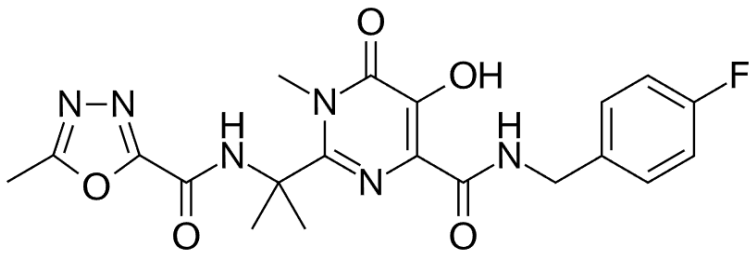
Brand Name: Isentress
Generic: raltegravir
Type: small molecule
Year Accepted/Phase: 2015

Mechanism:

Raltegravir is an integrase strand transfer inhibitor (INSTI). Raltegravir works by blocking the action of HIV integrase, an enzyme that integrates the viral genetic material into the DNA of the host immune cell.

Chemical Structure:



Indication:

Isentress is indicated for the treatment of HIV-1 infection in combination with other antiretroviral agents. It is used in both treatment-naive and treatment-experienced patients, including those with drug-resistant strains of HIV.

Clinical trials:

BENCHMRK-1 and BENCHMRK-2 Trials (Phase III)

Pubmed: https://pubmed.ncbi.nlm.nih.gov/20085491/

Purpose: Evaluate the efficacy and safety of raltegravir in combination with optimized background therapy (OBT) in treatment-experienced patients with HIV-1 infection and evidence of viral replication despite ongoing antiretroviral therapy.

Dates: Conducted from 2005 to 2007.

Results: Raltegravir in combination with OBT significantly reduced viral load and increased CD4+ cell counts compared to placebo plus OBT. At 48 weeks, 62% of patients in the raltegravir group achieved viral suppression (<50 copies/mL) compared to 36% in the placebo group.

Impact: These trials led to the FDA approval of raltegravir for treatment-experienced patients in October 2007.

STARTMRK Trial (Phase III)

Pubmed: https://pubmed.ncbi.nlm.nih.gov/23412015/

Purpose: Compare the efficacy and safety of raltegravir versus efavirenz, both in combination with tenofovir/emtricitabine, in treatment-naive HIV-1 infected patients.

Dates: Conducted from 2007 to 2010.

Results: Raltegravir demonstrated superior efficacy to efavirenz in achieving viral suppression at 48 weeks. The study showed 86.1% of patients in the raltegravir group had viral loads of <50 copies/mL compared to 81.9% in the efavirenz group. Raltegravir was also associated with fewer adverse effects.

Impact: The STARTMRK trial supported the FDA approval of raltegravir for treatment-naive patients in November 2009.

IMPAACT P1066 Trial (Phase I/II)

Pubmed: https://pubmed.ncbi.nlm.nih.gov/24145879/

Purpose: Assess the pharmacokinetics, safety, and efficacy of raltegravir in HIV-infected children and adolescents.

Dates: Conducted from 2006 to 2009.

Results: Raltegravir was found to be effective and well-tolerated in pediatric patients. The trial established appropriate dosing guidelines for different age groups, showing significant viral load reductions and CD4+ cell count increases.

Impact: These findings led to the FDA approval of raltegravir for use in children and adolescents in December 2011.