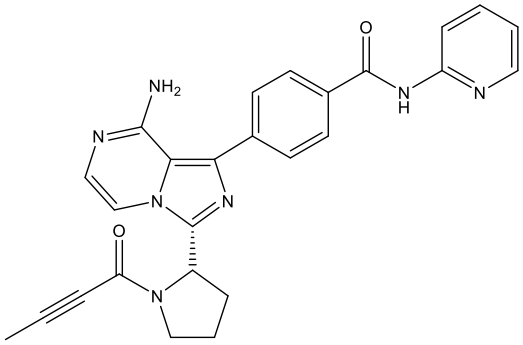
Catalog # 10-4806
Acalabrutinib

CAS# 1420477-60-6

4-[8-Amino-3-[(2*S*)-1-but-2-ynoylpyrrolidin-2-yl]imidazo[1,5-a]pyrazin-1-yl]-*N*-pyridin-2-ylbenzamide; ACP-196

Lot # FBS1115



Acalabrutinib is a highly selective, potent (IC_{50} = 3 nM), and irreversible inhibitor of Bruton's tyrosine kinase (BTK).[1] Improved target selectivity (especially against TEC family kinases and EGFR) decreased the number of serious side effects observed with Ibrutinib.[2] Acalabrutinib significantly inhibits BCR signaling, inhibits tumor proliferation, and reduces tumor burden.[3] Clinically useful agent for treating B-cell cancers. BTK has also been shown to have a role in modulating the innate immune system, especially in dendritic cells and macrophages, suggesting a possible role in immunotherapy.[4]

1) Wu *et al*. (2016), *Acalabrutinnib (ACP-196): a second-generation BTK inhibitor;* J.Hematol.Oncol. **9** 21
2) Barf *et al*. (2017), *Acalabrutinib (ACP-196): A covalent Bruton Tyrosine Kinase Inhibitor with a Differentiated Selectivity and In Vivo Potency Profile*; J.Pharmacol.Exp.Ther. **363** 240
3) Herman *et al*. (2017), *The Bruton's tyrosine kinase (BTK) inhibitor acalabrutinib demonstrates potent on-target effects and efficacy in two mouse models of chronic lymphocytic leukemia*; Clin.Cancer Res. **23** 2831
4) Weber *et al.* (2017), *Bruton's Tyrosine Kinase: An Emerging Key Player in Innate Immunity*; Front.Immunol. **8** 1454

PHYSICAL DATA

Molecular Weight:	465.52
Molecular Formula:	$C_{26}H_{23}N_7O_2$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	Yellow solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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