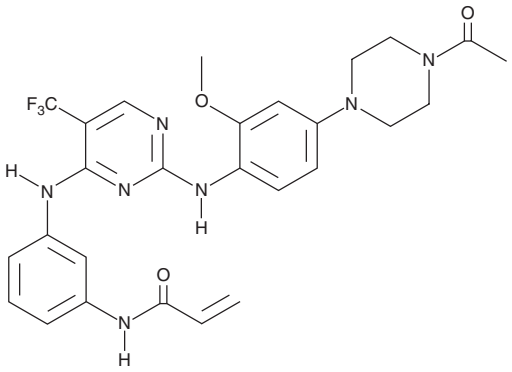
PRODUCT INFORMATION

CO-1686

Item No. 16244

CAS Registry No.:	1374640-70-6
Formal Name:	N-[3-[[2-[[4-(4-acetyl-1-piperazinyl)-2-methoxyphenyl]amino]-5-(trifluoromethyl)-4-pyrimidinyl]amino]phenyl]-2-propenamide
Synonym:	AVL-301
MF:	$C_{27}H_{28}F_3N_7O_3$
FW:	555.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 277 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CO-1686 is supplied as a crystalline solid. A stock solution may be made by dissolving the CO-1686 in the solvent of choice, which should be purged with an inert gas. CO-1686 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CO-1686 in ethanol is approximately 0.5 mg/ml and approximately 10 mg/ml in DMSO and DMF.

CO-1686 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

CO-1686 is an irreversible kinase inhibitor that specifically targets mutant forms of the epidermal growth factor receptor (EGFR) including T790M (K_i = 21.5 nM) with significantly reduced activity at the wild-type form of the receptor (K_i = 303.3 nM).[1] CO-1686 has been shown to inhibit the proliferation of non-small cell lung cancer (NSCLC) cells expressing mutant EGFR with GI_{50} values ranging from 7-32 nM *in vitro*, inducing apoptosis.[1] It also demonstrates anti-tumor activity in NSCLC EGFR mutant xenograft and transgenic models dosed orally at 100 mg/kg/day.[1]

Reference

1. Walter, A.O., Sjin, R.T.T., Haringsma, H.J., *et al.* Discovery of a mutant-selective covalent inhibitor of EGFR that overcomes T790M-mediated resistance in NSCLC. *Cancer Discov.* **3(12)**, 1404-1415 (2013).

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