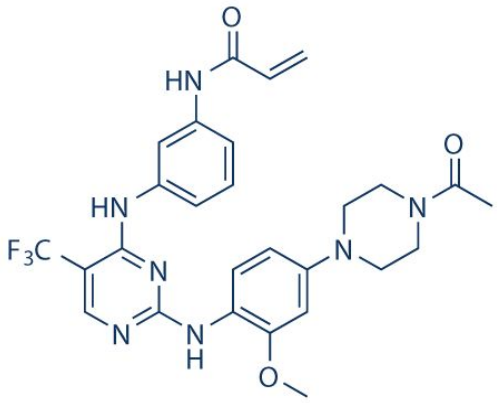
Product Introduction

CO-1686 (AVL-301)

CO-1686 is an irreversible, mutant-selective **EGFR** inhibitor with K_I of 21.5 nM and 303.3 nM for $EGFR^{L858R/T790M}$ and $EGFR^{WT}$, respectively. Phase 1/2.

Technical Data:

Molecular Weight (MW):	555.55	
Formula:	$C_{27}H_{28}F_3N_7O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1374640-70-6	

Biological Activity

CO-1686 inhibits p-EGFR with IC50 ranging from 62 to 187 nM in the mutant EGFR–expressing cells, while inhibits EGFR phosphorylation with IC50 of > 2,000 nM in the three WT EGFR–expressing cells. CO-1686 selectively inhibits growth of NSCLC cells expressing mutant EGFR with GI50 ranging from 7 to 32 nM, and induces apoptosis. CO-1686–resistant NSCLC cell lines exhibits signs of epithelial-mesenchymal transition and increased sensitivity to AKT inhibitors. [1]

CO-1686 causes dose-dependent and significant tumor growth inhibition in all EGFR-mutant models as

well as human EGFRL858R- and EGFRL858R/T790M-expressing transgenic mice. [1]

References

[1] Walter AO, et al. Cancer Discov. 2013. doi:10.1158/2159-8290.CD-13-0314