# **Institute of Pharmaceutics**

# Medicinal Chemistry / Bioactivity Identification

Research and development Small molecule drugs team provides pharmaceutical platform, preclinical in vitro screening platform

## **Contract Services**

### **Medicinal Chemistry**

#### Pharmaceutical Synthesis Platform Technology

- Rational drug design
- Process development for generic drugs and intermediates
- Prodrug design
- Compound Libraries synthesis
- Reference compounds synthesis
- Metabolites and impurity synthesis

# **Bioactivity Identification**

#### In vitro biological activity screening for Anticancer drugs

- Kinase activity assay
- Human tumor cell cytotoxicity assay
- Human normal cell cytotoxicity assay
- In Vitro ligand-dependent cell proliferation assay
- Tubulin polymerization assay
- Colchicine site competitive binding assay
- Reporter gene assay
- Customized development of in vitro activity assay platform

### Pharmaceutical process development

• The platform for optimizing chemical processes

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- Formulate drug specifications and synthesis of metabolites and impurity
- Preclinical drug mass production technology and process technology development transfer
- Intermediates and drug candidates scale-up to several hundred grams

# Safety Pharmacology

- hERG K+ channel competitive binding assay
- Mode of action analysis
- In vitro activity mechanism test
- Intracellular protein phosphorylation test
- Cell signal transduction pathway assay
- Cell apoptosis test
- Cell cycle analysis
- Intracellular localization analysis
- Caco-2 permeability assay



# **R&D** Achievements

Technology / Product	Achievement
Hedgehog inhibitor as an anti-cancer agent	• PCT and Taiwan patent were filed.
Development of anti-Globo H ADC against Cancer	• US, PCT, Taiwan patent were filed.
AXL Inhibitor as Anticancer Drug	• PCT and Taiwan patent had been applied.
Precision Medicine-Selective FLT3 Inhibitor	<ul> <li>Patentable, highly potent, highly selective and orally active FLT3 inhibitor with high potency against FLT3 D835Y drug resistant mutation.</li> <li>US Provisional patent.</li> </ul>
Selective CSF-1R kinase inhibitor for cancer immunotherapy	<ul> <li>Technology was transferred.</li> <li>Taiwan patent approved, US and PCT patent were filed.</li> <li>Planning completed IND application in 2019.</li> </ul>
Raf Inhibitors as Anti-cancers	<ul> <li>Technology was transferred.</li> <li>Taiwan and US patent were approved, PCT patent was filed.</li> <li>U.S. FDA / IND approved in 2016 and Taiwan TFDA / IND approved in 2019.</li> </ul>
mTOR Inhibitors as Anti-cancers	<ul> <li>Patented in 10 countries including the Republic of Taiwan, US, and Japan. The patent were filed in China, Malaysia, and the other 7 countries.</li> <li>U.S. FDA / IND approved in 2013 and Taiwan TFDA / IND approved in 2014.</li> </ul>
Fluconazole Process Development	<ul><li>Process patents have been licensed to foreign manufacturers, and products have been sold in the United States.</li><li>Taiwan and US patent approved.</li></ul>

# Instrument





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