
- Rx: \$80-120 / month



## Combination Trade Products:

### THE SALES PITCH

- **Cholestasys**<sup>®</sup> has been **scientifically engineered** and the ingredients have been specifically chosen. Every ingredient plays its particular role in cholesterol management and the botanical blend as a whole represents a **powerful tool to reduce cholesterol levels.**



## **Cholestasys**<sup>®</sup>

- Each capsule contains:
  - **Niacin** (as niacinamide) 50 mg •
  - **Policosanol** 10 mg •
  - **Plant Sterols** Complex 200 mg •
  - Proprietary Herbal Blend 200 mg:
    - **Guggulipid, Cayenne, Garlic.**
  - Other Ingredients: Capsules (alfalfa cellulose).
  - Dose: 2 capsules/day
- Cost: \$57 for bottle of 60
- Lipid lowering Benefit: **NONE** – all ingredient subtherapeutic or ineffective



## Cholestin<sup>®</sup>-What Does it Contain?

- Cholestin<sup>®</sup> use to contain red rice yeast but was forced by the FDA to remove. This ruling only effects Cholestin brand and not other unregulated dietary supplements.
- Its content varies depending on the country.
  - UK, Hong Kong, Italy etc =red rice yeast
  - USA & Canada =
    - "Marine Lipids" (same as fish oil)
    - + Sytrinol™(blend of natural citrus and palm fruit extract)
    - + Geraniol (? potent inhibitor of HMG CoA reductase)



## Summary

- OTC products can be used to supplement lipid lowering efforts
- Stick with proven agents
- Be cautious of "reformulated" Brands
- Avoid combination products
- Look to [www.Consumerlab.com](http://www.Consumerlab.com) for reliable brands.
- GRIPA website has handout information on fish oils

