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CRTH2 Antagonist ACT-774312

National Cancer Institute

Source

National Cancer Institute. <u>CRTH2 Antagonist ACT-774312</u>. NCI Thesaurus. Code C161834.

An orally bioavailable, selective antagonist of the chemoattractant receptor-homologous molecule expressed on T-helper (Th) 2 cells (CRTH2; prostaglandin D2 receptor 2; G-protein coupled receptor 44), with potential anti-allergic and anti-inflammatory activities. Upon administration, CRTH2 antagonist ACT-774312 selectively binds to and prevents the activation of CRTH2 by prostaglandin D2 (PGD2). This may inhibit the recruitment of eosinophils, basophils, innate lymphoid cells type 2 (ILC2), and Th2 cells, thereby reducing the number of inflammatory cells within the affected tissue. Additionally, this may reduce the release of cytokines at the site of allergen entry and block type 2 cytokine-mediated effects such as tissue remodeling. CRTH2, a G-protein-coupled receptor, is mainly expressed on eosinophils, basophils, macrophages, monocytes, mast cells, Th2 cells, ILC2 and dendritic cells (DCs).