Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Zauritegrast

Catalog No: tcsc0322

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Specifications

CAS No:
455264-31-0

Formula:
$C_{26}H_{25}BrN_4O_3$

Pathway:
Cytoskeleton

Target:
Integrin

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
CT7758

Observed Molecular Weight:
521.41

Product Description

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Zauraterast (CT7758) is a potent and oral-effective **α_4-integrin** inhibitor.

IC50 & Target: α_4-integrin[1]

In Vitro: CDP323 is an ethyl ester prodrug of CT7758, a potent carboxylic acid antagonist of $\alpha_4\beta_1$ (very late antigen-4, VLA-4) and, to a lesser extent, $\alpha_4\beta_7$ integrins. CDP323 is developed as a VLA-4 antagonist prodrug for the treatment of multiple sclerosis[2].

In Vivo: CDP323 is a potent and effective α_4 inhibitor that is well tolerated at oral doses up to 1000 mg twice daily (bid). Relative to placebo, all dosages of Zauraterast (CDP-323) significantly decreased the capacity of lymphocytes to bind vascular adhesion molecule-1 (VCAM-1) and the expression of α_4-integrin on VCAM-1-binding cells. CDP323 at daily doses of 1000 or 2000 mg induced significant increases in total lymphocyte count and suppressed VCAM-1 binding by reducing unbound very late antigen-4 expression on lymphocytes[1]. After oral administration of CDP323, CT7758 is by far the most abundant circulating plasma component, peaking between 0.5 and 1.5 hours irrespective of the species. These data suggested that CDP323 is rapidly absorbed and efficiently hydrolyzed into CT7758. Plasma exposure of CT7758 showed a large species variability with dog>rat=mice>cynomolgus monkey. In the tested dose range of 25-50 mg/kg, the estimated oral bioavailability (i.e., based on intravenous administration of CT7758 and assuming linear PK) is 29, 27, 8, and 0.3% in mice, rat, dog, and cynomolgus monkey, respectively. CDP323 increased the absorption of CT7758 by 5- to 10-fold in rodents, whereas no significant increase is observed in dog and monkey[3].