

Product Data Sheet

Product Name: PTP1B-IN-2

Cat. No.: GC31324

Chemical Properties

Cas. No. 1919853-46-5

SMILES O=S(N(C1=CC(C(OC)=O)=C(OCC2=CC=C(C)C=C2)C=C1)CC3=CC=C(N(S(C)(=O)=O)CC(OC)=O)C=C3)(CC4=CC=CC=C4)=O

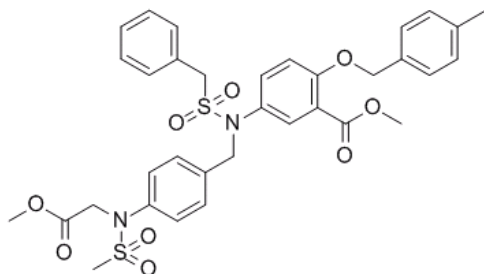
Formula $C_{34}H_{36}N_2O_9S_2$ M.Wt 680.79

Solubility DMSO : ≥ 100 mg/mL (146.89 mM) 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



Protocol

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

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

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Kinase experiment: PTP1B-IN-2 is predispensed in 96-well microplates as 1.0 μ L aliquots per well in 100% DMSO. The PTP1B enzymatic assay is carried out in a total volume of 100 μ L per well in assay plates with 15 nM recombinant PTP1B protein, 2 mM p-nitrophenylphosphonic acid (pNPP), 1 mM dithiothreitol and 1 mM EDTA (pH 6.5). After 30 min incubation at 37°C, the reaction is terminated by addition of 2.5 M NaOH. The amount of hydrolysis product, pNP, is monitored by detection of the absorbance at 405 nm[1].

References:

[1]. Liu P, et al. Discovery of novel, high potent, ABC type PTP1B inhibitors with TCPTP selectivity and cellular activity. Eur J Med Chem. 2016 Aug 8;118:27-33.

Background

PTP1B-IN-2 is a potent protein tyrosine phosphatase 1B (PTP1B) inhibitor with an IC₅₀ of 50 nM.

PTP1B-IN-2 displays more than 40-fold selectivity for PTP1B over SHP-2 and LAR and 15-fold higher selectivity for PTP1B over the highly homologous TCPTP. PTP1B-IN-2 extends deep into the active site pocket, forming several hydrogen bonds and hydrophobic interactions with key residues of the catalytic site. The binding characteristics between PTP1B domain and ligand shows that PTP1B-IN-2 is an ABC type inhibitor which not only interacted with catalytic site but also B site and C site. PTP1B-IN-2 greatly enhances insulin-mediated IR β phosphorylation at concentrations of 15 μ M and 30 μ M. Insulin-stimulated glucose uptake is also significantly increased in L6 myotubes treated with PTP1B-IN-2, and this increase is 16.0%, 19.0% and 38.1% at 5, 10 and 20 μ M, respectively [1].

[1]. Liu P, et al. Discovery of novel, high potent, ABC type PTP1B inhibitors with TCPTP selectivity and cellular activity. Eur J Med Chem. 2016 Aug 8;118:27-33.

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