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Windorphen - CAS 19881-70-0 - Calbiochem A cell-permeable, selective inhibitor p300 histone acetytransferase (IC?? = 4.2 µM)

Art. ID	SAF-5091640001
Unit	EA
Deliverydetails	No Dangerous Good /not restricted

Description

The racemic mixture of a cell-permeable (4-methoxyphenyl)chloroacrylaldehyde compound whose (Z)-isomer (isomer content 12-15%) acts as a p300-selective histone acetytransferase (HAT) inhibitor (IC50 = 4.2 &amp,amp,micro,M using racemic mixture with 13% Z-isomer) and selectively disrupts &amp,amp,beta,-catenin association with p300, but not CBP or LEF1/TCF4, exhibiting much reduced potency against KAT5, CBP, MYST4, MYST2 (IC50 &amp,amp,ge,38 &amp,amp,micro,M) and little or no inhibitory efficacy toward GCN5 and PCAF HAT activity (IC50 &amp,amp,gt,100 &amp,amp,micro,M). Shown to selectively prevents human &amp, mouse &amp,amp,beta,-catenin-, zebrafish &amp,amp,beta,-catenin-1-, but not zebrafish &amp,amp,beta,-catenin-2-, mediated transcription activity without affecting &amp,amp,beta,-catenin activation or nuclear translocation. Induces apoptosis in Wnt signaling-dependent cancer cultures (IC50 15 to 22 &amp,amp,micro,M, 72 h) in vitro without affecting the viability of Wnt-independent H460 (up to 200 &amp,amp,micro,M &amp,amp, 72 h) and selectively abrogates Wnt signaling in ventral &amp,amp, lateral regions, but not within dorsal organizer, in 5.3 hpf epiboly stage zebrafish embryos in vivo. A great complement to the selective &amp,amp,beta,-catenin-CBP interaction blocker ICG-001 (Cat. no. 504712). (E)-isomer is available separately (Cat. no. 509166) as negative control., A cell-permeable bis(4-methoxyphenyl)chloroacrylaldehyde whose (Z)-isomer (isomeric content 12-15%) acts as a p300-selective histone acetytransferase (HAT) inhibitor (IC50 = 4.2 &amp,amp,micro,M) and selectively disrupts &amp,amp,beta,-catenin association with p300, but not CBP or LEF1/TCF4, exhibiting much reduced potency against KAT5, CBP, MYST4, MYST2 (IC50 = 38.2, 51.3, 59.5, 62.2 &amp,amp,micro,M, respectively) and little or no inhibitory efficacy toward GCN5 and PCAF HAT activity (IC50 &amp,amp,gt,100 &amp,amp,micro,M). Shown to preferentially suppress human and mouse &amp,amp,beta,-catenin-, zebrafish &amp,amp,beta,-catenin-1-, but not zebrafish &amp,amp,beta,-catenin-2-, mediated transcription activity in various reporter assays (20 &amp,amp,micro,M) without affecting &amp,amp,beta,-catenin activation or nuclear translocation. Induces apoptosis in Wnt signaling-dependent cancer cultures (IC50 in &amp,amp,micro,M = 15.0/SW480, 19.2/RKO, 21.8/DU135, and 19.0/PC3, 72 h) in vitro without affecting the viability of Wnt-independent human lung cander cell line H460 (up to 200 &amp,amp,micro,M &amp,amp, 72 h) and selectively abrogates Wnt signaling in ventral &amp,amp, lateral regions, but not within dorsal organizer, in 5.3 hpf epiboly stage zebrafish embryos in vivo, indicating that the Zebrafish &amp,amp,beta,-catenin-1 &amp,amp, -2 regulate separate Wnt signaling events during zebrafish embryo development by associating with distinct binding partners. A great complement to the selective &amp,amp,beta,-catenin-CBP interaction blocker ICG-001 (Cat. no. 504712). Pure (E)-isomer is available separately (Cat. no. xxxxxx) as a negative control.

Text/Information	Analyte/Parameter	CAS number	Concentration/Value	Unit	Method	Source
	Windorphen	[19881-70-0]				