Inhibitors, Agonists, Screening Libraries

Data Sheet

Product Name: Trabectedin
Cat. No.: HY-50936
CAS No.: 114899-77-3
Molecular Formula: C_{39}H_{43}N_{3}O_{11}S
Molecular Weight: 761.84
Target: Apoptosis
Pathway: Apoptosis
Solubility: 10 mM in DMSO

BIOLOGICAL ACTIVITY:
Trabectedin (Ecteinascidin–743 or ET–743) is a novel antitumour agent of marine origin with potent antitumour activity both in vitro and in vivo.
IC50 Value: 0.1–3.7 nM (breast cancer cell lines) [1]
Target: Apoptosis inducer; Anticancer
in vitro: Trabectedin induced cytotoxicity and apoptosis in both breast cancer cells in a time and concentration–dependent manner. The expression levels of the death receptor pathway molecules, TRAIL–R1/DR4, TRAIL–R2/DR5, FAS/TNFRSF6, TNF RI/TNFRSF1A, and FADD were significantly increased by 2.6–, 3.1–, 1.7–, 11.2– and 4.0–fold by trabectedin treatment in MCF–7 cells. However, in MDA–MB–453 cells, the mitochondrial pathway related pro–apoptotic proteins Bax, Bad, Cytochrome c, Smac/DIABLO, and Cleaved Caspase–3 expressions were induced by 4.2–, 3.6–, 4.8–, 4.5–, and 4.4–fold, and the expression levels of anti–apoptotic proteins BcI2 and Bcl–XL were reduced by 4.8– and 5.2–fold in MDA–MB–453 cells [2]. In vitro treatment with noncytotoxic concentrations of trabectedin selectively inhibited the production of CCL2, CXCL8, IL–6, VEGF, and PTX3 by MLS primary tumor cultures and/or cell lines [3].
in vivo: A xenograft mouse model of human MLS showed marked reduction of CCL2, CXCL8, CD68+ infiltrating macrophages, CD31+ tumor vessels, and partial decrease of PTX3 after trabectedin treatment [3]. The MTD of trabectedin was 700 microg/m(2) due to dose–limiting neutropaenia and the RDs in the previously treated/untreated patients were 500 and 600 microg/m(2), respectively. Most common toxicities were nausea/vomiting (67%), asthenia/fatigue (55%) and reversible ASAT/ALAT elevation (51%) [4].
Toxicity: Most common toxicities were nausea/vomiting (67%), asthenia/fatigue (55%) and reversible ASAT/ALAT elevation (51%) [4].
Clinical trial: A Study to Assess the Potential Effects of Rifampin on the Pharmacokinetics of Trabectedin in Patients With Advanced Malignancies. Phase 1/2

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

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