**Product Name**: INH14  
**Catalog Number**: T5209  
**CAS Number**: 200134-22-1  
**Molecular Formula**: C15H16N2O  
**Molecular Weight**: 240.30

**Description**: INH14 is a novel inhibitor of the IKKα/β-dependent TLR inflammatory response (IC50s: 8.97/3.59 μM for IKKα/IKKβ).

**Storage**: 2 years -80°C in solvent; 3 years -20°C powder;

**Solubility**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Concentration</th>
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</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>100 mg/mL, 416.14 mM</td>
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</table>

(< 1 mg/ml refers to the product slightly soluble or insoluble)

**Receptor (IC50)**

<table>
<thead>
<tr>
<th>Receptor</th>
<th>IC50 (μM) (cell free)</th>
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</thead>
<tbody>
<tr>
<td>IKKα</td>
<td>8.97 μM</td>
</tr>
<tr>
<td>IKKβ</td>
<td>3.59 μM</td>
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</table>

**In vitro Activity**

Overexpression of proteins that are part of the TLR2 pathway in cells treated with INH14 indicated that the target lay downstream of the complex TAK1/TAB1. INH14 decreased IkBα degradation in cells activated by lipopeptide (TLR2 ligand). The kinases IKKα and/or IKKβ were the targets of INH14, which was confirmed with kinase assays (IC50 IKKα=8.97?μM; IC50 IKKβ=3.59?μM).

**In vivo Activity**

In vivo experiments showed that INH14 decreased TNFα formed after lipopeptide-induced inflammation, and treatment of ovarian cancer cells with INH14 led to a reduction of NF-κB constitutive activity and a reduction in the wound-closing ability of these cells.

**Kinase Assay**

IKKα and IKKβ kinase assays (ADP-GloTM 109 kinase assay) were purchased from Promega and used following the manufacturer’s instructions. The quantification of the ADP produced in the reactions (chemiluminescence) was measured with a Victor plate reader. IKKα (15 ng per reaction) or IKKβ (20 ng per reaction) were incubated with ATP (50 ?M or 25 ?M, respectively) and substrate-peptide (0.2 ng/ml) in the presence of vehicle or increasing concentrations of INH14 at room temperature for one hour.

**Cell Assay**

Human primary monocytes (8 x 10^4 cells/well) were seeded and incubated overnight with the compound, media control, or SDS (0.02%). Then, the tetrazolium salt WST-8 was added, and the cells were incubated for one additional hour at 37°C. During this period, the dehydrogenase activity of viable cells led to the production of the coloured product (formazan). The cell viability was measured with a Victor plate reader as an increase in the absorbance at 450 nm.

**Animal Experiment**

Animal Model:

**Reference**


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