

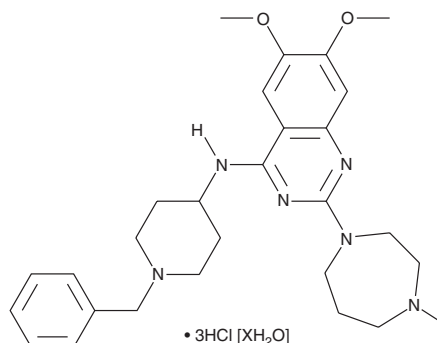
# PRODUCT INFORMATION



## BIX01294 (hydrochloride hydrate)

Item No. 13124

**CAS Registry No.:** 1808255-64-2  
**Formal Name:** 2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-6,7-dimethoxy-N-[1-(phenylmethyl)-4-piperidinyl]-4-quinazolinamine, trihydrochloride, hydrate  
**MF:** C<sub>28</sub>H<sub>38</sub>N<sub>6</sub>O<sub>2</sub> • 3HCl [XH<sub>2</sub>O]  
**FW:** 600.0  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 212, 247, 333, 346 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

BIX01294 (hydrochloride hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the BIX01294 (hydrochloride hydrate) in the solvent of choice. BIX01294 (hydrochloride hydrate) is soluble in the organic solvent DMSO, it is also soluble in water. The solubility of BIX01294 (hydrochloride hydrate) in DMSO is approximately 5 mg/ml and approximately 10 mg/ml in water.

### Description

The methylation of lysine residues on histones plays a central role in determining euchromatin structure and gene expression. The histone methyltransferase (HMTase) G9a can mono or dimethylate lysine 9 on histone 3 (H3), contributing to early embryogenesis, genomic imprinting, and lymphocyte development.<sup>1-3</sup> BIX01294 (hydrochloride hydrate) is a selective inhibitor of G9a HMTase (IC<sub>50</sub> = 1.7 μM).<sup>4</sup> It is a less effective inhibitor of the HMTase G9a-like protein (GLP; IC<sub>50</sub> = 38 μM) and has no effect on other known HMTases.<sup>4</sup> BIX01294 has been used in combination with the calcium channel activator BayK8644 to facilitate the generation of induced pluripotent stem cells from somatic cells *in vitro*.<sup>5</sup>

### References

1. Tachibana, M., Sugimoto, K., Nozaki, M., *et al.* G9a histone methyltransferase plays a dominant role in euchromatic histone H3 lysine 9 methylation and is essential for early embryogenesis. *Genes Dev.* **16**, 1779-1791 (2002).
2. Wagschal, A., Sutherland, H.G., Woodfine, K., *et al.* G9a histone methyltransferase contributes to imprinting in the mouse placenta. *Mol. Cell. Biol.* **28**(3), 1104-1113 (2008).
3. Thomas, L.R., Miyashita, H., Cobb, R.M., *et al.* Functional analysis of histone methyltransferase G9a in B and T lymphocytes. *J. Immunol.* **181**, 485-493 (2008).
4. Kubicek, S., O'Sullivan, R.J., August, E.M., *et al.* Reversal of H3K9me2 by a small-molecule inhibitor for the G9a histone methyltransferase. *Molecular Cell* **25**, 473-481 (2007).
5. Shi, Y., Despons, C., Do, J.T., *et al.* Induction of pluripotent stem cells from mouse embryonic fibroblasts by Oct4 and Klf4 with small-molecule compounds. *Cell Stem Cell* **3**(5), 568-574 (2008).

#### 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.

#### WARRANTY AND LIMITATION OF REMEDY

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