Product Data Sheet

Chemical Properties

Product Name: Iguratimod
Cas No.: 123663-49-0
M.Wt: 374.37
Formula: C17H14N2O6S
Synonyms: T 614; T-614; T614
Chemical Name: N-[7-(methanesulfonamido)-4-oxo-6-phenoxychromen-3-yl]formamide
Canonical SMILES: CS(=O)(=O)NC1=C(C=C2C(=C1)OC=C(C2=O)NC=O)OC3=CC=CC=C3
Solubility: Soluble in DMSO
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.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: Neuroscience
Pathways: COX
Description:
IC50: 2.0 (hepatocyte-stimulating activities) and 6.6 μg/ml (immunoreactivities) for IL-6 release. Iguratimod is one of a series of 4H-1-benzopyran-4-ones which has potent anti-inflammatory, antipyretic and analgesic activity. Iguratimod also inhibits the production of tumour necrosis factor and interleukin-1 (IL-1), IL-6, IL-8.
In vitro: Iguratimod inhibited the release of immunoreactive IL-1 beta from human monocyctic cell line stimulated with lipopolysaccharides (LPS) in a dose-dependent manner (0.3-30 μ g/ml).
Northern blotting analysis using LPS-stimulated THP-1 cells indicated that the inhibitory effect of iguratimod on IL-1 beta production is caused by the suppression of IL-1 beta mRNA expression [1].

In vivo: Administration of iguratimod did not inhibit the tumor growth, but resulted in attenuation of cachexia symptoms. Furthermore, iguratimod decreased the serum levels of IL-6, and also reduced its gene expression in the tumor tissues. In addition, exogenously administered IL-6 nullified the suppressive effect of iguratimod [2].

Clinical trial: A 52-week clinical study of iguratimod in 394 Japanese patients with rheumatoid arthritis to evaluate the long-term safety of the drug was conducted. Igratimod was administered orally at a daily dose of 25 mg for the first 4 weeks and 50 mg for the subsequent 48 weeks. The cumulative incidence of adverse events for 100 weeks was 97.6%. The cumulative incidence of adverse reactions was 65.3%; unfavorable symptoms and signs accounted for 33.2% of the reactions, and abnormal laboratory data changes accounted for 50.4% [3].

Reference:
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