Product Information Sheet for NR-56525

MRT-0207065 (ML10)

Catalog No. NR-56525

For *in vitro* research use only. Not for use in humans or animals.

Contributor and Manufacturer
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Product Description:
MRT-0207065 (ML10) is a substituted imidazopyridine derivative and a highly potent and selective inhibitor of the malarial enzyme *Plasmodium falciparum* protein kinase G (PfPKG) that can be used for the synchronization of asexual blood parasites.[1,2,3]

Material Provided:
Each vial contains approximately 5 mg of solid MRT-0207065.

Packaging/Storage:
NR-56525 was packaged in glass serum vials and can be stored as a solid at room temperature. The vial should be centrifuged prior to opening.

NR-56525 should be dissolved in dimethyl sulfoxide (DMSO) to a concentration of no more than 10 mM. Sonication may assist if there is any observed solid in the sample. The dissolved sample should then be split into multiple aliquots and stored at -20°C. When required, an aliquot should be thawed, then diluted with a suitable aqueous buffer. Multiple freeze/thaw cycles of either the 10-mM DMSO solution or a diluted aqueous solution are not recommended, as this may reduce the solubility of NR-56525 and result in an intractable suspension.

Functional Activity:
ML10 showed biochemical inhibition of PfPKG (IC_{50} = 0.16 nM) and inhibition of malarial parasite cell growth (EC_{50} = 1 nM. EC_{90} = 2 nM) in a blood-stage hypoxanthine incorporation (HXI) cell-based assay.[1] MK10 displayed negligible activity against a panel of 80 human kinases when screened at 100 nM. showed no toxicity against HepG2 cells (derived from liver hepatocellular carcinoma) up to 20 µM, and showed an EC_{50} > 10 µM against A549, HT-29 and MCF7 cell lines.[1]

Citation:
Acknowledgment for publications should read "The following reagent was obtained through BEI Resources, NIAID, NIH and supplied by LifeArc, Stevenage, UK: MRT-0207065 (ML10), NR-56525."

Biosafety Level: 1
Appropriate safety procedures should always be used with this material. Laboratory safety is discussed in the following publication: U.S. Department of Health and Human Services, Public Health Service, Centers for Disease Control and Prevention, and National Institutes of Health. Biosafety in Microbiological and Biomedical Laboratories. 6th ed. Washington, DC: U.S. Government Printing Office, 2020; see www.cdc.gov/biosafety/publications/bmbl5/index.htm.

Disclaimers:
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References:
1. Baker, D. A., et al. "A Potent Series Targeting the Malarial cGMP-Dependent Protein Kinase Clears Infection and Blocks Transmission." Nat. Commun. 8 (2017): 430. PubMed: 28874661.
2. Ressurreicao, M., et al., "Use of a Highly Specific Kinase Inhibitor for Rapid, Simple and Precise Synchronization of *Plasmodium falciparum* and *Plasmodium knowlesi* Asexual Blood-Stage Parasites." PLoS One, 15 (2020): e0235798. PubMed: 32673324.
3. Baker, D. A., et al. "Targeting the Malaria Parasite cGMP-Dependent Protein Kinase to Develop New Drugs." Front. Microbiol. 11 (2020): 602803. PubMed: 33391223

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