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Bictegravir in the body—preliminary information about drug interactions

Bictegravir (formerly GS-9883) is an experimental integrase inhibitor being developed by Gilead Sciences and is currently in phase III clinical trials. Below is some preliminary information about the drug.

It is powerful and active against many strains of HIV that are resistant to the following integrase inhibitors:

- elvitegravir (in Genvoya and Stribild)
- raltegravir (Isentress)

Bictegravir is also effective against some strains of HIV that are resistant to the integrase inhibitor dolutegravir (Tivicay and in Triumeq).

A single 75-mg dose of bictegravir is sufficient to maintain levels of this drug in the blood so that once-daily dosing is sufficient.

Bictegravir is well absorbed and is slowly broken down by these enzymes in the body—CYP3A4 and UGT1A1.

After bictegravir is licensed, the manufacturer will release instructions about how it should be used, along with information about potential and actual drug interactions. In the meantime, here is some preliminary information about some drug interactions with bictegravir.

Acid-reducing agents, laxatives, metal supplements and buffered medicines

Gilead Sciences recommends that bictegravir be taken 2 hours before or 2 hours after taking the following medicines.

Acid-reducing agents, including the following:

- Alka-Seltzer
- Gaviscon (tablets and syrup)
- Maalox (liquid and tablets)
- Milk of Magnesia
- Pepto-Bismol and Pepto Bismol Children's
- Roloids
- Tums

Metal supplements including those containing iron, calcium and magnesium should also follow the same two hour guidance.

Antibiotics

Drugs such as rifabutin and rifampin speed up the activity of the enzymes CYP3A4 and UGT1A1. Taking these drugs can reduce the amount of bictegravir in the blood between 38% (in the case of rifabutin) and 75% (in the case of rifampin).

Antifungal drugs

The drug voriconazole (Vfend) impairs the activity of the enzyme CYP3A4 and raises bictegravir concentrations by 61%.

Diabetes drugs

Metformin (Glucophage) is commonly prescribed by doctors as part of a plan to help control blood sugar. Bictegravir can increase levels of metformin in the blood by almost 40%. This could lead to side effects such as nausea and diarrhea in some people who use metformin. It is likely that doctors may have to reduce the dose of metformin in bictegravir users. A similar issue occurs with the use of another integrase inhibitor, dolutegravir, and metformin.

Hepatitis C drugs

Bictegravir does not affect the concentrations of the drugs (ledipasvir and sofosbuvir) that are co-formulated and sold as Harvoni. Gilead has not released information about potential interactions between bictegravir and hepatitis C medicines made by other companies.

HIV protease inhibitors

The drug atazanavir (Reyataz) inhibits the activity of CYP3A4 and UGT1A1 and can therefore significantly raise bictegravir levels by 310%.

Hormones

Bictegravir does not affect levels of commonly used forms of estrogen (norgestimate and ethinyl estradiol) that are in contraceptive pills.

A treatment in one pill

Bictegravir will be co-formulated with the following two other drugs:

- TAF (tenofovir alafenamide; the new, safer version of tenofovir)
- FTC (emtricitabine)

All three drugs in one pill will provide a complete treatment option.

Tests have shown that all three drugs are well absorbed when taken together. When all three drugs are taken with food, the absorption of bictegravir increases by about 24%. This is not seen as clinically significant and so the company recommends that all three drugs can be taken with or without food.

—Sean R. Hosein

REFERENCE:

Zhang H, Custudio JM, Wei X, et al. Clinical pharmacology of the unboosted integrase strand transfer inhibitor bictegravir. Randomized trial of bictegravir or dolutegravir with FTC/TAF for initial HIV therapy. *Conference on Retroviruses and Opportunistic Infections*. 13-16 February 2017, Seattle. Abstract 40.

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