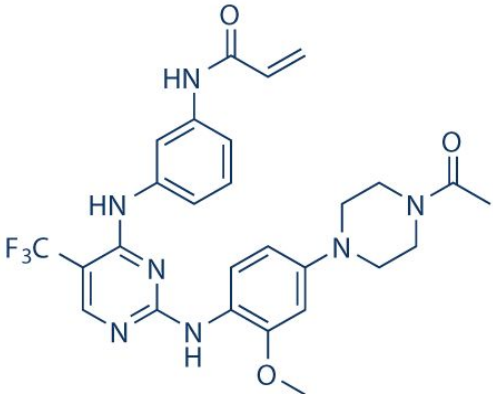


Product Introduction

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 ₃ N ₇ O 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°C Powder 6 months -80°C 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

Note: Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

well as human EGFR^{L858R}- and EGFR^{L858R/T790M}-expressing transgenic mice. ^[1]



References

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

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