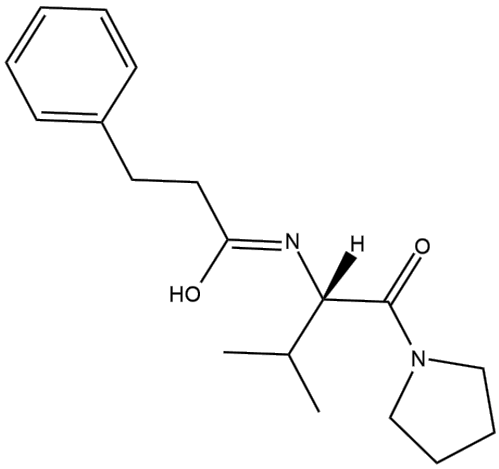
Product Data Sheet

Product Name: IL-1R Antagonist
Cat. No.: GC18529

Chemical Properties

Cas. No.	566914-00-9		
Chemical Name	N-[(1S)-2-methyl-1-(1-pyrrolidinylcarbonyl)propyl]-benzenepropanamide		
SMILES	O=C(N[C@@H](C(C)C)C(N1CCCC1)=O)CCC2=CC=CC=C2		
Formula	$C_{18}H_{26}N_2O_2$	M.Wt	302.4
Solubility	10mg/mL in DMSO, or in DMF	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.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Animal experiment [1]:

Animal models Male C57BL6 mice

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Preparation Method	Radiotelemetric devices were implanted i.p. into adult male C57BL6 mice 1 week before experiments. The mice recovered in a thermo-neutral room maintained at 31.5°C. The basal temperature recordings were performed for 24h before initiation of experiments. At 10:30 a.m. the day of the experiment, saline or IL-1R Antagonist (TLR1) (diluted in saline; 200mg/kg) was injected i.p. At 10:45 a.m., rmIL-1β (15μg/kg) or saline was injected i.p. The core body temperature was continuously recorded for the following 24h.
Dosage form	200mg/kg; i.p.
Applications	IL-1R Antagonist (TLR1) produced a significant attenuation of the IL-1-induced fever response.

References:

[1] Bartfai T, Behrens M M, Gaidarova S, et al. A low molecular weight mimic of the Toll/IL-1 receptor/resistance domain inhibits IL-1 receptor-mediated responses[J]. Proceedings of the National Academy of Sciences, 2003, 100(13): 7971-7976.

Background

IL-1R Antagonist (TLR1) is a peptide that mimics the primary response gene 88 (MyD88) of myeloid differentiation and can alter the interaction between MyD88 and IL-1 receptor type I (IL-1RI)[1]. Treatment of mouse lymphocytes and thymoma EL4 cells with IL-1R Antagonist (>=10μM) can inhibit the phosphorylation of IL-1β-activated p38 MAP kinase, a process specifically mediated by the disruption of IL-1RI/MyD88[1]. IL-1R Antagonist can block the stress-like effects of IL-1β in cellular and behavioral models and has the potential to be a new candidate drug for the treatment of depression[2]. IL-1R Antagonist can effectively inhibit the activation of JNK (c-Jun N-terminal kinase) and NF-κB (nuclear factor κB) pathways[3].

In vivo, IL-1R Antagonist (200mg/kg) administered via intraperitoneal injection to mice with IL-1β-induced fever significantly reduced the IL-1β-induced fever response[1].

References:

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[1] Bartfai T, Behrens M M, Gaidarova S, et al. A low molecular weight mimic of the Toll/IL-1 receptor/resistance domain inhibits IL-1 receptor-mediated responses[J]. Proceedings of the National Academy of Sciences, 2003, 100(13): 7971-7976.
[2] Koo J W, Duman R S. Evidence for IL-1 receptor blockade as a therapeutic strategy for the treatment of depression[J]. Current opinion in investigational drugs (London, England: 2000), 2009, 10(7): 664.
[3] Loiarro M, Ruggiero V, Sette C. Targeting TLR/IL-1R signalling in human diseases[J]. Mediators of inflammation, 2010, 2010(1): 674363.

Caution: Product has not been fully validated for medical applications. For research use only.
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