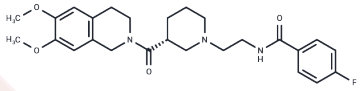
# Data Sheet (Cat.No.T13374)

YM758

## Chemical Properties



CAS No. : 312752-85-5

Formula: C26H32FN3O4

Molecular Weight: 469.55

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

## Biological Description

| | |
|---|---|
| Description | YM758 is an inhibitor of If current channel. |
| Targets(IC50) | Others,HCN Channel |
| In vitro | YM758 inhibits rOct1- (IC50 = 23.8 μM) and hOCT1- (IC50 = 40.5 μM) mediated [3H]MPP uptake in a concentration-dependent manner. YM758 inhibits OATP1B1-mediated [3H] E217βG uptake in a concentration-dependent manner(IC50 = 13.0 μM). YM758 shows no inhibitory effect on OATP1B3-mediated [3H]E217βG uptake[1]. |
| In vivo | In tachycardia-induced dogs, YM758 (0.03, 0.1, and 0.3 mg/kg; i.v.) plasma concentrations rapidly decrease with t1/2s of 1.62, 4.93, and 1.63 h, respectively. The CLtot values are1.71, 1.69, and 1.48 L/h/kg, and Vdss values amount to 3.19, 5.78, and 2.94 L/kg accordingly[2]. The radioactivity in the rat eyeballs after dosing 14C-YM758 is extracted. The radioactivity recovery is 97.1% at 4 h and 67.1% at 24 h. In the eyeball at 4 h after administration, YM758 (the unchanged drug) is the main compound detected (66.7%)[3]. |

## Solubility Information

| | |
|---|---|
| Solubility | DMSO: 90 mg/mL (191.67 mM),Sonication and heating to 60℃ are recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.1297 mL | 10.6485 mL | 21.297 mL |
| 5 mM | 0.4259 mL | 2.1297 mL | 4.2594 mL |
| 10 mM | 0.213 mL | 1.0648 mL | 2.1297 mL |
| 50 mM | 0.0426 mL | 0.213 mL | 0.4259 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Umehara K, et al. Hepatic uptake and excretion of (-)-N-{2-[(R)-3-(6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline-2-carbonyl)piperidino]ethyl}-4-fluorobenzamide (YM758), a novel i
Umehara K, et al. Relationship between exposure of (-)-N-{2-[(R)-3-(6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline-2-carbonyl)piperidino]ethyl}-4-fluorobenzamide (YM758), a "funny" i
Umehara K, et al. Investigation of long-term retention of unchanged (-)-N-{2-[(R)-3-(6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline-2-carbonyl)piperidino]ethyl}-4-fluorobenzamide, a novel "funny" I