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**Product Data Sheet**

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Product Name: COX2-IN-1

Cat. No.: GC32030

**Chemical Properties**

Cas. No. 134729-13-8

SMILES N#CC1=NN(C2=CC=C(F)C=C2)C(C3=CC=C(S(=O)(C)=O)C=C3)=C1Formula C17H12FN3O2S M.Wt 341.36

Solubility DMSO : 50 mg/mL (146.47 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****Kinase experiment:**

hCOX1 or hCOX2 is preincubated with COX2-IN-2 in 0.1 M Tris-HCl buffer containing 2 μM hematin and 5 mM L-tryptophan at 30°C for 5 min, followed by a 5 min incubation with arachidonic acid. The enzyme reaction is stopped by the addition of 1 N HCl. The PGE2 formed is extracted with EtOAc and measured by RIA[1].

**Animal experiment:**

Rats: Ten male Sprague Dawley rats are used per group. A suspension of 0.5% brewer's yeast in 0.5% methyl cellulose is injected into the right hind paw. The pain threshold is determined 3h after yeast injection. COX-2-IN-2 is given orally 2 h after yeast injection. The pain threshold in the treated rats are compared with that in the control rats[1].

**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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### References:

[1]. Tsuji K, et al. Studies on anti-inflammatory agents. IV. Synthesis and pharmacological properties of 1,5-diarylpyrazoles and related derivatives. Chem Pharm Bull (1997), 45(6), 987-995.

### Background

COX2-IN-1 is a selective and inducible COX2 inhibitor with an IC<sub>50</sub> of 0.24 μM. COX2-IN-1 is an anti-inflammatory compound with anti-inflammatory and analgesic activities.

COX2-IN-1 shows no COX-1 inhibition even at 100μM[1].

COX2-IN-1 has oral ED<sub>50</sub> values of 0.030 and 0.47mg/kg on adjuvant-induced arthritis and collagen-induced arthritis, respectively, and an ED<sub>30</sub> value of 7.4mg/kg in the yeast-induced hyperalgesia (Randall-Selitto) assay. COX2-IN-1 shows good analgesic activity and no ulcerogenicity[1].

[1]. Tsuji K, et al. Studies on anti-inflammatory agents. IV. Synthesis and pharmacological properties of 1,5-diarylpyrazoles and related derivatives. Chem Pharm Bull (1997), 45(6), 987-995.

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