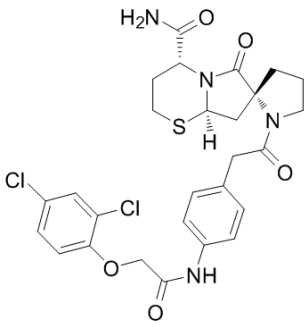
Data Sheet

Product Name:	ST 2825
Cat. No.:	CS-0797
CAS No.:	894787-30-5
Molecular Formula:	$C_{27}H_{28}Cl_2N_4O_5S$
Molecular Weight:	591.51
Target:	MyD88
Pathway:	Immunology/Inflammation
Solubility:	H2O : < 0.1 mg/mL (insoluble); DMSO : 100 mg/mL (169.06 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

ST 2825 is a **MyD88** homodimerization inhibitor.
IC50 & Target: MyD88[1]
In Vitro: ST2825 blocks IL-1R/TLR signaling by interfering with MyD88 homodimerization. ST2825 inhibits this interaction in a concentration-dependent manner with ~40% inhibition of dimerization at 5 μM ST2825 and 80% inhibition at 10 μM ST2825[1].
In Vivo: ST2825 dose-dependently inhibits IL-1β-induced production of IL-6 in treated mice after oral administration. The animals are administered orally with the appropriate vehicles or ST2825 at doses ranging from 50 to 200 mg/kg, 5 min prior to i.p. injection with 20 μg/kg IL-1β. ST2825 exertes a significant inhibition of IL-1β-stimulated production of IL-6 at 100 and 200 mg/kg[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: ST2825 is dissolved in DMSO and stored, and then diluted with appropriate media (DMSO 0.1%) before use[1].[1]HeLa cells are seeded at 10^5 cells/mL in a 96-well tissue-culture plate. After incubating overnight, the medium is discarded, and the cells are added with tissue culture medium, 10% FBS, containing ST2825 at concentrations ranging from 0.1 to 10 μM and DMSO at 0.1% final concentration. The cells are incubated for 6 and 18 h and then added with the yellow XTT (0.3 mg/mL) for further 2 h of incubation. At the end of the incubation periods, reactions are quantified by using a Sirio S Seac microplate reader[1]. **Animal Administration:** ST2825 is administered orally as 0.5% suspension in carboxymethylcellulose (CMC)[1].[1]Mice[1]
Mice (female C57Bl/6) are divided into experimental groups of 15 mice. They are injected i.p. with saline (control animals) or recombinant murine IL-1β (20 μg/kg). A time-course analysis of IL-6 production established that the peak of cytokine is reached 2 h after IL-1β injection. ST2825, administered orally as 0.5% suspension in carboxymethylcellulose (CMC) or CMC alone, is supplied to the experimental mice groups. Two hours after IL-1β injection, the animals are killed, and sera are collected to assay IL-6 levels. Mice, which are treated orally with 100 and 200 mg/kg ST2825, shows lower levels of IL-6 versus CMC-treated mice.

References:

[1]. Loiarro M, et al. Pivotal advance: inhibition of MyD88 dimerization and recruitment of IRAK1 and IRAK4 by a novel peptidomimetic compound. J Leukoc Biol. 2007 Oct;82(4):801-10.
[2]. Fantò N, et al. Design, Synthesis, and In Vitro Activity of Peptidomimetic Inhibitors of Myeloid Differentiation Factor 88. J Med Chem. 2008 Mar 13; 51(5):1189-202.
[3]. Van Tassell BW, et al. Pharmacologic Inhibition of Myeloid Differentiation Factor 88 (MyD88) Prevents Left Ventricular Dilation and Hypertrophy After Experimental Acute Myocardial Infarction in the Mouse. J Cardiovasc Pharmacol. 2010 Apr;55(4):385-90.
[4]. Zhang HS, et al. Inhibition of myeloid differentiation factor 88(MyD88) by ST2825 provides neuroprotection after experimental traumatic brain injury in

mice. Brain Res. 2016 Jul 15;1643:130-9.
[5]. Wang N, et al. Myeloid differentiation factor 88 is up-regulated in epileptic brain and contributes to experimental seizures in rats. Exp Neurol. 2017 Sep; 295:23-35.
[6]. Brad Griesenauer, et al. ST2/MYD88 signaling is a therapeutic target alleviating murine acute graft-versus-host disease sparing T regulatory cell function. Indiana University. May 2018.

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