

Product Data Sheet

Product Name: BAY-1316957

Cat. No.: GC64958

Chemical Properties

Cas. No. 1613264-40-6

Formula C₂₇H₂₇N₃O₃

M.Wt 441.52

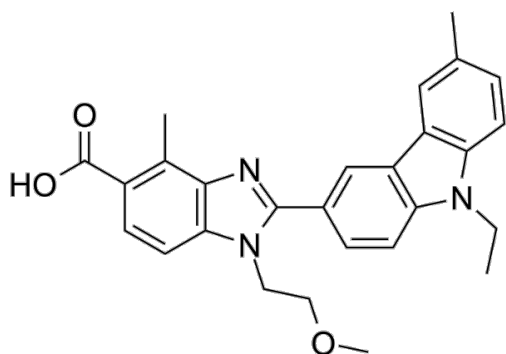
Solubility DMSO : 100 mg/mL (226.49 mM;
Need ultrasonic)

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



Protocol

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

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h-EP4

15.3nM(IC₅₀)

Background

BAY-1316957 is a potent, selective and orally active prostaglandin E2 receptor subtype 4 (EP4-R) antagonist with an IC₅₀ of 15.3 nM for human EP4-R. BAY-1316957 has excellent drug metabolism and pharmacokinetics properties, and can be used for endometriosis research[1].

BAY-1316957 (Compound 32) shows high solubility and permeability using the Caco-2 cellular assay[1].

BAY-1316957 (Compound 32; 0.2-5 mg/kg; oral administration; once) treatment significantly reduces mechanical allodynia in dmPGE2 pain model[1]. The pharmacokinetic parameters of BAY-1316957 (Compound 32) shows a low clearance, long half-life, and high bioavailability (F%=90%) in Wistar rats. Investigation of the metabolic pathways of BAY-1316957 (Compound 32) in human, rat, mouse, dog, and monkey hepatocytes revealed that the formation of the acyl glucuronide was also the common and predominant route of biotransformation, mainly catalyzed by UGT1A1 and to a lesser extent by UGT1A3[1].

[1]. BÄurle S, et al. Identification of a Benzimidazolecarboxylic Acid Derivative (BAY 1316957) as a Potent and Selective Human Prostaglandin E2 Receptor Subtype 4 (hEP4-R) Antagonist for the Treatment of Endometriosis. J Med Chem. 2019 Mar 14;62(5):2541-2563.

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