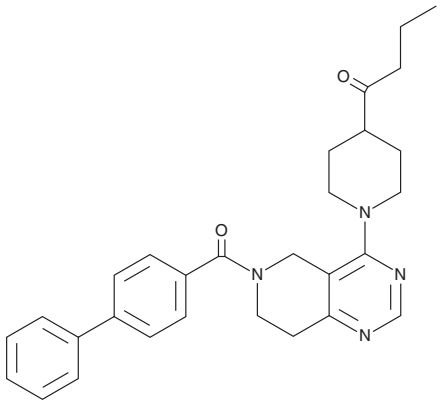
PRODUCT INFORMATION

PK-THPP

Item No. 29473



CAS Registry No.:	1332454-07-5
Formal Name:	1-[1-[6-([1,1′-biphenyl]-4-ylcarbonyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidin-4-yl]-4-piperidinyl]-1-butanone
MF:	$C_{29}H_{32}N_4O_2$
FW:	468.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 264 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

PK-THPP is supplied as a crystalline solid. A stock solution may be made by dissolving the PK-THPP in the solvent of choice, which should be purged with an inert gas. PK-THPP is soluble in the organic solvent DMSO.

Description

PK-THPP is a brain-penetrant inhibitor of the two-pore domain potassium channel K_{2P}9.1/TASK-3 (IC_{50} = 0.035 µM).[1] It is selective for K_{2P}9.1/TASK-3 over K_{2P}2.1/TREK1 and the voltage-gated potassium channel subtype K_v1.5 (IC_{50}s = ~5 and >10 µM, respectively), as well as a panel of more than 100 receptors, ion channels, and enzymes at 10 µM but does inhibit K_{2P}3.1/TASK-1 (IC_{50} = 0.3 µM). PK-THPP (100 mg/kg, s.c.) increases the time spent awake and decreases the duration of rapid eye movement (REM) and delta sleep in mice.

Reference

1. Coburn, C.A., Luo, Y., Cui, M., *et al.* Discovery of a pharmacologically active antagonist of the two-pore-domain potassium channel K_{2P}9.1 (TASK-3). *ChemMedChem* **7(1)**, 123-133 (2012).

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