

Product Data Sheet

Product Name: ETI60
Cat. No.: GC79390

Chemical Properties

Cas. No. 2773475-11-7

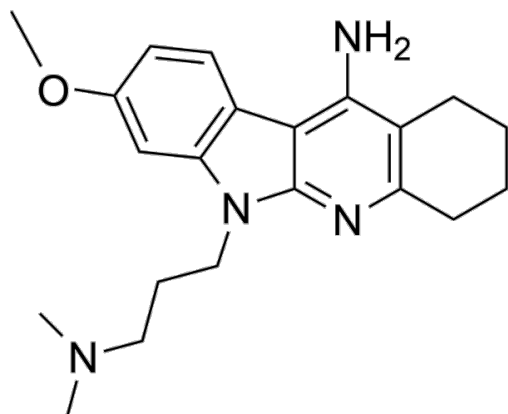
Formula $C_{21}H_{28}N_4O$ M.Wt 352.47

Solubility DMSO: 100 mg/mL (283.71 mM; Need ultrasonic) 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

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

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ETI60 is an orally active, selective TLR inhibitor that targets the nucleoside-binding Site I on TLR7 ($IC_{50} = 0.68 \mu M$) and TLR9 ($IC_{50} = 0.12 \mu M$), sparing surface TLRs (including TLR1/TLR2, TLR2/TLR6, TLR4 and TLR5). ETI60 potently inhibits endosomal TLR-mediated pro-inflammatory signaling with nanomolar activity in cellular, biophysical and in vivo assays. ETI60 modulates the expression of genes associated with inflammation. ETI60 effectively ameliorates symptoms in mouse models of psoriasis, and systemic lupus erythematosus (SLE). ETI60 can be used for autoimmune and inflammatory diseases research [1].

In Vivo, ETI60 (60 mg/kg, p.o., daily from day 2 to day 5) ameliorates psoriasis induced by IMQ in mice [1]. ETI60 (60 mg/kg, p.o., daily from day 2 to day 9) ameliorates psoriasis induced by IL-23 in mice [1]. ETI60 (30 mg/kg, p.o., daily for 39 days) effectively ameliorates symptoms in a mouse model of SLE [1].

In Vitro, ETI60 (0-200 μM , 4-24 h) effectively inhibits TLR agonist (e.g., Imiquimod (IMQ) for TLR7, ODN2395 for TLR9)-induced TNF- α production in mouse macrophages (RAW 264.7) and human B lymphoblasts (Daudi) cell lines in a dose-dependent manner, without inducing cytotoxic effects [1]. ETI60 (24 h) inhibits TLR3, TLR7, and TLR9 with IC_{50} values ranging from 20 to 300 nM, and TLR8 with an IC_{50} of approximately 2 μM , and inhibits TLR3, TLR7 and TLR8 in a concentration-dependent manner (from 31.2 nM to 10 μM) [1]. ETI60 (5-10 μM , 20 min-8 h) inhibits IMQ- or ODN2395-induced phosphorylation of MAPKs (p-ERK, p-JNK and p-p38), nuclear translocation of the NF- κB p65 subunit, I κ -B α degradation and IRF7 expression in RAW 264.7 cells [1]. ETI60 (10 μM , 2-4 h) attenuates the IMQ-induced upregulation of multiple inflammation-related genes, including IL1- β , CXCL2, IL18RAP, TNF, PDCD1, NLRP3, NFKBIZ, CCL3, CCL4, KDM6B, ZC3H12A, and PTGS2 [1].

References:

[1]. Jeong U, et al. Discovery of ETI41 and ETI60: novel selective endosomal Toll-like receptor inhibitors for the treatment of autoimmune diseases. *Exp Mol Med*. 2025 Sep;57(9):1951-1962.

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