PRODUCT INFORMATION



MRT67307 (hydrochloride)

Item No. 19916

Formal Name:	N-[3-[[5-cyclopropyl-2-[[3- (4-morpholinylmethyl)phenyl] amino]-4-pyrimidinyl]amino] propyl]-cyclobutanecarboxamide, hydrochloride		\square
MF:	$C_{26}H_{36}N_6O_2 \bullet XHCI$		ц́
FW:	464.6		0
Purity:	≥95%	ž ž ž 🕅	
UV/Vis.:	λ _{may} : 271 nm	• XHCI	
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

MRT67307 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the MRT67307 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. MRT67307 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of MRT67307 (hydrochloride) in these solvents is approximately 2, 3, and 5 mg/ml, respectively.

MRT67307 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MRT67307 (hydrochloride) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. MRT67307 (hydrochloride) has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MRT67307 is a kinase inhibitor that has been shown to inhibit TBK1, MARK1-4, IKKE, and NUAK1 (IC₅₀ values are 19, 27-52, 160, and 230 nM, respectively), the salt-inducible kinases (SIKs; $IC_{50}s = 250$, 67, and 430 nM for SIK1, SIK2, and SIK3, respectively) and ULK1 and ULK2 (IC₅₀s = 45 and 38 nM, respectively).¹⁻⁴ MRT67307 prevents the phosphorylation of IRF3 and the production of IFN-β and increases Toll-like receptor-induced IL-10 and IL-1ra secretion in macrophages.^{1,3} Through its effects on ULK1 and ULK2, MRT67307 blocks autophagy.⁴

References

- 1. Clark, K., Peggie, M., Plater, L., et al. Novel cross-talk within the IKK family controls innate immunity. Biochem. J. 434(1), 93-104 (2011).
- 2. Clark, K., Takeuchi, O., Akira, S., et al. The TRAF-associated protein TANK facilitates cross-talk within the IkappaB kinase family during Toll-like receptor signaling. Proc. Natl. Acad. Sci. USA 108(41), 17093-17098 (2011).
- 3. Clark, K., MacKenzie, K.F., Petkevicius, K., et al. Phosphorylation of CRTC3 by the salt-inducible kinases controls the interconversion of classically activated and regulatory macrophages. Proc. Natl. Acad. Sci. USA 109(42), 16986-16991 (2012).
- 4. Petherick, K. J., Conway, O.J.L., Mpamhanga, C., et al. Pharmacological inhibition of ULK1 kinase blocks mammalian target of rapamycin (mTOR)-dependent autophagy. J. Biol. Chem. 290(18), 28726 (2015).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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