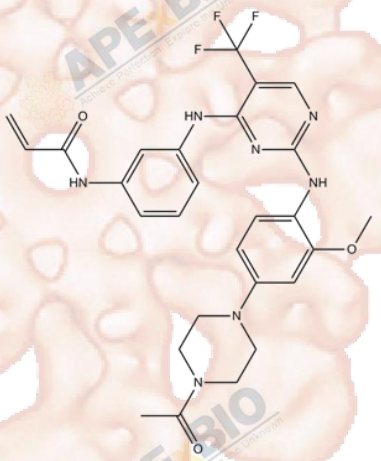
Product Data Sheet

CO-1686 (AVL-301)

Cat. No.:	A3320
CAS No.:	1374640-70-6
Formula:	C27H28F3N7O3
M.Wt:	555.55
Synonyms:	AVL-301;CNX-419; Rociletinib
Target:	JAK/STAT Signaling
Pathway:	EGFR
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥27.8 mg/mL in DMSO; insoluble in H2O; ≥4.68 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Concentration \ Mass	1mg	5mg	10mg
1 mM	1.8000 mL	9.0001 mL	18.0002 mL
5 mM	0.3600 mL	1.8000 mL	3.6000 mL
10 mM	0.1800 mL	0.9000 mL	1.8000 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	EGFR inhibitor
IC_{50} & Target	21.5 nM (L858R/T790M mutant EGFR), 303.3 nM (wild-type EGFR)

In Vitro

Cell Viability Assay

Cell Line:	NSCLC cells expressing mutant EGFR (HCC827, PC9, HCC827-EPR and NCI-H1975)
Preparation method:	The solubility of this compound in DMSO is > 27.8 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored

		below - 20 °C for several months.
	Reacting conditions:	72 hrs
	Applications:	In NSCLC cells expressing mutant EGFR (HCC827, PC9, HCC827-EPR and NCI-H1975), CO-1686 potently inhibited EGFR phosphorylation, with the IC50 values ranging from 62 to 187 nM. Moreover, CO-1686 selectively inhibited growth of NSCLC cells harboring mutant EGFR (GI50 = 7 ~ 32 nM) and induced apoptosis. In addition, CO-1686-resistant NSCLC cells showed signs of epithelial-mesenchymal transition and increased sensitivity to AKT inhibitors.
In Vivo	**Animal experiment**	
	Animal models:	Nude mice bearing lung NCI-H1975, LUM1868 and HCC827 cells, or squamous epidermoid A431 cells
	Dosage form:	3, 10, 30 and 100 mg/kg/day; p.o.; q.d. or b.i.d.
	Applications:	In all NSCLC EGFR mutant xenograft models, CO-1686 significantly inhibited tumor growth in a dose-dependent manner. In nude mice bearing NCI-H1975 or LUM1868 cells, CO-1686 caused tumor regressions. In the NCI-H1975 model, CO-1686 resulted in tumor regressions either given as 100 mg/kg once daily or as 50 mg/kg twice daily. Moreover, there was no significant change in body weight observed for these 2 dosing schedules.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Cheriyan VT, Alsaab H, et al. "A CARP-1 functional mimetic compound is synergistic with BRAF-targeting in non-small cell lung cancers." Oncotarget. 2018 Jul 3;9(51):29680-29697.PMID:30038713

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References

[1]. Walter AO, Sjin RT, Haringsma HJ, Ohashi K, Sun J, Lee K, Dubrovskiy A, Labenski M, Zhu Z, Wang Z, Sheets M, St Martin T, Karp R, van Kalken D, Chaturvedi P, Niu D, Nacht M, Petter RC, Westlin W, Lin K, Jaw-Tsai S, Raponi M, Van Dyke T, Etter J, Weaver Z, Pao W, Singh J, Simmons AD, Harding TC, Allen A. Discovery of a mutant-selective covalent inhibitor of EGFR that overcomes T790M-mediated resistance in NSCLC. Cancer Discov. 2013 Dec;3(12):1404-15.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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