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**Product Data Sheet**

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Product Name: LXE408  
Cat. No.: GC64282

**Chemical Properties**

Cas. No. 1799330-15-6

Formula C<sub>23</sub>H<sub>18</sub>FN<sub>7</sub>O<sub>2</sub> M.Wt 443.43

Solubility DMSO : 16.67 mg/mL (37.59 mM; ultrasonic and warming and heat to 60°C) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

**Background**

LXE408 is an orally active, non-competitive and kinetoplastid-selective proteasome inhibitor. LXE408 has an IC<sub>50</sub> of 0.04 μM for *L. donovani* proteasome and an EC<sub>50</sub> of 0.04 μM for *L. donovani*. LXE408 has a low propensity to cross the blood brain barrier. LXE408 has the potential for visceral leishmaniasis (VL) research[1].

LXE408 (compound 1) can occupy the pocket as a ternary complex with the proteasome. LXE408 shows no inhibition of the hERG channel (IC<sub>50</sub>>30 μM) in a manual patch clamp assay. LXE408 has a low propensity to cross the blood brain barrier (brain/plasma AUC ratio=0.03 in mice)[1].

LXE408 (compound 1; 0.3-10 mg/kg; PO; twice daily for 8 days) potently reduces the parasite burden in the liver in a dose-dependent manner[1]. LXE408 (1, 3, 10, 20 mg/kg; p.o.; b.i.d.; for 10 days) effects robust healing of parasite-induced skin lesions at the base of the tail in BALB/c mice infected with *L. major*[1]. LXE408 (5 mg/kg IV and 20 mg/kg PO) has a T<sub>1/2</sub> of 3.3 hours for mouse. LXE408 (3 mg/kg IV and 10 mg/kg PO) has a T<sub>1/2</sub> of 3.8 hours, a CL of 2.1 mL/min•kg, and a V<sub>ss</sub> of 0.53 L/kg for male Sprague-Dawley rat[1]. LXE408 (0.3 mg/kg IV and 1.0 mg/kg PO) has a T<sub>1/2</sub> of 3.8 hours for male beagle dog. LXE408 (0.3 mg/kg IV and 10 mg/kg PO) has a T<sub>1/2</sub> of 9.7 hours for male

**Caution: Product has not been fully validated for medical applications. For research use only.**

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cynomolgus monkey[1].

[1]. Advait Nagle, et al. Discovery and Characterization of Clinical Candidate LXE408 as a Kinetoplastid-Selective Proteasome Inhibitor for the Treatment of Leishmaniases. J Med Chem. 2020 Jul 15.

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