

Product Data Sheet

Product Name: SBI-115
Cat. No.: GC34775

Chemical Properties

Cas. No. 882366-16-7

SMILES O=C(C1=NC(S(=O)(CC)=O)=NC=C1Cl)OC2=CC=CC(C)=C2

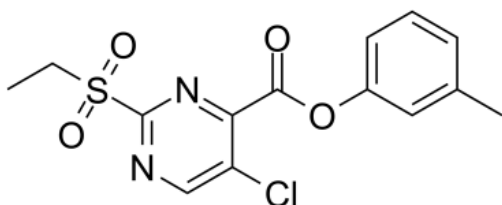
Formula $C_{14}H_{13}ClN_2O_4S$ M.Wt 340.78

Solubility DMSO : 150 mg/mL (440.17 mM); Water : < 0.1 mg/mL (insoluble) 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

Cell experiment [1]:

Cell lines Cholangiocytes

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

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Preparation method	Cholangiocytes (2,500 cells/well) were grown for 24-48 hours and then treated with TGR5 agonists (all, 25 IM), SBI-115 (100 and 200μM), and pasireotide (20μM) for additional 24 hours.
Reaction Conditions	100, 200μM; 24 h
Applications	Cholangiocytes were decreased after treatment with SBI-115 by 20%-33% and by 35%-40% in response to pasireotide.
Animal experiment [2]:	
Animal models	Male C57BL/6 mice
Preparation method	Mice were catheterized and underwent SNI surgery on day 0. After 7 days of recovery, TGR5 agonist INT-777 or FXR agonist INT-747 was infused into the subarachnoid space via the catheter, and 50% PWT was measured by behavioral tests 1-9 hours and 1-6 days after drug treatment. Intrathecal injection of SBI-115(15μg in 5μL), Z-guggulsterone, or bicuculline was used to block TGR5, FXR, or GABA _A receptors, respectively.
Dosage form	15μg (5μL); i.t.
Applications	Activation of TGR5 alleviated the established neuropathic pain induced by SNI, and the effect was blocked by SBI-115.

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References:

- [1] Masyuk T V, Masyuk A I, Lorenzo Pisarello M, et al. TGR5 contributes to hepatic cystogenesis in rodents with polycystic liver diseases through cyclic adenosine monophosphate/G α s signaling[J]. *Hepatology*, 2017, 66(4): 1197-1218.
- [2] Wu Y, Qiu Y, Su M, et al. Activation of the bile acid receptors TGR5 and FXR in the spinal dorsal horn alleviates neuropathic pain[J]. *CNS Neuroscience & Therapeutics*, 2023, 29(7): 1981-1998.

Background

SBI-115 is an antagonist of the G protein-coupled bile acid receptor 1 (TGR5; GPCR19) [1]. TGR5 is involved in inflammatory responses, and SBI-115 inhibits TGR5, which can increase the concentration and mRNA expression of pro-inflammatory factors both in vivo and in vitro[2].

In vitro, treatment of cystic cholangiocytes with SBI-115 (100, 200 μ M) for 24 hours resulted in a reduction of cell numbers by 20%-33% and a decrease in cyclic adenosine monophosphate (cAMP) levels[3]. Treatment of human pancreatic cancer cell lines PANC-1 and BXPC-3 with SBI-115 (1-20 μ M) for 48 hours showed significant inhibitory activity on PANC-1 and BXPC-3 cells at 10 μ M and 5 μ M, respectively, leading to morphological changes, a significant increase in vacuoles, and mitochondrial membrane disruption[4].

In vivo, a single intrathecal injection of SBI-115 (15 μ g) in spared nerve injury (SNI) mice blocked the therapeutic effect of TGR5 agonists on the mechanical hyperalgesia response in mice[5].

References:

- [1] Ye D, He J, He X. The role of bile acid receptor TGR5 in regulating inflammatory signalling[J]. *Scandinavian Journal of Immunology*, 2024: e13361.
- [2] Xu J, Cao J, Tan B, et al. G protein-coupled bile acid receptor 1 reduced hepatic immune response and inhibited NF κ B, PI3K/AKT, and PKC/P38 MAPK signaling pathway in hybrid grouper[J]. *Journal of Animal Science*, 2023, 101: skad307.
- [3] Masyuk T V, Masyuk A I, Lorenzo Pisarello M, et al. TGR5 contributes to hepatic cystogenesis in rodents with polycystic liver diseases through cyclic adenosine monophosphate/G α s signaling[J]. *Hepatology*, 2017, 66(4): 1197-1218.
- [4] Lei Y, Li G, Li J, et al. Investigation of the potential role of TGR5 in pancreatic cancer by a

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comprehensive molecular experiments and the liquid chromatography mass spectrometry (LC–MS) based metabolomics[J]. Discover Oncology, 2022, 13(1): 46.

[5] Wu Y, Qiu Y, Su M, et al. Activation of the bile acid receptors TGR5 and FXR in the spinal dorsal horn alleviates neuropathic pain[J]. CNS Neuroscience & Therapeutics, 2023, 29(7): 1981-1998.

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