

Product Data Sheet

Product Name: SAR113945 monopotassium

Cat. No.: GC79808

Chemical Properties

Cas. No. 899418-65-6

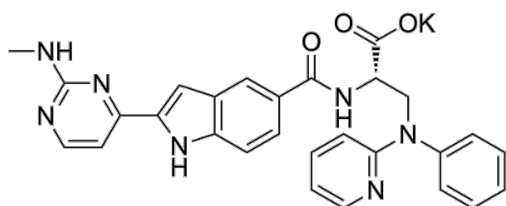
Formula $C_{28}H_{24}KN_7O_3$ M.Wt 545.63

Solubility DMSO: ≥ 100 mg/mL (183.27 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

SAR113945 monopotassium is a highly selective IKK- β inhibitor with an IC_{50} value of 0.4 nM. SAR113945 monopotassium blocks the canonical NF κ B signaling pathway. SAR113945 monopotassium alleviates hyperalgesia to heat and mechanical stimuli, and exerts effects in a zymosan-induced knee joint inflammation model. SAR113945 monopotassium is applicable to research related to symptomatic knee osteoarthritis [1] [2] [3].

In Vivo, SAR113945 (0.01-10 mg per joint; intra-articular; single dose) monopotassium produces statistically significant dose-dependent pain reduction in a zymosan-induced inflammatory knee joint

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

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pain model in male Lewis rats, with an 88% maximum pain reduction at the 10 mg per joint dose^[1].

In Vitro, SAR113945 monopotassium potently inhibits the native IKK complex purified from HeLa cell extracts, with an IC₅₀ of 0.018 µM^[1]. SAR113945 (10 µM) monopotassium exhibits high kinase specificity. In a kinome panel comprising 62 kinases, it only inhibits mixed lineage kinase 1 (MLK1) by 59% at a concentration of 10 µM^[1]. SAR113945 (10 µM) monopotassium exhibits high receptor and enzyme specificity, acting solely as an antagonist of the adenosine A3 receptor, with an IC₅₀ of 0.89 µM in a screening panel comprising 106 targets^[1]. SAR113945 (Compound 1) monopotassium inhibits IKK-β with an IC₅₀ of 0.4 nM^[3].

References:

- [1]. Grothe K, et al. IκB kinase inhibition as a potential treatment of osteoarthritis - results of a clinical proof-of-concept study. *Osteoarthritis Cartilage*. 2017 Jan;25(1):46-52.
- [2]. Liu D, et al. Small molecule inhibitors of osteoarthritis: Current development and future perspective. *Frontiers in physiology*. 2023;14:1156913.
- [3]. Noha SM, et al. Discovery of a novel IKK-β inhibitor by ligand-based virtual screening techniques. *Bioorganic & medicinal chemistry letters*. 2011 Jan 01;21(1):577-83.

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