

Product Data Sheet

Product Name: E64FC26

Cat. No.: GC62590

Chemical Properties

Cas. No. 2285446-62-8

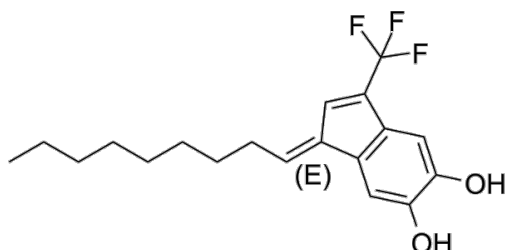
Formula $C_{19}H_{23}F_3O_2$ M.Wt 340.38

Solubility DMSO : 100 mg/mL (293.79 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

E64FC26 is a potent pan-inhibitor of the protein disulfide isomerase (PDI) family, with IC₅₀s of 1.9,

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20.9, 25.9, 16.3, and 25.4 μ M against PDIA1, PDIA3, PDIA4, TXNDC5, and PDIA6, respectively. E64FC26 shows anti-myeloma activity[1].

E64FC26 (0.01-100 μ M; 24 hours) shows anti-MM activity, with an EC₅₀ of 0.59 μ M[1]. E64FC26 is more cytotoxic against a genetically diverse panel of multiple myeloma (MM) cell lines (KMS11, OPM2, MM.1S BzR, MM.1S, SA-13, U266 BzR, ANBL6, KMS12PE, U266, 8226 DxR, 8226 BzR, KMS12BM, H929, 8226 cells) when compared to non-malignant cells[1].

E64FC26 (2 mg/kg; i.p.; three days a week for 7 days) shows anti-MM effect in NSG mice model, and increases median survival by 2 weeks[1]. The combination of E64FC26 and Bortezomib produced the greatest improvement in survival, extending the median survival by 20 days[1]. Pharmacokinetic of E64FC26 was measured in CD-1 mice. E64FC26 was administered i.v. (2 mg/kg; gray tracing) or p.o. (5 mg/kg; blue tracing) and plasma drug concentrations were measured over a 24 h period. In CD-1 mice demonstrated adequate oral bioavailability of 34% with systemic exposure approaching a maximum concentration (C_{max}) of 400 nM after a single oral dose of 5 mg/kg with a terminal half-life of 9.5 h[1]. Vk*MYC transgenic mice are treated with E64FC26 (2 mg/kg, i.p., 3 days/week) for two consecutive weeks. E64FC26 treatment induces an immediate anti-MM response, decreasing serum M-protein in all mice by an average of $33 \pm 7.9\%$ [1].

[1]. Robinson RM, et al. Inhibitors of the protein disulfide isomerase family for the treatment of multiple myeloma. *Leukemia*. 2019 Apr;33(4):1011-1022.

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