

Product Data Sheet

Product Name: GSK3494245

Cat. No.: GC64213

Chemical Properties

Cas. No. 2080410-41-7

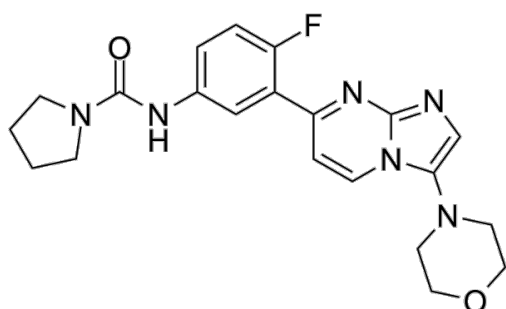
Formula C₂₁H₂₃FN₆O₂ M.Wt 410.44

Solubility DMSO : 100 mg/mL (243.64 mM; Storage Store at -20°C
Need ultrasonic)

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

GSK3494245 (DDD01305143) is a potent, orally active, and selective inhibitor of the chymotrypsin-like activity of the parasite proteasome binding in a site sandwiched between the β 4 and β 5 subunits

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

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(IC₅₀=0.16 μM for WT *L. donovani* proteasomes). GSK3494245 moderately inhibits chymotrypsin-like activity of human proteasome (IC₅₀: purified 26S=13 μM; enriched THP-1 extracts IC₅₀=40 μM). GSK3494245 exhibits attractive biological and biosafety properties[1][2].

GSK3494245 shows EC₅₀ value of 5.7 μM in *L. donovani* intramacrophage assay, where the amastigotes are cultured in differentiated THP-1 cells. GSK3494245 demonstrates good selectivity over mammalian cell growth inhibition (THP-1 cells; EC₅₀ > 50 μM)[1]. GSK3494245 (DDD01305143) shows pEC₅₀s of 6.5 and 5.8 against axenic amastigote and Ld InMac, respectively. Ld InMac is the intramacrophage assay carried out in THP-1 cells with *L. donovani* amastigote[2].

GSK3494245 (25 mg/kg; orally twice a day for 10 consecutive days) elicits a >95% reduction of parasite load in Infected mice (*L. donovani*, LV9)[1].

[1]. Wyllie S, et al. Preclinical candidate for the treatment of visceral leishmaniasis that acts through proteasome inhibition. *Proc Natl Acad Sci U S A*. 2019;116(19):9318-9323. [2]. Thomas MG, et al. Identification of GSK3186899/DDD853651 as a Preclinical Development Candidate for the Treatment of Visceral Leishmaniasis. *J Med Chem*. 2019;62(3):1180-1202.

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