

Product Data Sheet

Product Name: Tolebrutinib

Cat. No.: GC63232

Chemical Properties

Cas. No. 1971920-73-6

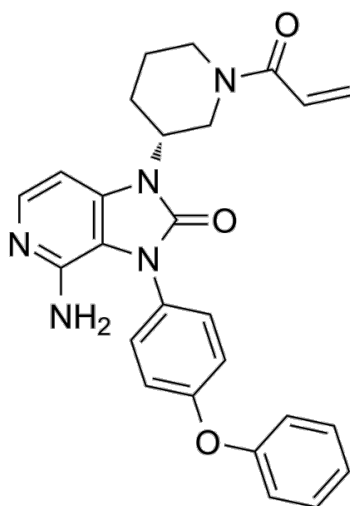
Formula $C_{26}H_{25}N_5O_3$ M.Wt 455.51

Solubility DMSO : 100 mg/mL (219.53 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

Tolebrutinib (SAR442168) is a potent, selective, orally active and brain-penetrant inhibitor of Bruton

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

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tyrosine kinase (BTK), with IC₅₀s of 0.4 and 0.7 nM in Ramos B cells and in HMC microglia cells, respectively. Tolebrutinib exhibits efficacy in central nervous system immunity. Tolebrutinib can be used for the research of multiple sclerosis (MS)[1][2].

PRN2246 blocks the BCR-mediated activation (IC₅₀=10 nM) and Fc receptor activation (IC₅₀=166 and 9.6 nM for FcεR and FcγR, respectively) of immune cells[2]. PRN2246 inhibits microglial FcγR activation through durable occupancy of BTK, with an IC₅₀ of 157 nM[2].

PRN2246 (1-5 mg/kg; p.o. q.d. for 28 d) produces dose-dependent protection from in myelin oligodendrocyte glycoprotein (MOG)-induced experimental autoimmune encephalomyelitis (EAE) model[2].

[1]. Dahl K, et, al. Radiosynthesis of a Bruton's tyrosine kinase inhibitor, [11 C]Tolebrutinib, via palladium-NiXantphos-mediated carbonylation. J Labelled Comp Radiopharm. 2020 Sep;63(11):482-487.

[2]. Francesco MR, et, al. PRN2246, a potent and selective blood brain barrier penetrating BTK inhibitor, exhibits efficacy in central nervous system immunity. Multiple Sclerosis Journal. 2017;Poster Session 2:P989.

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