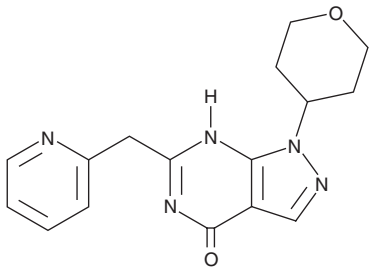
PRODUCT INFORMATION

BI-409306

Item No. 30375

CAS Registry No.:	1189767-28-9
Formal Name:	1,5-dihydro-6-(2-pyridinylmethyl)-1-(tetrahydro-2H-pyran-4-yl)-4H-pyrazolo[3,4-d]pyrimidin-4-one
MF:	$C_{16}H_{17}N_5O_2$
FW:	311.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 256 nm
Supplied as:	A crystalline 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

BI-409306 is supplied as a crystalline solid. A stock solution may be made by dissolving the BI-409306 in the solvent of choice, which should be purged with an inert gas. BI-409306 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of BI-409306 in these solvents is approximately 5 and 10 mg/ml, respectively.

BI-409306 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BI-409306 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BI-409306 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BI-409306 is a potent and selective phosphodiesterase 9A (PDE9A) inhibitor with IC_{50} values of 65 and 168 nM for the human and rat enzymes, respectively.[1] It is selective for PDE9A over PDE2A, -3A, -4B, -5A, -6AB, -7A and -10A and 95 non-PDE targets at 10 µM but does inhibit human PDE1A and PDE1C (IC_{50}s = 1.45 and 1.17 µM, respectively). BI-409306 (0.5 mg/kg), in combination with MK-801, an NMDA receptor antagonist that reduces cGMP levels, increases cGMP levels by 41% in mouse striatal tissue compared with MK-801 alone. BI 406306 enhances long-term potentiation (LTP) in hippocampal slices and reverses MK-801-induced working memory deficits in a T-maze task in mice when administered at doses ranging from 0.007 to 2.5 mg/kg.

Reference

1. Rosenbrock, H., Giovannini, R., Schanzle, G., *et al*. The novel phosphodiesterase 9A inhibitor BI 409306 increases cyclic guanosine monophosphate levels in the brain, promotes synaptic plasticity, and enhances memory function in rodents. *J. Pharmacol. Exp. Ther.* **371(3)**, 633-641 (2019).

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