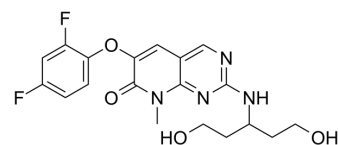


Pamapimod

| | | | |
|---------------------------|--|-------|---------|
| Cat. No.: | HY-10405 | | |
| CAS No.: | 449811-01-2 | | |
| Molecular Formula: | C ₁₉ H ₂₀ F ₂ N ₄ O ₄ | | |
| Molecular Weight: | 406.38 | | |
| Target: | p38 MAPK; Autophagy | | |
| Pathway: | MAPK/ERK Pathway; Autophagy | | |
| Storage: | Powder | -20°C | 3 years |
| | | 4°C | 2 years |
| | In solvent | -80°C | 2 years |
| | | -20°C | 1 year |



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 34 mg/mL (83.67 mM)
 * "≥" means soluble, but saturation unknown.

| Concentration | Mass | | |
|----------------------------------|-----------|------------|------------|
| | 1 mg | 5 mg | 10 mg |
| Preparing Stock Solutions | | | |
| 1 mM | 2.4608 mL | 12.3038 mL | 24.6075 mL |
| 5 mM | 0.4922 mL | 2.4608 mL | 4.9215 mL |
| 10 mM | 0.2461 mL | 1.2304 mL | 2.4608 mL |

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Pamapimod (Ro4402257) is a potent, selective and orally active p38 MAPK inhibitor with IC₅₀s of 14 nM and 480 nM and K_is of 1.3 nM and 120 nM for p38α and p38β, respectively. Pamapimod has no activity against p38δ or p38γ isoforms. Pamapimod has the potential for rheumatoid arthritis and other autoimmune diseases treatment^[1].

IC₅₀ & Target

| | | | |
|-----------------------------------|----------------------------------|---------------------------------|----------------------------------|
| p38α 14 nM (IC ₅₀) | p38α 1.3 nM (K _i) | p38β 480 (IC ₅₀) | p38β 120 nM (K _i) |
|-----------------------------------|----------------------------------|---------------------------------|----------------------------------|

In Vitro

Pamapimod binds to JNK kinases with K_i values of 190 nM, 16 nM and 19 nM for Jnk1, Jnk2 and Jnk3, respectively^[1]. After lipopolysaccharide (LPS) stimulation of the human myelomonocytic cell line (THP-1), secretion of TNF-α is inhibited by Pamapimod, with an EC₅₀ of 25 nM. Pamapimod suppresses TNF-α and IL-1β production in whole blood, with EC₅₀ values of 0.40 and 0.10 μM, respectively^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Pamapimod (0-150 mg/kg; oral gavage; once daily; DBA/1J female mice) treatment reduces inflammation and bone loss in

murine collagen-induced arthritis^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|-----------------|---|
| Animal Model: | DBA/1J female mice (8-10 weeks of age) induced murine collagen ^[1] |
| Dosage: | 0 mg/kg, 3 mg/kg, 10 mg/kg, 30 mg/kg, 90 mg/kg, 150 mg/kg |
| Administration: | Oral gavage; once daily |
| Result: | Reduced inflammation and bone loss. |

REFERENCES

[1]. Hill, R. J. et al. Pamapimod, a novel p38 mitogen-activated protein kinase inhibitor: preclinical analysis of efficacy and selectivity. *The Journal of pharmacology and experimental therapeutics* 327, 610-619, doi:10.1124/jpet.108.139006 (2008).

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA