

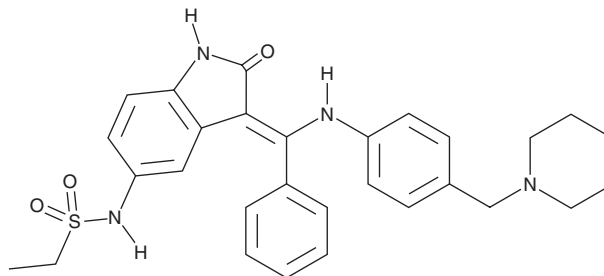
# PRODUCT INFORMATION



## Hesperadin

Item No. 24199

**CAS Registry No.:** 422513-13-1  
**Formal Name:** N-[2,3-dihydro-2-oxo-3-[(3Z)-phenyl[[4-(1-piperidinylmethyl)phenyl]amino]methylene]-1H-indol-5-yl]-ethanesulfonamide  
**MF:** C<sub>29</sub>H<sub>32</sub>N<sub>4</sub>O<sub>3</sub>S  
**FW:** 516.7  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 287, 378 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

Hesperadin is supplied as a crystalline solid. A stock solution may be made by dissolving the hesperadin in the solvent of choice, which should be purged with an inert gas. Hesperadin is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of hesperadin in these solvents is approximately 33 mg/ml.

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

### Description

Hesperadin is a multi-kinase inhibitor.<sup>1-3</sup> It inhibits human Aurora kinase B (IC<sub>50</sub> = 250 nM) and its *T. brucei* homolog Aurora kinase-1 (IC<sub>50</sub> = 40 nM) in *in vitro* kinase assays.<sup>1,2</sup> Hesperadin (1 μM) inhibits AMPK, LCK, MKK1, MAPKAP-K1, CHK1, and PHK in a panel of 25 kinases.<sup>1</sup> It also inhibits MEKK2 in ATPase and transphosphorylation assays with IC<sub>50</sub>s of 60 and 34 nM, respectively.<sup>3</sup> Hesperadin (50-100 nM) induces polyploidy and defects in cytokinesis and spindle assembly as well as inhibits proliferation of HeLa cells and overrides mitotic arrest induced by paclitaxel (Item No. 10461) or monastrol (Item No. 15044).<sup>1</sup> Hesperadin also induces toxicity in HepG2 cells with a toxic concentration (TC<sub>50</sub>) value of less than 0.2 μM.<sup>4</sup> It inhibits replication of clinical isolates of influenza A and B viruses with EC<sub>50</sub>s ranging from 0.22 to 2.21 μM in a plaque formation assay.<sup>5</sup> Hesperadin inhibits the growth of *T. brucei*, *L. major* promastigotes and amastigotes, and *P. falciparum* with EC<sub>50</sub> values ranging from 0.01 to 2.37 μM, but has less activity against *T. cruzi* (EC<sub>50</sub> = 39 μM).<sup>4</sup>

### References

1. Hauf, S., Cole, R.W., LaTerra, S., et al. *J. Cell. Biol.* **161(2)**, 281-294 (2003).
2. Jetton, N., Rothberg, K.G., Hubbard, J.G., et al. *Mol. Microbiol.* **72(2)**, 442-458 (2009).
3. Girdler, F., Gascoigne, K.E., Evers, P.A., et al. *J. Cell Sci.* **119(Pt 17)**, 3664-3675 (2006).
4. Patel, G., Roncal, N.E., Lee, P.J., et al. *Medchemcomm.* **5(5)**, 655-658 (2014).
5. Hu, Y., Zhang, J., Musharrafieh, R., et al. *Int. J. Mol. Sci.* **18(9)**, pii: E1929 (2017).

#### 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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