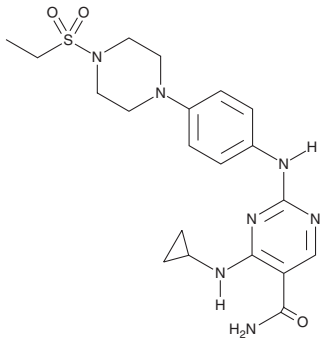
PRODUCT INFORMATION

Cerdulatinib

Item No. 20076

CAS Registry No.:	1198300-79-6
Formal Name:	4-(cyclopropylamino)-2-[[4-[4-(ethylsulfonyl)-1-piperazinyl]phenyl]amino]-5-pyrimidinecarboxamide
Synonyms:	PRT062070, PRT2070
MF:	$C_{20}H_{27}N_7O_3S$
FW:	445.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 233, 285, 328 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

Cerdulatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the cerdulatinib in the solvent of choice, which should be purged with an inert gas. Cerdulatinib is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of cerdulatinib in these solvents is approximately 20 mg/ml.

Cerdulatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, cerdulatinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Cerdulatinib has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Cerdulatinib is a dual inhibitor of spleen tyrosine kinase (Syk) and Janus kinases (JAK; IC_{50}s = 32, 12, 6, 8, and 0.5 nM for Syk, JAK1, JAK2, JAK3, and TYK2, respectively) and also exhibits nanomolar inhibition of other kinases.[1] Syk is involved in the B cell receptor (BCR) pathway, and cerdulatinib inhibits downstream Syk-mediated signaling of ERK Y204 phosphorylation (IC_{50} = 0.5 µM), upregulates cell surface expression of CD69 (IC_{50} = 0.11 µM), and inhibits FcεRI-mediated basophil degranulation (IC_{50} = 0.12 µM).[1] JAK is involved in JAK-STAT regulation of cytokine receptors. Cerdulatinib inhibits STAT3, STAT5, and STAT6 phosphorylation by interleukin-activated JAK1/3 with IC_{50} values ranging from 0.16 to 1 µM.[1] Cerdulatinib disrupts JAK1/3-mediated signaling more selectively than JAK2 signaling (IC_{50} > 4 µM).[1] Cerdulatinib displays potent antitumor activity against ABC and GCB types of diffuse large B cell lymphoma (DLBCL) by inducing apoptosis *via* caspase-2 and poly(ADP-ribose) polymerase (PARP) cleavage.[2] Cerdulatinib exhibits IC_{50} values ranging from 0.05 to 2.1 µM against a panel of DLBCL tumor cell lines.[2]

References

1. Coffey, G., Betz, A., DeGuzman, F., *et al.* The novel kinase inhibitor PRT062070 (Cerdulatinib) demonstrates efficacy in models of autoimmunity and B-cell cancer. *J. Pharmacol. Exp. Ther.* **351(3)**, 538-548 (2014).
2. Ma, J., Xing, W., Coffey, G., *et al.* Cerdulatinib, a novel dual SYK/JAK kinase inhibitor, has broad anti-tumor activity in both ABC and GCB types of diffuse large B cell lymphoma. *Oncotarget* **6(41)**, 43881-43896 (2015).

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