PRODUCT INFORMATION



T-5224

Item No. 22904

CAS Registry No.:	530141-72-1
Formal Name:	5-[4-(cyclopentyloxy)-2-hydroxybenzoyl]-2- O
	[(2,3-dihydro-3-oxo-1,2-benzisoxazol-6-yl)
	methoxy]-benzenepropanoic acid
MF:	$C_{29}H_{27}NO_8$
FW:	517.5
Purity:	≥95% №-н
UV/Vis.:	λ _{max} : 292, 332 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

T-5224 is supplied as a crystalline solid, which should be purged with an inert gas. A stock solution may be made by dissolving the T-5224 in the solvent of choice. T-5224 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of T-5224 in these solvents is approximately 30 mg/ml.

T-5224 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, T-5224 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. T-5224 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

T-5224 is an inhibitor of c-fos/activator protein 1 (AP-1). It inhibits the DNA binding activity of c-fos/AP-1 without affecting the activity of C/EBP α , ATF2, MyoD, Sp1, and NF- κ B/p65 in vitro.¹ It shows inhibitory activity in a c-fos/AP-1 promoter luciferase assay without changing c-fos family protein expression levels in TNF- α -stimulated NIH/3T3 cells. Administration of T-5224 (30 mg/kg) inhibits the development of collagen-induced arthritis in mice through reduction of IL-1β production, neutrophil infiltration, and synovial cell proliferation.² It reduces the inflammatory cytokine response in LPS-challenged mice, lowering levels of TNF-α and HMGB1 in the serum and MIP-1α and MCP-1 in the liver. T-5224 reduces in vivo necrosis of liver tissue and improves survival rates in LPS-challenged mice. T-5224 also exhibits anticancer activity, reducing proliferation and migration of HSC-3-M3 head and neck squamous carcinoma cells in vitro and the number of metastases in an HSC-3-M3 orthotopic xenograft model in vivo.³

References

- 1. Aikawa, Y., Morimoto, K., Yamamoto, T., et al. Treatment of arthritis with a selective inhibitor of c-Fos/activator protein-1. Nat. Biotechnol. 26(7), 817-823 (2008).
- 2. Izuta, S., Ueki, M., Ueno, M., et al. T-5224, a selective inhibitor of c-Fos/activator protein-1, attenuates lipopolysaccharide-induced liver injury in mice. Biotechnol. Lett. 34(12), 2175-2182 (2012).
- 3. Kamide, D., Yamashita, T., Araki, K., et al. Selective activator protein-1 inhibitor T-5224 prevents lymph node metastasis in an oral cancer model. Cancer Sci. 107(5), 666-673 (2016).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY 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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