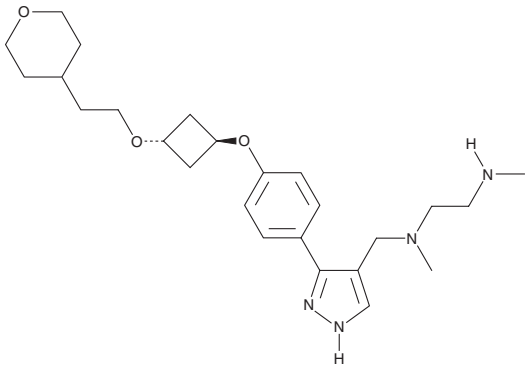
PRODUCT INFORMATION

EPZ020411

Item No. 19160

CAS Registry No.:	1700663-41-7
Formal Name:	N^1,N^2-dimethyl-N^1-[[3-[4-[[*trans*-3-[2-(tetrahydro-2H-pyran-4-yl) ethoxy]cyclobutyl]oxy]phenyl]-1H-pyrazol-4-yl]methyl]-1,2-ethanediamine
MF:	$C_{25}H_{38}N_4O_3$
FW:	442.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 250 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

EPZ020411 is supplied as a crystalline solid. A stock solution may be made by dissolving the EPZ020411 in the solvent of choice, which should be purged with an inert gas. EPZ020411 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of EPZ020411 in ethanol and DMF is approximately 1 mg/ml and approximately 5 mg/ml in DMSO.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of EPZ020411 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of EPZ020411 in PBS (pH 7.2) is approximately 0.3 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

EPZ020411 is an inhibitor of protein arginine methyltranferase 6 (PRMT6; IC_{50} = 10 nM) that less potently targets PRMT1 and PRMT8 (IC_{50}s = 119 and 223 nM, respectively).[1] It dose-dependently decreases methylation of the PRMT6 substrate H3R2 in A375 cells transiently expressing PRMT6 (IC_{50} = 0.637 µM).[1] EPZ020411 shows a moderate clearance rate in rats following intravenous bolus administration, and, following 5 mg/kg subcutaneous dosing, has an unbound blood concentration exceeding the PRMT6 biochemical IC_{50} value for more than 12 hours.[1]

Reference

1. Mitchell, L.H., Drew A.E., Ribich S.A., *et al.* Aryl pyrazoles as potent inhibitors of arginine methyltransferases: Identification of the first PRMT6 tool compound. *ACS Med. Chem. Lett.* **6(6)**, 655-659 (2015).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM