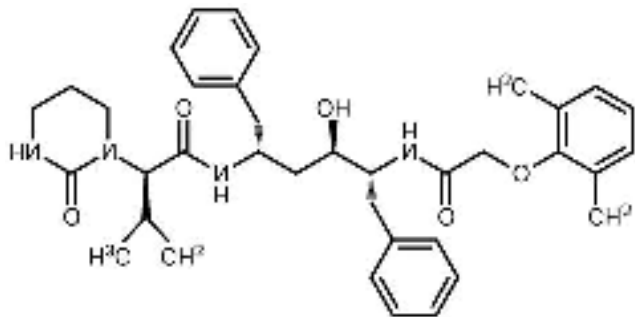




# KALETRA

Tse Wing Lam 7S  
Wong Tai Wa 7S





# KALETRA



- An HIV medication
- Protease inhibitors
- Prevents cells infected by HIV
- Reduces the amount of virus





# LEAD COMPOUND DISCOVERY

- ✔ Ritonavir
- ✔ Trade name: Norvir (Abbott Laboratories)
- ✔ Originally developed as an inhibitor of **HIV protease**
- ✔ Inhibit a particular **liver enzyme**





# LEAD COMPOUND DISCOVERY

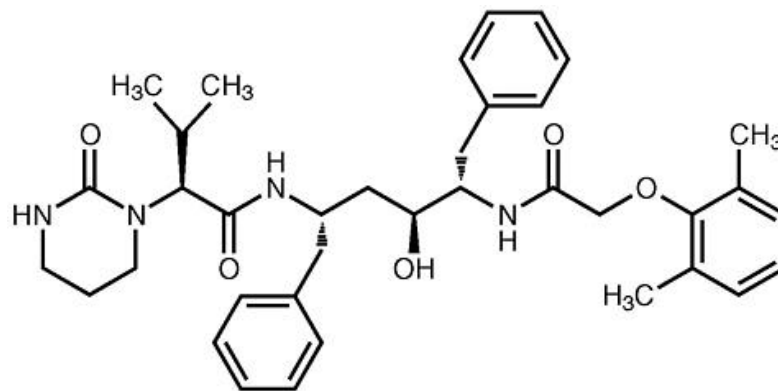
- Molecular structure inhibits **CYP3A4**
- Reduced the **adverse effects**
- Improved the efficacy of **PI's** and **HAART**





## MOLECULAR MODIFICATION

- **2 active ingredients** in Kaletra
- Lopinavir and ritonavir
- **Lopinavir** is an inhibitor of the HIV-1 protease
- **Ritonavir** inhibits the CYP3A-mediated metabolism of lopinavir





## MOLECULAR MODIFICATION

### ☞ Lopinavir

☞ molecular formula is **C<sub>37</sub>H<sub>48</sub>N<sub>4</sub>O<sub>5</sub>**

☞ molecular weight is **628.80**

### ☞ Ritonavir

☞ molecular formula is **C<sub>37</sub>H<sub>48</sub>N<sub>6</sub>O<sub>5</sub>S<sub>2</sub>**

☞ molecular weight is **720.95**





## MOLECULAR MODIFICATION

- ☞ white to light tan powder
- ☞ freely soluble in methanol and ethanol
- ☞ insoluble in water

### ☞ HOW IT WORK?

- ☞ [http://www.kaletra.com/consumer\\_kaletra\\_difference.cfm#](http://www.kaletra.com/consumer_kaletra_difference.cfm#)





# FORMULATION DEVELOPMENT

## Two strengths:

### 1) Yellow tablets

- ☞ Copovidone
- ☞ sorbitan monolaurate
- ☞ colloidal silicon dioxide
- ☞ sodium stearyl fumarate







# FORMULATION DEVELOPMENT

## 2) Pale yellow tablets

- Copovidone
- sorbitan monolaurate
- colloidal silicon dioxide
- sodium stearyl fumarate





## SAFETY TESTS AND HUMAN TRIALS

- ☞ Several **human trials**
- ☞ A randomized, double-blind, multicenter trial
- ☞ mean age of **38 years old**
- ☞ **57%** were **Caucasian**
- ☞ **80%** were **male**





## SAFETY TESTS AND HUMAN TRIALS

- different tests were conducted
- with antiretroviral therapy of **different age groups**
- different body conditions** and so on
- these tests were **approved**





# APPROVAL FOR MARKETING

- ☞ Sold as **Aluvia** in some parts of the world
- ☞ Manufactured by **Abbott Laboratories**
- ☞ U.S. Food and Drug Administration (**FDA**)
- ☞ In both **adults and children**
- ☞ In **2000**





## REFERENCE

- ☞ <http://www.kaletra.com/index.cfm>
- ☞ <http://www.rxlist.com/kaletra-tablets-drug-patient.htm>
- ☞ <http://en.wikipedia.org/wiki/Ritonavir>
- ☞ [http://www.accessdata.fda.gov/drugsatfda\\_docs/label/2008/021251s022,021906s013lbl.pdf](http://www.accessdata.fda.gov/drugsatfda_docs/label/2008/021251s022,021906s013lbl.pdf)





THE END

