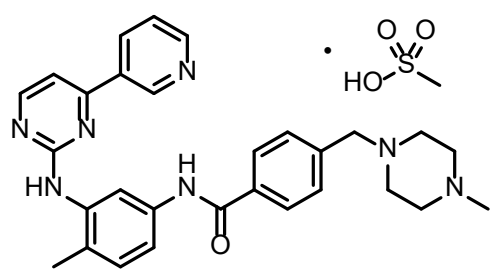


The Modern Medicinal Chemist's Guide to Formulations

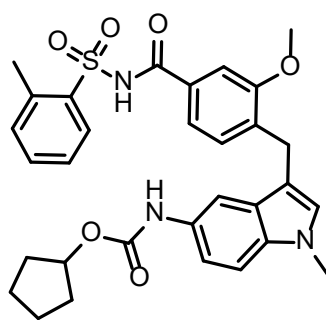
Crystal Form Modifications

Salt Formation



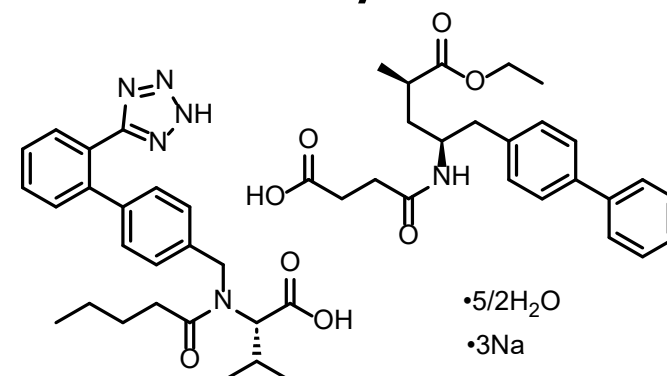
Gleevec®
imatinib mesylate tablets

Polymorphs/Amorphous



Accolate®
tablet containing
amorphous zafirlukast

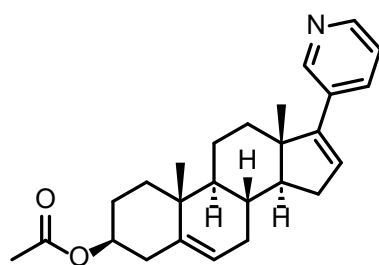
Co-Crystals



Entresto®
sacubitril valsartan
Na salt complex

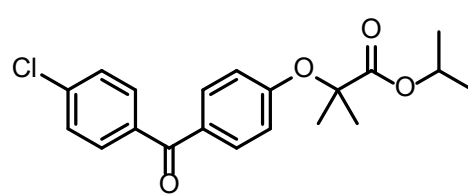
Size Reduction

Micronization



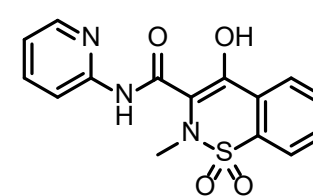
Zytiga®
abiraterone acetate tablet

Nanomilling



TriCor®
fenofibrate tablets

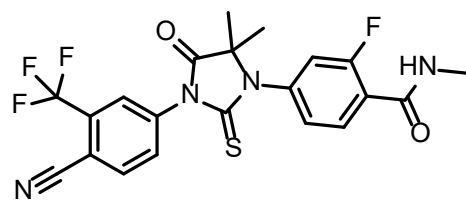
Nanoforming



piroxicam
CESS® nanoformed tablets

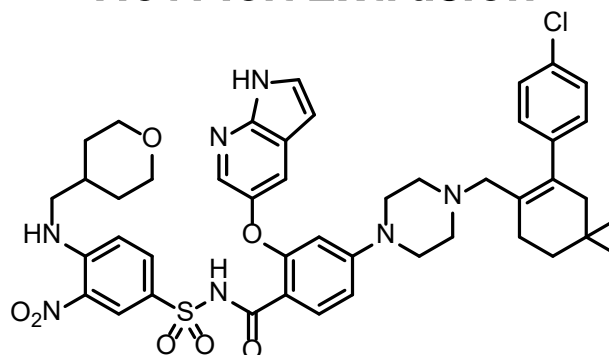
Amorphous Solid Dispersions

Spray Drying



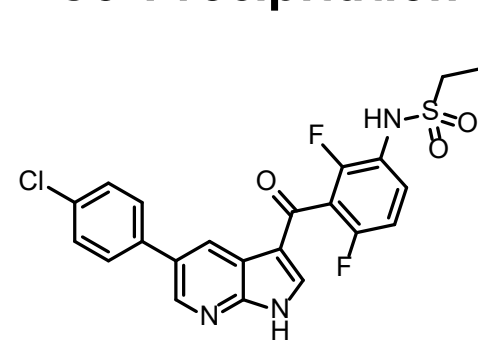
Xtandi®
tablet containing a spray dried
dispersion of enzalutamide together
with hypromellose acetate succinate

Hot Melt Extrusion



Venclexta®
tablet of venetoclax and
copovidone based formulation

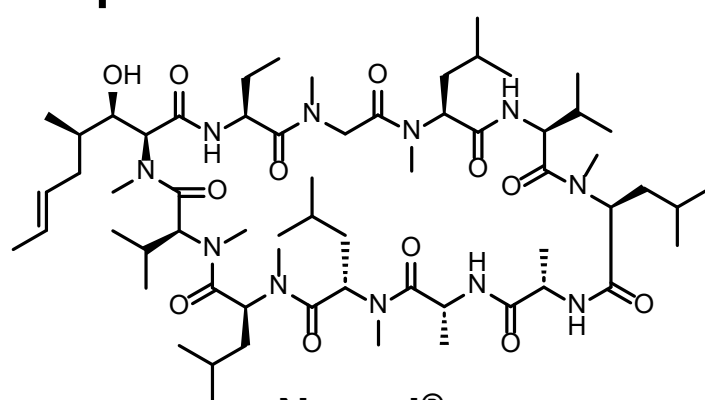
Co-Precipitation



Zelboraf®
tablet of vemurafenib with
hypromellose acetate succinate

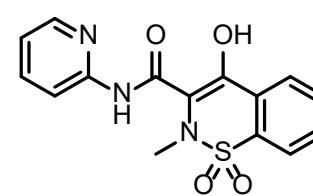
Solubilized Systems

Lipid Based Formulations



Neoral®
cyclosporine soft gel capsule

Cyclodextrin Complexes



Brexidol®
β-cyclodextrin coupled
piroxicam tablets

Typical Formulations Based On Biopharmaceutical Classification System (BCS)

Class I
High Solubility
(highest dose soluble in 250 mL aqueous media)
High Permeability
(>85% absorption)
tablet/capsule

Class II
Low Solubility
High Permeability
particle size reduction
amorphous solid dispersions

Class III
High Solubility
Low Permeability
lipid-/emulsion-based formulations
permeation enhancers

Class IV
Low Solubility
Low Permeability
commonly injected
sometimes BCS II approaches