

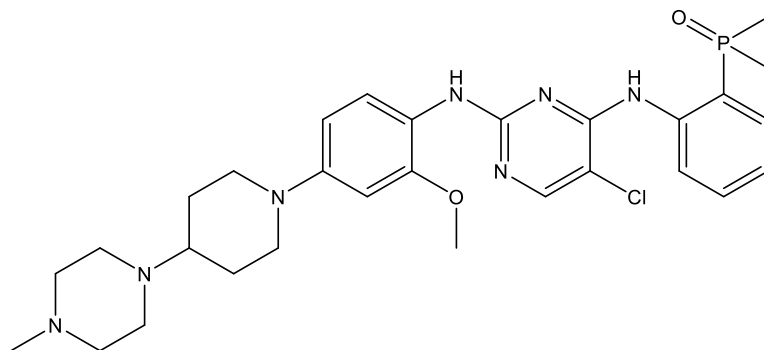
Catalog # 10-5034

Brigatinib

CAS# 1197953-54-0

5-Chloro-N⁴-[2-(dimethylphosphinyl)phenyl]-N²-[2-methoxy-4-[4-(4-methyl-1-piperazinyl)-1-piperidinyl]phenyl]-2,4-pyrimidinediamine; AP26113

Lot # X109661



A potent and selective pan-ALK inhibitor ($IC_{50}=0.6$ nM).¹ Cells with ALK mutation L1196Q² and the double mutation I1171S + G1269³ remain sensitive to brigatinib. Clinically useful in non-small cell lung cancer.⁴

- 1) Zhang *et al.* (2016), *The potent ALK Inhibitor Brigatinib (AP26113) Overcomes Mechanisms of Resistance to First- and Second-Generation ALK Inhibitors in Preclinical Models*; Clin. Cancer Res., **22** 5527
- 2) Ceccon *et al.* (2013), *Crizotinib-resistant NPM-ALK mutants confer differential sensitivity to unrelated Alk inhibitors*; Mol. Cancer Res., **11** 122
- 3) Takahashi *et al.* (2020), *Overcoming resistance by ALK compound mutation (I1171S + G1269A) after sequential treatment of multiple ALK inhibitors in non-small cell lung cancer*; Thorac. Cancer, **11** 581
- 4) Pinto *et al.* (2020), *Clinical consequences of resistance to ALK inhibitors in non-small cell lung cancer*; Respir. Med., **14** 385

PHYSICAL DATA

Molecular Weight:	584.02
Molecular Formula:	C ₂₉ H ₃₉ ClN ₇ O ₂ P
Purity:	98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (up to 1 mg/ml with warming)
Physical Description:	White or off-white solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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