

Product Data Sheet

Product Name: IPN60090

Cat. No.: GC62618

Chemical Properties

Cas. No. 1853164-83-6

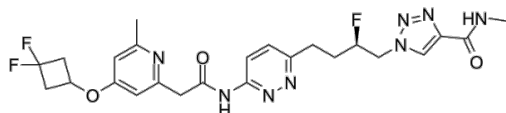
Formula $C_{24}H_{27}F_3N_8O_3$ M.Wt 532.52

Solubility DMSO : 31.43 mg/mL (59.02 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

IPN-60090 is an orally active and highly selective inhibitor of glutaminase 1 (GLS1; IC₅₀=31 nM), with no activity observed against GLS-2. IPN-60090 exhibits excellent physicochemical and pharmacokinetic properties in vivo. IPN-60090 can be used for solid tumors research, such as lung and ovarian cancers[1][2].

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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There are two known isoforms of glutaminase: GLS-1 (also called kidney-type or KGA), and GLS-2 (also called liver-type or LGA). GLS-1 is ubiquitous and GLS-2 expression appears limited primarily to the liver. In a dual-coupled enzyme assay, IPN60090 inhibits purified recombinant human GLS-1 (GAC isoform) with an IC₅₀ of 31 nM, and has no activity against GLS-2, with an IC₅₀ of >50000 nM[2]. IPN60090 inhibits the proliferation of A549 cells with an IC₅₀ of 26 nM[2].

IPN60090 (3 mg/kg for i.v.; 10 mg/kg for p.o.) has excellent pharmacokinetic properties, with CL=4.1 mL/min/kg, t_{1/2}=1 hour, C_{max}=19 µM, F%=89%[2]. IPN-60090 (oral administration; 100 mg/kg; twice daily; 30 days) shows similar efficacy and target engagement to CB-839 dosed orally at 250 mg/kg twice daily. And the 100 mg/kg BID dose of IPN-60090 is a tolerated dose for the following model study[2]. IPN-60090 (oral administration; 100 mg/kg; twice daily; 30 days; monotherapy or in combination with TAK228) causes tumor growth inhibition. IPN-60090 alone demonstrates robust in vivo target engagement in a dose-dependent manner. The glutamate/glutamine ratios and the free plasma concentrations of IPN-60090 at 4 hours post-dose on both day 4 and day 28 are all decreased[2]. Furthermore, IPN-60090 in combination with TAK228 strongly causes an 85% tumor growth inhibition, IPN-60090 alone causes a 28% tumor growth inhibition in vivo[2].

[1]. Maria Emilia Di Francesco, et al. Gls1 inhibitors for treating disease. WO2016004404A2. [2]. Michael J Soth, et al. Discovery of IPN60090, a Clinical Stage Selective Glutaminase-1 (GLS-1) Inhibitor with Excellent Pharmacokinetic and Physicochemical Properties. J Med Chem. 2020 Nov 12;63(21):12957-12977.

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