# KAG-308

**Cat. No.:** HY-128686

**CAS No.:** 1215192-68-9

**Molecular Formula:** $C_{24}H_{30}F_2N_4O_3$

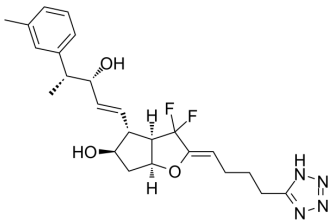
**Molecular Weight:** 460.52

**Target:** Prostaglandin Receptor

**Pathway:** GPCR/G Protein

**Storage:** -20°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 80 mg/mL (173.72 mM; Need ultrasonic)

$H_2O$ : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.1715 mL | 10.8573 mL | 21.7146 mL |
| 5 mM | 0.4343 mL | 2.1715 mL | 4.3429 mL |
| 10 mM | 0.2171 mL | 1.0857 mL | 2.1715 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 6 mg/mL (13.03 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 6 mg/mL (13.03 mM); Suspended solution; Need ultrasonic

## BIOLOGICAL ACTIVITY

**Description**

KAG-308 is a potent selective and orally active agonist of EP4 receptor (a prostaglandin E2 receptor subtype), suppresses colitis and promotes histological mucosal healing, potently inhibits TNF-α production. KAG-308 shows a $K_i$ and an $EC_{50}$ of 2.57 nM and 17 nM for human EP4 receptor, respectively, more selective over EP1, EP2, EP3 and IP receptor[1].

**$IC_{50}$ & Target**

EC50: 17 nM (Human EP4 receptor), 160 nM (Human EP3 receptor), 1000 nM (Human EP2 receptor), 1000 nM (Human EP2 receptor)[1]

Ki: 2.57 nM (Human EP4 receptor), 32.4 nM (Human EP3 receptor), 52.9 nM (Human IP receptor), 1410 nM (Human EP1 receptor), 1540 nM (Human EP2 receptor)[1]

**In Vitro**

KAG-308 is a potent selective and orally active agonist of EP4 receptor, suppresses colitis and promots histological mucosal

healing. KAG-308 shows a $K_i$ and $EC_{50}$ values of 2.57 nM and 17 nM for human EP4 receptor, respectively, more selective over human EP1 ($K_i$, 1410 nM; $EC_{50}$, 1000 nM), EP2 ($K_i$, 1540 nM; $EC_{50}$, 1000 nM), EP3 ($K_i$, 32.4 nM; $EC_{50}$, 160 nM) and IP receptor ($K_i$, 52.9 nM; $EC_{50}$, >10000 nM). KAG-308 also exhibits potent agonist activity for human and mouse EP4 with an $EC_{50}$ of 0.15 nM and 1.0 nM, respectively in the dual luciferase reporter assay[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Cancer Discov. 2022 May 12;candisc.1181.2021.

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## REFERENCES

[1]. Watanabe Y, et al. KAG-308, a newly-identified EP4-selective agonist shows efficacy for treating ulcerative colitis and can bring about lower risk of colorectal carcinogenesis by oral administration. Eur J Pharmacol. 2015 May 5;754:179-89.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA