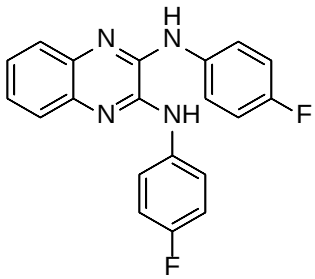
Product data sheet

MedKoo Cat#: 408100 Name: LQZ-7I CAS: 195822-23-2 Chemical Formula: $C_{20}H_{14}F_2N_4$ Exact Mass: 348.1187 Molecular Weight: 348.3568	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
LQZ-7I is a malarial protease PfSUB1 inhibitor. LQZ-7I showed significantly improved activity and is the focus of this work. LQZ-7 when given orally effectively inhibits xenograft tumor growth and induces survivin loss in tumors. The data obtained utilizing LQZ-7I in both in vitro and in vivo studies highlights its potential as a lead for further development, which may yield a potential cancer therapeutic by targeting the survivin protein directly.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	5.0	14.35
DMSO	65.67	188.50
Ethanol	35.5	101.91

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.87 mL	14.35 mL	28.71 mL
5 mM	0.57 mL	2.87 mL	5.74 mL
10 mM	0.29 mL	1.44 mL	2.87 mL
50 mM	0.06 mL	0.29 mL	0.57 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

Peery R, Kyei-Baffour K, Dong Z, Liu J, de Andrade Horn P, Dai M, Liu JY, Zhang JT. Synthesis and Identification of a Novel Lead Targeting Survivin Dimerization for Proteasome-Dependent Degradation. J Med Chem. 2020 Jul 9;63(13):7243-7251. doi: 10.1021/acs.jmedchem.0c00475. Epub 2020 Jun 9. PMID: 32421328; PMCID: PMC8216492.

In vivo study

Peery R, Kyei-Baffour K, Dong Z, Liu J, de Andrade Horn P, Dai M, Liu JY, Zhang JT. Synthesis and Identification of a Novel Lead Targeting Survivin Dimerization for Proteasome-Dependent Degradation. J Med Chem. 2020 Jul 9;63(13):7243-7251. doi: 10.1021/acs.jmedchem.0c00475. Epub 2020 Jun 9. PMID: 32421328; PMCID: PMC8216492.

7. Bioactivity
Biological target:

LQZ-7I is a survivin-targeting inhibitor.

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In vitro activity

As shown in Figure 5A,B, 7I induced cleavage of caspase 3 in a dose dependent manner in both cell lines. This study also performed annexin V staining of C4-2 and PC-3 cells as another indicator of apoptosis following 7I treatments. As shown in Figure 5C, 3 μM 7I induced ~48% and ~39% apoptosis in C4-2 and PC-3 cells, respectively.

Reference: J Med Chem. 2020 Jul 9;63(13):7243-7251. https://pubmed.ncbi.nlm.nih.gov/32421328/

In vivo activity

As shown in Figure 6A, 7I treatment at 100 mg/kg significantly suppressed tumor growth without any notable adverse effect on the mice as indicated by lacking changes in body weight (Figure 6B) or in wet weight of major organs at the end of the study (Figure S4). The xenograft tumors in the 7I-teatment group tended to be smaller and paler in color than those of the control group (Figure 6C, ,D).D). Thus, 7I may be effective in inhibiting tumor growth with little toxicity.

Reference: J Med Chem. 2020 Jul 9;63(13):7243-7251. https://pubmed.ncbi.nlm.nih.gov/32421328/