

ACT-451840

Chemical Properties

CAS No. : 1984890-99-4

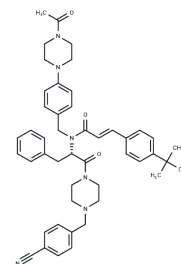
Formula: C47H54N6O3

Molecular Weight: 750.97

Store at low temperature

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

| | |
|---------------|---|
| Description | ACT-451840 is capable of eliminating both sensitive and resistant strains of Plasmodium falciparum and has the advantages of oral activity, potency, low toxicity, rapid onset of action in all asexual blood stages of Plasmodium, and a mechanism of action similar to that of artemisinin derivatives. In in vivo experiments, ACT-451840 showed excellent antimalarial effects at a dose of 30 mg/kg, with a parasite reduction rate of 99.80%. |
| Targets(IC50) | Parasite |
| In vitro | ACT-451840 has a 50% inhibitory concentration of 0.4 nM against the drug-susceptible P. falciparum NF54 strain; ACT-451840 effectively blocks male gamete formation from the gametocyte stage at a 50% inhibitory concentration of 5.89 nM, and blocks mosquito oocyst development in a dose-dependent manner at a 50% inhibitory concentration of 30 nM. [2] |
| In vivo | In the in vivo efficacy model, the 90% effective dose against P. falciparum of ACT-451840 was 3.7 mg/kg and the 90% effective dose against P. berghei was 13 mg/kg. |

Solubility Information

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|------------|--|
| Solubility | DMSO: 30 mg/mL (39.95 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
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Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|-----------|------------|
| 1 mM | 1.3316 mL | 6.6581 mL | 13.3161 mL |
| 5 mM | 0.2663 mL | 1.3316 mL | 2.6632 mL |
| 10 mM | 0.1332 mL | 0.6658 mL | 1.3316 mL |
| 50 mM | 0.0266 mL | 0.1332 mL | 0.2663 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Bruderer S, et al. First-in-humans study of the safety, tolerability, and pharmacokinetics of ACT-451840, a new chemical entity with antimalarial activity. *Antimicrob Agents Chemother.* 2015;59(2):935-942.
- Le Bihan A, et al. Characterization of Novel Antimalarial Compound ACT-451840: Preclinical Assessment of Activity and Dose-Efficacy Modeling. *PLoS Med.* 2016;13(10):e1002138. Published 2016 Oct 4.
- Boss C, et al. Discovery and Characterization of ACT-451840: an Antimalarial Drug with a Novel Mechanism of Action. *ChemMedChem.* 2016;11(18):1995-2014.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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