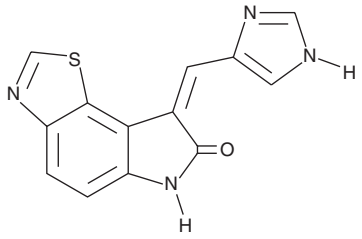
PRODUCT INFORMATION

PKR Inhibitor

Item No. 15323

CAS Registry No.: 608512-97-6
Formal Name: 6,8-dihydro-8-(1H-imidazol-5-ylmethylene)-7H-pyrrolo[2,3-g]benzothiazol-7-one
Synonyms: C16, GW 506033X, Protein Kinase RNA-activated
MF: $C_{13}H_8N_4OS$
FW: 268.3
Purity: ≥95%
UV/Vis.: λ_{max}: 244, 305, 363 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

PKR inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the PKR inhibitor in the solvent of choice. PKR inhibitor is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of PKR inhibitor in these solvents is approximately 2.5 and 0.5 mg/ml, respectively.

PKR inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PKR inhibitor should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PKR inhibitor has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The activity of double-stranded RNA-activated protein kinase (PKR) is altered by viral infection as well as by various neuropathologies.[1,2] A primary phosphorylation target of PKR is eukaryotic initiation factor 2 subunit α (eIF2α), blocking translation and driving apoptosis.[3] PKR Inhibitor is an oxindole/imidazole derivative that binds the ATP-binding site of PKR and blocks autophosphorylation with an IC_{50} value of 186-210 nM.[3] PKR Inhibitor protects human neuroblastoma cells against cell damage triggered by tunicamycin-mediated endoplasmic reticulum stress.[4] It also prevents phosphorylation of Fas-associated protein with a death domain (FADD) in neuroblastoma cells, preventing FADD-dependent activation of caspases and apoptosis.[1] Intraperitoneal administration of PKR inhibitor in rats reduces phosphorylation of PKR and eIF2α in the brain.[5] Similar administration in mice enhances long-term memory storage, including contextual and auditory long-term fear memories.[2]

References

1. Couturier, J., Morel, M., Pontcharraud, R., *et al. J. Biol. Chem.* **285(2)**, 1272-1282 (2010).
2. Zhu, P.J., Huang, W., Kalikulov, D., *et al. Cell* **147(6)**, 1384-1396 (2011).
3. Jammi, N.V., Whitby, L.R., and Beal, P.A. *Biochem. Biophys. Res. Commun.* **308(1)**, 50-57 (2003).
4. Shimazawa, M. and Hara, H. *Neurosci. Lett.* **409(3)**, 192-195 (2006).
5. Ingrand, S., Barrier, L., Lafay-Chebassier, C., *et al. FEBS Lett.* **581(23)**, 4473-4478 (2007).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

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