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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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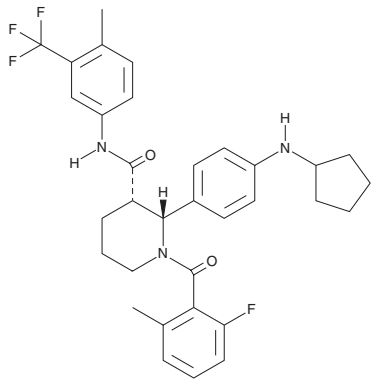
PRODUCT INFORMATION

Avacopan

Item No. 36639



CAS Registry No.:	1346623-17-3
Formal Name:	(2R,3S)-2-[4-(cyclopentylamino) phenyl]-1-(2-fluoro-6-methylbenzoyl)-N-[4-methyl-3-(trifluoromethyl) phenyl]-3-piperidinecarboxamide
Synonym:	CCX168
MF:	$C_{33}H_{35}F_4N_3O_2$
FW:	581.6
Purity:	≥95% (mixture of isomers)
UV/Vis.:	λ_{max}: 252 nm
Supplied as:	A 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

Avacopan is supplied as a solid. A stock solution may be made by dissolving the avacopan in the solvent of choice, which should be purged with an inert gas. Avacopan is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of avacopan in these solvents is approximately 3, 5, and 12 mg/ml, respectively.

Description

Avacopan is an antagonist of the complement $5a_1$ ($C5a_1$) receptor (IC_{50} = 0.1 nM).[1] It is selective for $C5a_1$ over the $C5a_2$ receptor and chemokine-like receptor 2 (CMKLR2), also known as chemerin receptor 2 or GPR1 (IC_{50}s = >10,000 nM for both), as well as the C3a receptor, CMKLR1, and formyl peptide receptor 1 (FPR1; IC_{50}s = >10,000 nM for all), and over panels of 18 chemokine receptors (IC_{50}s = ≥6,700 nM for all), 54 other receptors at 10 µM, and five cytochrome P450 (CYP) isoforms (IC_{50}s = >10,000 nM for all). Avacopan (50 nM) reduces the migration of isolated cynomolgus monkey neutrophils. It also reduces C5a-induced increases in neutrophil cell surface levels of CD11b in whole blood isolated from mice with a knock-in of human *C5AR1*, the gene encoding the $C5a_1$ receptor, when used at concentrations of 10 and 100 nM or when administered at doses of 0.075 or 0.15 mg/kg. Formulations containing avacopan have been used in the adjunctive treatment of severe vasculitis associated with anti-neutrophil cytoplasmic autoantibodies (ANCA).

Reference

1. Bekker, P., Dairaghi, D., Seitz, L., *et al.* Characterization of pharmacologic and pharmacokinetic properties of CCX168, a potent and selective orally administered complement 5a receptor inhibitor, based on preclinical evaluation and randomized phase 1 clinical study. *PLoS One* **11(10)**, e0164646 (2016).

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