

First-in-Class FLT3 Degradation Discovery Using PROTACs and Chemoproteomics

Yonsei University Industry-University Cooperation Foundation



ONCOLOGY	Lead
Product Type	Targeted protein degradation (TPD)
Indication	Acute Myeloid Leukemia
Target	FLT3 mutants resistant to the approved FLT3 inhibitor such as gilteritinib
MoA (Mechanism of Action)	<ul style="list-style-type: none"> • PROTAC (proteolysis-targeting chimera) is a bifunctional molecule composed of three parts: i) a ligand that binds to target protein, ii) a ligand for E3 ligase, and iii) a linker connecting two ligands. • PROTACs induces degradation of target protein by inducing proximity between target protein and E3 ligase.
Competitiveness	Overriding triple mutant (ITD/F671L/D835Y) of FLT3 by using conventional small molecule is challenging.
Development Stage	Lead compound development
Route of Administration	Intravenous or oral (po) administration