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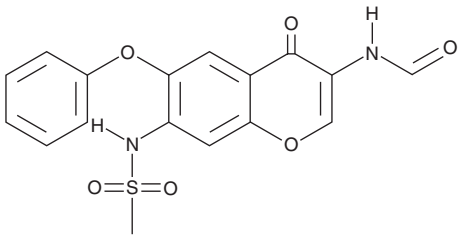
PRODUCT INFORMATION

Iguratimod

Item No. 35529

CAS Registry No.: 123663-49-0
Formal Name: N-[3-(formylamino)-4-oxo-6-phenoxy-4H-1-benzopyran-7-yl]-methanesulfonamide
Synonyms: IGU, T-614
MF: $C_{17}H_{14}N_2O_6S$
FW: 374.4
Purity: ≥98%
UV/Vis.: λ_{max}: 257, 264 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Iguratimod is supplied as a solid. A stock solution may be made by dissolving the iguratimod in the solvent of choice, which should be purged with an inert gas. Iguratimod is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of iguratimod in these solvents is approximately 16 and 14 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of iguratimod can be prepared by directly dissolving the solid in aqueous buffers. The solubility of iguratimod in PBS (pH 7.2) is approximately 0.25 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Iguratimod is a disease-modifying antirheumatic drug (DMARD) and COX-2 inhibitor (IC_{50} = 7.7 µg/ml).[1] It is selective for COX-2 over COX-1 (IC_{50} = >300 µg/ml) but also inhibits the tautomerase activity of macrophage migration inhibitory factor (MIF; IC_{50} = 6.81 µM).[1,2] Iguratimod (1-10 µM) inhibits osteoclastogenesis and bone resorption of isolated mouse bone marrow-derived macrophages stimulated with macrophage colony-stimulating factor (M-CSF) and RANKL, as well as prevents bone loss in ovariectomized mice.[3] It decreases disease severity in a rat model of collagen-induced arthritis when administered alone or in combination with methotrexate (Item No. 13960).[4] Iguratimod (12.5 mg/kg) also decreases disease severity in a MOG_{35-55} mouse model of experimental autoimmune encephalomyelitis (EAE) when administered in combination with dexamethasone (Item No. 11015).[2] Formulations containing iguratimod have been used in the treatment of rheumatoid arthritis.

References

1. Tanaka, K., Kawasaki, H., Kurata, K., *et al. Jpn. J. Pharmacol.* **67(4)**, 305-314 (1995).
2. Bloom, J., Metz, C., Nalawade, S., *et al. J. Biol. Chem.* **291(51)**, 26502-26514 (2016).
3. Li, C.-H., Ma, Z.-Z., Jian, L.-L., *et al. Int. Immunopharmacol.* **90**, 107219 (2021).
4. Du, F., Lü, L., Fu, Q., *et al. Arthritis Res. Ther.* **10(6)**, R136 (2008).

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