

Product Data Sheet

Product Name: HEP-50768

Cat. No.: GC79247

Chemical Properties

Cas. No. 3036387-75-1

Formula $C_{16}H_{10}F_4N_4O$ M.Wt 350.27

Solubility DMSO: 100 mg/mL (285.49 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

HEP-50768 is an orally active and selective MRGPRX4 (hX4) antagonist. HEP-50768 suppresses basal and bile-acid-stimulated hX4 activity. HEP-50768 binds the receptor's transmembrane pocket and induces extracellular loop rearrangements. HEP-50768 modulates GPCR microswitch motifs and

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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reduces bile-acid-induced pruritic scratching behaviors. HEP-50768 can be used for the research of cholestatic pruritus [1].

In Vivo, HEP-50768 (5-10 mg/kg; p.o.; single dose; 2.5 hours before pruritus induction) significantly reduces DCA-3S-induced scratching behavior in hX4-humanized rats, demonstrating potent antipruritic efficacy[1].

In Vitro, HEP-50768 (1 nM-1 μ M; 30 min) potently inhibits DCA-induced calcium mobilization in HEK293T cells stably expressing hX4 with an IC_{50} of 14 nM and achieves 100% inhibition at 2 μ M[1]. HEP-50768 (0.1 μ M) acts as an inverse agonist, significantly reducing basal inositol monophosphate levels in HEK293T cells expressing hX4[1]. HEP-50768 (2 μ M; 1.5 h) modestly reduces GTPase activity of the purified hX4-Gq complex, consistent with its inverse agonist activity[1]. HEP-50768 (0.02-50 nM) binds purified apo hX4 and hX4-miniGaq complex with high, comparable affinity, exhibiting K_D values of 0.6 nM and 0.7 nM, respectively[1]. HEP-50768 (0.1 nM-10 μ M; 30 min) is highly selective for hX4, showing weak inhibition of hX1 (IC_{50} = 16 μ M) and hX2 (IC_{50} = 1.5 μ M) with no agonist activity against either receptor [1]. HEP-50768 (~5 min) shows minimal hERG channel inhibition in HEK293 cells stably expressing hERG, with an IC_{50} exceeding 30 μ M[1].

References:

[1]. Yang J, et al. Development of a clinically viable MRGPRX4 inverse agonist for cholestatic itch treatment. Nat Chem Biol. Published online April 9, 2026.

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