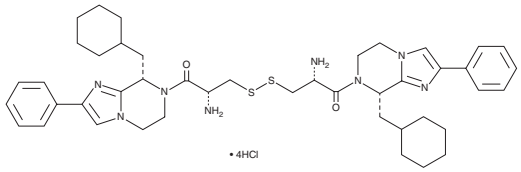
PRODUCT INFORMATION

BIM-46187 (hydrochloride)

Item No. 34531

CAS Registry No.:	2489449-03-6
Formal Name:	(2R,2′R)-3,3′-dithio*bis*[2-amino-1-[(8S)-8-(cyclohexylmethyl)-5,6-dihydro-2-phenylimidazo[1,2-a]pyrazin-7(8H)-yl]-1-propanone, tetrahydrochloride
MF:	$C_{44}H_{58}N_8O_2S_2 \bullet 4HCl$
FW:	941.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 260 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BIM-46187 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the BIM-46187 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. BIM-46187 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of BIM-46187 (hydrochloride) in these solvents is approximately 10 and 30 mg/ml, respectively.

BIM-46187 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BIM-46187 (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. BIM-46187 (hydrochloride) has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BIM-46187 is an inhibitor of heterotrimeric G-protein signaling.[1,2] It inhibits signaling through $G\alpha_i$, $G\alpha_s$, and $G\alpha_q$ in MZ7 cells but selectively inhibits $G\alpha_q$ in HEK293 and CHO cells, indicating cell-dependent inhibition.[1] BIM-46187 (0.1-1 mg/kg) increases the paw withdrawal threshold to a mechanical stimulus in a rat model of carrageenan-induced hyperalgesia.[3] It also reduces mechanical hyperalgesia in a rat model of neuropathic pain induced by chronic constriction injury (CCI) when administered at doses ranging from 0.3 to 3 mg/kg.

References

1. Schmitz, A.-L., Schrage, R., Gaffal, E., *et al*. A cell-permeable inhibitor to trap $G\alpha_q$ proteins in the empty pocket conformation. *Chem. Biol.* **21(7)**, 890-902 (2014).
2. Zhang, H., Nielsen, A.L., and Strømgaard, K. Recent achievements in developing selective G_q inhibitors. *Med. Res. Rev*. **40(1)**, 135-157 (2019).
3. Favre-Guilmard, C., Zeroual-Hide, H., Soulard, C., *et al*. The novel inhibitor of the heterotrimeric G-protein complex, BIM-46187, elicits anti-hyperalgesic properties and synergizes with morphine. *Eur. J. Pharmacol*. **594(1-3)**, 70-76 (2008).

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